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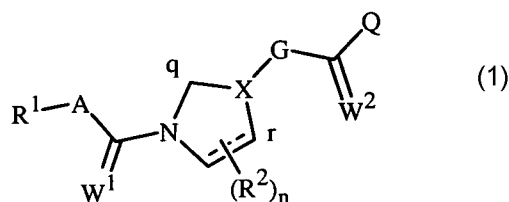
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(54) Title: FUNGICIDAL MIXTURES



(57) Abstract: Disclosed is a fungicidal composition comprising (a) at least one compound selected from the compounds of Formula 1 *N*-oxides, and salts thereof, insert Formula 1 here wherein R¹, R², A, G, Q, W¹, W², X and n are otherwise as defined in the disclosure, and (b) at least one additional fungicidal compound. Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition.

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TITLE

FUNGICIDAL MIXTURES

FIELD OF THE INVENTION

This invention relates to fungicidal mixtures of certain carboxamide derivatives, their
 5 *N*-oxides and salts, and to compositions comprising such mixtures and methods for using
 such mixtures as fungicides.

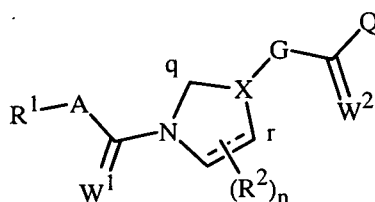
BACKGROUND OF THE INVENTION

The control of plant diseases caused by fungal plant pathogens is extremely important
 in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field,
 10 cereal and fruit crops can cause significant reduction in productivity and thereby result in
 increased costs to the consumer. In addition to often being highly destructive, plant diseases
 can be difficult to control and may develop resistance to commercial fungicides. Combinations
 of fungicides are often used to facilitate disease control, to broaden spectrum
 of control and to retard resistance development. Furthermore, certain rare combinations of
 15 fungicides demonstrate a greater-than-additive (i.e. synergistic) effect to provide
 commercially important levels of plant disease control. The advantages of particular
 fungicide combinations are recognized in the art to vary, depending on such factors as the
 particular plant species and plant disease to be treated, and whether the plants are treated
 before or after infection with the fungal plant pathogen. Accordingly new advantageous
 20 combinations are needed to provide a variety of options to best satisfy particular plant
 disease control needs. Remarkably advantageous combinations have now been discovered.

SUMMARY OF THE INVENTION

This invention relates to a fungicidal composition (i.e. combination) comprising

(a) at least one compound selected from the compounds of Formula 1 (including all
 25 geometric and stereoisomers), *N*-oxides, and salts thereof,



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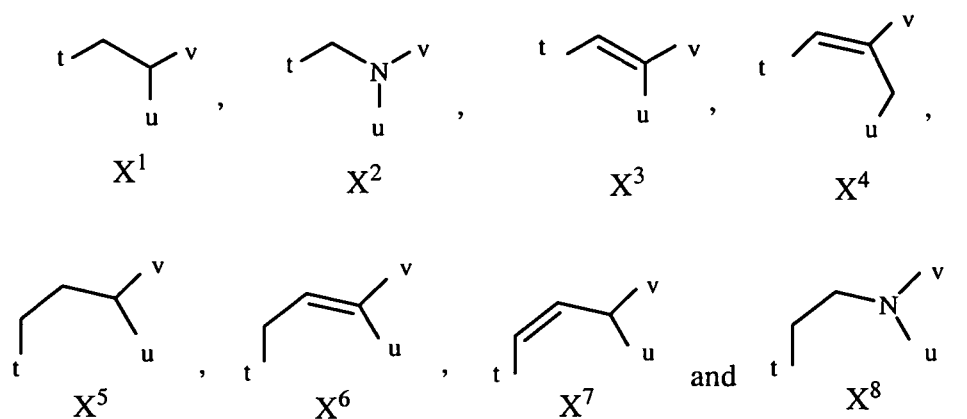
wherein

R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

A is CH_2 or NH;

30 W^1 is O or S;

X is a radical selected from



- 5 wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula 1, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula 1, and the bond which is identified with "v" is connected to G;
- each R² is independently C₁-C₄ alkyl, C₁-C₄ alkenyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, halogen, cyano or hydroxy;
- 10 n is 0, 1 or 2; or
- two R² are taken together as C₁-C₃ alkylene or C₂-C₃ alkenylene to form a bridged bicyclic ring system; or
- two R² attached to adjacent ring carbon atoms joined by a double bond are taken together as -CH=CH-CH=CH- optionally substituted with 1-3 substituents
- 15 selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, halogen, hydroxy, amino, cyano and nitro;
- G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;
- 20 W² is O or S;
- Q is -NQ^aQ^b;
- Q^a is H, C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, cyano, hydroxy, C₁-C₃ alkoxy, C₂-C₃ alkoxyalkyl, C₁-C₃ hydroxyalkyl, C₂-C₃ alkylcarbonyl, C₂-C₃ alkoxyalkyl, C₂-C₃ alkylaminocarbonyl or C₃-C₅ dialkylaminocarbonyl;
- 25
- Q^b is an optionally substituted 8- to 11-membered saturated or partially saturated bicyclic ring system or an optionally substituted 10- to 15-membered partially saturated tricyclic ring system, each ring system optionally containing 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, and optionally including 1-3 ring members selected from the group consisting of C(=O), C(=S), S(O), or S(O)₂; or
- 30

Q^b is $CR^5R^6R^{15}$; or

Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- to 7-membered saturated or partially saturated heterocyclic ring;

5 R^5 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_{10} cycloalkylalkyl, C_4-C_{10} alkylcycloalkyl, C_5-C_{10} alkylcycloalkylalkyl, C_1-C_6 haloalkyl, C_2-C_6 haloalkenyl, C_2-C_6 haloalkynyl, C_3-C_6 halocycloalkyl, cyano, nitro, C_2-C_4 alkoxyalkyl, C_1-C_4 hydroxyalkyl, C_2-C_4 alkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 alkylaminocarbonyl, C_3-C_8 dialkylaminocarbonyl or

10 C_3-C_6 trialkylsilyl;

R^6 is an optionally substituted phenyl, benzyl, naphthalenyl, C_3-C_6 cycloalkyl, C_3-C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring; and

R^{15} is H, C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_4 cycloalkyl, C_4-C_{10} cycloalkylalkyl, C_4-C_{10} alkylcycloalkyl, C_5-C_{10} alkylcycloalkylalkyl, C_1-C_4 haloalkyl, C_2-C_4 haloalkenyl, C_2-C_4 haloalkynyl, C_3-C_4 halocycloalkyl or C_2-C_4 alkoxyalkyl; or

15

Q^a and R^5 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

20

Q^a and R^6 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

25

R^5 and R^{15} are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; or

30

R^5 and R^6 are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N;

provided that when X is X^2 , X^3 , X^4 , X^6 or X^8 , then G is not linked to X via a heteroatom of the G ring; and

35

(b) at least one additional fungicidal compound.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or other plant parts, a fungicidally effective amount of the aforesaid composition.

DETAILS OF THE INVENTION

As used herein, the terms “comprises,” “comprising,” “includes,” “including,” “has,” “having,” “contains” or “containing” or any other variation thereof, are intended to cover a non-exclusive inclusion. For example, a composition, process, method, article, or apparatus that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, process, method, article, or apparatus. Further, unless expressly stated to the contrary, “or” refers to an inclusive or and not to an exclusive or. For example, a condition A or B is satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and both A and B are true (or present).

Also, the indefinite articles “a” and “an” preceding an element or component of the invention are intended to be nonrestrictive regarding the number of instances (i.e. occurrences) of the element or component. Therefore “a” or “an” should be read to include one or at least one, and the singular word form of the element or component also includes the plural unless the number is obviously meant to be singular.

As referred to in the present disclosure and claims, “plant” includes members of Kingdom Plantae, particularly seed plants (Spermatopsida), at all life stages, including young plants (e.g., germinating seeds developing into seedlings) and mature, reproductive stages (e.g., plants producing flowers and seeds). Portions of plants include geotropic members typically growing beneath of the surface of the growing medium (e.g., soil), such as roots, tubers, bulbs and corms, and also members growing above the growing medium, such as foliage (including stems and leaves), flowers, fruits and seeds.

As referred to herein, the term “seedling”, used either alone or in a combination of words means a young plant developing from the embryo of a seed or bud of a vegetative propagation unit such as tuber, corm or rhizome.

In the above recitations, the term “alkyl”, used either alone or in compound words such as “alkylthio” or “haloalkyl” includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term “1–2 alkyl” indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. “Alkenyl” includes straight-chain or branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. “Alkenyl” also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. “Alkynyl” includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. “Alkynyl” can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. “Alkylene” denotes a straight-chain or branched alkanediyl. Examples of “alkylene” include CH₂, CH₂CH₂, CH(CH₃), CH₂CH₂CH₂ and CH₂CH(CH₃). “Alkenylene” denotes a straight-chain or branched alkenediyl containing one olefinic bond. Examples of

“alkenylene” include $\text{CH}=\text{CH}$, $\text{CH}_2\text{CH}=\text{CH}$ and $\text{CH}=\text{C}(\text{CH}_3)$. “Alkoxy” includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. “Alkoxyalkyl” denotes alkoxy substitution on alkyl. Examples of “alkoxyalkyl” include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. “Alkylthio” includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. “Alkylsulfinyl” includes both enantiomers of an alkylsulfinyl group. Examples of “alkylsulfinyl” include $\text{CH}_3\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$, $(\text{CH}_3)_2\text{CHS}(\text{O})$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of “alkylsulfonyl” include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. “Alkylamino”, “dialkylamino”, “alkenylthio”, “alkenylsulfinyl”, “alkenylsulfonyl”, “alkynylthio”, “alkynylsulfinyl”, “alkynylsulfonyl”, and the like, are defined analogously to the above examples. “Trialkylsilyl” includes three branched and/or straight-chain alkyl radicals attached to and linked through a silicon atom such as trimethylsilyl, triethylsilyl and *t*-butyl-dimethylsilyl.

“Aromatic” indicates that each of the ring atoms is essentially in the same plane and has a *p*-orbital perpendicular to the ring plane, and in which $(4n + 2) \pi$ electrons, where *n* is a positive integer, are associated with the ring to comply with Hückel’s rule. The term “aromatic ring system” denotes a carbocyclic or heterocyclic ring system in which at least one ring of the ring system is aromatic. The term “aromatic carbocyclic ring system” denotes a carbocyclic ring system in which at least one ring of the ring system is aromatic. The term “aromatic heterocyclic ring system” denotes a heterocyclic ring system in which at least one ring of the ring system is aromatic. As is generally understood, the term “saturated ring” denotes a ring in which no ring member is bonded to an adjacent ring member through a double bond. Analogously, the term “saturated ring system” denotes a ring system in which no ring member is bonded to an adjacent ring member through a double bond. In regards to degree of saturation, a “partially saturated ring” (alternatively described as a “partially unsaturated ring”) is intermediate between a saturated ring and a fully unsaturated ring (which may be aromatic). Therefore the term “partially saturated ring” (which may be carbocyclic or heterocyclic unless otherwise stated) denotes a ring comprising at least one ring member bonded to an adjacent ring member through a double bond and also comprising at least one ring member bonded to an adjacent ring member through a single bond that conceptually could be replaced by a double bond to form a less saturated ring. Analogously, the term “partially saturated bicyclic ring system” denotes a bicyclic ring system (which may be carbocyclic or heterocyclic unless otherwise stated) comprising at least one ring member bonded to an adjacent ring member through a double bond and also comprising at least one ring member bonded to an adjacent ring member through a single bond that conceptually

could be replaced by a double bond to form a less saturated ring system. Examples of “partially saturated bicyclic ring system” include tetrahydronaphthalene, tetrahydroquinoline and tetrahydroisoquinoline. The term “partially saturated tricyclic ring system” denotes a tricyclic ring system (which may be carbocyclic or heterocyclic unless otherwise stated) comprising at least one ring member bonded to an adjacent ring member through a double bond and also comprising at least one ring member bonded to an adjacent ring member through a single bond that conceptually could be replaced by a double bond to form a less saturated ring system. In a partially saturated bicyclic ring system, one component ring may be aromatic, and in a partially saturated tricyclic ring system, one or two component rings may be aromatic, provided that in a nonaromatic ring component at least one ring member is bonded to an adjacent ring member through a single bond that conceptually could be replaced by a double bond to form a less saturated ring system.

As is generally understood, the term “bicyclic ring system” denotes a ring system containing two rings that share two or more common atoms. If the common atoms are adjacent (i.e. there is a bond between the bridgehead carbons), the bicyclic ring system is a “fused bicyclic ring system”. If the common atoms are not adjacent (i.e. there is no bond between the bridgehead carbons), the ring system is a “bridged bicyclic ring system”. Present Embodiment 50 depicts a variety of illustrative fused bicyclic and tricyclic ring systems as the Q^b component of Q. However, Q^b can also be a bridged bicyclic or tricyclic ring system.

The terms “carbocyclic ring”, “carbocycle” or “carbocyclic ring system” denote a ring or ring system wherein the atoms forming the ring backbone are selected only from carbon. “Cycloalkyl” includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. Unless otherwise indicated, a carbocyclic ring can be a saturated, partially saturated, or fully unsaturated ring. When a fully unsaturated carbocyclic ring satisfies Hückel’s rule, then said ring is also called an “aromatic ring”. A carbocyclic ring that does not satisfy Hückel’s rule is described as a “nonaromatic carbocyclic ring”.

The terms “heterocyclic ring”, “heterocycle” or “heterocyclic ring system” denote a ring or ring system in which at least one atom forming the ring backbone is not carbon, e.g., nitrogen, oxygen or sulfur. Typically a heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. Unless otherwise indicated, a heterocyclic ring can be a saturated, partially saturated, or fully unsaturated ring. When a fully unsaturated heterocyclic ring satisfies Hückel’s rule, then said ring is also called a “heteroaromatic ring” or “aromatic heterocyclic ring”. A heterocyclic ring that does not satisfy Hückel’s rule is described as a “nonaromatic heterocyclic ring”. The term “saturated heterocyclic ring” denotes a heterocyclic ring in which no ring member is bonded to an adjacent ring member through a double bond. The term “partially saturated heterocyclic ring” denotes a heterocyclic ring comprising at least one ring member bonded to an adjacent

ring member through a double bond and also comprising at least one ring member bonded to an adjacent ring member through a single bond that conceptually could be replaced by a double bond to form a less saturated heterocyclic ring. Unless otherwise indicated, heterocyclic rings and ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. In the above recitations, when a compound of Formula 1 is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "halocycloalkyl", "haloalkoxy", "haloalkylthio", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O . Examples of "haloalkylthio" include CCl_3S , CF_3S , CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$,

$\text{CCl}_3\text{S}(\text{O})$, $\text{CF}_3\text{CH}_2\text{S}(\text{O})$ and $\text{CF}_3\text{CF}_2\text{S}(\text{O})$. Examples of "haloalkylsulfonyl" include $\text{CF}_3\text{S}(\text{O})_2$, $\text{CCl}_3\text{S}(\text{O})_2$, $\text{CF}_3\text{CH}_2\text{S}(\text{O})_2$ and $\text{CF}_3\text{CF}_2\text{S}(\text{O})_2$.

The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where i and j are numbers from 1 to 10. For example, $\text{C}_1\text{-C}_4$ alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2 ; C_3 alkoxyalkyl designates, for example, $\text{CH}_3\text{CH}(\text{OCH}_3)$, $\text{CH}_3\text{OCH}_2\text{CH}_2$ or $\text{CH}_3\text{CH}_2\text{OCH}_2$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, for example, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. Examples of "alkylcarbonyl" include $\text{C}(\text{O})\text{CH}_3$, $\text{C}(\text{O})\text{CH}_2\text{CH}_2\text{CH}_3$ and $\text{C}(\text{O})\text{CH}(\text{CH}_3)_2$. Examples of "alkoxycarbonyl" include $\text{CH}_3\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(=\text{O})$, $(\text{CH}_3)_2\text{CHOC}(=\text{O})$ and the different butoxy- or pentoxycarbonyl isomers. Examples of "alkylaminocarbonyl" include $\text{CH}_3\text{NHC}(=\text{O})-$, $\text{CH}_3\text{CH}_2\text{NHC}(=\text{O})-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{NHC}(=\text{O})-$, $(\text{CH}_3)_2\text{CHNHC}(=\text{O})-$ and the different butylamino- or pentylaminocarbonyl isomers. Examples of "dialkylaminocarbonyl" include $(\text{CH}_3)_2\text{NC}(=\text{O})-$, $(\text{CH}_3\text{CH}_2)_2\text{NC}(=\text{O})-$, $\text{CH}_3\text{CH}_2(\text{CH}_3)\text{NC}(=\text{O})-$, $(\text{CH}_3)_2\text{CHN}(\text{CH}_3)\text{C}(=\text{O})-$ and $\text{CH}_3\text{CH}_2\text{CH}_2(\text{CH}_3)\text{NC}(=\text{O})-$.

The dotted line in Formula 1 represents that the bond indicated can be a single bond or double bond.

When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can vary, when the number of said substituents is greater than 1, said substituents are independently selected from the group of defined substituents. Further, when the subscript indicates a range, e.g. $(\text{R})_{i-j}$, then the number of substituents may be selected from the integers between i and j inclusive. Also, one skilled in the art recognizes that the number of available points of attachment places a limit on the number of substituents possible that may be lower than the broad definition; for example, the subscript "k" in U-16, U-17, U-18, U-19, U-32, U-33 and U-35 shown in Embodiment 14 cannot be greater than 1.

When a group contains a substituent which can be hydrogen, for example Q^a , R^5 or R^{15} , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted. When a variable group is shown to be optionally attached to a position, for example $(\text{R}^2)_n$ wherein n may be 0, then hydrogen may be at the position even if not recited in the variable group definition. When a position on a group is said to be "not substituted" or "unsubstituted", then hydrogen atoms are attached to take up any free valency. The term "optionally substituted" in connection with groups listed for R^1 , R^2 , R^5 , R^6 , R^{15} , R^{16} , R^{16a} , G , Q^a and Q^b refers to groups that are unsubstituted or have at least 1 non-hydrogen substituent. These groups may be substituted with as many optional substituents as can be accommodated by replacing a hydrogen atom with a non-hydrogen

substituent on any available carbon or nitrogen atom. Commonly, the number of optional substituents (when present) ranges from 1 to 3.

As noted above, R¹ is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring; G is an optionally substituted 5-membered heteroaromatic ring or 5-
5 membered saturated or partially saturated heterocyclic ring; Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- to 7-membered saturated or partially saturated heterocyclic ring; and R⁶ is an optionally substituted phenyl, benzyl, naphthalenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkenyl or 5- or 6-membered heteroaromatic ring; and Q-2 through Q-85 are optionally substituted. The term
10 "substituted" in connection with these R¹, G, R⁶, Q^a and Q^b groups refers to groups that have at least one non-hydrogen substituent that does not extinguish the fungicidal activity. Since these groups are optionally substituted, they need not have any non-hydrogen substituents.

Naming of substituents in the present disclosure uses recognized terminology
15 providing conciseness in precisely conveying to those skilled in the art the chemical structure. For example, as is used in nomenclature, the prefix "per" indicates "completely", and "perhydro" means that the referenced heteroaromatic ring or ring system (e.g., quinoline, isoquinoline) has been completely hydrogenated, so that it is fully saturated. Also, ending a heterocyclic substituent name with the letter "o" (e.g., "piperidino",
20 "pyrrolidino", "isoquinolino", "isoindolo") means that the heterocyclic substituent is bonded to the remainder of the molecule through the nitrogen atom of the heterocycle. For sake of conciseness, locant descriptors may be omitted; "pyrazol-1-yl" means "1*H*-pyrazol-1-yl" according to the Chemical Abstracts system of nomenclature. The term "pyridyl" is synonymous with "pyridinyl". The order of listing substituents may be different from the
25 Chemical Abstracts system if the difference does not affect the meaning.

A. Examples of compounds of Formula 1 include compounds wherein

R¹ is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1
to 2 substituents independently selected from R⁴;

each R⁴ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆
30 cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄
35 alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

G is a 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring, each ring optionally substituted with up to 2 substituents selected from R³ on carbon ring members and selected from R¹¹ on nitrogen ring members;

5 each R³ is independently C₁-C₃ alkyl, C₁-C₃ haloalkyl or halogen;
R¹¹ is C₁-C₃ alkyl; and

Q is a radical selected from Q-1 through Q-85 as described in connection with Embodiment 50 described hereinafter.

B. Of note are compounds of Paragraph A above wherein R¹ is one of U-1 through U-10 50 as described in connection with Embodiment 14 described hereinafter; G is one of G-1 through G-55 as described in connection with Embodiment 36 described hereinafter; each R^{3a} is independently H, C₁-C₃ alkyl, C₁-C₃ haloalkyl or halogen (more particularly H, C₁-C₃ alkyl or halogen, and most particularly H or C₁-C₃ alkyl); R^{11a} is H or C₁-C₃ alkyl; R⁶ is one of H-1 through H-46 as described in connection with Embodiment 65 described 15 hereinafter; and R¹² is H or C₁-C₃ alkyl. Of particular note among these compounds are compounds wherein each R⁴ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano or C₂-C₄ alkoxyalkyl; and each R⁷ is 20 independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; each R⁸ is independently H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₃-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy or C₂-C₄ alkylcarbonyloxy; each R⁹ is independently C₁-C₃ alkyl, cyclopropyl, C₁-C₃ haloalkyl, halocyclopropyl, halogen, hydroxy, C₂-C₃ alkylcarbonyloxy, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; R¹⁰ is H or methyl; each R¹⁶ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, 30 halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³; R^{16a} is H, C₁-C₃ alkyl, allyl, propargyl, cyclopropyl or C₁-C₃ haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³; and each R¹³ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, 35 C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.

C. Examples of the compounds of the Paragraph B above include compounds wherein X is one of X¹, X² and X³; and each R² is independently C₁-C₂ alkyl, C₁-C₂ haloalkyl, C₁-C₂ alkoxy, halogen, cyano or hydroxy; Q^a is H or CH₃; and R¹⁵ is H or CH₃.

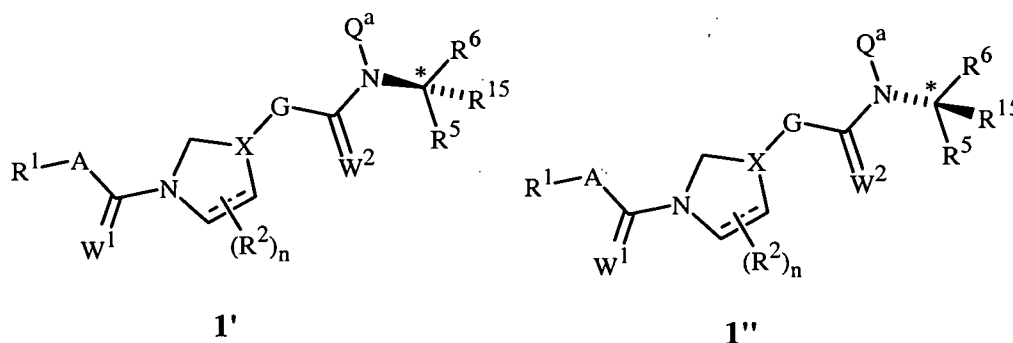
D. Examples of the compounds of the Paragraph C above include compounds wherein
5 R¹ is one of U-1 through U-3, U-11, U-13, U-20, U-22, U-23, U-36, U-37 through U-39 and U-50; and each R⁴ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy. Also included are compounds wherein G is G-1, G-2, G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26, G-27, G-28, G-30, G-36, G-37, G-38 or G-49 through G-55; R^{3a} is H, CH₃, Cl or Br; and R¹¹ is H or CH₃. Of note are compounds
10 wherein G is G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49 or G-50 (including e.g., where G is unsubstituted).

E. Further examples of the compounds of Paragraph C include compounds wherein Q is Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 or Q-84; R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-
15 C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano or C₂-C₄ alkoxyalkyl; R⁶ is H-1, H-20, H-32, H-45 or H-46; each R⁷ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; each R⁸ is independently C₁-C₂ alkyl, C₁-C₂ haloalkyl, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₂-C₄ alkylcarbonyloxy or hydroxy; and each R⁹ is independently halogen, hydroxy, OCH₃ or
20 CH₃. Included are compounds wherein Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 or Q-62; Q^a is methyl; R⁵ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkenyl, C₂-C₄ haloalkenyl or cyano; R⁶ is H-1 or H-45; R¹² is H or CH₃; each R⁷ is independently F, Cl, Br, OCH₃ or methyl; R¹⁵ is H; R⁸ is CH₃, OCH₃ or OH; and R¹⁰ is H or CH₃.

F. Additional examples of the compounds of Paragraph C include compounds wherein
25 W¹ and W² are independently O; Q^a is CH₃; m, j, n and p are all independently 0 or 1; R^{3a} is H; each R⁷ is independently F, Cl, Br, OCH₃ or methyl; each R⁸ is independently C₁-C₂ alkyl, C₁-C₂ alkoxy or hydroxy; and each R⁹ is independently F, Cl, Br, hydroxy, OCH₃ or CH₃. Included are compounds wherein R¹ is U-1 or U-50; each R⁴ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl or C₁-C₂ alkoxy; G is G-1, G-2, G-15, G-26, G-27, G-36, G-
30 37 or G-38; Q is Q-1, Q-2, Q-8, Q-23 or Q-41; R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl or cyano; R⁶ is H-45; and each R⁴ is independently connected to the 3- or 5-position of U-1, each R⁴ is independently connected to the 3- and 5-position of U-1, each R⁴ is independently connected to the 2- or 3-position of U-50, or each R⁴ is independently connected to the 2- and 5-position of U-50 (e.g., compounds where X is X¹ and G is G-1; X is X¹ and G is G-2; X is
35 X¹ and G is G-15; X is X¹ and G is G-26; X is X¹ and G is G-36; X is X² and G is G-1; or X is X² and G is G-2). In the foregoing, "each R⁴ is independently connected to the 3- or 5-position of U-1" means k is 1 and R⁴ is connected to the 3- or 5-position of U-1, "each R⁴ is independently connected to the 3- and 5-position of U-1" means k is 2 and an independently

selected R^4 is connected to each of the 3- and 5-positions of U-1, "each R^4 is independently connected to the 2- or 3-position of U-50" means k is 1 and R^4 is connected to the 3- or 5-position of U-50, and "each R^4 is independently connected to the 2- and 5-position of U-50" means k is 2 and an independently selected R^4 is connected to each of the 2- and 5-positions of U-50.

Compounds of Formula 1 suitable for use in accordance with this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. The compounds may be present as a mixture of stereoisomers, individual stereoisomers, or as optically active forms. For example, when Q is $Q-1$, and R^5 , R^6 and R^{15} of $Q-1$ in Formula 1 are different, then Formula 1 possesses a chiral center at the carbon atom to which they are commonly bonded. This invention comprises racemic mixtures. In addition, this invention includes compounds of Formula 1 that are enriched compared to the racemic mixture in an enantiomer of Formula 1.



Included are the essentially pure enantiomers of compounds of Formula 1, for example, Formula 1' and Formula 1'' wherein Q is $Q-1$.

When enantiomerically enriched, one enantiomer is present in greater amounts than the other, and the extent of enrichment can be defined by an expression of enantiomeric excess ("ee"), which is defined as $(2x-1) \cdot 100\%$, where x is the mole fraction of the dominant enantiomer in the mixture (e.g., an ee of 20% corresponds to a 60:40 ratio of enantiomers).

For the compounds of Formula 1 where Q is $Q-1$ through $Q-74$, the more fungicidally active enantiomer is believed to be that wherein R^{15} is a hydrogen, the hydrogen atom attached to the carbon atom identified with an asterisk (*) is below the plane defined by the 3 non-hydrogen atoms attached to the carbon atom identified with the asterisk (*) as in Formula 1' (with the aromatic ring of $Q-2$ through $Q-74$ positioned with respect to the carbon atom identified with an asterisk (*) in a manner analogous to R^6 in $Q-1$ in Formula

1'). For example when R⁵ is CH₃, R⁶ is phenyl and R¹⁵ is H, Formula 1' has the *R* configuration at the carbon atom to which R⁵, R⁶ and R¹⁵ are commonly bonded.

Preferably the compositions of this invention of Formula 1 have at least a 50 % enantiomeric excess; more preferably at least a 75 % enantiomeric excess; still more preferably at least a 90 % enantiomeric excess; and the most preferably at least a 94 % enantiomeric excess of the more active isomer. Of particular note are enantiomerically pure embodiments of the more active isomer.

Compounds of Formula 1 can comprise additional chiral centers. For example, the substituents R⁴, R⁵, R⁷, R⁸, R⁹, R¹³, R¹⁵, R¹⁶, R^{16a}, Q^a, Q^b and X¹ through X⁸ may themselves contain chiral centers. This invention comprises racemic mixtures as well as enriched and essentially pure stereoconfigurations at these additional chiral centers.

Compounds of Formula 1 suitable for use in accordance with this invention can exist as one or more conformational isomers due to the amide bonds in the compounds of Formula 1 as known by one skilled in the art. This invention comprises mixtures of conformational isomers. In addition, this invention includes compounds of Formula 1 that are enriched compared to the mixture of a conformer of Formula 1.

One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding nonsalt forms, salts share the biological utility of the nonsalt forms. When the compounds forming the present mixtures and compositions contain acidic or basic moieties, a wide variety of salts can be formed, and these salts are useful in the present mixtures and compositions for controlling plant diseases caused by fungal plant pathogens (i.e. are agriculturally suitable). When a compound contains a basic moiety such as an amine function, salts include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. When a compound contains an acidic moiety such as a carboxylic acid or phenol, salts include those formed with organic or inorganic bases such as pyridine, triethylamine or ammonia, or amides, hydrides, hydroxides or carbonates of sodium, potassium, lithium, calcium, magnesium or barium. One skilled in the art recognizes that because in the environment and under physiological conditions salts of the compounds of the invention are in equilibrium with their corresponding nonsalt forms, agriculturally suitable salts share the biological utility of the nonsalt forms. Further details of component (a) compound and their effectiveness as fungicide can be found in International PCT Publication WO 2007/014290.

Component (b) is selected from the group consisting of

- (b1) methyl benzimidazole carbamate (MBC) fungicides;
- (b2) dicarboximide fungicides;
- (b3) demethylation inhibitor (DMI) fungicides;

- (b4) phenylamide fungicides;
- (b5) amine/morpholine fungicides;
- (b6) phospholipid biosynthesis inhibitor fungicides;
- (b7) carboxamide fungicides;
- 5 (b8) hydroxy(2-amino-)pyrimidine fungicides;
- (b9) anilinopyrimidine fungicides;
- (b10) *N*-phenyl carbamate fungicides;
- (b11) quinone outside inhibitor (QoI) fungicides;
- (b12) phenylpyrrole fungicides;
- 10 (b13) quinoline fungicides;
- (b14) lipid peroxidation inhibitor fungicides;
- (b15) melanin biosynthesis inhibitors-reductase (MBI-R) fungicides;
- (b16) melanin biosynthesis inhibitors-dehydratase (MBI-D) fungicides;
- (b17) hydroxyanilide fungicides;
- 15 (b18) squalene-epoxidase inhibitor fungicides;
- (b19) polyoxin fungicides;
- (b20) phenylurea fungicides;
- (b21) quinone inside inhibitor (QiI) fungicides;
- (b22) benzamide fungicides;
- 20 (b23) enopyranuronic acid antibiotic fungicides;
- (b24) hexopyranosyl antibiotic fungicides;
- (b25) glucopyranosyl antibiotic: protein synthesis fungicides;
- (b26) glucopyranosyl antibiotic: trehalase and inositol biosynthesis fungicides;
- (b27) cyanoacetamideoxime fungicides;
- 25 (b28) carbamate fungicides;
- (b29) oxidative phosphorylation uncoupling fungicides;
- (b30) organo tin fungicides;
- (b31) carboxylic acid fungicides;
- (b32) heteroaromatic fungicides;
- 30 (b33) phosphonate fungicides;
- (b34) phthalamic acid fungicides;
- (b35) benzotriazine fungicides;
- (b36) benzene-sulfonamide fungicides;
- (b37) pyridazinone fungicides;
- 35 (b38) thiophene-carboxamide fungicides;
- (b39) pyrimidinamide fungicides;
- (b40) carboxylic acid amide (CAA) fungicides;
- (b41) tetracycline antibiotic fungicides;

- (b42) thiocarbamate fungicides;
- (b43) benzamide fungicides;
- (b44) host plant defense induction fungicides;
- (b45) multi-site contact activity fungicides;

5 (b46) fungicides other than fungicides of component (a) and components (b1) through (b45); and
salts of compounds of (b1) through (b46).

10 “Methyl benzimidazole carbamate (MBC) fungicides (b1)” (Fungicide Resistance Action Committee (FRAC) code 1) inhibit mitosis by binding to β -tubulin during microtubule assembly. Inhibition of microtubule assembly can disrupt cell division, transport within the cell and cell structure. Methyl benzimidazole carbamate fungicides include benzimidazole and thiophanate fungicides. The benzimidazoles include benomyl, carbendazim, fuberidazole and thiabendazole. The thiophanates include thiophanate and thiophanate-methyl.

15 “Dicarboximide fungicides (b2)” (Fungicide Resistance Action Committee (FRAC) code 2) are proposed to inhibit a lipid peroxidation in fungi through interference with NADH cytochrome c reductase. Examples include chlozolinate, iprodione, procymidone and vinclozolin.

20 “Demethylation inhibitor (DMI) fungicides (b3)” (Fungicide Resistance Action Committee (FRAC) code 3) inhibit C14-demethylase which plays a role in sterol production. Sterols, such as ergosterol, are needed for membrane structure and function, making them essential for the development of functional cell walls. Therefore, exposure to these fungicides result in abnormal growth and eventually death of sensitive fungi. DMI fungicides are divided between several chemical classes: azoles (including triazoles and
25 imidazoles), pyrimidines, piperazines and pyridines. The triazoles include azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole (including diniconazole-M), epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imibenconazole, ipconazole, metconazole, myclobutanil, penconazole, propiconazole, prothioconazole, simeconazole, tebuconazole, tetraconazole, triadimefon,
30 triadimenol, triticonazole and uniconazole. The imidazoles include clotrimazole, imazalil, oxpoconazole, prochloraz, pefurazoate and triflumizole. The pyrimidines include fenarimol and nuarimol. The piperazines include triforine. The pyridines include pyrifenoxy. Biochemical investigations have shown that all of the above mentioned fungicides are DMI fungicides as described by K. H. Kuck et al. in *Modern Selective Fungicides - Properties, Applications and Mechanisms of Action*, H. Lyr (Ed.), Gustav Fischer Verlag: New York,
35 1995, 205–258.

“Phenylamide fungicides (b4)” (Fungicide Resistance Action Committee (FRAC) code 4) are specific inhibitors of RNA polymerase in Oomycete fungi. Sensitive fungi

exposed to these fungicides show a reduced capacity to incorporate uridine into rRNA. Growth and development in sensitive fungi is prevented by exposure to this class of fungicide. Phenylamide fungicides include acylalanine, oxazolidinone and butyrolactone fungicides. The acylalanines include benalaxyl, benalaxyl-M, furalaxyl, metalaxyl and metalaxyl-M/mefenoxam. The oxazolidinones include oxadixyl. The butyrolactones include ofurace.

“Amine/morpholine fungicides (b5)” (Fungicide Resistance Action Committee (FRAC) code 5) inhibit two target sites within the sterol biosynthetic pathway, $\Delta^8 \rightarrow \Delta^7$ isomerase and Δ^{14} reductase. Sterols, such as ergosterol, are needed for membrane structure and function, making them essential for the development of functional cell walls. Therefore, exposure to these fungicides results in abnormal growth and eventually death of sensitive fungi. Amine/morpholine fungicides (also known as non-DMI sterol biosynthesis inhibitors) include morpholine, piperidine and spiroketal-amine fungicides. The morpholines include aldimorph, dodemorph, fenpropimorph, tridemorph and trimorphamide. The piperidines include fenpropidin and piperalin. The spiroketal-amines include spiroxamine.

“Phospholipid biosynthesis inhibitor fungicides (b6)” (Fungicide Resistance Action Committee (FRAC) code 6) inhibit growth of fungi by affecting phospholipid biosynthesis. Phospholipid biosynthesis fungicides include phosphorothiolate and dithiolane fungicides. The phosphorothiolates include edifenphos, iprobenfos and pyrazophos. The dithiolanes include isoprothiolane.

“Carboxamide fungicides (b7)” (Fungicide Resistance Action Committee (FRAC) code 7) inhibit Complex II (succinate dehydrogenase) fungal respiration by disrupting a key enzyme in the Krebs Cycle (TCA cycle) named succinate dehydrogenase. Inhibiting respiration prevents the fungus from making ATP, and thus inhibits growth and reproduction. Carboxamide fungicides include benzamide, furan carboxamide, oxathiin carboxamide, thiazole carboxamide, pyrazole carboxamide and pyridine carboxamide. The Benzamides include benodanil, flutolanil and mepronil. The furan carboxamides include fenfuram. The oxathiin carboxamide include carboxin and oxycarboxin. The thiazole carboxamides include thifluzamide. The pyrazole carboxamides include furametpyr, penthiopyrad, bixafen, *N*-[2-(1*S*,2*R*)-[1,1'-bicyclopropyl]-2-ylphenyl]-3-(difluoromethyl)-1-methyl-1*H*-pyrazole-4-carboxamide and *N*-[2-(1,3-dimethylbutyl)phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide. The pyridine carboxamide include boscalid.

“Hydroxy(2-amino-)pyrimidine fungicides (b8)” (Fungicide Resistance Action Committee (FRAC) code 8) inhibit nucleic acid synthesis by interfering with adenosine deaminase. Examples include bupirimate, dimethirimol and ethirimol.

“Anilinopyrimidine fungicides (b9)” (Fungicide Resistance Action Committee (FRAC) code 9) are proposed to inhibit biosynthesis of the amino acid methionine and to

disrupt the secretion of hydrolytic enzymes that lyse plant cells during infection. Examples include cyprodinil, mepanipyrin and pyrimethanil.

“*N*-Phenyl carbamate fungicides (b10)” (Fungicide Resistance Action Committee (FRAC) code 10) inhibit mitosis by binding to β -tubulin and disrupting microtubule assembly. Inhibition of microtubule assembly can disrupt cell division, transport within the cell and cell structure. Examples include diethofencarb.

“Quinone outside inhibitor (QoI) fungicides (b11)” (Fungicide Resistance Action Committee (FRAC) code 11) inhibit Complex III mitochondrial respiration in fungi by affecting ubiquinol oxidase. Oxidation of ubiquinol is blocked at the “quinone outside” (Q_o) site of the cytochrome bc_1 complex, which is located in the inner mitochondrial membrane of fungi. Inhibiting mitochondrial respiration prevents normal fungal growth and development. Quinone outside inhibitor fungicides (also known as strobilurin fungicides) include methoxyacrylate, methoxycarbamate, oximinoacetate, oximinoacetamide, oxazolidinedione, dihydrodioxazine, imidazolinone and benzylcarbamate fungicides. The methoxyacrylates include azoxystrobin, enestroburin (SYP-Z071) and picoxystrobin. The methoxycarbamates include pyraclostrobin. The oximinoacetates include kresoxim-methyl and trifloxystrobin. The oximinoacetamides include dimoxystrobin, metominostrobin, orysastrobin, α -[methoxyimino]-*N*-methyl-2-[[[1-[3-(trifluoromethyl)phenyl]ethoxy]imino]methyl]benzeneacetamide and 2-[[[3-(2,6-dichlorophenyl)-1-methyl-2-propen-1-ylidene]amino]oxy]methyl]- α -(methoxyimino)-*N*-methylbenzeneacetamide. The oxazolidinediones include famoxadone. The dihydrodioxazines include fluoxastrobin. The imidazolinones include fenamidone. The benzylcarbamates include pyribencarb.

“Phenylpyrrole fungicides (b12)” (Fungicide Resistance Action Committee (FRAC) code 12) inhibit a MAP protein kinase associated with osmotic signal transduction in fungi. Fenpiclonil and fludioxonil are examples of this fungicide class.

“Quinoline fungicides (b13)” (Fungicide Resistance Action Committee (FRAC) code 13) are proposed to inhibit signal transduction by affecting G-proteins in early cell signaling. They have been shown to interfere with germination and/or appressorium formation in fungi that cause powder mildew diseases. Quinoxifen is an example of this class of fungicide.

“Lipid peroxidation inhibitor fungicides (b14)” (Fungicide Resistance Action Committee (FRAC) code 14) are proposed to inhibit lipid peroxidation which affects membrane synthesis in fungi. Members of this class, such as etridiazole, may also affect other biological processes such as respiration and melanin biosynthesis. Lipid peroxidation fungicides include aromatic carbon and 1,2,4-thiadiazole fungicides. The aromatic carbons include biphenyl, chloroneb, dicloran, quintozene, tecnazene and tolclofos-methyl. The 1,2,4-thiadiazoles include etridiazole.

“Melanin biosynthesis inhibitors-reductase (MBI-R) fungicides (b15)” (Fungicide Resistance Action Committee (FRAC) code 16.1) inhibit the naphthal reduction step in

melanin biosynthesis. Melanin is required for host plant infection by some fungi. Melanin biosynthesis inhibitors-reductase fungicides include isobenzofuranone, pyrroloquinolinone and triazolobenzothiazole fungicides. The isobenzofuranones include fthalide. The pyrroloquinolinones include pyroquilon. The triazolobenzothiazoles include tricyclazole.

5 “Melanin biosynthesis inhibitors-dehydratase (MBI-D) fungicides (b16)” (Fungicide Resistance Action Committee (FRAC) code 16.2) inhibit scytalone dehydratase in melanin biosynthesis. Melanin is required for host plant infection by some fungi. Melanin biosynthesis inhibitors-dehydratase fungicides include cyclopropanecarboxamide, carboxamide and propionamide fungicides. The cyclopropanecarboxamides include
10 carpropamid. The carboxamides include diclocymet. The propionamides include fenoxanil.

 “Hydroxyanilide fungicides (b17)” (Fungicide Resistance Action Committee (FRAC) code 17) inhibit C4-demethylase which plays a role in sterol production. Examples include fenhexamid.

 “Squalene-epoxidase inhibitor fungicides (b18)” (Fungicide Resistance Action
15 Committee (FRAC) code 18) inhibit squalene-epoxidase in ergosterol biosynthesis pathway. Sterols such as ergosterol are needed for membrane structure and function making them essential for the development of functional cell walls. Therefore exposure to these fungicides result in abnormal growth and eventually death of sensitive fungi. Squalene-epoxidase inhibitor fungicides include thiocarbamate and allylamine fungicides. The thiocarbamates
20 include pyributicarb. The allylamines include naftifine and terbinafine.

 “Polyoxin fungicides (b19)” (Fungicide Resistance Action Committee (FRAC) code 19) inhibit chitin synthase. Examples include polyoxin.

 “Phenylurea fungicides (b20)” (Fungicide Resistance Action Committee (FRAC) code 20) are proposed to affect cell division. Examples include pencycuron.

25 “Quinone inside inhibitor (QiI) fungicides (b21)” (Fungicide Resistance Action Committee (FRAC) code 21) inhibit Complex III mitochondrial respiration in fungi by affecting ubiquinol reductase. Reduction of ubiquinol is blocked at the “quinone inside” (Q_i) site of the cytochrome *bc*₁ complex, which is located in the inner mitochondrial membrane of fungi. Inhibiting mitochondrial respiration prevents normal fungal growth and
30 development. Quinone inside inhibitor fungicides include cyanoimidazole and sulfamoyltriazole fungicides. The cyanoimidazoles include cyazofamid. The sulfamoyltriazoles include amisulbrom.

 “Benzamide fungicides (b22)” (Fungicide Resistance Action Committee (FRAC) code 22) inhibit mitosis by binding to β -tubulin and disrupting microtubule assembly.
35 Inhibition of microtubule assembly can disrupt cell division, transport within the cell and cell structure. Examples include zoxamide.

“Enopyranuronic acid antibiotic fungicides (b23)” (Fungicide Resistance Action Committee (FRAC) code 23) inhibit growth of fungi by affecting protein biosynthesis. Examples include blasticidin-S.

5 “Hexopyranosyl antibiotic fungicides (b24)” (Fungicide Resistance Action Committee (FRAC) code 24) inhibit growth of fungi by affecting protein biosynthesis. Examples include kasugamycin.

“Glucopyranosyl antibiotic: protein synthesis fungicides (b25)” (Fungicide Resistance Action Committee (FRAC) code 25) inhibit growth of fungi by affecting protein biosynthesis. Examples include streptomycin.

10 “Glucopyranosyl antibiotic: trehalase and inositol biosynthesis fungicides (b26)” (Fungicide Resistance Action Committee (FRAC) code 26) inhibit trehalase in inositol biosynthesis pathway. Examples include validamycin.

“Cyanoacetamideoxime fungicides (b27) (Fungicide Resistance Action Committee (FRAC) code 27) include cymoxanil.

15 “Carbamate fungicides (b28)” (Fungicide Resistance Action Committee (FRAC) code 28) are considered multi-site inhibitors of fungal growth. They are proposed to interfere with the synthesis of fatty acids in cell membranes, which then disrupts cell membrane permeability. Propamacarb, propamacarb-hydrochloride, iodocarb, and prothiocarb are examples of this fungicide class.

20 “Oxidative phosphorylation uncoupling fungicides (b29)” (Fungicide Resistance Action Committee (FRAC) code 29) inhibit fungal respiration by uncoupling oxidative phosphorylation. Inhibiting respiration prevents normal fungal growth and development. This class includes 2,6-dinitroanilines such as fluazinam, pyrimidonehydrazones such as ferimzone and dinitrophenyl crotonates such as dinocap, meptyldinocap and binapacryl.

25 “Organo tin fungicides (b30)” (Fungicide Resistance Action Committee (FRAC) code 30) inhibit adenosine triphosphate (ATP) synthase in oxidative phosphorylation pathway. Examples include fentin acetate, fentin chloride and fentin hydroxide.

30 “Carboxylic acid fungicides (b31)” (Fungicide Resistance Action Committee (FRAC) code 31) inhibit growth of fungi by affecting deoxyribonucleic acid (DNA) topoisomerase type II (gyrase). Examples include oxolinic acid.

“Heteroaromatic fungicides (b32)” (Fungicide Resistance Action Committee (FRAC) code 32) are proposed to affect DNA/ribonucleic acid (RNA) synthesis. Heteroaromatic fungicides include isoxazole and isothiazolone fungicides. The isoxazoles include hymexazole and the isothiazolones include oclthilnone.

35 “Phosphonate fungicides (b33)” (Fungicide Resistance Action Committee (FRAC) code 33) include phosphorous acid and its various salts, including fosetyl-aluminum.

“Phthalamic acid fungicides (b34)” (Fungicide Resistance Action Committee (FRAC) code 34) include teclofthalam.

“Benzotriazine fungicides (b35)” (Fungicide Resistance Action Committee (FRAC) code 35) include triazoxide.

“Benzene-sulfonamide fungicides (b36)” (Fungicide Resistance Action Committee (FRAC) code 36) include flusulfamide.

5 “Pyridazinone fungicides (b37)” (Fungicide Resistance Action Committee (FRAC) code 37) include diclomezine.

“Thiophene-carboxamide fungicides (b38)” (Fungicide Resistance Action Committee (FRAC) code 38) are proposed to affect ATP production. Examples include silthiofam.

10 “Pyrimidinamide fungicides (b39)” (Fungicide Resistance Action Committee (FRAC) code 39) inhibit growth of fungi by affecting phospholipid biosynthesis and include diflumetorim.

“Carboxylic acid amide (CAA) fungicides (b40)” (Fungicide Resistance Action Committee (FRAC) code 40) are proposed to inhibit phospholipid biosynthesis and cell wall deposition. Inhibition of these processes prevents growth and leads to death of the target
15 fungus. Carboxylic acid amide fungicides include cinnamic acid amide, valinamide carbamate and mandelic acid amide fungicides. The cinnamic acid amides include dimethomorph and flumorph. The valinamide carbamates include bentiavalicarb, bentiavalicarb-isopropyl, iprovalicarb and valiphenal. The mandelic acid amides include
20 mandipropamid, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]-ethyl]-3-methyl-2-[(methylsulfonyl)amino]butanamide and *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(ethylsulfonyl)amino]butanamide.

“Tetracycline antibiotic fungicides (b41)” (Fungicide Resistance Action Committee (FRAC) code 41) inhibit growth of fungi by affecting complex 1 nicotinamide adenine dinucleotide (NADH) oxidoreductase. Examples include oxytetracycline.

25 “Thiocarbamate fungicides (b42)” (Fungicide Resistance Action Committee (FRAC) code 42) include methasulfocarb.

“Benzamide fungicides (b43)” (Fungicide Resistance Action Committee (FRAC) code 43) inhibit growth of fungi by delocalization of spectrin-like proteins. Examples include acylpicolide fungicides such as fluopicolide and fluopyram.

30 “Host plant defense induction fungicides (b44)” (Fungicide Resistance Action Committee (FRAC) code P) induce host plant defense mechanisms. Host plant defense induction fungicides include benzo-thiadiazole, benzisothiazole and thiadiazole-carboxamide fungicides. The benzo-thiadiazoles include acibenzolar-S-methyl. The benzisothiazoles include probenazole. The thiadiazole-carboxamides include tiadinil and isotianil.

35 “Multi-site contact fungicides (b45)” inhibit fungal growth through multiple sites of action and have contact/preventive activity. This class of fungicides includes: “copper fungicides (b45.1) (Fungicide Resistance Action Committee (FRAC) code M1)”, “sulfur fungicides (b45.2) (Fungicide Resistance Action Committee (FRAC) code M2)”,

“dithiocarbamate fungicides (b45.3) (Fungicide Resistance Action Committee (FRAC) code M3)”, “phthalimide fungicides (b45.4) (Fungicide Resistance Action Committee (FRAC) code M4)”, “chloronitrile fungicides (b45.5) (Fungicide Resistance Action Committee (FRAC) code M5)”, “sulfamide fungicides (b45.6) (Fungicide Resistance Action Committee (FRAC) code M6)”, “guanidine fungicides (b45.7) (Fungicide Resistance Action Committee (FRAC) code M7)” “triazines fungicides (b45.8) (Fungicide Resistance Action Committee (FRAC) code M8)” and “quinone fungicides (b45.9) (Fungicide Resistance Action Committee (FRAC) code M9)”. “Copper fungicides” are inorganic compounds containing copper, typically in the copper(II) oxidation state; examples include copper oxychloride, copper sulfate and copper hydroxide, including compositions such as Bordeaux mixture (tribasic copper sulfate). “Sulfur fungicides” are inorganic chemicals containing rings or chains of sulfur atoms; examples include elemental sulfur. “Dithiocarbamate fungicides” contain a dithiocarbamate molecular moiety; examples include mancozeb, metiram, propineb, ferbam, maneb, thiram, zineb and ziram. “Phthalimide fungicides” contain a phthalimide molecular moiety; examples include folpet, captan and captafol. “Chloronitrile fungicides” contain an aromatic ring substituted with chloro and cyano; examples include chlorothalonil. “Sulfamide fungicides” include dichlofluanid and tolyfluanid. “Guanidine fungicides” include dodine, guazatine, iminoctadine albesilate and iminoctadine triacetate. “Triazines fungicides” include anilazine. “Quinone fungicides” include dithianon.

“Fungicides other than fungicides of component (a) and components (b1) through (b45); (b46)” include certain fungicides considered to have an unknown mode of action. These include: “thiazole carboxamide fungicide (b46.1) (Fungicide Resistance Action Committee (FRAC) code U5)”, “phenyl-acetamide fungicide (b46.2) (Fungicide Resistance Action Committee (FRAC) code U6)”, “quinazolinone fungicide (b46.3) (Fungicide Resistance Action Committee (FRAC) code U7)” and “benzophenone fungicide (b46.4) (Fungicide Resistance Action Committee (FRAC) code U8)”. The thiazole carboxamides include ethaboxam. The phenyl-acetamides include cyflufenamid and *N*-[[[(cyclopropylmethoxy)amino][6-(difluoromethoxy)-2,3-difluorophenyl]-methylene]benzeneacetamide. The quinazolinones include proquinazid and 2-butoxy-6-iodo-3-propyl-4*H*-1-benzopyran-4-one. The benzophenones include metrafenone. The (b46) group also includes bethoxazin, neo-asozin (ferric methanearsonate), pyrrolnitrin, quinomethionate, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(methylsulfonyl)amino]butanamide, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(ethylsulfonyl)amino]butanamide, 2-[[2-fluoro-5-(trifluoromethyl)phenyl]thio]-2-[3-(2-methoxyphenyl)-2-thiazolidinylidene]acetonitrile, 3-[5-(4-chlorophenyl)-2,3-dimethyl-3-isoxazolidinyl]pyridine, 4-fluorophenyl *N*-[1-[[[1-(4-

cyanophenyl)ethyl)sulfonyl)methyl]propyl]carbamate, 5-chloro-6-(2,4,6-trifluorophenyl)-7-(4-methylpiperidin-1-yl)[1,2,4]triazolo[1,5-*a*]pyrimidine, *N*-(4-chloro-2-nitrophenyl)-*N*-ethyl-4-methylbenzenesulfonamide, *N*-[(cyclopropylmethoxy)amino][6-(difluoromethoxy)-2,3-difluorophenyl]methylene]benzeneacetamide, *N'*-[4-[4-chloro-3-(trifluoromethyl)phenoxy]-2,5-dimethylphenyl]-*N*-ethyl-*N*-methylmethanimidamide and 1-[(2-propenylthio)carbonyl]-2-(1-methylethyl)-4-(2-methylphenyl)-5-amino-1*H*-pyrazol-3-one.

Accordingly, the present invention comprises compositions of one or more compounds selected from Formula 1, *N*-oxides and salts thereof, with one or more compounds or salts thereof selected from (b) as described in the Summary of the Invention.

Embodiments of the present invention include:

Embodiment 1. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein A is CH₂.

Embodiment 2. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein A is NH.

Embodiment 3. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein W¹ is O.

Embodiment 4. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein W¹ is S.

Embodiment 5. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein W² is O.

Embodiment 6. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein W² is S.

Embodiment 7. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein R² is methyl.

Embodiment 8. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein n is 0 or 1.

Embodiment 9. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein n is 0.

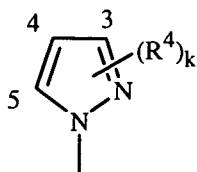
Embodiment 10. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein X is X¹, X² or X³.

Embodiment 11. The composition of Embodiment 10 wherein X is X¹ or X² and each ring is saturated.

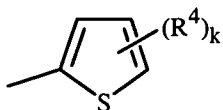
Embodiment 12. The composition of Embodiment 10 wherein X is X¹.

Embodiment 13. The composition of Embodiment 12 wherein X is X¹ and the ring is saturated.

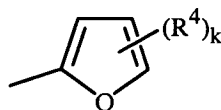
Embodiment 14. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein R¹ is one of U-1 through U-50;



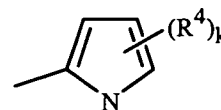
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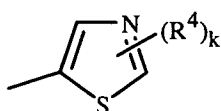
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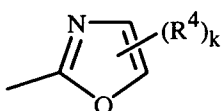
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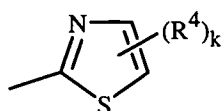
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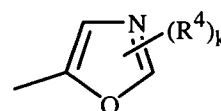
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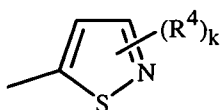
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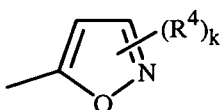
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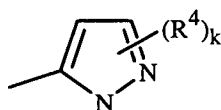
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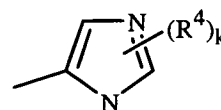
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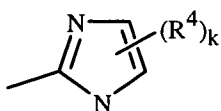
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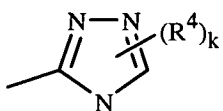
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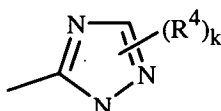
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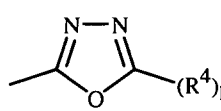
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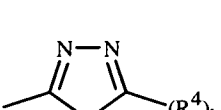
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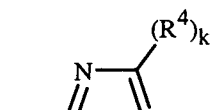
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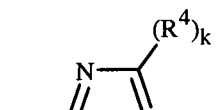
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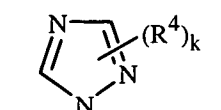
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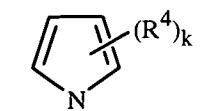
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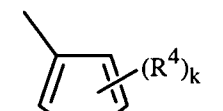
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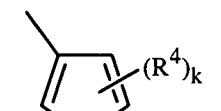
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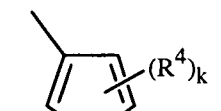
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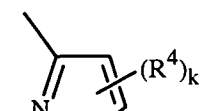
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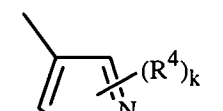
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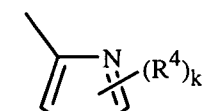
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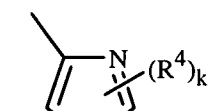
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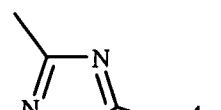
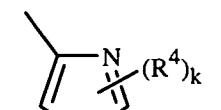
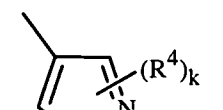
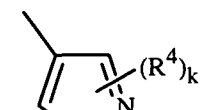
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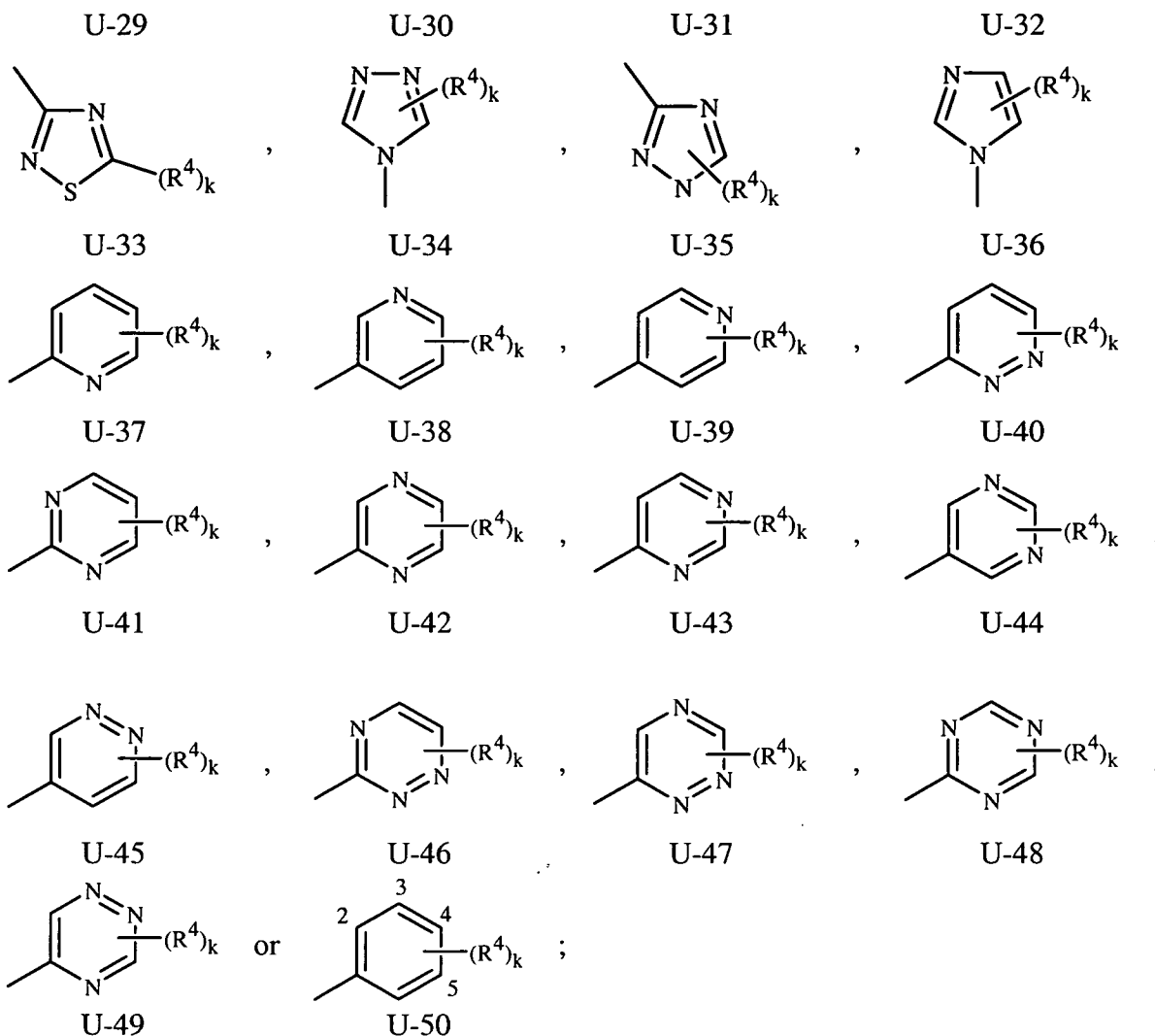


U-27



U-28





wherein k is 0, 1 or 2;

provided that when U is U-4, U-11 through U-15, U-24 through U-26, U-31 and U-35,
 5 and an R^4 radical is attached to a nitrogen atom of the ring, said R^4 radical is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl or C_2 - C_4 alkoxyalkyl.

Embodiment 15. The composition of Embodiment 14 wherein R^1 is selected from U-1 through U-5, U-8, U-11, U-13, U-15, U-20 through U-28, U-31, U-36 through
 10 U-39 and U-50.

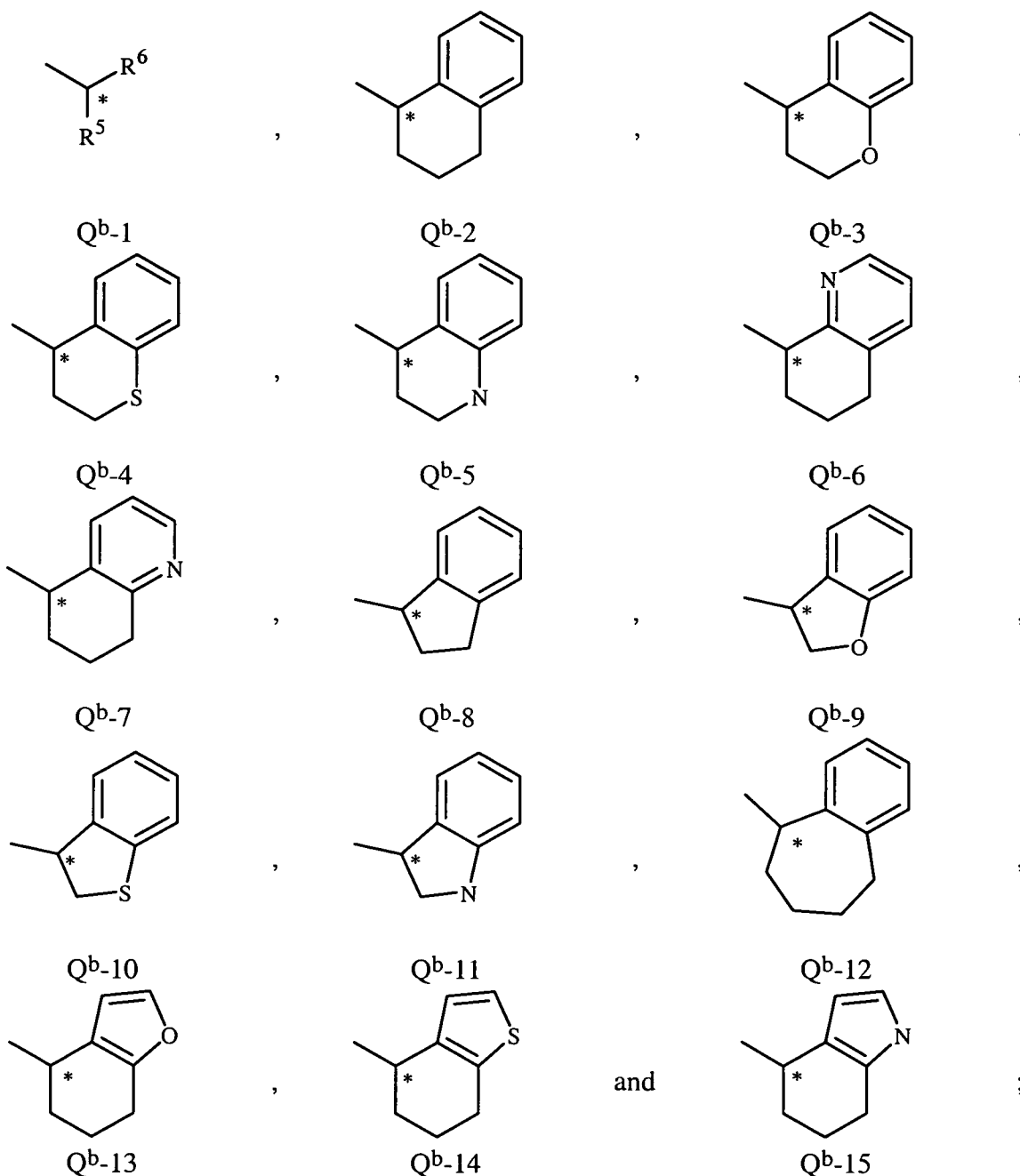
Embodiment 16. The composition of Embodiment 15 wherein R^1 is selected from U-1 through U-3, U-5, U-8, U-13, U-20, U-22, U-23, U-25 through U-28, U-36 through U-39 and U-50.

Embodiment 17. The composition of Embodiment 16 wherein R^1 is selected from U-1 through U-3, U-13, U-20, U-22, U-23, U-36 through U-39 and U-50.
 15

Embodiment 18. The composition of Embodiment 17 wherein R^1 is U-1 or U-50.

Embodiment 19. The composition of Embodiment 18 wherein R^1 is U-1.

Embodiment 19a. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, and Embodiments 18 and 19 wherein X is X¹, X² or X³, each R² is independently C₁–C₃ alkyl, G is an optionally substituted 5-membered heteroaromatic ring containing 1 to 3 heteroatoms selected from 0 to 1 O, 0 to 1 S and 0 to 3 N, Q^a is CH₃, Q^b is radical selected from



wherein Q^b-2 through Q^b-15 are optionally substituted except at the carbon atom identified with an asterisk (*), R⁵ is C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₆ cycloalkyl, C₁–C₆ haloalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–

C₆ halocycloalkyl, cyano, nitro, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl, and R⁶ is an optionally substituted phenyl, naphthalenyl or 5- or 6-membered heteroaromatic ring.

- 5 Embodiment 20. The composition of Embodiment 18 wherein R¹ is U-50.
- Embodiment 21. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein R¹ is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R⁴ and each R⁴ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₁-C₂ alkylthio, C₁-C₂ haloalkylthio, C₁-C₂ alkoxyalkyl, C₂-C₃ alkylcarbonyl, C₂-C₃ alkoxy carbonyl, C₂-C₃ alkylaminocarbonyl or C₃-C₄ dialkylaminocarbonyl.
- 10
- Embodiment 22. The composition of Embodiment 21 wherein each R⁴ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.
- 15
- Embodiment 23. The composition of Embodiment 22 wherein each R⁴ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.
- 20
- Embodiment 24. The composition of Embodiment 23 wherein each R⁴ is independently halogen, C₁-C₂ alkyl, C₁-C₂ haloalkyl or C₁-C₂ alkoxy.
- Embodiment 25. The composition of Embodiment 24 wherein each R⁴ is independently Cl, Br, I, methyl, ethyl, trifluoromethyl or methoxy.
- 25
- Embodiment 26. The composition of Embodiment 25 wherein at least one R⁴ is Cl.
- Embodiment 27. The composition of Embodiment 25 wherein at least one R⁴ is Br.
- Embodiment 28. The composition of Embodiment 25 wherein at least one R⁴ is methyl.
- 30
- Embodiment 29. The composition of Embodiment 25 wherein at least one R⁴ is ethyl.
- Embodiment 30. The composition of Embodiment 25 wherein at least one R⁴ is trifluoromethyl.
- Embodiment 31. The composition of Embodiment 25 wherein at least one R⁴ is methoxy.
- 35
- Embodiment 32. The composition of Embodiment 19 wherein each R⁴ is independently connected to the 3- or 5-position of U-1 (i.e. k is 1, and R⁴ is connected to the 3- or 5-position of U-1).

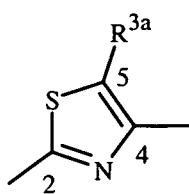
Embodiment 32a. The composition of Embodiment 19a wherein each R⁴ is independently connected to the 3- or 5-position of U-1 (i.e. k is 1, and R⁴ is connected to the 3- or 5-position of U-1); and each R⁴ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfanyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfanyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Embodiment 33. The composition of Embodiment 19 wherein each R⁴ is independently connected to the 3- and 5-position of U-1 (i.e. k is 2, and an independently selected R⁴ is connected to the 3- and 5-positions of U-1). Of note are compounds of Embodiment 33 which correspond to compounds of note for Embodiment 19 above where each R⁴ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfanyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfanyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

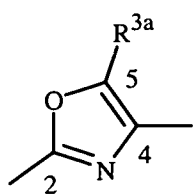
Embodiment 34. The composition of Embodiment 20 wherein each R⁴ is independently connected to the 2- or 3-position of U-50 (i.e. k is 1, and R⁴ is connected to the 2- or 3-position of U-50).

Embodiment 35. The composition of Embodiment 20 wherein each R⁴ is independently connected to the 2- and 5-position of U-50 (i.e. k is 2, and an independently selected R⁴ is connected to each of 2- and 5-positions of U-50).

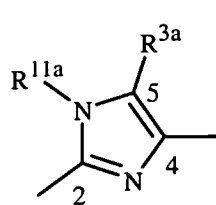
Embodiment 36. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein G is one of G-1 through G-55;



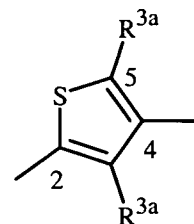
G-1



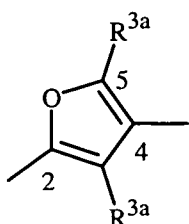
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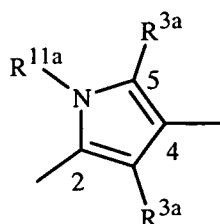
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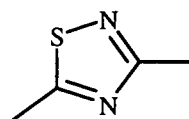
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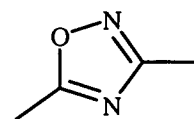
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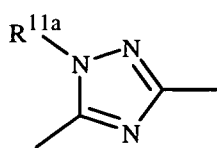
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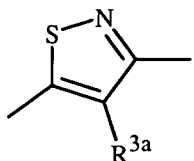
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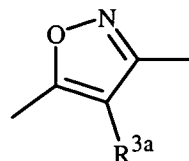
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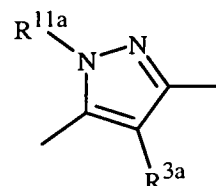
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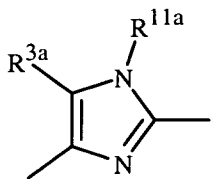
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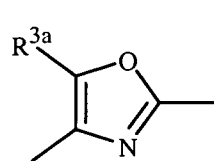
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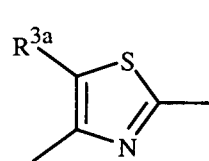
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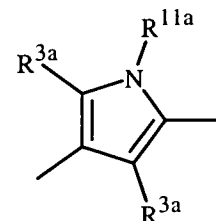
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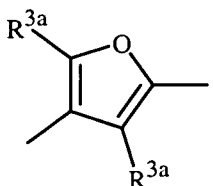
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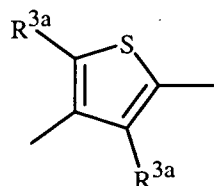
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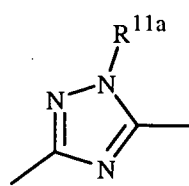
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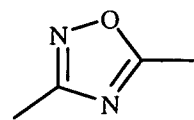
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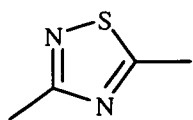
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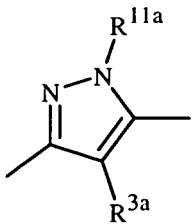
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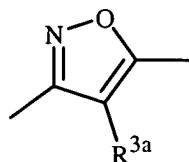
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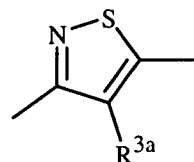
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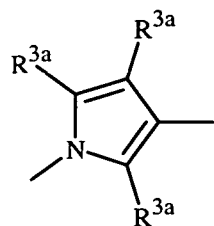
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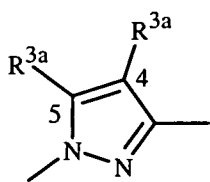
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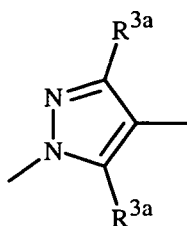
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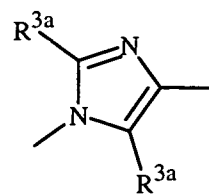
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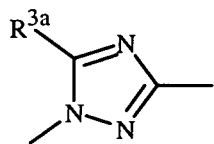
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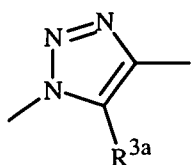
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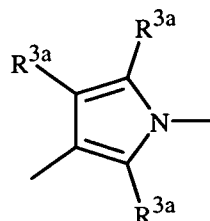
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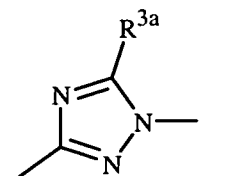
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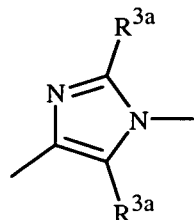
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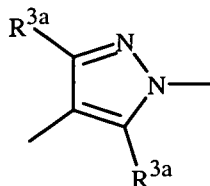
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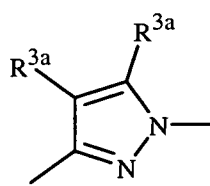
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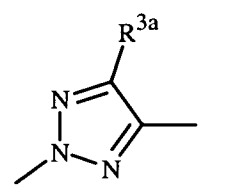
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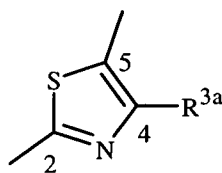
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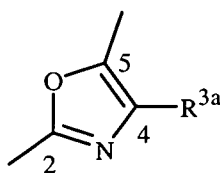
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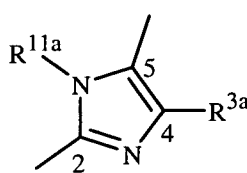
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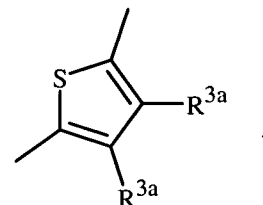
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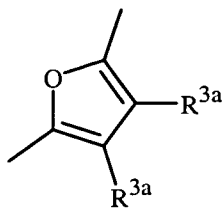
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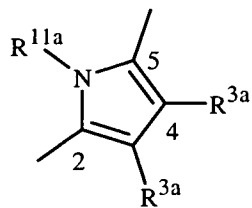
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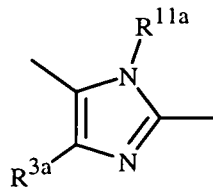
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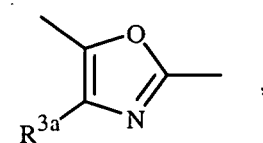
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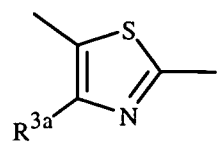
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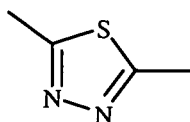
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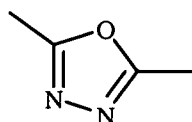
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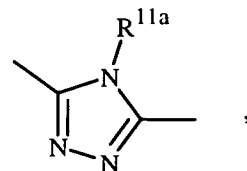
G-45



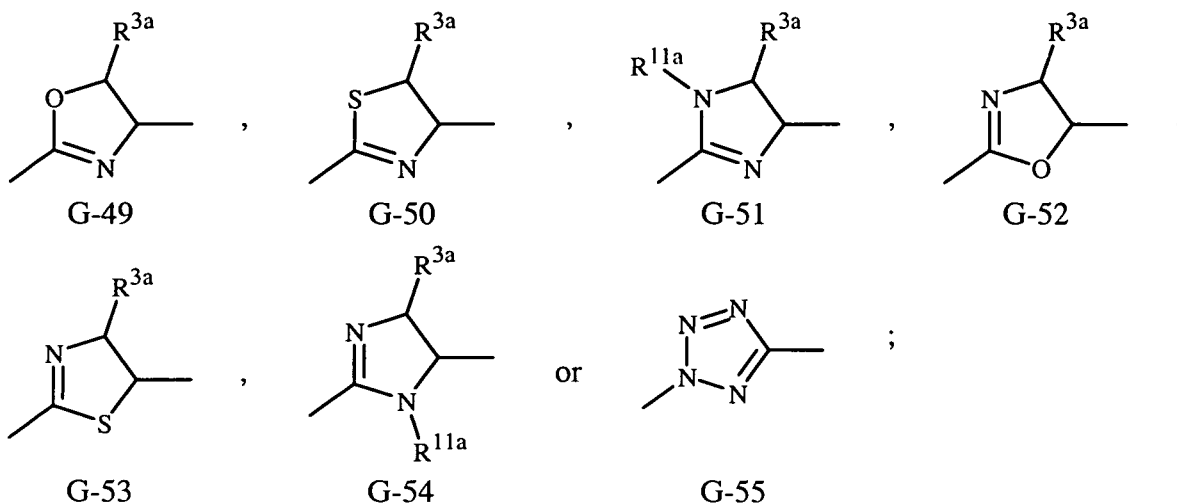
G-46



G-47



G-48



wherein each R^3 is independently C_1 - C_3 alkyl, C_1 - C_3 haloalkyl or halogen; each R^{3a} is independently selected from H or R^3 ; R^{11} is C_1 - C_3 alkyl; R^{11a} is selected from H or R^{11} ; and the bond projecting to the left is bonded to X, and bond projecting to the right is bonded to $C(=W^2)$.

Embodiment 37. The composition of Embodiment 36 wherein G is selected from G-1 through G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26 through G-28, G-30, G-36 through G-38 and G-49 through G-55.

Embodiment 38. The composition of Embodiment 37 wherein G is selected from G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36 through G-38, G-49, G-50 and G-55.

Embodiment 39. The composition of Embodiment 38 wherein G is selected from G-1, G-2, G-15, G-26, G-27, G-36, G-37 and G-38.

Embodiment 40. The composition of Embodiment 39 wherein G is selected from G-1, G-2, G-15, G-26 and G-36.

Embodiment 41. The composition of Embodiment 40 wherein G is G-1. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 42. The composition of Embodiment 39 wherein G is G-2. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 43. The composition of Embodiment 36 wherein G is G-15. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 44. The composition of Embodiment 36 wherein G is G-26. Of note are
embodiments of these compounds within Embodiments 1 through 35,
Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments
A6 through A13.

5 Embodiment 45. The composition of Embodiment 36 wherein G is G-36. Of note are
embodiments of these compounds within Embodiments 1 through 35,
Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments
A6 through A13.

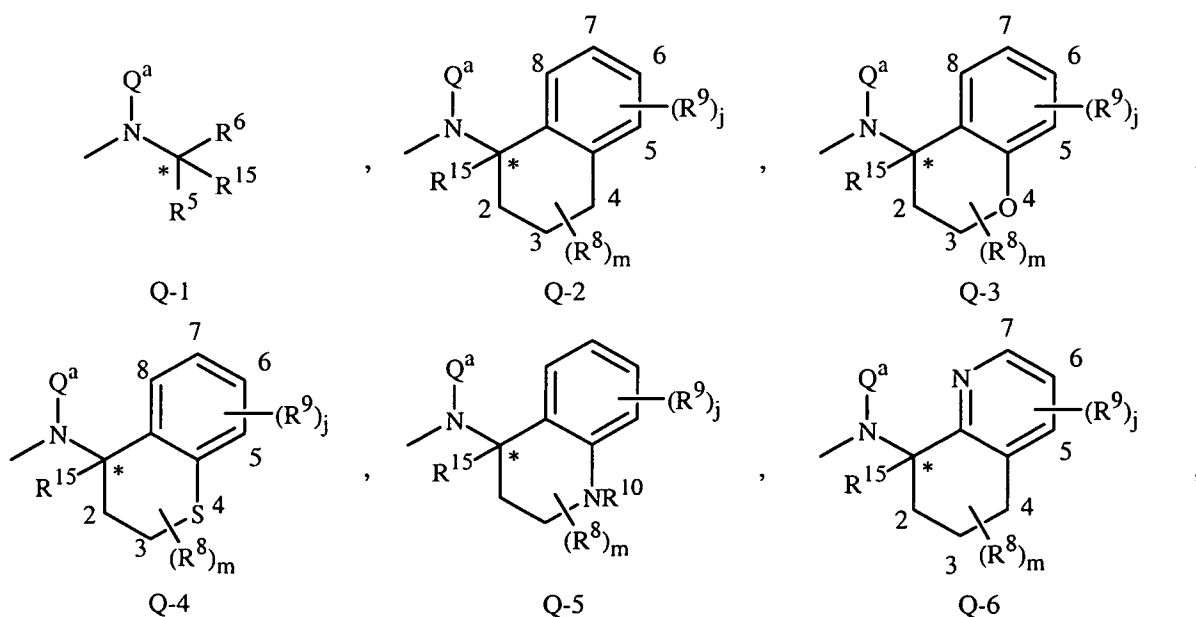
10 Embodiment 46. The composition described in the Summary of the Invention wherein
component (a) is a compound of Formula 1 or a salt thereof, wherein G is a 5-
membered heteroaromatic ring or 5-membered saturated or partially saturated
heterocyclic ring, each ring optionally substituted with up to 2 substituents
selected from R^3 on carbon ring members and selected from R^{11} on nitrogen ring
15 members; each R^{11} is independently C_1-C_3 alkyl; each R^3 is independently C_1-C_3
alkyl or halogen.

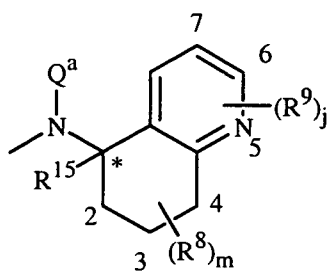
Embodiment 47. The composition of Embodiment 46 wherein R^3 is methyl.

Embodiment 48. The composition of any one of Embodiments 36 through 45 wherein
G is unsubstituted.

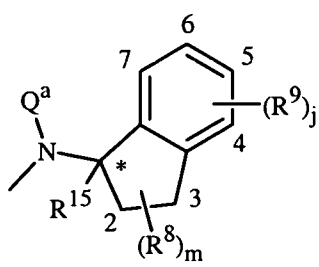
20 Embodiment 49. The composition of Embodiment 36 wherein R^{3a} is H and R^{11a} is H
or methyl.

Embodiment 50. The composition described in the Summary of the Invention wherein
component (a) is a compound of Formula 1 or a salt thereof, wherein Q is
selected from Q-1 through Q-85;

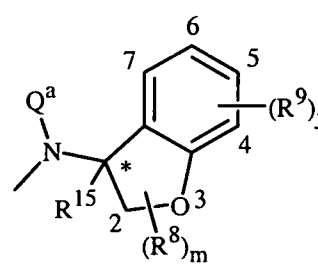




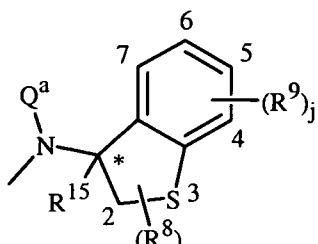
Q-7



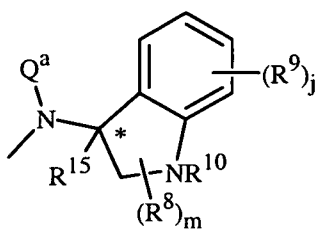
Q-8



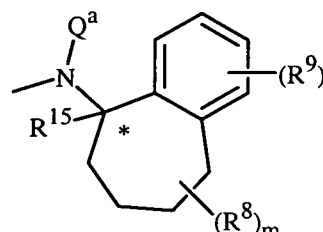
Q-9



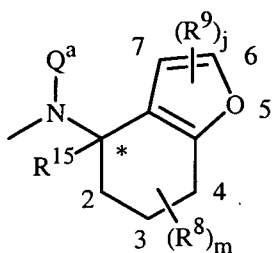
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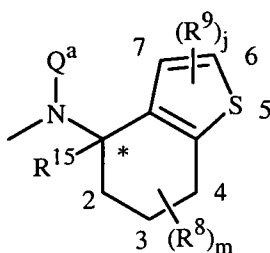
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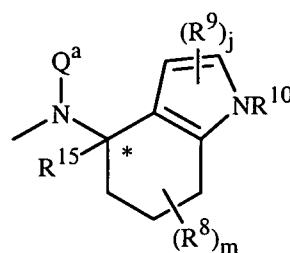
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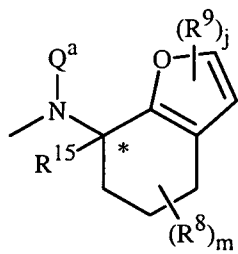
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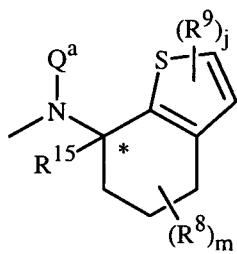
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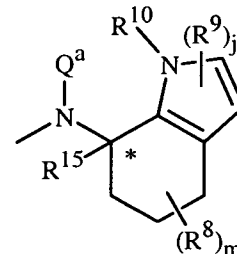
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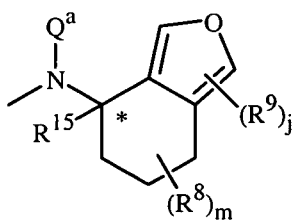
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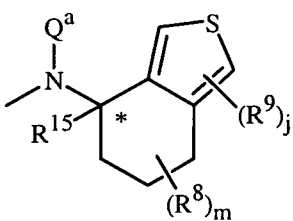
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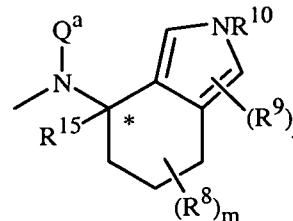
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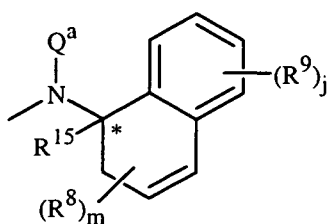
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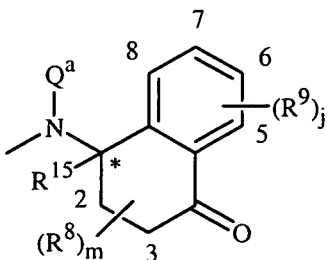
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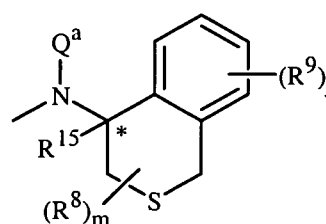
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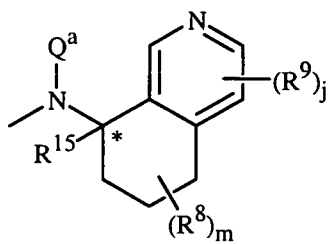
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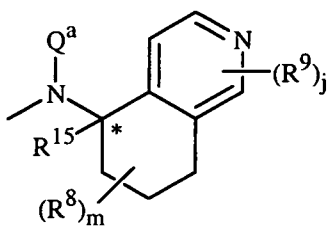
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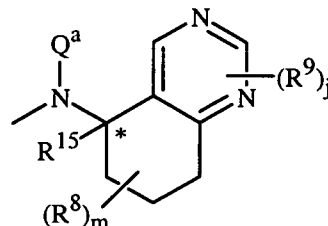
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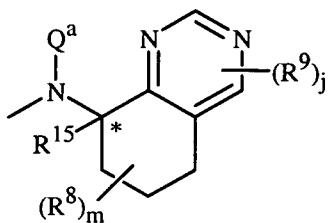
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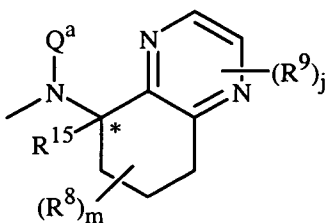
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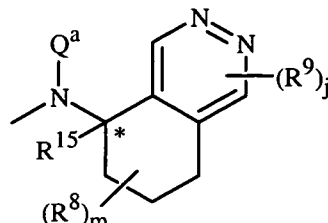
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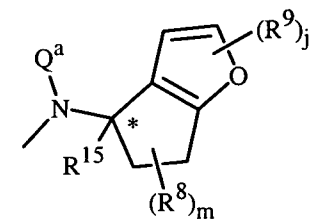
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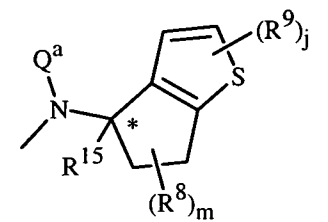
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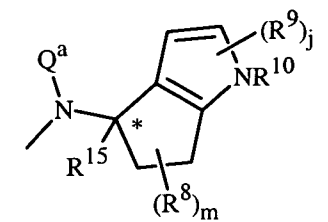
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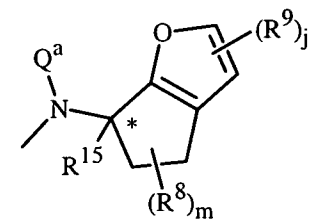
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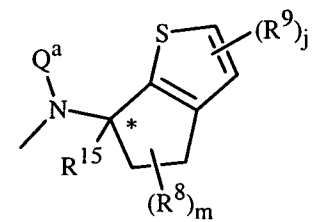
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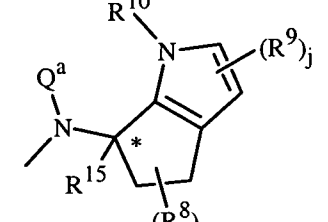
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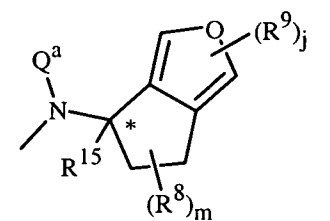
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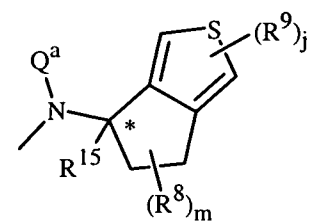
Q-35



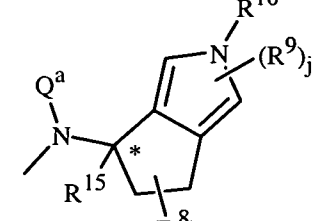
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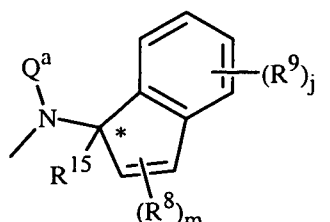
Q-37



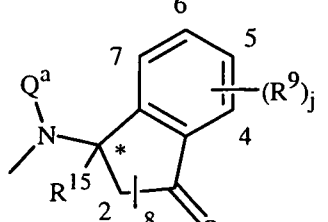
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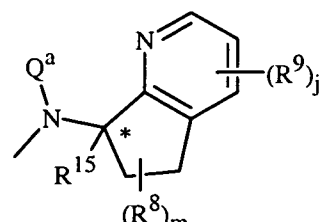
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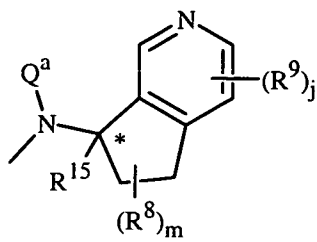
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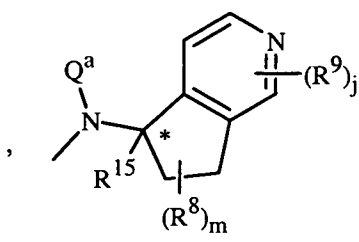
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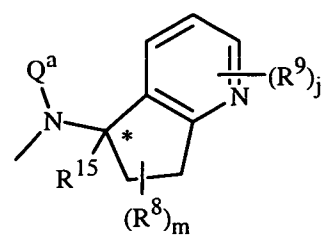
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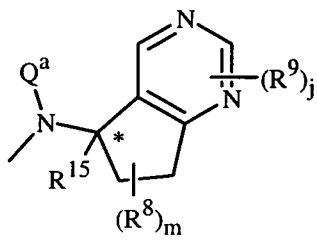
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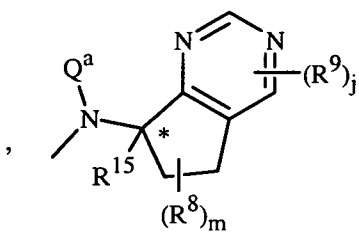
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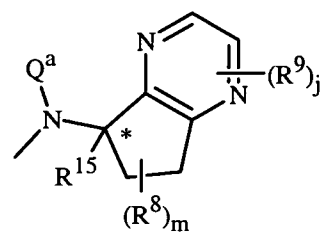
Q-45



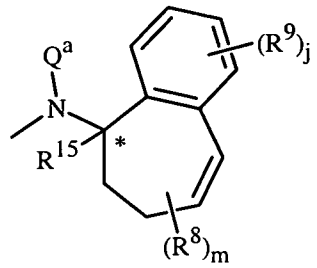
Q-46



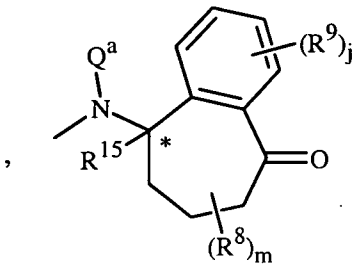
Q-47



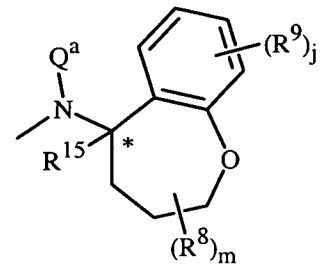
Q-48



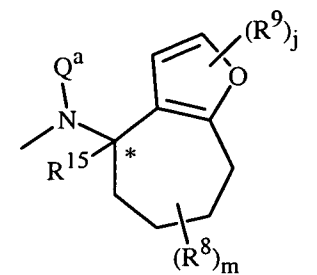
Q-49



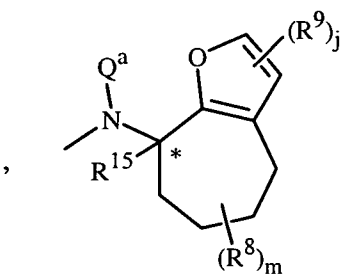
Q-50



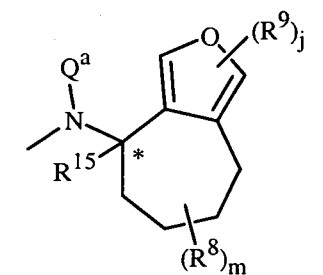
Q-51



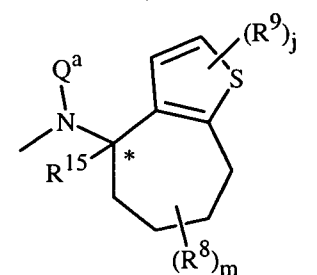
Q-52



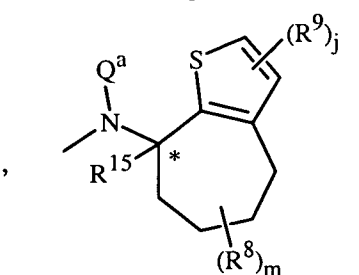
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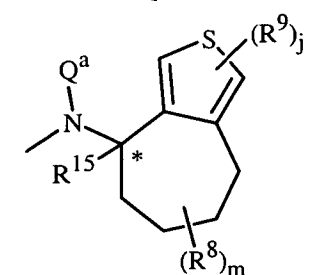
Q-54



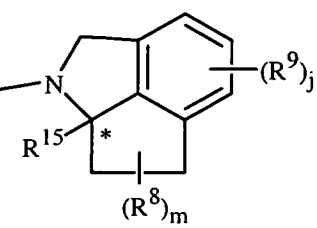
Q-55



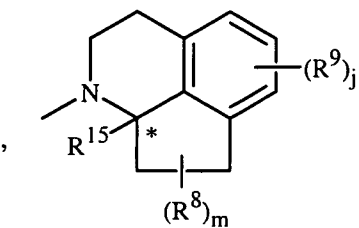
Q-56



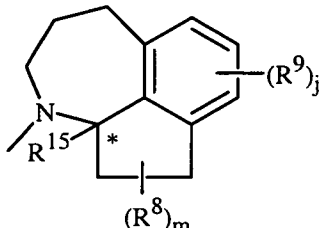
Q-57



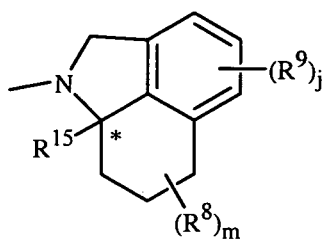
Q-58



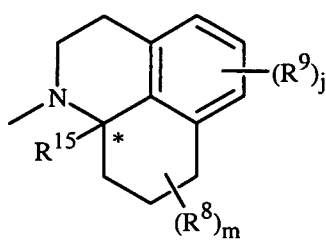
Q-59



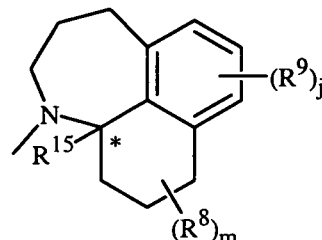
Q-60



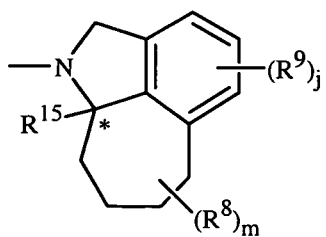
Q-61



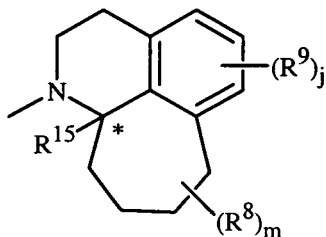
Q-62



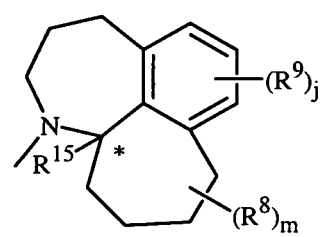
Q-63



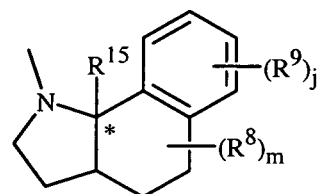
Q-64



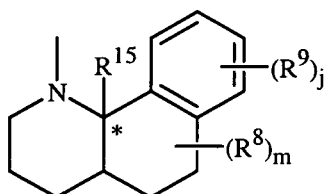
Q-65



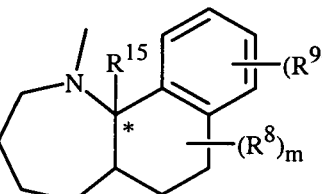
Q-66



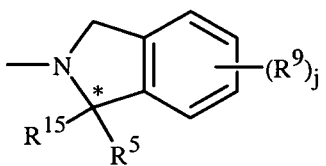
Q-67



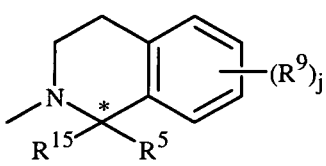
Q-68



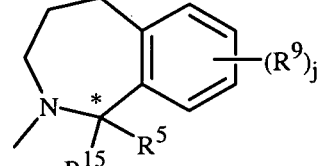
Q-69



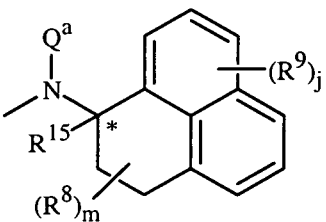
Q-70



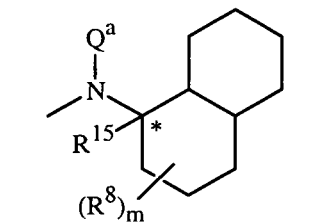
Q-71



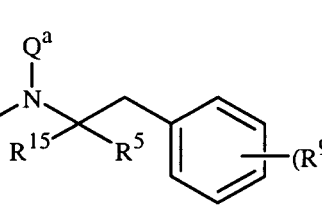
Q-72



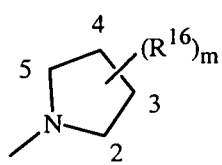
Q-73



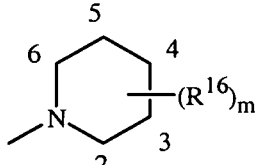
Q-74



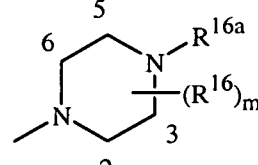
Q-75



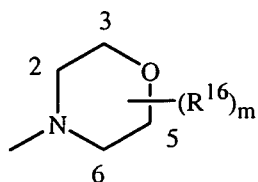
Q-76



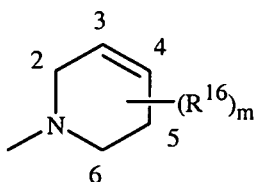
Q-77



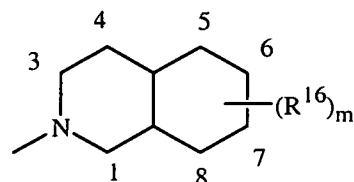
Q-78



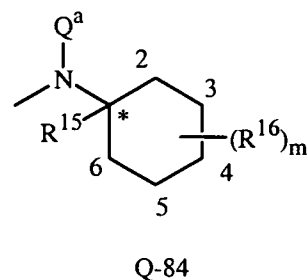
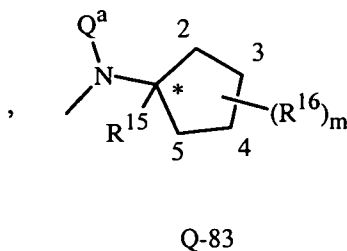
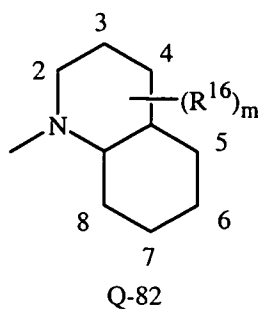
Q-79



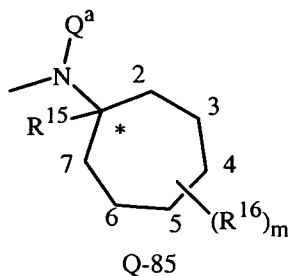
Q-80



Q-81



and



wherein carbon atom identified with the asterisk (*) contains a stereocenter; R^{15} is as described above, and for Q-2 through Q-75, each R^8 is independently attached to the carbon atoms of the nonaromatic carbocyclic ring or heterocyclic ring of the Q group, and each R^9 is independently attached to the carbon atoms of phenyl or heteroaromatic ring of the Q group;

each R^8 is independently H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_3 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_6 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_4 alkoxyalkyl, C_1 - C_4 hydroxyalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_4 alkoxy carbonyl, C_2 - C_4 alkylcarbonyloxy, C_2 - C_4 alkylcarbonylthio, C_2 - C_4 alkylaminocarbonyl, C_2 - C_4 alkylaminocarbonyloxy, C_3 - C_6 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

each R^9 is independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_4 alkoxyalkyl, C_1 - C_4 hydroxyalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylcarbonyloxy, C_2 - C_6 alkylcarbonylthio, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

R^{10} is H or C_1 - C_3 alkyl;

m is 0, 1 or 2;

j is 0, 1 or 2;

each R¹⁶ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³; or

two R¹⁶ attached to adjacent ring carbon atoms are taken together

as -(CH₂)₃- or -(CH₂)₄- optionally substituted with 1-3 substituents selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

R^{16a} is H, C₁-C₆ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₃-C₆ haloalkenyl, C₃-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, amino, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³

each R¹³ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁶ is a phenyl, benzyl, naphthalenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3

substituents selected from R⁷ on carbon ring members and R¹² on nitrogen ring members;

each R⁷ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; and

R¹² is H or C₁-C₃ alkyl.

Embodiment 51. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein Q is selected from Q-1 through Q-4, Q-8 through Q-10, Q-12, Q-14, Q-22 through Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 and Q-84.

Embodiment 52. The composition of Embodiment 51 wherein Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 or Q-62.

Embodiment 53. The composition of Embodiment 52 wherein Q is Q-1, Q-2, Q-8, Q-23 or Q-41.

Embodiment 54. The composition of Embodiment 53 wherein Q is Q-1.

Embodiment 55. The composition of Embodiment 53 wherein Q is Q-2.

Embodiment 56. The composition of Embodiment 53 wherein Q is Q-8.

Embodiment 57. The composition of Embodiment 53 wherein Q is Q-23.

Embodiment 58. The composition of Embodiment 53 wherein Q is Q-41.

Embodiment 59. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano, nitro, C₂-C₄ alkoxyalkyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl.

Embodiment 60. The composition of Embodiment 59 wherein R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano or C₂-C₄ alkoxyalkyl.

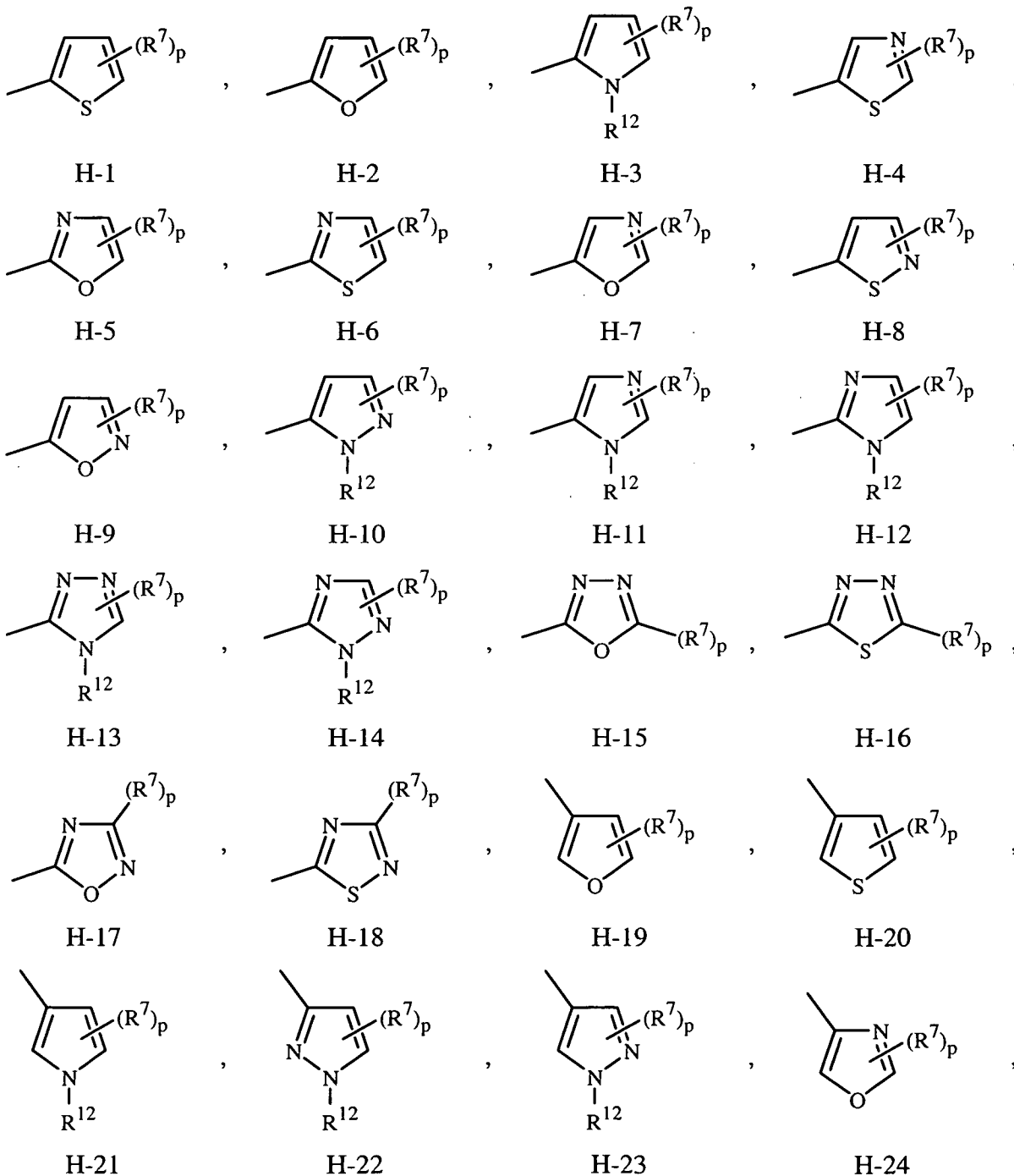
Embodiment 61. The composition of Embodiment 60 wherein R⁵ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkenyl, C₂-C₄ haloalkenyl or cyano.

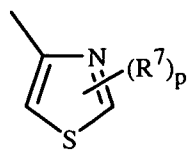
Embodiment 62. The composition of Embodiment 61 wherein R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl or cyano.

Embodiment 63. The composition of Embodiment 62 wherein R⁵ is C₁-C₃ alkyl.

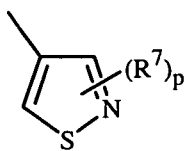
Embodiment 64. The composition of Embodiment 63 wherein R⁵ is ethyl.

5 Embodiment 65. The composition of Embodiment 50 wherein R⁶ is one of H-1 through H-46;

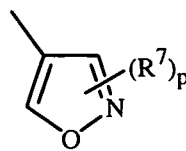




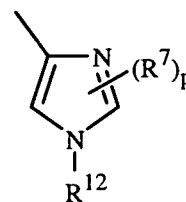
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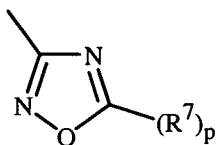
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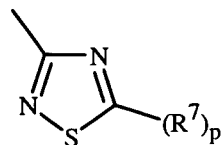
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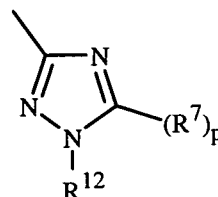
H-28



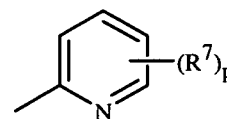
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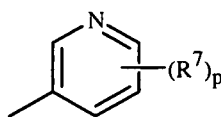
H-30



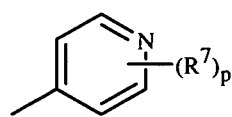
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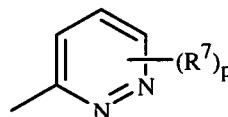
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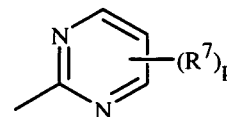
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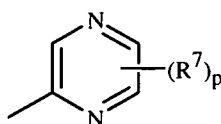
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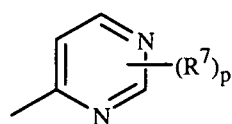
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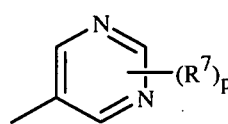
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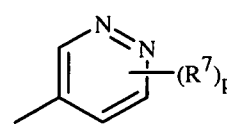
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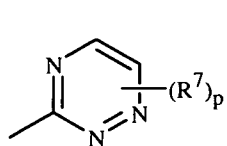
H-38



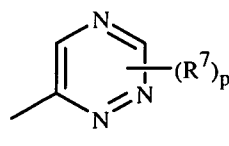
H-39



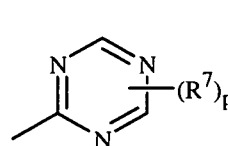
H-40



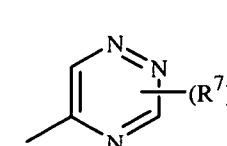
H-41



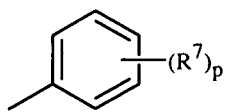
H-42



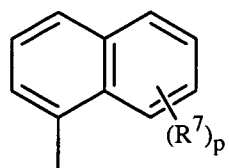
H-43



H-44



H-45



H-46

wherein each R^7 is independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, C_4 - C_{10} alkylcycloalkyl, C_5 - C_{10} alkylcycloalkylalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_4 alkoxyalkyl,

C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; and p is 0, 1 or 2.

Embodiment 66. The composition of Embodiment 65 wherein R⁶ is H-1, H-20, H-32, H-45 or H-46.

Embodiment 67. The composition of Embodiment 66 wherein R⁶ is H-1 or H-45.

Embodiment 68. The composition of Embodiment 67 wherein R⁶ is H-45.

Embodiment 69. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein Q^b is CR⁵R⁶R¹⁵; R⁶ is a phenyl, benzyl, naphthalenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents selected from R⁷ on carbon ring members and R¹² on nitrogen ring members; each R⁷ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₁-C₂ alkylthio, C₁-C₂ haloalkylthio, C₂-C₃ alkoxyalkyl, C₂-C₃ alkylcarbonyl, C₂-C₃ alkylcarbonyloxy, C₂-C₃ alkoxy carbonyl, C₂-C₃ alkylaminocarbonyl or C₃-C₄ dialkylaminocarbonyl; and R¹² is C₁-C₃ alkyl.

Embodiment 70. The composition of Embodiment 69 wherein each R⁷ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.

Embodiment 71. The composition of Embodiment 70 wherein each R⁷ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, hydroxy, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.

Embodiment 72. The composition of Embodiment 71 wherein each R⁷ is independently halogen, hydroxy, C₁-C₂ alkoxy or C₁-C₃ alkyl.

Embodiment 73. The composition of Embodiment 72 wherein each R⁷ is independently F, Cl, Br, hydroxy, methoxy or methyl.

Embodiment 74. The composition of Embodiment 65 wherein p is 0.

Embodiment 75. The composition of Embodiment 65 wherein R¹² is H or C₁-C₂ alkyl.

Embodiment 76. The composition of Embodiment 75 wherein R¹² is methyl.

Embodiment 77. The composition of Formula 1 wherein R¹⁵ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl or C₁-C₄ haloalkyl.

Embodiment 78. The composition of Embodiment 77 wherein R¹⁵ is H or C₁-C₃ alkyl.

Embodiment 79. The composition of Embodiment 78 wherein R¹⁵ is H.

Embodiment 80. The composition of Formula 1 wherein Q^a is H or C₁-C₃ alkyl.

Embodiment 81. The composition of Embodiment 80 wherein Q^a is H or methyl.

Embodiment 82. The composition of Embodiment 81 wherein Q^a is methyl.

Embodiment 83. The composition of Embodiment 50 wherein each R⁸ is

5 independently H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₃-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy or C₂-C₄ alkylcarbonyloxy.

Embodiment 84. The composition of Embodiment 83 wherein each R⁸ is

10 independently H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₃-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy or C₂-C₄ alkylcarbonyloxy.

Embodiment 85. The composition of Embodiment 84 wherein each R⁸ is

15 independently H, C₁-C₃ alkyl, hydroxy, C₁-C₃ alkoxy or C₂-C₃ alkylcarbonyloxy.

Embodiment 86. The composition of Embodiment 85 wherein R⁸ is H, methyl, methoxy or hydroxy.

Embodiment 87. The composition of Embodiment 50 wherein m is 0 or 1.

Embodiment 88. The composition of Embodiment 87 wherein m is 0.

20 Embodiment 89. The composition of Embodiment 50 wherein each R⁹ is

independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C₂-C₃ alkylcarbonyloxy, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₁-C₂ alkylthio, C₁-C₂ haloalkylthio, C₂-C₃ alkoxyalkyl, C₂-C₃ alkylcarbonyl, C₂-C₃ alkoxycarbonyl, C₂-C₃ alkylaminocarbonyl or C₃-C₄ dialkylaminocarbonyl.

Embodiment 90. The composition of Embodiment 89 wherein each R⁹ is

independently C₁-C₃ alkyl, cyclopropyl, C₁-C₃ haloalkyl, halocyclopropyl, halogen, hydroxy, C₂-C₃ alkylcarbonyloxy, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.

30 Embodiment 91. The composition of Embodiment 90 wherein each R⁹ is

independently C₁-C₃ alkyl, hydroxy, C₁-C₂ alkoxy or halogen.

Embodiment 92. The composition of Embodiment 91 wherein each R⁹ is

independently methyl, F, Cl, Br, hydroxy or methoxy.

Embodiment 93. The composition of Embodiment 50 wherein j is 0 or 1.

35 Embodiment 94. The composition of Embodiment 93 wherein j is 0.

Embodiment 95. The composition of Embodiment 50 wherein each R¹⁰ is H or methyl.

Embodiment 96. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein Q is Q-1 through Q-75 and Q-83 through Q-85 and Q has the orientation depicted above in Embodiment 50, and wherein R¹⁵ has an orientation below the plane defined by the 3 non-hydrogen atoms attached to the carbon atom identified with the asterisk (*) (e.g., for Q-1, Formula 1').

Embodiment 97. The composition of Embodiment 50 wherein each R¹⁶ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³.

Embodiment 98. The composition of Embodiment 50 wherein R^{16a} is H, C₁-C₃ alkyl, allyl, propargyl, cyclopropyl or C₁-C₃ haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³.

Embodiment 99. A compound of Embodiment 50 wherein when Q is Q-76, Q-77, Q-79, Q-80, Q-81, Q-82, Q-83, Q-84 or Q-85, then m is 0 or 1.

Embodiment 100. The composition of Embodiment 99 wherein m is 1.

Embodiment 101. The composition of Embodiment 50 wherein when Q is Q-78 and R^{16a} is other than H, then m is 0.

Embodiment 102. The composition of Embodiment 50 wherein when Q is Q-78 and R^{16a} is H, then m is 1.

Embodiment 103. The composition of Embodiment 50 wherein when Q is Q-78, then R^{16a} is other than H and m is 0.

Combinations of Embodiments 1-103 are illustrated by:

Embodiment A1. The composition described in the Summary of the Invention wherein component (a) is a compound of Formula 1 or a salt thereof, wherein n is 0; R¹ is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R⁴; and each R⁴ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Embodiment A2. The composition of Embodiment A1 wherein W¹ is O and W² is O.

Embodiment A3. The composition of Embodiment A2 wherein A is CH₂.

Embodiment A4. The composition of Embodiment A3 wherein X is X¹ or X².

Embodiment A5. The composition of Embodiment A4 wherein G is G-1, G-2, G-15, G-26 or G-36.

5 Embodiment A6. The composition of Embodiment A5 wherein G is unsubstituted.

Embodiment A7. The composition of Embodiment A6 wherein Q is Q-1, Q-2, Q-8, Q-23 or Q-41 and Q^a is H or C₁-C₃ alkyl.

Embodiment A8. The composition of Embodiment A7 wherein R⁵ is C₁-C₃ alkyl, R⁶ is H-45, R¹⁵ is H, and p is 0.

10 Embodiment A9. The composition of Embodiment A7 wherein j is 0, m is 0 or 1, and R⁸ is H, methyl, methoxy or hydroxy.

Embodiment A10. The composition of any one of Embodiments A8 and A9 wherein R¹ is U-1 or U-50.

15 Embodiment A11. The composition of Embodiment A10 wherein each R⁴ is independently Cl, Br, methyl, ethyl, trifluoromethyl or methoxy.

Embodiment A12. The composition of Embodiment A11 wherein Q is Q-1, Q^a is methyl, R⁵ is C₁-C₂ alkyl, R¹⁵ is H, and the carbon atom to which R⁵ and R⁶ are attached is a stereocenter with the *R* configuration.

20 Embodiment A13. The composition of Embodiment A11 wherein Q is Q-2, Q-8, Q-23 or Q-41, Q^a is methyl, R¹⁵ is H, and the carbon atom identified with the asterisk (*) is a stereocenter having a configuration described as *R*, provided that when m is 1, R⁸ is hydroxy or methoxy and the R⁸ group is attached to the carbon adjacent to the carbon atom identified with an asterisk (*), then the carbon atom identified with the asterisk (*) is a stereocenter having a configuration described as *S*.

25 Embodiment A14. The composition of Embodiment A1 wherein component (a) is selected from the group consisting of

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

30 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

35 *N*-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarbothioamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

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N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

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2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

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N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide,

N-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,

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N-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,

N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide,

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N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide,

N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide,

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N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl)-4-thiazolecarboxamide,

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2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide, *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide,

5 and
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide.

Embodiment B1. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b1) compound selected from benomyl, carbendazim and thiophanate-methyl.

Embodiment B2. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b2) compound selected from procymidone, iprodione and vinclozolin.

Embodiment B3. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b3) compound selected from epoxiconazole, fluquinconazole, triadimenol, simeconazole, ipconazole, triforine, cyproconazole, difenconazole, flusilazole, flutriafol, metconazole, myclobutanil, prochloraz, propiconazole, prothioconazole, tebuconazole and tetraconazole.

Embodiment B3a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b3) compound selected from epoxiconazole and prothioconazole.

Embodiment B3b. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b3) compound selected from cyproconazole, difenconazole, flusilazole, myclobutanil, propiconazole, tebuconazole and tetraconazole.

Embodiment B4. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b4) compound selected from metalaxyl, metalaxyl-M, benalaxyl, benalaxyl-M, furalaxyl, ofurace and oxadixyl.

Embodiment B4a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1

through A14) wherein component (b) includes at least one (b4) compound selected from mefenoxam, metalaxyl, metalaxyl M, benalaxyl, furalaxyl, ofurace and oxadixyl.

5 Embodiment B5. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b5) compound selected from aldimorph, dodemorph, fenpropimorph, tridemorph, trimorphamide, fenpropidin, piperalin and spiroxamine.

10 Embodiment B5a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b5) compound selected from spiroxamine.

15 Embodiment B6. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b6) compound selected from edifenphos and isoprothiolane.

20 Embodiment B7. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b7) compound selected from boscalid, penthiopyrad, bixafen, carboxin and oxycarboxin.

Embodiment B7a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b7) compound selected from bixafen.

25 Embodiment B7b. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b7) compound selected from boscalid, penthiopyrad, carboxin and oxycarboxin.

30 Embodiment B8. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b8) compound selected from ethirimol.

35 Embodiment B9. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b9) compound selected from cyprodinil.

Embodiment B10. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1

through A14) wherein component (b) includes at least one (b10) compound selected from diethofencarb.

Embodiment B11. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b11) compound selected from azoxystrobin, pyraclostrobin, kresoxim-methyl, trifloxystrobin, picoxystrobin, pyribencarb, famoxadone, fenamidone, enestrobin, dimoxystrobin, metominostrobin, orysastrobin and fluoxastrobin.

Embodiment B11a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b11) compound selected from azoxystrobin, pyraclostrobin, kresoxim-methyl, trifloxystrobin, picoxystrobin, pyribencarb, famoxadone, fenamidone, discostrobin, enestrobin, dimoxystrobin, metominostrobin, orysastrobin and fluoxastrobin.

Embodiment B12. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b12) compound selected from fenpiclonil and fludioxonil.

Embodiment B13. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b13) compound selected from quinoxifen.

Embodiment B14. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b14) compound selected from chloroneb.

Embodiment B15. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b15) compound selected from pyroquilon and tricyclazole.

Embodiment B16. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b16) compound selected from carpropamid.

Embodiment B17. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b17) compound selected from fenhexamid.

Embodiment B18. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b18) compound selected from pyributicarb.

5 Embodiment B19. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b19) compound selected from polyoxin.

10 Embodiment B20. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b20) compound selected from pencycuron.

15 Embodiment B21. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b21) compound selected from cyazofamid and amisulbrom.

20 Embodiment B22. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b22) compound selected from zoxamide.

Embodiment B23. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b23) compound selected from blasticidin-S.

25 Embodiment B24. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b24) compound selected from kasugamycin.

30 Embodiment B25. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b25) compound selected from streptomycin.

35 Embodiment B26. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b26) compound selected from validamycin.

Embodiment B27. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1

through A14) wherein component (b) includes at least one (b27) compound selected from cymoxanil.

Embodiment B28. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b28) compound selected from propamcarb, propamcarb-hydrochloride, prothiocarb and iodocarb.

Embodiment B28a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b28) compound selected from propamcarb.

Embodiment B29. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b29) compound selected from fluazinam, binapacryl, ferimzone, meptyldinocap and dinocap.

Embodiment B29a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one compound selected from (b29) compound such as fluazinam and dinocap.

Embodiment B30. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b30) compound selected from fentin acetate.

Embodiment B31. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b31) compound selected from oxolinic acid.

Embodiment B32. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b32) compound selected from hymexazole.

Embodiment B33. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b33) compound selected from phosphorous acid and its various salts, including fosetyl-aluminum.

Embodiment B34. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1

through A14) wherein component (b) includes at least one (b34) compound selected from teclofthalam.

Embodiment B35. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b35) compound selected from triazoxide.

Embodiment B36. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b36) compound selected from flusulfamide.

Embodiment B37. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b37) compound selected from diclomezine.

Embodiment B38. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b38) compound selected from silthiofam.

Embodiment B39. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b39) compound selected from diflumetorim.

Embodiment B40. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b40) compound selected from dimethomorph, benthiavalicarb, benthiavalicarb-isopropyl, iprovalicarb, valiphenal, mandipropamid and flumorph.

Embodiment B41. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b41) compound selected from oxytetracycline.

Embodiment B42. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b42) compound selected from methasulfocarb.

Embodiment B43. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1

through A14) wherein component (b) includes at least one (b43) compound selected from fluopicolide and fluopyram.

Embodiment B44. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b44) compound selected from acibenzolar-S-methyl.

Embodiment B45. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b45) compound selected from copper oxychloride, copper sulfate, copper hydroxide, Bordeaux composition (tribasic copper sulfide), elemental sulfur, mancozeb, metiram, propineb, ferbam, maneb, thiram, zineb, ziram, folpet, captan, captafol and chlorothalonil.

Embodiment B45a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b45) compound selected from copper sulfate, copper hydroxide, Bordeaux composition (tribasic copper sulfide), copper hydroxide, elemental sulfur, mancozeb, metiram, propineb, ferbam, maneb, thiram, zineb, ziram, folpet, captan, captafol and chlorothalonil.

Embodiment B45b. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b45) compound selected from the group consisting of copper fungicides (b45.1), sulfur fungicides (b45.2), dithiocarbamate fungicides (b45.3), phthalimide fungicides (b45.4) and chloronitrile fungicides (b45.5).

Embodiment B46. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b46) compound selected from ethaboxam, cyflufenamid, proquinazid, metrafenone, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(methylsulfonyl)amino]butanamide, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(ethylsulfonyl)amino]butanamide, 2-[[2-fluoro-5-(trifluoromethyl)phenyl]thio]-2-[3-(2-methoxyphenyl)-2-thiazolidinylidene]acetonitrile, 2-butoxy-6-iodo-3-propyl-4*H*-1-benzopyran-4-one, 3-[5-(4-chlorophenyl)-2,3-dimethyl-3-isoxazolidinyl]pyridine, 4-fluorophenyl *N*-[1-[[[1-(4-cyanophenyl)ethyl]sulfonyl]methyl]propyl]carbamate, 5-chloro-6-(2,4,6-trifluorophenyl)-7-(4-methylpiperidin-1-yl)[1,2,4]triazolo[1,5-

a]pyrimidine (BAS600), *N*-(4-chloro-2-nitrophenyl)-*N*-ethyl-4-methylbenzenesulfonamide, *N*-[[[(cyclopropylmethoxy)amino][6-(difluoromethoxy)-2,3-difluorophenyl]methylene]benzeneacetamide and *N*'-[4-[4-chloro-3-(trifluoromethyl)phenoxy]-2,5-dimethylphenyl]-*N*-ethyl-*N*-methylmethanimidamide.

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Embodiment B46a. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b46) compound selected from ethaboxam and proquinazid.

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Embodiment B46b. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one (b46) compound selected from the group consisting of thiazole carbamate fungicides (b46.1), quinazolinone and its related fungicides (b46.3) and 5-chloro-6-(2,4,6-trifluorophenyl)-7-(4-methylpiperidin-1-yl)[1,2,4]triazolo[1,5-*a*]pyrimidine.

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Embodiment B47. The composition described in the Summary of the Invention (including but not limited to composition of Embodiments 1 through 103 and A1 through A14) wherein component (b) includes at least one compound selected from (b46), fungicides other than fungicides of component (a) and components (b3), (b4), (b5), (b7), (b11), (b12), (b13), (b21), (b27), (b28), (b29), (b33), (b40) (b43) and (b45).

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Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-1 and X is X¹ and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 25 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

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Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-1 and X is X¹ and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 30 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

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Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-1 and X is X² and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 35 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

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Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-1 and X is X² and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-2 and X is X¹ and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-2 and X is X¹ and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-2 and X is X² and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-2 and X is X² and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-8 and X is X¹ and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-8 and X is X¹ and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-8 and X is X² and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-8 and X is X² and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Also noteworthy as embodiments are fungicidal compositions of the present invention comprising a fungicidally effective amount of a composition of Embodiments 1 to 103, A1 to A14, and B1 to B47 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents. Embodiments of the invention further include methods for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a composition of Embodiments 1 to 103, A1 to A14, and B1 to B47 (e.g., as a composition described herein). The preferred methods of use include those involving the above preferred compositions; and the diseases controlled with particular effectiveness include plant diseases caused by Oomycete fungal plant pathogens. Combinations of fungicides used in accordance with this invention can facilitate disease control and retard resistance development.

Compositions include those where component (a) and component (b) are present in a fungicidally effective amount and the weight ratio of component (a) to component (b) is from about 100:1 to 1:500 (e.g., from about 100:1 to about 1:500). These compositions are particularly effective for controlling plant diseases caused by Oomycete fungal plant pathogens. Of note are compositions where the weight ratio of component (a) to component (b) is from about 125:1 to about 1:125 (e.g., from about 25:1 to about 1:25). Of particular note are compositions where the weight ratio of component (a) to component (b) is from about 5:1 to 1:5.

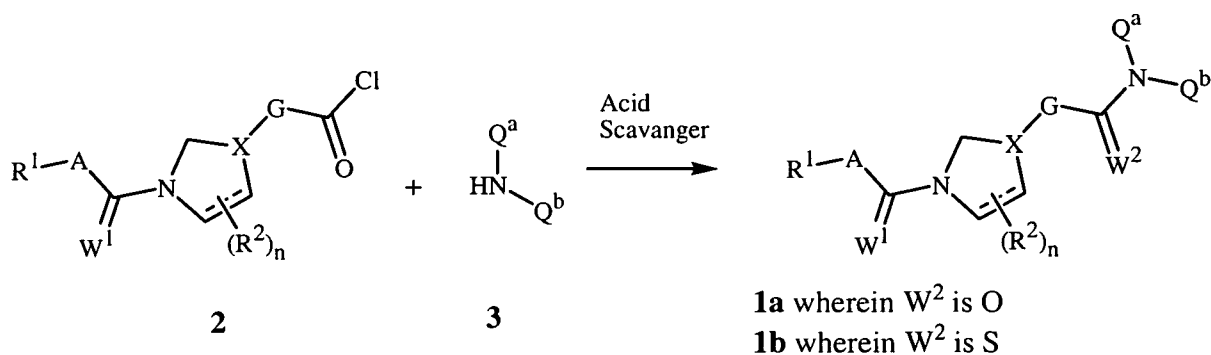
The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1-22. The definitions of R¹, R², A, W¹, W², X, G, Q^a, Q^b and n in the compounds of Formulae 1-46 below are as defined above in the Summary of the Invention unless otherwise noted. Compounds of Formulae 1a-1k are various subsets of the compounds of Formula 1. Compounds of Formulae 19a-19b are

various subsets of the compounds of Formula 19. Compounds of Formulae 23a, 26a and 27a are various subsets of the compounds of Formula 23, 26 and 27 respectively.

As shown in Scheme 1, compounds of Formula 1 can be prepared by coupling of an acid chloride of Formula 2 with an amine of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine. Other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound *N,N*-dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^1 is O, the conversion of W^2 from O to S may be accompanied by conversion of W^1 from O to S. The amines of Formula 3 are known or can be prepared by methods known to one skilled in the art. The amines of Formula 3 wherein Q^a is an alkyl group can be prepared by either first heating a primary amine Q^a-NH_2 with alkyl formate followed by lithium aluminum hydride reduction or by a sodium borohydride reduction of *N*-alkyl imines prepared by treating $Q^a(=O)$ with an alkylamine.

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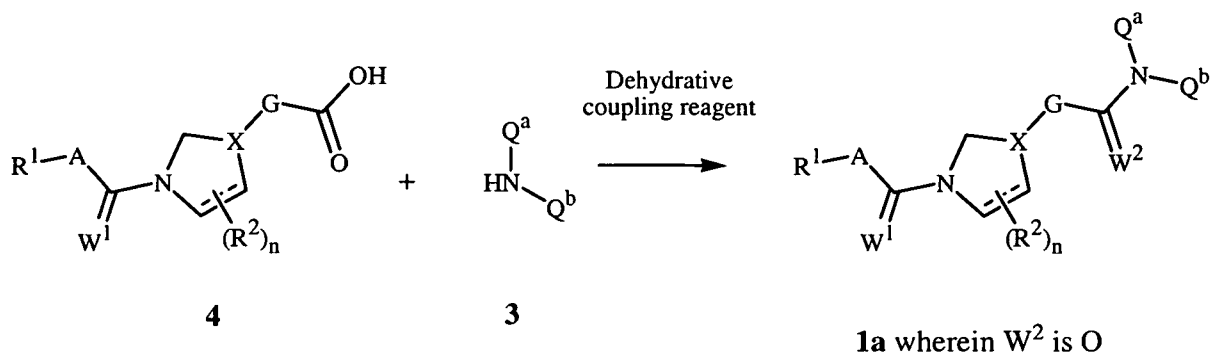
Scheme 1



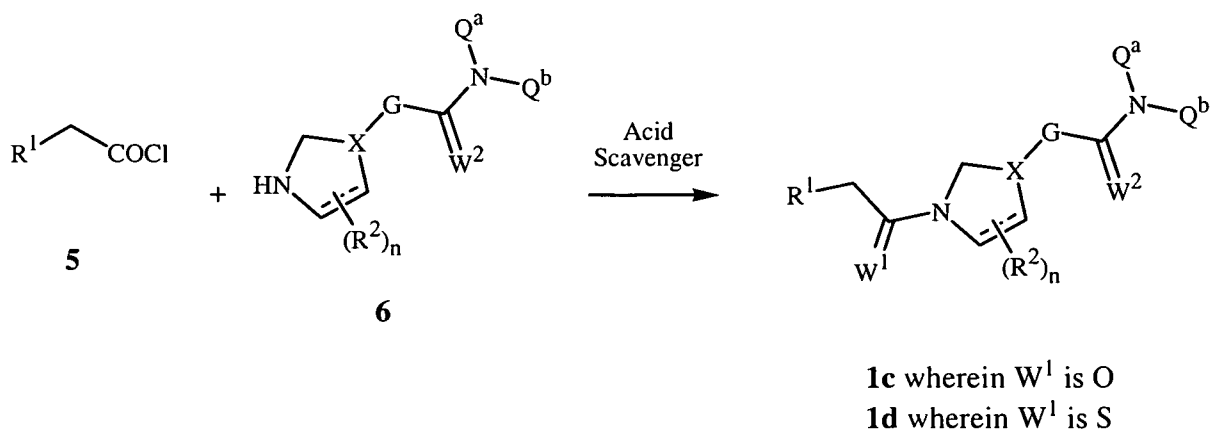
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An alternate procedure for the preparation of compounds of Formula 1a is depicted in Scheme 2 and involves coupling of an acid of Formula 4 with an amine of Formula 3 in the presence of a dehydrative coupling reagent such as dicyclohexylcarbodiimide (DCC), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDC) or *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HBTU). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. These reactions are typically run at 0–40 °C in a solvent such as dichloromethane or acetonitrile in the presence of a base such as triethylamine or diisopropylethylamine.

57

Scheme 2

As shown in Scheme 3, compounds of Formula **1c** wherein A is methylene can be prepared by coupling of an acid chloride of Formula **5** with an amine of Formula **6** in the presence of an acid scavenger, as described for Scheme 1 above. Acid salts of the Formula **6** amines can also be used in this reaction, provided at least 2 equivalents of the acid scavenger is present, as known to one skilled in the art. Typical acids used to form salts with amines include hydrochloric acid, oxalic acid and trifluoroacetic acid. In a subsequent step, amides of Formula **1c** can be converted to thioamides of Formula **1d** using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^2 is O, that the conversion of W^1 from O to S may not be selective.

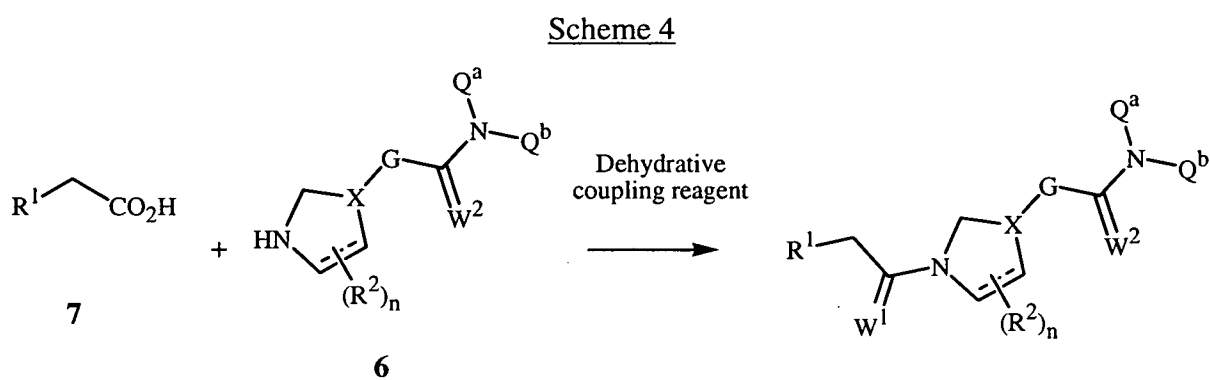
Scheme 3

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As shown in Scheme 4, compounds of Formula **1c** can also be prepared by coupling of an acid of Formula **7** with an amine of Formula **6** (or its acid salt) in the presence of a dehydrative coupling reagent, analogous to the procedure described in Scheme 2 above. The acids of Formula **7** are known or can be prepared by methods known to one skilled in the art. For example, R^1CH_2COOH where R^1 is a heteroaromatic ring linked through nitrogen can be prepared by reacting the corresponding R^1H compound with a haloacetic acid or ester in

the presence of base; see, for example, US Patent 4,084,955. R^1CH_2COOH where R^1 is a phenyl or a heteroaromatic ring linked through carbon can be prepared from the corresponding R^1CH_2 -halogen compounds by displacement of the halogen with cyanide followed by hydrolysis; see, for example, K. Adachi, *Yuki Gosei Kagaku Kyokaiishi* **1969**, 27, 875-876; from $R^1C(=O)CH_3$ by the Willgerodt-Kindler reaction; see, for example, H. R. Darabi et al., *Tetrahedron Letters* **1999**, 40, 7549-7552 and M. M. Alam and S. R. Adapa, *Synthetic Communications* **2003**, 33, 59-63 and references cited therein; or from R^1Br or R^1I by palladium catalyzed coupling with *t*-butyl acetate or diethyl malonate followed by ester hydrolysis; see, for example, W. A. Moradi and S. L. Buchwald, *J. Am. Chem. Soc.* **2001**, 123, 7996-8002 and J. F. Hartwig et al., *J. Am. Chem. Soc.* **2002**, 124, 12557-12565.



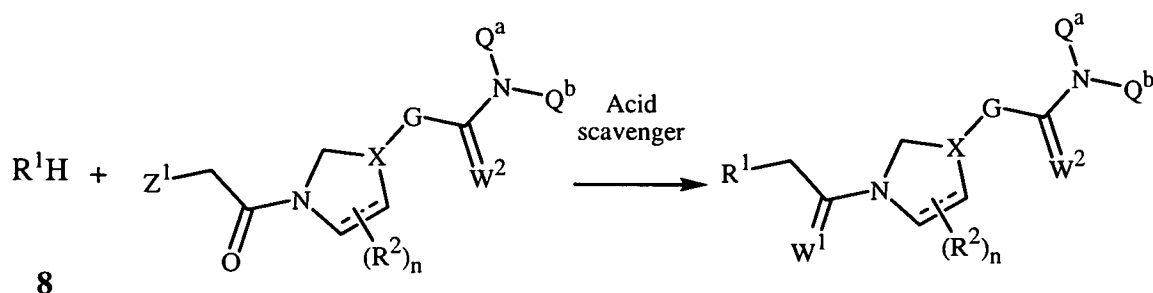
1c wherein W^1 is O

The synthetic procedures of Schemes 1, 2, 3 and 4 are only representative examples of useful methods for the preparation of Formula 1 compounds, as the synthetic literature is extensive for amide forming reactions. One skilled in the art will recognize that compound of Formula 1 where Q^a is other than H or OH can be prepared from compounds of Formula 1 where Q^a is H by standard alkylation or acylation methods. One skilled in the art will also realize that acid chlorides of Formula 2 and Formula 5 may be prepared from acids of Formula 4 and Formula 7, respectively, by numerous well-known methods.

Certain compounds of Formula 1c where R^1 is a 5-membered nitrogen containing heteroaromatic ring linked through the nitrogen atom can be prepared by reaction of the parent heterocycle of Formula 8 and a haloacetamide of Formula 9 as shown in Scheme 5. The reaction is carried out in the presence of a base such as sodium hydride or potassium carbonate in a solvent such as tetrahydrofuran, *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. The haloacetamide of Formula 9 can be prepared by the reaction of an amine of Formula 6 with a haloacetyl halide or a haloacetic acid or its anhydride, analogous to the amide-forming reactions described in Schemes 3 and 4, respectively.

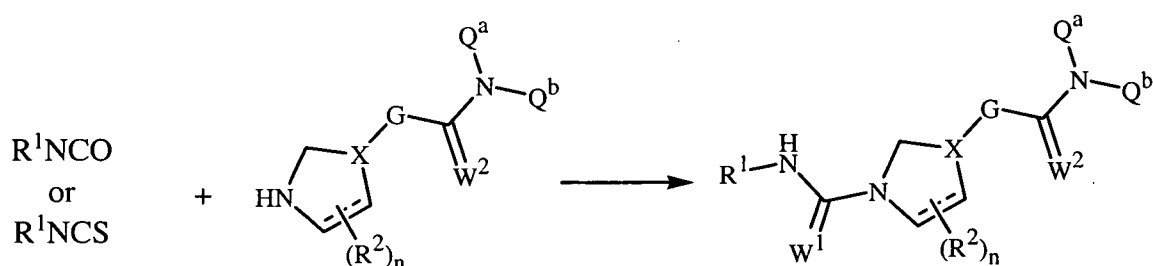
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Scheme 5

9 wherein Z¹ is Cl, Br or I1c wherein W¹ is O

Compounds of Formula 1e and 1f wherein A is NH, where R¹ is phenyl or a 5- or 6-membered heteroaromatic ring linked via a carbon atom, can be prepared by reaction of an isocyanate or an isothiocyanate of Formula 10 with an amine of Formula 6, respectively, as depicted in Scheme 6. This reaction is typically carried out at an ambient temperature in an aprotic solvent such as dichloromethane or acetonitrile.

Scheme 6



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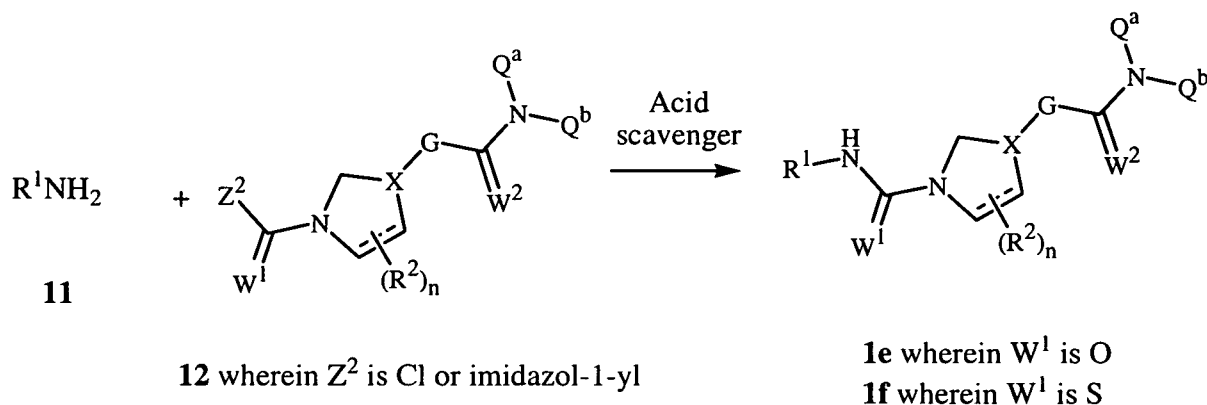
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1e wherein W¹ is O1f wherein W¹ is S

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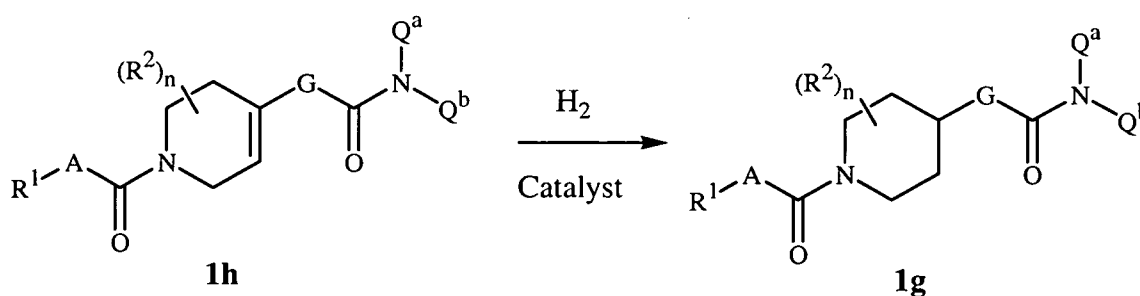
Compounds of Formula 1e and 1f can also be prepared by the reaction of an amine of Formula 11 with a carbamoyl or thiocarbamoyl chloride or imidazole of Formula 12 as shown in Scheme 7. When Z² is chlorine, the reaction is typically carried out in the presence of an acid scavenger. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine. Other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. The carbamoyl or thiocarbamoyl chlorides of Formula 12 (wherein Z² is Cl) can be prepared from amines of Formula 6 by treatment with phosgene or thiophosgene, respectively, or their equivalents, while carbamoyl or thiocarbamoyl imidazoles of Formula 12 (wherein Z² is imidazol-1-yl) can be prepared from amines of Formula 6 by treatment with 1,1'-carbonyldiimidazole or 1,1'-thiocarbonyldiimidazole, respectively, according to general methods known to one skilled in the art.

Scheme 7



Certain compounds of Formula 1g where G is linked to the piperidine ring via a carbon atom can be prepared from compounds of Formula 1h by catalytic hydrogenation as shown in Scheme 8. Typical conditions involve exposing a compound of Formula 1h to hydrogen gas at a pressure of 14 to 100 psi (96 to 689 kPa), preferably 40 to 50 psi (276 to 345 kPa), in the presence of a metal catalyst such as palladium supported on an inert carrier such as activated carbon, in a weight ratio of 5 to 20 % of metal to carrier, suspended in a solvent such as ethanol at an ambient temperature. The synthetic literature on these types of reductions is extensive; see, for example, *Catalytic Hydrogenation*, L. Cerveny, Ed., Elsevier Science, Amsterdam, 1986. One skilled in the art will recognize that certain functionalities that may be present in compounds of Formula 1h can also be reduced under catalytic hydrogenation conditions, requiring a suitable choice of catalyst and conditions.

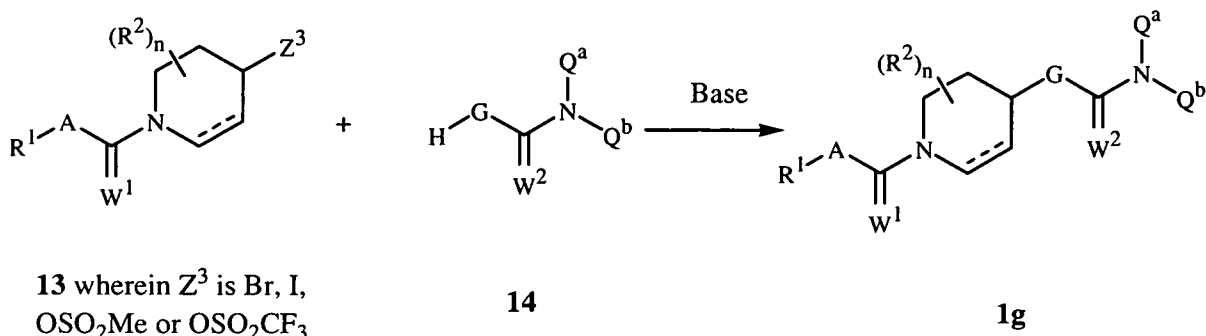
Scheme 8



Certain compounds of Formula 1g where G is linked to the piperidine ring via a nitrogen atom can be prepared by displacement of an appropriate leaving group Z^3 on a piperidine of Formula 13 with a nitrogen-containing heterocycle of Formula 14 in the presence of a base as depicted in Scheme 9. Suitable bases include sodium hydride or potassium carbonate and the reaction is carried out in a solvent such as *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. Suitable leaving groups in the piperidines of Formula 13 include bromine, iodine, mesylate (OMs, OS(O)₂CH₃), triflate (OS(O)₂CF₃)

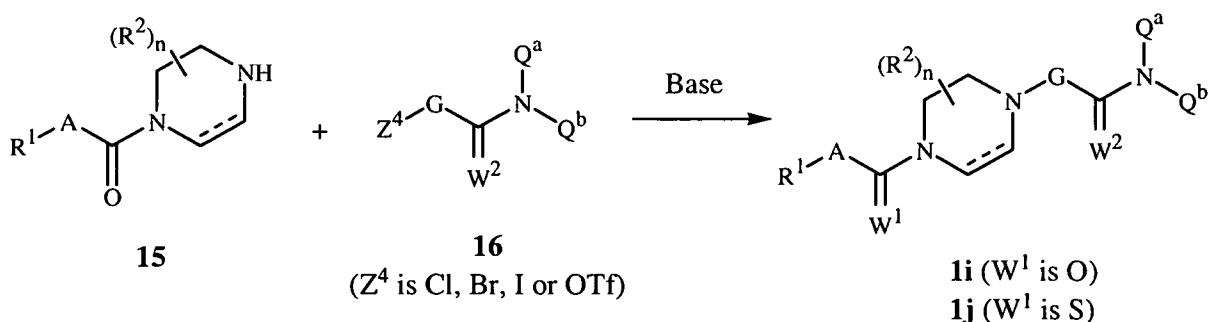
and the like, and can be prepared from the corresponding piperidine compounds of Formula 13 where Z^3 is OH, as known to one skilled in the art.

Scheme 9



- 5 Compounds of Formula 1i can be prepared by reaction of a piperazine of Formula 15 with a heterocyclic halide or triflate (OTf, OS(O)₂CF₃) of Formula 16 as shown in Scheme 10. The reaction is carried out in the presence of a base such as potassium carbonate in a solvent such as dimethyl sulfoxide, *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. In a subsequent step, compounds of Formula 1i can be converted to compounds of Formula 1j using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^2 is O, that the conversion of W^1 from O to S may not be selective. The compounds of Formula 16 where Z^4 is triflate can be prepared from the corresponding compounds of Formula 16 where Z^4 is OH by methods known to one skilled in the art.
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- 15

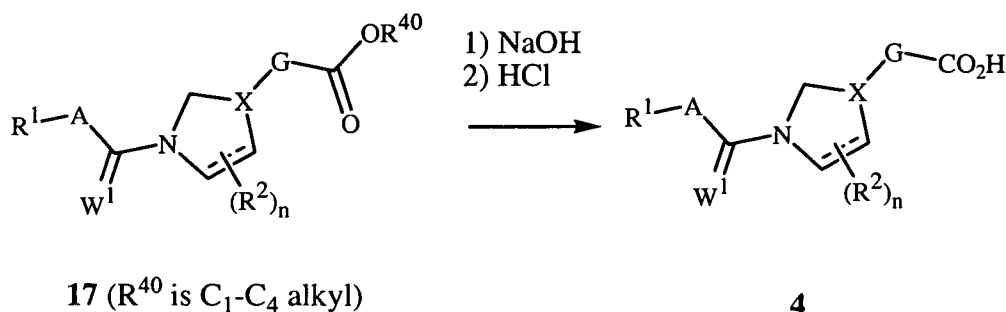
Scheme 10



- 20 The acid compounds of Formula 4 can be prepared by saponification of the corresponding ester compounds of Formula 17 using an alkali metal hydroxide such as LiOH, NaOH or KOH usually in the presence of water along with a co-solvent such as tetrahydrofuran and/or methanol to aid solubility of the ester as shown in Scheme 11. The reaction is typically run at 0 to 60 °C with the resultant carboxylate salt being converted to

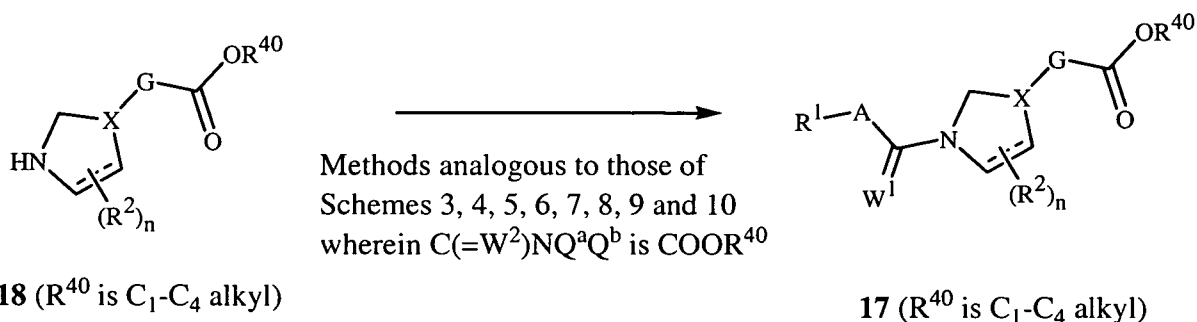
the free acid by addition of a slight excess of a mineral acid such as hydrochloric acid or sulfuric acid.

Scheme 11



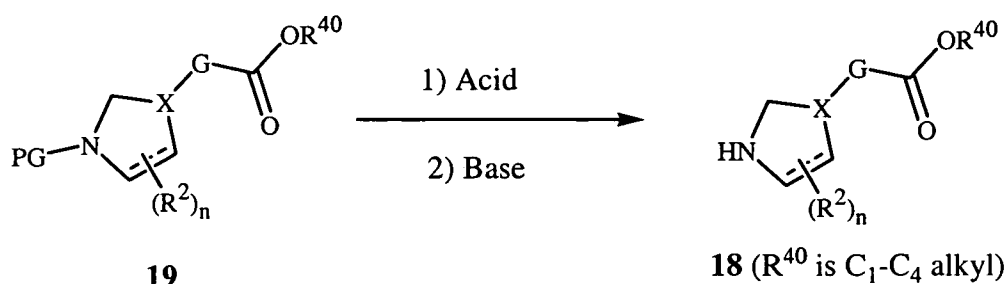
- 5 As outlined in Scheme 12, the ester compounds of Formula 17 can be prepared from the amine compounds of Formula 18 by methods analogous to those described above for the preparation of compounds of Formula 1 as outlined in Scheme 12. One skilled in the art will recognize that analogous methods to those of Schemes 3, 4, 5, 6, 7, 8, 9 and 10, wherein the group $COOR^{40}$ where R^{40} is C_1 - C_4 alkyl is substituted for the group $C(=W^2)NQ^aQ^b$ can be used to provide intermediates of Formula 17 useful for the preparation of compounds of Formula 1.
- 10

Scheme 12



- 15 The amine compounds of Formula 18 can be prepared from the protected amine compounds of Formula 19 where PG is an acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group as shown in Scheme 13. The protecting group is removed by treating with an acid such as trifluoroacetic acid or gaseous HCl in the presence of a solvent such as dichloromethane or dioxane. The amine can be isolated as its acid salt or converted in a subsequent step to the free amine by treatment with
- 20 a base, as known to one skilled in the art.

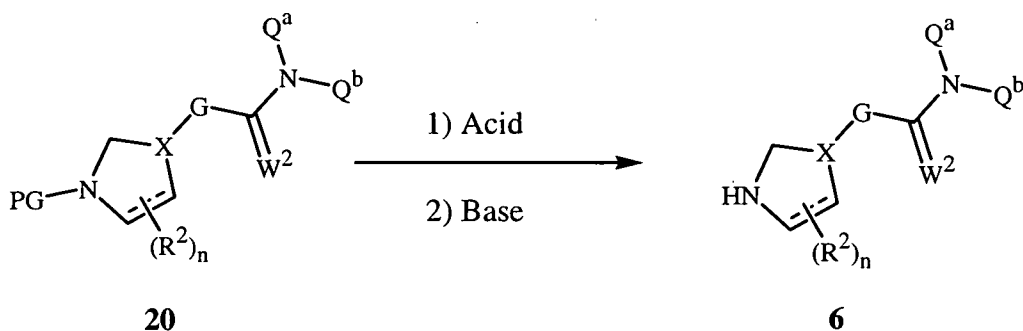
Scheme 13



wherein R⁴⁰ is C₁-C₄ alkyl; PG is an acid-labile protecting group.

- 5 The amines of Formula 6 can be prepared from the protected amines of Formula 20 where PG is an acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group as depicted in Scheme 14 by methods analogous to those described above for the preparation of compounds of Formula 18 as outlined in Scheme 13.

Scheme 14

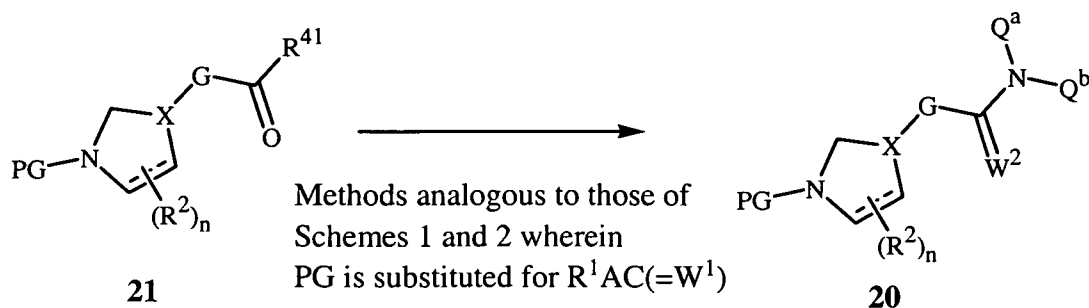


10

wherein PG is an acid-labile protecting group.

- The protected amines of Formula 20 can be prepared from the acid or acid chloride compounds of Formula 21 by methods analogous to those described above for the preparation of compounds of Formula 1 as outlined in Scheme 15. One skilled in the art will recognize that in Schemes 1, 2, 8, 9 and 10, the group R¹AC(=W¹) can analogously be replaced by PG where PG is a standard, acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group to give useful intermediates of Formula 20 for the preparation of compounds of Formula 1. The compounds of Formula 21 where R⁴¹ is OH can be obtained from compounds of Formula 19 by saponification, analogous to methods described for Scheme 11.
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- 20

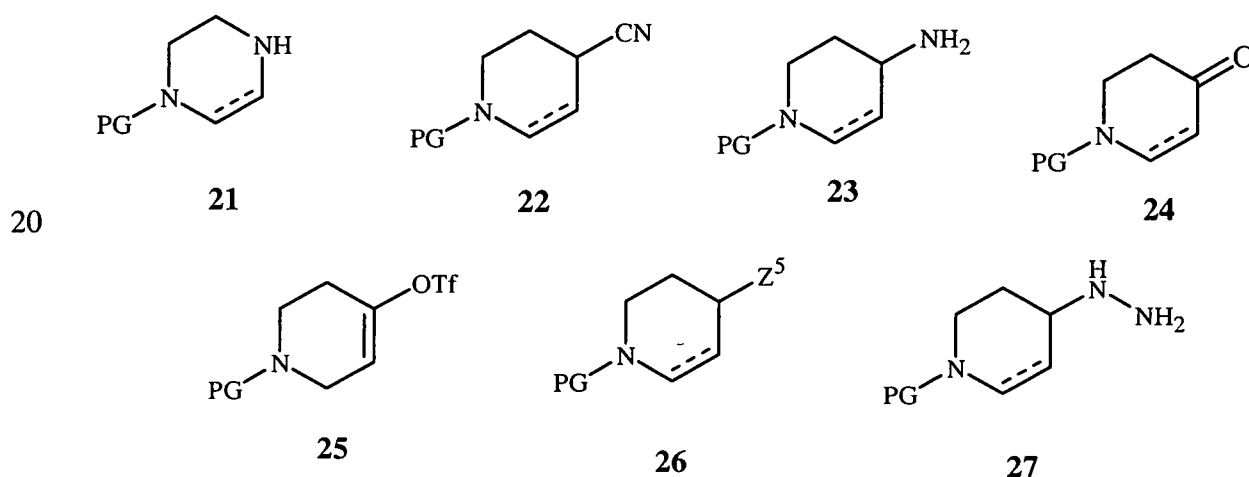
Scheme 15

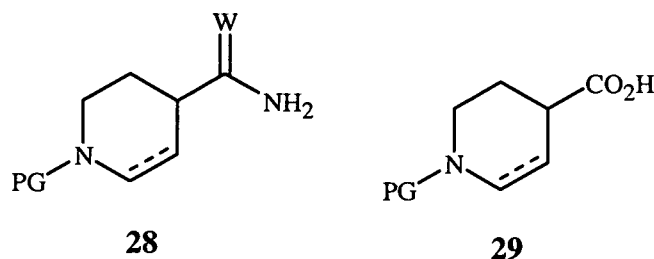


wherein R⁴¹ is Cl or OH; PG is an acid-labile protecting group.

- 5 Many compounds of Formula 19 are known or can be prepared by methods known to one skilled in the art starting with the intermediates such as, but not limited to, those depicted in Exhibit 1. The synthetic literature is extensive for the formation of 5-membered heteroaromatic rings or 5-membered partially saturated heterocyclic rings (for example, G-1 through G-55); see, for example, *Comprehensive Heterocyclic Chemistry*, Vol. 4-6, A. R. Katritzky and C. W. Rees editors, Pergamon Press, New York, 1984; *Comprehensive Heterocyclic Chemistry II*, Vol. 2-4, A. R. Katritzky, C. W. Rees, and E. F. Scriven editors, Pergamon Press, New York, 1996; and the series, *The Chemistry of Heterocyclic Compounds*, E. C. Taylor, editor, Wiley, New York. The use of intermediates of Formula 26 to prepare organo zinc reagents for use in cross coupling reactions with aromatic rings and ring systems has been described, see, for example, S. Bellotte, *Synlett* **1998**, 379-380, and M. Nakamura et al., *Synlett* **2005**, 1794-1798.

Exhibit 1

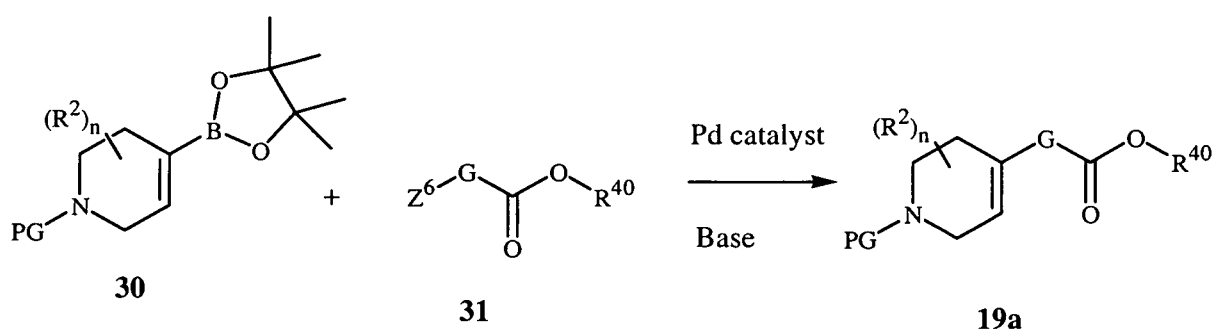




wherein Z^5 is Br, I, OH, OMs, or OTf; W is O or S; and PG is an acid-labile protecting group such as *t*-Boc or Cbz.

- 5 Additionally, the compounds of Formula **19a** where G is linked to the tetrahydropyridine ring via a carbon atom can be prepared by reacting the cyclic boronates of Formula **30** with the heteroaromatic compounds of Formula **31** where Z^6 is a halogen, preferably Br or I, or a triflate group as shown in Scheme 16. The reaction is carried out in the presence of a catalytic amount of palladium such as PdCl₂dppf (PdCl₂-1,1'-bis(diphenylphosphino)ferrocene) and a base such as potassium acetate in a solvent such as dioxane at 80 to 100 °C, similar to that reported for the coupling of boronates of Formula **30** with aryl halides and triflates by P. R. Eastwood, *Tetrahedron Letters* **2000**, *41*, 3705-3708. The use of palladium in the synthesis of heterocycles is well known; see, for example, J. J. Li and G. W. Gribble, "*Palladium in Heterocyclic Chemistry*", Pergamon Press, Amsterdam, 2000. There are many variations of catalyst type, base and reaction conditions which can be used as known to one skilled in the art. Many compounds of Formula **31** where Y is halogen are known or can be prepared by methods known to one skilled in the art.

Scheme 16

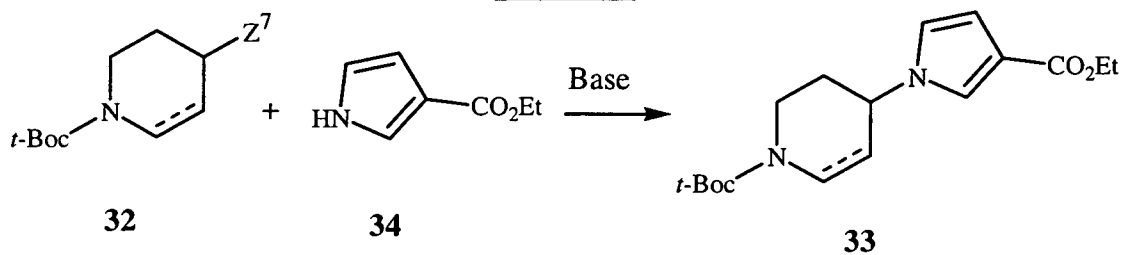


wherein PG is an acid-labile protecting group; Z^6 is Cl, Br, I or OTf; R^{40} is C₁-C₄ alkyl.

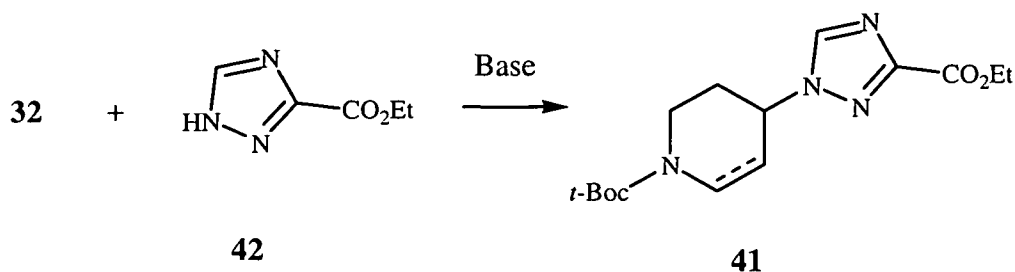
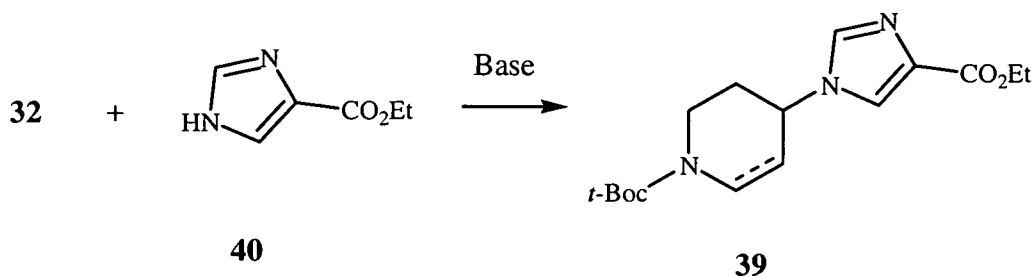
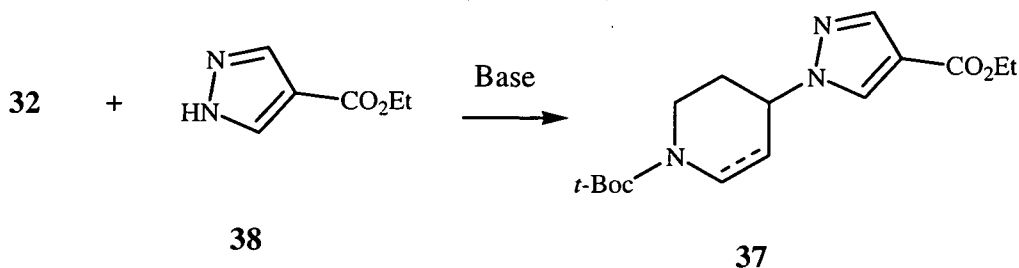
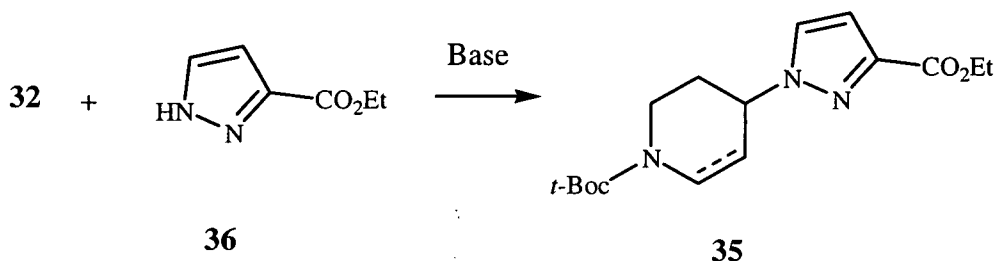
- Preparation of the *N*-linked analogs wherein G is G-25 through G-30 may be carried out by displacement of an appropriate leaving group on the piperidine ring with the desired heterocycle ester as outlined in Scheme 17 using the procedures described in *Bioorganic & Medicinal Chemistry Letters* **2001**, *11*(18), 2475-2479; *Bioorganic & Medicinal Chemistry Letters* **2002**, *12*(12), 1683-1686; *Tetrahedron* **2002**, *58*(23), 4707-4716 and PCT Patent Application Publication WO 2004/007499. Alternatively *N*-linked analogs can be prepared

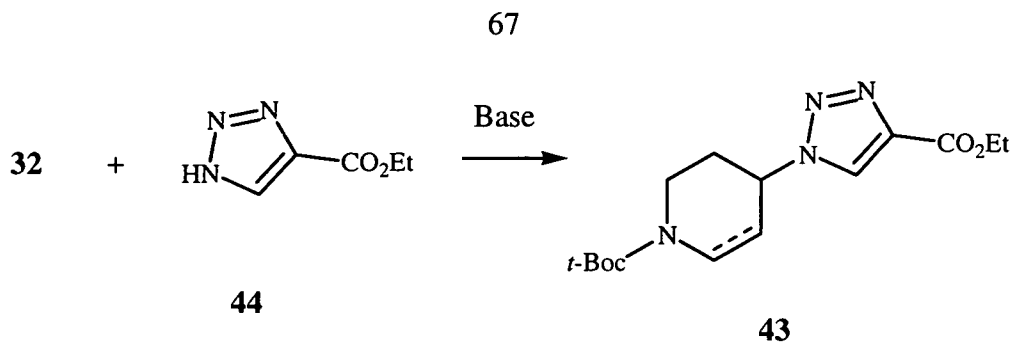
from hydroxypiperidines and the appropriate heterocycle via a Mitsunobu reaction, for examples of reactions of this type see: *J. Med. Chem.* **2004**, 47(27), 6921-6934. Preparation of the heterocycle esters are described in the following references: *Synthesis* **1990**, 753-754; *Synthesis* **1995**, 1491-1492; *J. Het. Chem.* **1993**, 30(4), 865-872; *Tetrahedron* **1986**, 42(8), 2351-2358; *J. Het. Chem.* **1988**, 25(2), 651-654; and *Helv. Chem. Acta* **1996**, 79(2), 449-453.

Scheme 17



Z^7 is Br, I or OMs

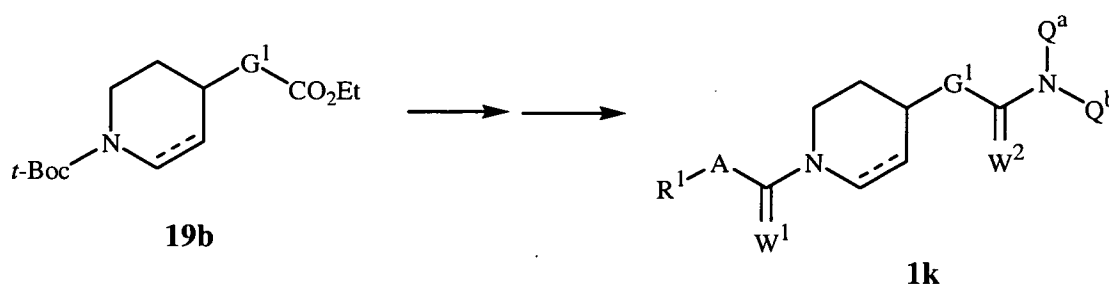




Removal of the *t*-BOC group of the compound of Formula **19b** and saponification of the ester followed by amide formation with the appropriate acid chloride or amine under standard conditions yields the final compound of Formula **1k** as shown in Scheme 18.

5

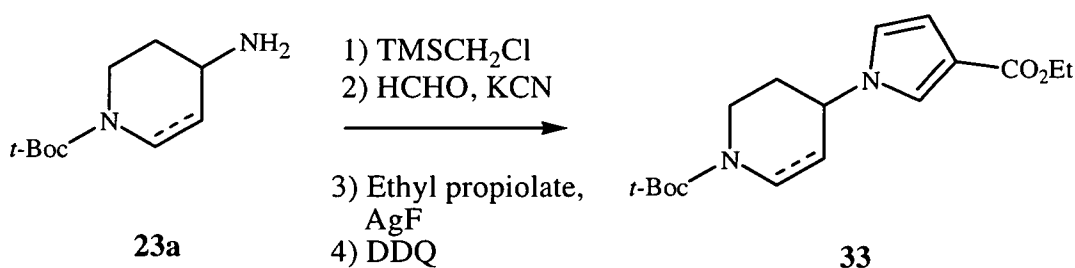
Scheme 18



G^1 is G-25 through G-30

10 The compound of Formula **33** can be obtained by the route shown in Scheme 19 from the amino piperidine of Formula **23a**; see, for example, *Bioorganic & Medicinal Chemistry Letters* **2001**, 11(18), 2475-2479 and *J. Org. Chem.* **1985**, 50(21), 4006-4014.

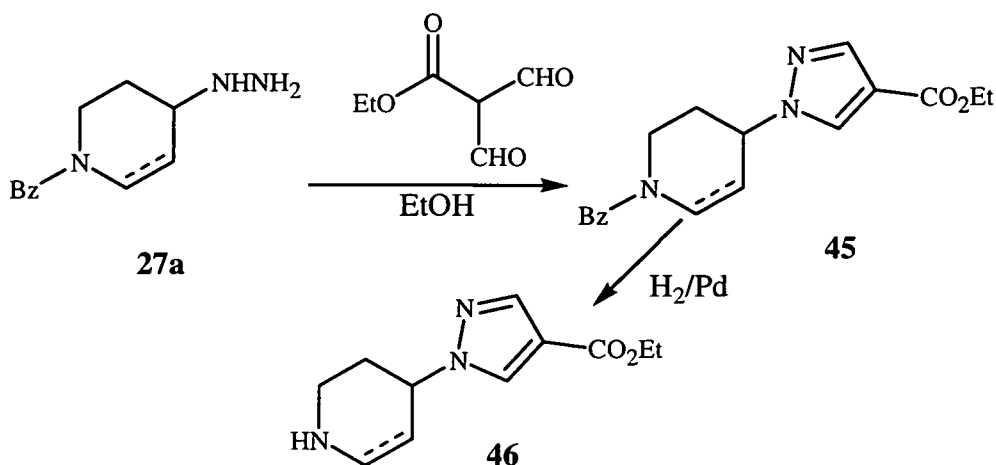
Scheme 19



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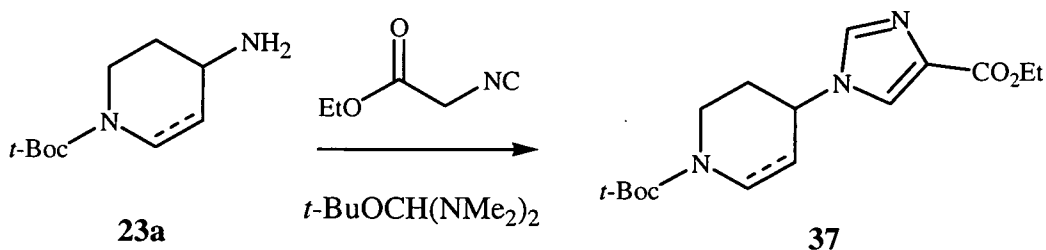
The compound of Formula **46** can also be prepared from the *N*-benzyl hydrazino piperidine of Formula **27a** as shown in Scheme 20; see, for example, *Bioorganic & Medicinal Chemistry Letters* **1999**, 9(9), 1285-1290 and *J. Het. Chem.*, **1993**, 30(4), 865-872.

Scheme 20



- 5 The compound of Formula 37 can also be obtained by the route shown in Scheme 21 from the amino piperidine of Formula 23a; see, for example, *Bioorganic & Medicinal Chemistry Letters* **2001**, 11(18), 2475-2479 and *Organic Letters* **2002**, 4(23), 4133-4134.

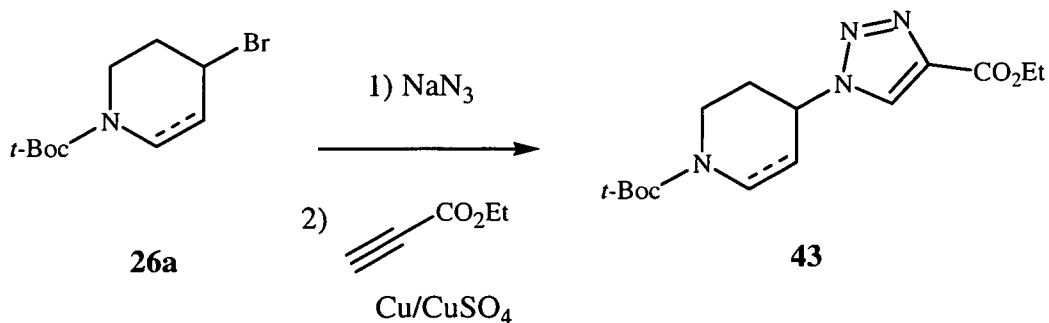
Scheme 21



- 10 The compound of Formula 43 is also available from the 4-bromo piperidine of Formula 26a as shown in Scheme 22; see, for example, *Bioorganic & Medicinal Chemistry Letters* **1999**, 9(9), 1285-1290, by initial conversion to the azide followed by cycloaddition with ethyl propiolate according to the general method of *Organic Letters* **2004**, 6(23), 4223-4225.

15

Scheme 22



It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula 1 may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula 1. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula 1.

One skilled in the art will also recognize that compounds of Formula 1 and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Steps in the following Examples illustrate a procedure for each step in an overall synthetic transformation, and the starting material for each step may not have necessarily been prepared by a particular preparative run whose procedure is described in other Examples or Steps. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; "s" means singlet, "d" means doublet, "t" means triplet, "m" means multiplet, "q" means quartet, "br" means "broad", "br s" means broad singlet, "br d" means broad doublet, "br m" means broad multiplet.

EXAMPLE 1

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-N-methyl-N-[(1R)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 58)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate

To a suspension of 1,1-dimethylethyl 4-(aminothioxomethyl)-1-tetrahydropyridine-carboxylate (30 g, 123 mmol) in ethanol (180 mL), cooled to 0 °C in an ice bath, was added dropwise a solution of ethyl bromopyruvate (15.7 mL, 125 mmol) in ethanol (180 mL). The

ice bath was removed, and the mixture was stirred at ambient temperature overnight. Triethylamine (30 mL) was added, and the mixture was concentrated under reduced pressure, diluted with ethyl acetate, washed with brine, dried over magnesium sulfate and concentrated under reduced pressure to give 31 g of a brown oil, which solidified on standing. A portion of this crude product (8.1 g) was heated with 200 mL of ether, and the ether was then decanted. This was repeated a second time, and the combined ether solutions were evaporated under reduced pressure to give 7.6 g of the title compound as a yellow solid.

$^1\text{H NMR}$ (CDCl_3) δ 1.40 (t, 3H), 1.46 (s, 9H), 1.7 (m, 2H), 2.1 (m, 2H), 2.85 (m, 2H), 3.25 (m, 1H), 4.2 (m, 2H), 4.42 (q, 2H), 8.08 (s, 1H).

Step B: Preparation of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidine-carboxylate

To a solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step A) (3.4 g, 10 mmol) in 20 mL of methanol and 20 mL of tetrahydrofuran was added 1 N aqueous NaOH solution (15 mL), and the resulting mixture was stirred at ambient temperature for 1.5 h. The reaction mixture was concentrated under reduced pressure, diluted with water and acidified with excess 20 % aqueous citric acid solution to give a gummy precipitate. Ethyl acetate (30 mL) was added to dissolve the precipitate, the aqueous layer was saturated with NaCl, and the reaction mixture was extracted with ethyl acetate. The extract was dried over MgSO_4 and concentrated under reduced pressure to give 3.09 g of the title compound as a tan solid.

$^1\text{H NMR}$ (CDCl_3) δ 1.47 (s, 9H), 1.75 (m, 2H), 2.13 (m, 2H), 2.88 (m, 2H), 3.2 (m, 1H), 4.22 (m, 2H), 8.19 (s, 1H).

Step C: Preparation of (αR)- α -ethyl-*N*-methylbenzenemethanamine (alternatively named (α, R)- α -ethyl-*N*-methylbenzenemethanamine)

A solution of (*R*)-(+)-1-phenylpropylamine (9.19 g, 68.1 mmol) in 90 mL of dichloromethane was cooled to $-30\text{ }^\circ\text{C}$ and treated with triethylamine (11.4 mL, 81.7 mmol) followed by dropwise addition of ethyl chloroformate (7.8 mL, 81.7 mmol). The reaction mixture was warmed to ambient temperature, stirred for 1 h, poured into 100 mL of 1 N aqueous hydrochloric acid and extracted with dichloromethane. The extract was washed with saturated aqueous sodium bicarbonate solution, dried over MgSO_4 and concentrated under reduced pressure to give 14.2 g of a colorless oil. The oil was dissolved in 15 mL of tetrahydrofuran and added dropwise to a suspension of lithium aluminum hydride (7.82 g, 206 mmol) in 25 mL of tetrahydrofuran that had been cooled to $0\text{ }^\circ\text{C}$. The reaction mixture was refluxed overnight, cooled to $0\text{ }^\circ\text{C}$ and quenched by the sequential addition of 8 mL of water, 8 mL of 15 % aqueous NaOH solution and 24 mL of water. The mixture was filtered through Celite[®], diatomaceous filter aid, the resulting solid was washed with hot ethyl

acetate, and the combined filtrates and washings were concentrated under reduced pressure to give 7.06 g of the title compound as a yellow oil.

^1H NMR (CDCl_3) δ 0.81 (t, 3H), 1.4 (br s, 1H), 1.55-1.85 (m, 2H), 2.28 (s, 3H), 3.37 (m, 1H), 7.2-7.4 (m, 5H).

5 Step D: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1R)-1-phenylpropyl]amino]-carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

10 1-(1,1-Dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (3.1 g, 9.9 mmol) was suspended in 10 mL of dry acetonitrile and treated with triethylamine (3.0 mL, 21 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (3.98 g, 10.5 mmol) followed by (αR)- α -ethyl-*N*-methylbenzenemethanamine (i.e. the product of Example 1, Step C) (10 mmol, 1.50 g). The mixture was stirred at ambient temperature for 4 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over MgSO_4 and concentrated under reduced pressure to give 5.1 g of a dark oil.

15 Purification by silica gel chromatography using 25 % ethyl acetate in hexanes gave 3.6 g of the title compound as a yellow oil.

^1H NMR (CDCl_3) δ 0.9-1.1 (br m, 3H), 1.46 (s, 9H), 1.6-1.8 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.6-6.0 (m, 1H), 7.25-7.45 (m, 5H), 7.8 (s, 1H).

20 Step E: Preparation of *N*-methyl-*N*-[(1R)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1R)-1-phenylpropyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step D) (3.6 g, 8.1 mmol) was dissolved in 100 mL of ether and treated with 20 mL of 4 N HCl in dioxane. The reaction mixture was stirred at ambient temperature for 4 h during which time a precipitate formed and was collected. The mother liquid was concentrated under reduced pressure, treated with 20 mL of 4 N HCl in dioxane, stirred at ambient temperature for 1 h and concentrated under reduced pressure. The residue was combined with the previously collected precipitate, dissolved in water and washed with ether. The aqueous layer was basified with 1 N aqueous NaOH solution and extracted with ethyl acetate. The extract was dried over MgSO_4 and concentrated under reduced pressure to give 2.33 g of the title compound as an orange oil suitable for use in subsequent reactions.

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^1H NMR (CDCl_3) δ 0.9-1.1 (br m, 3H), 1.75 (m, 2H), 1.9-2.2 (m, 5H), 2.7-3.0 (m, 5H), 5.7-6.0 (m, 1H), 7.25-7.45 (m, 5H), 7.8 (s, 1H).

Step F: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (206 mg, 0.6 mmol) was dissolved in 4 mL of dry dichloromethane. To this reaction mixture was added triethylamine (90 μ L, 0.65 mmol), 2,5-dimethylbenzeneacetic acid (98 mg, 0.6 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (125 mg, 0.65 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The mixture was shaken overnight at ambient temperature, passed through a 5 mL capacity ChemElute™, diatomaceous filter tube, pretreated with 3 mL of 1 N aqueous hydrochloric acid solution and eluted with 2 column volumes of dichloromethane. The dichloromethane solution was concentrated under reduced pressure, and the residue was purified by silica gel chromatography using acetone to give 276 mg of the title product, a compound of the present invention, as a light yellow oil.

¹H NMR (CDCl₃) δ 0.9-1.1 (br m, 3H), 1.5-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.23 (s, 3H), 2.26 (s, 3H), 2.7-3.0 (m, 4H), 3.1-3.3 (m, 2H), 3.65 (s, 2H), 3.8 (br m, 1H), 4.7 (br m, 1H), 5.6-6.0 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m, 5H), 7.8 (s, 1H).

EXAMPLE 2

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 117)

Step A: Preparation of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-acetic acid

A mixture of 3-methyl-5-trifluoromethylpyrazole (10.0 g, 66.7 mmol), ethyl bromoacetate (11.1 mL, 100 mmol) and potassium carbonate (18.4 g, 133 mmol) in 80 mL of *N,N*-dimethylformamide was stirred at ambient temperature overnight. The orange mixture was filtered, diluted with ethyl acetate, washed with water and brine, dried over MgSO₄ and concentrated under reduced pressure to give 15.7 g of the pyrazole ester. The ester, in 100 mL of tetrahydrofuran, was treated with 11 mL of a 50 % aqueous NaOH solution in 90 mL of water and stirred at ambient temperature overnight. The tetrahydrofuran was removed under reduced pressure and the aqueous solution was washed with ether and acidified with conc. HCl to pH 1 to give a precipitate. The precipitate was filtered, washed with water and dried to give 12.1 g of the title compound as a white solid.

¹H NMR (Acetone-*d*₆) δ 2.35 (s, 3H), 5.07 (s, 2H), 6.45 (s, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (150 mg, 0.44 mmol) was dissolved in 3 mL of dry dichloromethane. To this solution was added triethylamine (30 μ L, 0.22 mmol), 5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-acetic acid (83 mg, 0.4 mmol), 1-(3-dimethylaminopropyl)-

3-ethylcarbodiimide hydrochloride (84 mg, 0.44 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The reaction mixture was shaken overnight at ambient temperature, concentrated under reduced pressure and passed through a silica gel column (2 g) using 1:1 hexanes/ethyl acetate as eluant. The dichloromethane/hexanes/ethyl acetate solution was concentrated under reduced pressure, and the residue was purified by preparative reverse phase High Pressure Liquid Chromatography (HPLC) using a solvent gradient going from 100 % water to 100 % acetonitrile to give 85 mg of the title product, a compound of the present invention, as an oil.

^1H NMR (CDCl_3) δ 0.9-1.1 (br m, 3H), 1.7-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.31 (s, 3H), 2.7-3.0 (m, 4H), 3.2-3.4 (m, 2H), 3.9-4.6 (br m, 2H), 4.96 (br s, 2H), 5.6-6.0 (m, 1H), 6.3 (s, 1H) 7.20-7.45 (m, 5H), 7.8 (s, 1H).

EXAMPLE 3

Preparation of 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 110)

Step A: Preparation of 2,5-dichlorobenzeneacetic acid

A mixture of 2,5-dichlorobenzyl bromide (5.4 g, 22.5 mmol) in 16 mL of ethanol and potassium cyanide (1.63 g, 25 mmol) in 4 mL of water was heated at 80 °C overnight, then cooled, and the solids were filtered and washed with ethanol to give 3.5 g of 2,5-dichlorophenylacetonitrile as a white powder melting at 89-91 °C. The nitrile was suspended in 20 mL of ethanol, and 20 mL of a 25 % aqueous NaOH solution was added. The mixture was heated in a CEM Explore™ microwave reactor at 140 °C for 30 minutes, then cooled, poured into ice water and acidified to pH 1 with concentrated HCl to give a precipitate. The precipitate was filtered, washed with water and dried in a vacuum oven at 90 °C for 5 h to give the title compound as a white powder.

^1H NMR (CDCl_3) δ 3.79 (s, 2H), 7.2-7.4 (m, 3H).

Step B: Preparation of 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (171 mg, 0.5 mmol) was dissolved in 3 mL of dry dichloromethane. To this was added triethylamine (35 μL , 0.25 mmol), 2,5-dichlorobenzeneacetic acid (102 mg, 0.5 mmol) (i.e. the product of Example 3, Step A), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (100 mg, 0.56 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The mixture was shaken overnight at ambient temperature, diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over MgSO_4 and concentrated under reduced pressure. The residue was purified by silica gel

chromatography using ethyl acetate to give 170 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 0.9-1.1 (br m, 3H), 1.65-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 4H), 3.25 (m, 2H), 3.8 (s, 2H), 3.9 (br m, 1H), 4.6 (br m, 1H), 5.6-6.0 (m, 1H), 7.15-7.45 (m, 8H), 7.81 (s, 1H).

EXAMPLE 4

Preparation of 2-[4-[(2,5-dimethylphenyl)acetyl]-1-piperazinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-5-thiazolecarboxamide (Compound 74)

Step A: Preparation of 1,1-dimethylethyl 4-[5-(methoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate

1,1-Dimethylethyl 1-piperazinecarboxylate (1.86 g, 10 mmol), methyl 2-bromo-5-thiazolecarboxylate (2.0 g, 9.0 mmol), diazabicycloundecene (1.5 mL, 10 mmol) and a catalytic amount of potassium iodide (2 mg) were dissolved in 10 mL of dry dimethyl sulfoxide and stirred at ambient temperature for 1 h to give a precipitate. An additional 10 mL of dimethyl sulfoxide was added, the mixture was heated briefly to dissolve the solids, and the mixture was stirred at ambient temperature for 40 minutes and then at 50 °C for 2 h. The warm solution was added dropwise with stirring to 200 mL of cold water containing 10 mL of 1 N aqueous hydrochloric acid, and the resulting precipitate was filtered, dissolved in ether, dried over MgSO₄ and concentrated under reduced pressure to give 2.62 g of the title compound as a light yellow solid.

¹H NMR (CDCl₃) δ 1.48 (s, 9H), 3.56 (s, 8H), 3.83 (s, 3H), 7.88 (s, 1H).

Step B: Preparation of 1-(1,1-dimethylethyl) 4-(5-carboxy-2-thiazolyl)-1-piperazinecarboxylate

1,1-Dimethylethyl 4-[5-(methoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (i.e. the product of Example 4, Step A) (2.56 g, 8 mmol) in 15 mL of methanol and 15 mL of tetrahydrofuran was added 1 N aqueous NaOH solution (10 mL), and the mixture was stirred at ambient temperature overnight. The mixture was concentrated under reduced pressure, diluted with water, washed with ether, and acidified with excess 20 % aqueous citric acid solution to give a precipitate. The precipitate was filtered, washed with water and dried to give 2.12 g of the title compound as a slightly pink solid.

¹H NMR (CDCl₃) δ 1.49 (s, 9H), 3.58 (br s, 8H), 7.97 (s, 1H).

Step C: Preparation of 1,1-dimethylethyl 4-[5-[[methyl[(1*R*)-1-phenylethyl]amino]-carbonyl]-2-thiazolyl]-1-piperazinecarboxylate

1-(1,1-Dimethylethyl) 4-(5-carboxy-2-thiazolyl)-1-piperazinecarboxylate (i.e. the product of Example 4, Step B) (1.0 g, 3.2 mmol,) was suspended in 10 mL of dry acetonitrile and treated with triethylamine (892 μL, 6.4 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (1.33 g,

3.5 mmol) followed by (*αR*)-*N*,*α*-dimethylbenzenemethanamine (i.e. the product of Example 1, Step C) (200 μL, 1.38 mmol). The reaction mixture was stirred at ambient temperature for 3 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried
5 over magnesium sulfate and concentrated under reduced pressure to give 1.38 g of an orange foam. Purification by silica gel chromatography using an ethyl acetate/hexanes gradient from 1:9 to 100:0 gave 0.78 g of the title compound as a yellow solid.

¹H NMR (CDCl₃) δ 1.48 (s, 9H), 1.6 (m, 3H), 2.88 (s, 3H), 3.54 (br m, 8H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

10 Step D: Preparation of *N*-methyl-*N*-[(1*R*)-1-phenylethyl]-2-(1-piperazinyl)-5-thiazolecarboxamide

1,1-Dimethylethyl 4-[5-[[methyl[(1*R*)-1-phenylethyl]amino]carbonyl]-2-thiazolyl]-1-piperazinecarboxylate (i.e. the product of Example 4, Step C) (0.75 g, 1.7 mmol) in 10 mL of methanol and 10 mL of dichloromethane was treated with 15 mL of 1 N HCl in ether.
15 The reaction mixture was stirred at ambient temperature overnight, concentrated under reduced pressure, dissolved in water and brine, basified with 1 N aqueous NaOH solution and extracted with dichloromethane. The extract was dried over MgSO₄ and concentrated under reduced pressure to give 0.48 g of the title compound as a yellow oil.

¹H NMR (CDCl₃) δ 1.6 (d, 3H), 2.87 (s, 3H), 2.98 (m, 4H), 3.52 (m, 4H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

20 Step E: Preparation of 2-[4-[(2,5-dimethylphenyl)acetyl]-1-piperazinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-5-thiazolecarboxamide

2,5-Dimethylphenylacetic acid (1.64 g, 10 mmol) in 40 mL of dry dichloromethane was treated with oxalyl chloride (1.0 mL, 11 mmol) and a catalytic amount of *N,N*-dimethylformamide (1 drop) and allowed to stir at ambient temperature overnight. The reaction mixture was concentrated under reduced pressure to give 2,5-dimethylphenylacetyl chloride as an oil. The acid chloride (97 μL, 0.6 mmol) was added to a mixture of *N*-methyl-*N*-[(1*R*)-1-phenylethyl]-2-(1-piperazinyl)-5-thiazolecarboxamide (i.e. the product of Example 4, Step D) (165 mg, 0.5 mmol) and polymer-bound 4-(dimethylamino)pyridine
25 (PS-DMAP, 1.4 meq (milli-equivalent)/g, 1.0 g) in 15 mL of dichloromethane, which was then shaken for 3 h, filtered and concentrated under reduced pressure to give 140 mg of the title product, a compound of the present invention, as a yellow solid.

¹H NMR (CDCl₃) δ 1.6 (br d, 3H), 2.24 (s, 3H), 2.28 (s, 3H), 2.87 (s, 3H), 3.42 (m, 2H), 3.55 (m, 4H), 3.69 (s, 2H), 3.82 (m, 2H), 5.9 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m, 5H),
30 7.46 (s, 1H).

EXAMPLE 5

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide (Compound 70)

Step A: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylethyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

1-(1,1-Dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (6.86 g, 21.96 mmol) was suspended in 30 mL of dry acetonitrile and treated with triethylamine (6.12 mL, 43.92 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (9.16 g, 24.16 mmol) followed by (α ,*R*)-*N*, α -dimethylbenzene-methanamine (3.19 mL, 21.96 mmol). The reaction mixture was stirred at ambient temperature for 3 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over magnesium sulfate and concentrated under reduced pressure to give a dark oil. Purification by silica gel chromatography using 25-100 % ethyl acetate in hexanes as eluant gave 9.12 g of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.46 (s, 9H), 1.6-1.8 (m, 5H), 2.0-2.2 (m, 2H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.7-6.2 (m, 1H), 7.25-7.45 (m, 5H), 7.81 (s, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide

A solution of 400 mg (0.77 mmol) of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylethyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 5, Step A) in 10 mL of a 1:1 mixture of methanol and dichloromethane was treated with 10 mL of 2 N hydrochloric acid in ether and stirred at room temperature for 4 h. The reaction mixture was concentrated on rotary evaporator, and the residue was three times treated with 10 mL of methanol followed by concentration to leave the crude piperidine hydrochloride. The reaction mixture was then dissolved in 10 mL of acetonitrile, and 1.0 mL of triethylamine was added. Meanwhile, a solution of 310 mg (1.49 mmol) of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid in 10 mL of acetonitrile was treated with 1.0 mL of a solution of 1-propanephosphonic acid cyclic anhydride (50 % in ethyl acetate), stirred at room temperature for 15 minutes, then combined with the above amine solution. The reaction mixture was stirred at room temperature overnight, diluted with 50 mL of ethyl acetate, washed with 1 N aqueous hydrochloric acid, 1 N aqueous sodium hydroxide and brine, dried with MgSO₄, filtered and concentrated under reduced pressure. Purification by Medium Pressure Liquid Chromatography (MPLC) on silica gel using ethyl acetate/methanol as eluant provided 330 mg of the title product, a compound of the present invention, as a white solid.

¹H NMR (CDCl₃) δ 1.60-1.80 (m, 5 H), 2.18 (m, 2 H), 2.30 (s, 3H), 2.80 (m, 5 H), 3.27 (m, 2 H), 4.00 (m, 1H), 4.95 (s, 2 H), 5.79 and 6.14 (m, total 1H), 6.35 (s, 1H), 7.37 (m, 5H), 7.84 (s, 1H).

EXAMPLE 6

5 General preparation of 2-[1-[(substituted-phenyl)acetyl]-4-piperidiny]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 144, Compound 145, Compound 146, Compound 147, Compound 148 and Compound 132) and *N*-methyl-2-[1-[[substituted-1*H*-pyrazol-1-yl]acetyl]-4-piperidiny]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 149 and Compound 150)

10 Step A: Preparation of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine

(1*R*)-1,2,3,4-Tetrahydro-1-naphthalenamine (5.0 g, 34 mmol) was heated in 15 mL of ethyl formate at 60 °C overnight, during which time a precipitate formed. The reaction mixture was added to 100 mL of hexanes with stirring, and the resulting solids were filtered, washed with hexanes and dried to give 4.63 g of the formamide as white needles. The resulting formamide (4.54 g, 26 mmol) was dissolved in 50 mL of tetrahydrofuran and added dropwise to a suspension of lithium aluminum hydride (1.1 g, 29 mmol) in 20 mL of tetrahydrofuran that had been cooled to 0 °C. The reaction mixture was refluxed overnight, then cooled to 0 °C and quenched by the sequential addition of 1.1 mL of water, 1.1 mL of 15 % aqueous NaOH solution and 3.3 mL of water. The mixture was stirred at ambient temperature for 30 minutes and diluted with ethyl acetate. Several grams of MgSO₄ were added, and the mixture was filtered through Celite[®] diatomaceous filter aid and concentrated under reduced pressure to give 4.0 g of the title compound as a colorless oil.

¹H NMR (CDCl₃) δ 1.17 (s, 1H), 1.65-2.0 (m, 4H), 2.47 (s, 3H), 2.65-2.85 (m, 2H), 3.63 (m, 1H), 7.0-7.35 (m, 4H).

25 Step B: Preparation of 1,1-dimethylethyl 4-[4-[(methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-[4-carboxy-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (3.84 g, 12.29 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (2.36 g, 12.29 mmol) and triethylamine (2.3 mL, 16.76 mmol) in 50 mL dichloromethane was stirred for 15 minutes at room temperature. (1*R*)-1,2,3,4-Tetrahydro-*N*-methyl-1-naphthalenamine (i.e. the product of Example 6, Step A) (2.18 g, 13.5 mmol) was added and the reaction mixture was stirred for 16 h. The reaction mixture was diluted with 20 mL of dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous sodium bicarbonate solution, water and brine, dried over MgSO₄ and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 20 % to 100 % of ethyl acetate in hexanes to give 2.4 g of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.46-1.48 (s, 9H), 1.62-2.35 (m, 9H), 2.7-2.93 (m, 6H), 3.08-3.10 (m, 1H), 4.06-4.10 (m, 2H), 5.68-6.04 (m, 1H), 7.1-7.2 (m, 4H), 7.82-7.83 (m, 1H).

Step C: Preparation of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride

5 1,1-Dimethylethyl 4-[4-[(methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-
carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 6, Step B) (2.4 g,
5.26 mmol) was dissolved in 20 mL of a mixture of dichloromethane and methanol (1:1),
and 13.15 mL (52.6 mmol) of 1 N HCl in dioxane was added. The reaction mixture was
10 stirred at room temperature for 2 h and then concentrated under reduced pressure. The
residue was dissolved in 20 mL of methanol and concentrated under reduced pressure (this
procedure was repeated 3 times) resulting in 1.7 g of the title compound as a solid.

¹H NMR (DMSO-D₆) δ 1.80-2.05 (m, 3H), 2.1-2.3 (m, 2H), 2.5-2.9 (m, 8H), 2.92-3.12 (m,
2H), 3.25-3.42 (m, 3H), 4.55-4.63 (m, 2H), 5.3-5.8 (m, 1H), 7.0-7.2 (m, 4H), 8.1-8.14 (m,
1H), 8.72-8.88 (m, 1H), 9.0-9.1 (m, 1H).

15 Step D: General preparation of 2-[1-[(substituted-phenyl)acetyl]-4-piperidinyl]-*N*-
methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide
and *N*-methyl-2-[1-[[substituted-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-
[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A mixture of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-
20 4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (157 mg,
0.4 mmol), the appropriate aryl or heteroaryl acetic acid (0.44 mmol), 1-[3-
(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (92 mg, 0.48 mmol),
triethylamine (100 μL) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg) in 3
mL of dichloromethane was stirred at room temperature for 16 h. The reaction mixture was
25 diluted with 10 mL of dichloromethane, washed with 1 N aqueous hydrochloric acid, water
and brine, dried over MgSO₄ and concentrated under reduced pressure. The products were
purified by silica gel chromatography using ethyl acetate or a mixture of ethyl acetate with
20 % methanol as eluant to give following title products, compounds of the present
invention, as oils.

30 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-
naphthalenyl]-4-thiazolecarboxamide (Compound 144); ¹H NMR (CDCl₃) δ 1.7-2.24 (m,
8H), 2.7-3.0 (m, 6H), 3.2-3.3 (m, 2H), 3.8-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.62-6.05 (m, 1H),
7.05-7.3 (m, 7H), 7.85 (d, 1H).

2-[1-[(2-methoxyphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-
35 naphthalenyl]-4-thiazolecarboxamide (Compound 145); ¹H NMR (CDCl₃) δ 1.5-2.24 (m,
8H), 2.7-2.9 (m, 5H), 3.05-3.1 (m, 2H), 3.62-4.01 (m, 7H), 4.58-4.65 (m, 1H), 5.62-6.05 (m,
1H), 6.82-7.27 (m, 8H), 7.82 (d, 1H).

2-[1-[(2-methoxy-5-methylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 146); ¹H NMR (CDCl₃) δ 1.5-2.2 (m, 11H), 2.7-2.9 (m, 6H), 3.05-3.22 (m, 2H), 3.6-4.0 (m, 6H), 4.57-4.72 (m, 1H), 5.6-6.04 (m, 1H), 6.76-7.22 (m, 7H), 7.82 (d, 1H).

5 2-[1-[(2-chloro-5-(trifluoromethyl)phenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 147); ¹H NMR (CDCl₃) δ 1.6-2.0 (m, 5H), 2.1-2.2 (m, 3H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.85-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.6-6.05 (m, 1H), 7.05-7.6 (m, 7H), 7.83 (d, 1H).

10 2-[1-[(5-bromo-2-methoxyphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 148); ¹H NMR (CDCl₃) δ 1.6-2.25 (m, 9H), 2.7-2.9 (m, 5H), 3.15-3.28 (m, 2H), 3.6-3.7 (m, 2H), 3.8 (m, 3H), 3.9-4.0 (m, 1H), 4.5-4.7 (m, 1H), 5.62-6.07 (m, 1H), 6.7-6.72 (m, 1H), 7.1-7.35 (m, 6H), 7.82 (d, 1H).

15 *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 149); ¹H NMR (CDCl₃) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

20 2-[1-[(3,5-dimethyl-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 150); ¹H NMR (CDCl₃) δ 1.7-2.2 (m, 14H), 2.7-2.9 (m, 5H), 3.2-3.3 (m, 2H), 3.95-4.6 (m, 3H), 5.05 (m, 2H), 5.85 (s, 1H), 5.65-6.05 (m, 1H), 7.12-7.3 (m, 4H), 7.85 (m, 1H).

25 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 132); ¹H NMR (CDCl₃) δ 1.6-2.3 (m, 8H), 2.7-2.9 (m, 7H), 3.1-3.3 (m, 2H), 3.63-3.65 (m, 2H), 3.8-3.9 (m, 1H), 4.55-4.77 (m, 1H), 5.62-6.07 (m, 1H), 6.92-7.22 (m, 7H), 7.82-7.85 (m, 1H).

EXAMPLE 7

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-oxazolecarboxamide (Compound 152)

30 Step A: Preparation of 1,1-dimethylethyl 4-[[[1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]carbonyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) hydrogen 1,4-piperidinedicarboxylate (5.5 g, 24 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (5.08 g, 26.5 mmol), and *N*-methylmorpholine (2.75 mL, 25 mmol) in 100 mL of dichloromethane was stirred at room temperature for 15 minutes. *DL*-Serine methyl ester hydrochloride (3.89 g, 25 mmol) was added, and the reaction mixture was stirred at room temperature for 16 h. The reaction mixture was partitioned between 1 N aqueous hydrochloric acid and

dichloromethane, and the organic layer was washed with 1 N aqueous hydrochloric acid, water and brine, dried over MgSO_4 and concentrated under reduced pressure to give 6.58 g of the title compound.

$^1\text{H NMR}$ (CDCl_3) δ 1.45 (s, 9H), 1.62-1.75 (m, 3H), 1.9 (m, 2H), 2.38 (t, 1H), 2.7-2.8 (m, 3H), 3.8 (s, 3H), 3.9-4.0 (m, 2H), 4.08 (br s, 2H), 4.68 (m, 1H), 6.5 (m, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[4,5-dihydro-4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate

To a solution of 1,1-dimethylethyl 4-[[[1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]carbonyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step A) (6.58 g, 19.92 mmol) in 70 mL of dry acetonitrile and 20 mL of dry dichloromethane was added triphenylphosphine (7.8 g, 29.87 mmol) and then 4.12 g (31.87 mmol) of *N,N*-diisopropylethylamine. The reaction mixture was stirred until homogeneous, and 4.59 g (29.87 mmol) of carbon tetrachloride was added dropwise over 5 minutes. The reaction mixture was stirred for 2.5 h at room temperature, cooled to 0 °C and diluted with 170 mL of ethyl acetate followed by 50 mL of saturated aqueous sodium bicarbonate solution. The mixture was stirred for 10 minutes, poured into 120 mL of water, and the organic layer was separated, washed with brine, dried over MgSO_4 and concentrated under reduced pressure to give a yellow oil. The oil was purified by silica gel chromatography using 75-100 % ethyl acetate in hexanes as eluant to give 2.95 g of the title compound as an oil containing traces of triphenylphosphine.

$^1\text{H NMR}$ (CDCl_3) δ 1.43 (s, 9H), 1.6-1.76 (m, 2H), 1.86-1.91 (m, 2H), 2.47-2.55 (m, 1H), 2.80-2.86 (m, 2H), 3.8 (s, 3H), 4.02 (br s, 2H), 4.38-4.50 (m, 2H), 4.71-4.75 (m, 1H).

Step C: Preparation of 1,1-dimethylethyl 4-[4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate

To a solution of 1,1-dimethylethyl 4-[4,5-dihydro-4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step B) (2.89 g, 9.26 mmol) in 100 mL of dichloromethane at 0 °C was added 1.52 mL (10.18 mmol) of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and the reaction mixture was stirred for 10 minutes at 0 °C. Bromotrichloromethane (1 mL, 10.18 mmol) was added dropwise over 7 minutes, and the reaction mixture was stirred for 6 h at 0 °C. The mixture was washed with saturated aqueous ammonium chloride (2 x 50 mL), the aqueous phase was extracted with ethyl acetate (2 x 25 mL), and the combined organic layers were dried over magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 1.41 g of the title compound as white crystals.

$^1\text{H NMR}$ (CDCl_3) δ 1.46 (s, 9H), 1.77-1.85 (m, 2H), 2.00-2.05 (m, 2H), 2.85-2.92 (m, 2H), 2.99-3.02 (m, 1H), 3.9 (s, 3H), 4.08-4.15 (m, 2H), 8.18 (s, 1H).

Step D: Preparation of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidine-carboxylate

1,1-Dimethylethyl 4-[4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step C) (1.41 g, 4.55 mmol) was dissolved in 12 mL tetrahydrofuran, and 8 mL of water was added. The reaction mixture was cooled to 0 °C with vigorous stirring. A 1 N aqueous sodium hydroxide solution (9.1 mL) was added dropwise, and the reaction mixture was stirred at room temperature for 2 h. The mixture was diluted with saturated sodium chloride solution (10 mL), 30 mL of diethyl ether was added and the aqueous phase was acidified to pH 3-4 by dropwise addition of 20 % citric acid solution. The precipitated solid was filtered and dried to give 1.21 g of the title compound.

¹H NMR (DMSO-d₆) δ 1.4 (s, 9H), 1.55-1.60 (m, 2H), 1.92-2.00 (m, 2H), 2.90-2.99 (m, 2H), 3.00-3.1 (m, 1H), 3.9-4.0 (m, 2H), 8.45 (s, 1H).

Step E: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1R)-1-phenylpropyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidinecarboxylate (i.e. the product of Example 7, Step D) (600 mg, 2.02 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (425 mg, 2.22 mmol) and *N*-methylmorpholine (224 mg, 2.22 mmol) in 4 mL dichloromethane was stirred for 15 minutes at room temperature. To the reaction mixture 392.5 mg (2.63 mmol) of (α R)- α -ethyl-*N*-methylbenzenemethanamine was added and the reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was poured into 4 mL of 1 N aqueous hydrochloric acid, and the organic layer was washed with 1 N aqueous hydrochloric acid, water and brine, dried (MgSO₄) and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 209 mg of the title compound as an oil.

¹H NMR (CDCl₃) δ 0.95-1.02 (m, 3H), 1.42 (s, 9H), 1.72-1.86 (m, 2H), 1.90-2.11 (m, 4H), 2.9-3.0 (m, 4H), 4.0-4.1 (m, 2H), 5.9-6.2 (m, 1H), 7.2-7.4 (m, 5H), 8.1 (s, 1H).

Step F: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1R)-1-phenylpropyl]-4-oxazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1R)-1-phenylpropyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step E) (209 mg, 0.49 mmol) was dissolved in 3 mL of a mixture of dichloromethane and methanol (1:1), and 1.23 mL (4.9 mmol) of 1 N HCl in dioxane was added. The reaction mixture was stirred at room temperature for 3 h. The solvents were evaporated under reduced pressure, and the residue was dissolved in 5 mL methanol and concentrated under reduced pressure (this procedure was repeated 3 times) to give the amine hydrochloride. To a solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (89.5 mg, 0.43 mmol) and triethylamine (87 mg,

0.86 mmol) in 2 mL of dry acetonitrile was added a suspension of *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (178.25 mg, 0.47 mmol) in 2 mL acetonitrile and then a mixture of 140 mg (0.43 mmol) of the amine hydrochloride in 2 mL acetonitrile. The resulting mixture was stirred at room temperature for 3 h and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes to give 84 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 0.90-1.04 (m, 3H), 1.71-1.89 (m, 2H), 1.90-2.19 (m, 4H), 2.28-2.35 (m, 3H), 2.72 (s, 2H), 3.00-3.2 (m, 3H), 3.30-3.36 (t, 1H), 3.87-4.35 (m, 2H), 4.98 (s, 2H), 5.92-6.12 (m, 1H), 6.3 (s, 1H), 7.25-7.4 (m, 5H), 8.08-8.15 (br s, 1H).

EXAMPLE 8

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide
(Compound 151)

Step A: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidinecarboxylate (600 mg, 2.02 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (425.57 mg, 2.22 mmol) and *N*-methylmorpholine (224.55 mg, 2.22 mmol) in 4 mL dichloromethane was stirred for 15 minutes at room temperature. To the reaction mixture was added 424 mg (2.63 mmol) of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine was added and the reaction mixture was stirred for 16 h. The reaction mixture was concentrated under reduced pressure, and the residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 360 mg of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.42 (s, 9H), 1.68-2.26 (m, 9H), 2.72-3.01 (m, 7H), 4.0-4.1 (m, 2H), 6.0-6.1 (m, 1H), 7.10-7.18 (m, 4H), 8.12-8.14 (m, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 8, Step A) (317 mg, 0.72 mmol) was dissolved in 3 mL of a mixture of dichloromethane and methanol (1:1), and 1.8 mL (7.2 mmol) of 1 N HCl in dioxane was added. The reaction mixture was stirred at room temperature for 3 h. The solvents were evaporated under reduced pressure, and the residue was dissolved in 5 mL methanol and evaporated (this sequence was repeated 3 times) giving the amine hydrochloride. A mixture of 5-methyl-3-trifluoromethylpyrazol-1-ylacetic acid (179 mg, 0.86 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide

hydrochloride (191.7 mg, 1.00 mmol), and 1-methylmorpholine (354 mg, 3.5 mmol) in 3 mL of dry dichloromethane was stirred 15 minutes at room temperature, and a solution of the amine hydrochloride in 2 mL of dry dichloromethane was added. The resulting mixture was stirred at room temperature for 16 h and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 75 % ethyl acetate in hexanes as eluant to give 79 mg of the title product, a compound of the present invention, as an oil.

^1H NMR (CDCl_3) δ 1.70-2.00 (m, 5H), 2.01-2.32 (m, 6H), 2.72-3.2 (m, 7H), 3.28-3.40 (m, 1H), 3.85-4.4 (m, 2H), 4.96-5.00 (m, 2H), 5.97-6.1 (m, 1H), 6.29-6.31 (m, 1H), 7.1-7.2 (m, 4H), 8.13-8.18 (m, 1H).

EXAMPLE 9

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide (Compound 153)

Step A: Preparation of methyl 1-[(2,5-dimethylphenyl)acetyl]-4-piperidine-carboxylate

A solution of 2.86 g (20 mmol) of methyl isonipecotate and 2.53 g (2.5 mmol) of triethylamine in 10 mL of dry dichloromethane was cooled to 0 °C, and a solution of 4.02 g (22 mmol) of 2,5-dimethylphenylacetyl chloride in 5 mL of dichloromethane was added dropwise. The mixture was stirred at room temperature for 16 h and then poured into 20 mL of water. The organic layer was washed with water and brine, dried (MgSO_4) and concentrated under reduced pressure. The residue was crystallized from a mixture of ethyl acetate and hexanes to give 4.95 g (87.5 % yield) of the title compound as white crystals.

^1H NMR (CDCl_3) δ 1.5-1.7 (m, 2H), 1.78-1.98 (m, 2H), 2.22 (s, 3H), 2.28 (s, 3H), 2.50-2.58 (m, 1H), 2.85-3.10 (m, 2H), 3.65 (s, 2H), 3.70 (s, 3H), 3.71-3.98 (m, 1H), 4.45-4.52 (m, 1H), 6.90-7.08 (m, 3H).

Step B: Preparation of 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylic acid

Methyl 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylate (i.e. the product of Example 9, Step A) (4.95 g, 17.1 mmol) was dissolved in 20 mL of tetrahydrofuran, and 15 mL of water was added. With vigorous stirring the reaction mixture was cooled to 0 °C, and 35 mL of a 1 N aqueous sodium hydroxide solution was added dropwise. The reaction mixture was stirred at room temperature for 1 h, diluted with 20 mL of brine, washed with diethyl ether (3 x 20 mL), and the aqueous phase was acidified with 1 N aqueous hydrochloric acid to pH 3-4. The precipitate was collected and dried to give 4.08 g of the title compound.

^1H NMR (CDCl_3) δ 1.2 (m, 2H), 1.8 (m, 2H), 2.16 (s, 3H), 2.22 (s, 3H), 2.5 (m, 1H), 2.75 (m, 1H), 3.1 (m, 1H), 3.62 (m, 2H), 3.8 (m, 1H), 4.25 (m, 1H), 6.8-7.1 (m, 3H), 12.1 (s, 1H).

Step C: Preparation of *N*-[[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]carbonyl]-DL-serine methyl ester

5 A mixture of 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylic acid (i.e. the product of Example 9, Step B) (1.44 g, 5.23 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (1.1g, 5.75 mmol), and *N*-methylmorpholine (529 mg, 5.23 mmol) in 5 mL of dichloromethane was stirred at room temperature for 15 minutes. DL-Serine methyl ester hydrochloride (814 mg, 5.23 mmol) was added and the reaction mixture was stirred at room temperature for 16 h. The reaction was partitioned between 1 N aqueous hydrochloric acid (10 mL) and dichloromethane (10 mL), and the organic layer was washed
10 with 1 N aqueous hydrochloric acid, water and brine, dried over MgSO₄ and concentrated under reduced pressure to give 1.61 g of the title compound.

¹H NMR (CDCl₃) δ 1.6-1.92 (m, 4H), 2.12 (s, 3H), 2.26 (s, 3H), 2.38-2.45 (m, 1H), 2.7-2.8 (m, 2H), 3.0-3.3 (m, 2H), 3.63 (s, 2H), 3.72 (s, 2H), 3.8-4.0 (m, 2H), 4.55-4.62 (m, 2H), 6.62-6.70 (m, 1H), 6.90-7.05 (m, 3H).

15 Step D: Preparation of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4,5-dihydro-4-oxazolecarboxylate

To a solution of *N*-[[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]carbonyl]-DL-serine methyl ester (i.e. the product of Example 9, Step C) (2.59 g, 6.88 mmol) in 25 mL of dry acetonitrile and 7 mL of dry dichloromethane was added triphenylphosphine (2.71 g, 10.32 mmol) and then *N,N*-diisopropylethylamine (1.6 g, 12.38 mmol). The reaction mixture was stirred until homogeneous, and carbon tetrachloride (1.59 g, 10.32 mmol) was added dropwise over 5 minutes. The reaction mixture was stirred for 2.5 h at room temperature, cooled to 0 °C and diluted with 50 mL of ethyl acetate followed by 15 mL of saturated aqueous sodium bicarbonate solution. The mixture was stirred for 10 minutes, poured into 40
25 mL of water, and the organic layer was separated, washed with brine, dried over MgSO₄ and concentrated under reduced pressure to give 6 g of a yellow oil. The oil was purified by flash chromatography on silica gel using 50-100 % of ethyl acetate in hexanes to give 900 mg of the title compound. 360 mg of starting amide was also recovered from the reaction mixture.

30 ¹H NMR (CDCl₃) δ 1.57-2.00 (m, 5H), 2.2 (s, 3H), 2.27 (s, 3H), 2.57-2.62 (m, 1H), 2.82-2.93 (m, 2H), 3.6 (s, 2H), 3.72-3.80 (s, 3H), 4.37-4.5 (m, 3H), 4.68-4.75 (m, 1H), 6.90-7.03 (m, 3H).

Step E: Preparation of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylate

35 To a solution of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4,5-dihydro-4-oxazolecarboxylate (i.e. the product of Example 9, Step D) (1.09 g, 3.04 mmol) in 25 mL of dichloromethane at 0 °C was added 1,8-diazabicyclo[5.4.0]undec-7-ene (508 mg, 3.34 mmol). Bromotrichloromethane (662 mg, 3.34 mmol) was then added dropwise over 5

minutes. The reaction mixture was stirred for 6 h at 0 °C. The reaction mixture was washed with saturated aqueous ammonium chloride (2 x 50 mL), the aqueous phase was back-extracted with ethyl acetate (2 x 25 mL), and the combined organic layers were dried over MgSO₄ and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 50-100 % of ethyl acetate in hexanes as eluant to give 600 mg of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.70-1.86 (m, 2H), 2.00-2.25 (m, 2H), 2.21 (s, 3H), 2.28 (s, 3H), 2.90-2.98 (m, 1H), 3.05-3.20 (m, 2H), 3.64 (s, 2H), 3.80-3.85 (m, 1H), 3.9 (s, 3H), 4.60-4.77 (m, 1H), 6.91-7.06 (m, 3H), 8.18 (s, 1H).

10 Step F: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazole-carboxylic acid

Methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylate (i.e. the product of Example 9, Step E) (665 mg, 1.87 mmol) was dissolved in 5 mL tetrahydrofuran, and 3.3 mL of water was added. The reaction mixture was cooled to 0 °C with vigorous stirring. A 1 N aqueous sodium hydroxide solution (3.7 mL) was added dropwise, and the reaction mixture was stirred at room temperature for 2 h. The reaction mixture was diluted with saturated sodium chloride solution (4 mL), washed with diethyl ether, and the aqueous phase was acidified to pH 3-4 by dropwise addition of 20 % citric acid solution. The precipitated solid was filtered and dried to give 490 mg of the title compound.

20 ¹H NMR (DMSO-d₆) δ 1.55 (m, 2H), 2.0 (m, 2H), 2.14 (s, 3H), 2.23 (s, 3H), 2.85 (m, 1H), 3.1-3.3 (m, 2H), 3.65 (m, 2H), 3.9 (m, 1H), 4.35 (m, 1H), 6.8-7.1 (m, 3H), 8.66 (s, 1H), 13.0 (s, 1H).

Step G: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide

25 A mixture of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylic acid (i.e. the product of Example 9, Step F) (245 mg, 0.72 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (153 mg, 80 mmol) and *N*-methyl morpholine (88 μL) in 3 mL of dichloromethane was stirred at room temperature for 15 minutes. (1*R*)-1,2,3,4-Tetrahydro-*N*-methyl-1-naphthalenamine (129 mg, 0.80 mmol) was added and the reaction mixture was stirred at ambient temperature overnight. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid and water, dried over MgSO₄ and concentrated under reduced pressure. The products were purified by silica gel chromatography using ethyl acetate as eluant to give 75 mg of the title product, a compound of the present invention, as an oil.

30 ¹H NMR (CDCl₃) δ 1.6-2.3 (m, 8H), 2.2-2.3 (m, 6H), 2.7-2.9 (m, 3H), 3.0-3.25 (m, 4H), 3.64 (m, 2H), 3.75 (m, 1H), 4.4-4.6 (m, 1H), 5.95-6.1 (m, 1H), 6.9-7.2 (m, 7H), 8.1(m, 1H).

EXAMPLE 10

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-oxazolecarboxamide (Compound 154)

A mixture of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylic acid (i.e. the product of Example 9, Step F) (245 mg, 0.72 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (153 mg, 80 mmol) and 4-methylmorpholine (88 μ L) in 3 mL of dichloromethane were stirred at room temperature for 15 minutes. (α *R*)- α -Ethyl-*N*-methylbenzenemethanamine (i.e. the product of Example 1, Step C) (0.80 mmol, 119 mg) was added and the reaction mixture was stirred at ambient temperature overnight. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid and water, dried over MgSO₄ and concentrated under reduced pressure. The products were purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 90 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 0.9-1.1 (br m, 3H), 1.6-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.22 (s, 3H), 2.26 (s, 3H), 2.72 (br s, 2H), 2.9-3.1 (m, 4H), 3.15 (m, 1H), 3.65 (s, 2H), 3.75 (br m, 1H), 4.45 (br m, 1H), 5.85-6.2 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.40 (m, 5H), 8.1 (m, 1H).

EXAMPLE 11

Preparation of *N*-[(1*R*)-1-(3,5-dichloro-2-pyridinyl)ethyl]ethyl]-2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-4-thiazolecarboxamide (Compound 111)

To a solution of 200 mg (0.56 mmol) of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid (i.e. the product of Example 9, Step B) in 5 mL of acetonitrile was added 0.5 mL of a solution of 1-propanephosphonic acid cyclic anhydride (50 % in ethyl acetate, 0.8 mmol) and stirred at room temperature for 15 minutes. To this mixture was added 110 mg (0.54 mmol) of (*R*)-[1-(3,5-dichloro-pyridin-2-yl)-ethyl]-methylamine in 5 mL of acetonitrile containing 0.5 mL of triethylamine. The reaction mixture was stirred at room temperature overnight, diluted with ethyl acetate, washed with 1 N aqueous sodium hydroxide and brine, dried (MgSO₄), filtered and concentrated. The crude product was purified by silica gel medium pressure liquid chromatography using ethyl acetate/methanol as eluant to provide 80 mg of the title product, a compound of the present invention, as a viscous oil.

¹H NMR (CDCl₃) δ 1.50-1.80 (m, 3H), 2.04 (m, 1H), 2.17 (m, 1H), 2.23 (s, 3H), 2.26 (s, 3H), 2.80-3.30 (m, 6H), 3.68 (s, 2H), 3.82 (m, 1H), 4.71 (m, 1H), 6.16 (m, 1H), 6.96 (m, 2H), 7.08 (m, 1H), 7.78 (m, 2H), 8.51 (br s, 1H).

EXAMPLE 12

Preparation of 2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 238)

Step A: Preparation of *N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

5 To a solution of pyrazole (10.0 g, 147 mmol) in dichloromethane (150 mL), triethylamine (26.6 mL, 192 mmol) and *N,N*-dimethylsulfamoyl chloride (20.0 mL, 181 mmol) were added and the reaction mixture was heated to reflux for approximately 60 h. The reaction mixture was then cooled to ambient temperature and filtered through a pad of silica gel using dichloromethane as an eluent. The filtrate was then concentrated under
10 reduced pressure and treated with diethyl ether (100 mL) resulting in the formation of a solid. The suspension was filtered, and the precipitate was washed with diethyl ether. The combined filtrates were combined and concentrated in vacuo to give 27.46 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

¹H NMR (CDCl₃) δ 2.94 (s, 6H), 6.40 (s, 1H), 7.75 (s, 1H), 7.99 (s, 1H).

15 Step B: Preparation of 3-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

Under a nitrogen atmosphere, a solution of *N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (5.0 g, 28 mmol) (i.e. the product of Example 12, Step A) in tetrahydrofuran (50 mL) was cooled to -78 °C and then treated with *n*-butyllithium (2 M solution in cyclohexane, 15.0 mL, 30 mmol) dropwise. The reaction mixture formed a thick precipitate, and stirring was
20 continued for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (7.1 g, 30 mmol) in tetrahydrofuran (20 mL) was added dropwise. After 30 minutes the resulting clear solution was warmed to ambient temperature and quenched with the addition of water (70 mL). The reaction mixture was extracted with dichloromethane and dried over MgSO₄. The reaction mixture was filtered and concentrated
25 under reduced pressure. The crude product was purified by silica gel chromatography (50 % hexanes in dichloromethane as eluant) to give 1.71 g of 5-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide. The 5-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide was heated to 110 °C for 12 h with a catalytic amount of pyrazole to isomerize to the title compound.

¹H NMR (CDCl₃) δ 3.07 (s, 6H), 6.33 (s, 1H), 7.60 (s, 1H).

30 Step C: Preparation of 3,5-dichloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

Under a nitrogen atmosphere, a solution of 3-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (1.68 g, 8 mmol) (i.e. the product of Example 12, Step B) in tetrahydrofuran (10 mL) was cooled to -78 °C and then treated with a solution of 2 M *n*-butyllithium in cyclohexane (4.5 mL, 9 mmol) dropwise. The solution formed a thick precipitate and was
35 allowed to stir for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (2.0 g, 8.5 mmol) in tetrahydrofuran (10 mL) was added dropwise. After 1.5 h the resulting clear solution was warmed to ambient temperature and quenched with the

addition of water (20 mL). The reaction mixture was extracted with dichloromethane and dried over MgSO₄. The solution was filtered and concentrated under reduced pressure to give 1.97 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

5 ¹H NMR (CDCl₃) δ 3.10 (s, 6H), 6.28 (s, 1H).

Step D: Preparation of 3,5-dichloro-1*H*-pyrazole

In a round bottom flask with magnetic stirrer, 3,5-dichloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (1.97 g, 8.0 mmol) (i.e. the product of Example 12, Step C) was cooled to 0 °C and treated with trifluoroacetic acid (1.3 mL, 17 mmol) and stirred for 1.5 h.

10 The solution was extracted with diethyl ether. The extract was dried over MgSO₄ and concentrated under reduced pressure giving 690 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

¹H NMR (CDCl₃) δ 2.98 (s, 1H), 6.21 (s, 1H).

Step E: Preparation of ethyl 3,5-dichloro-1*H*-pyrazole-1-acetate

15 A suspension of 3,5-dichloro-1*H*-pyrazole (690 mg, 5.0 mmol) (i.e. the product of Example 12, Step D), potassium carbonate (3 g, 21 mmol) in *N,N*-dimethylformamide (10 mL) was treated with ethyl bromoacetate (1.0 mL, 9.0 mmol) and stirred at ambient temperature for 12 h. The suspension was diluted with ethyl acetate, washed with water, and dried over MgSO₄. The reaction mixture was then concentrated under reduced pressure

20 giving 1.05 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

¹H NMR (CDCl₃) δ 1.29 (t, 3H), 4.25 (q, 2H), 4.85 (s, 2H), 6.20 (m, 1H).

Step F: Preparation of 3,5-dichloro-1*H*-pyrazol-1-acetic acid

25 A solution of ethyl 3,5-dichloro-1*H*-pyrazole-1-acetate (1.29 g, 5.8 mmol) (i.e. the product of Example 12, Step E) in tetrahydrofuran (10 mL) was treated with sodium hydroxide (5 mL, 15 % aqueous solution) in water (3 mL), and the reaction mixture was stirred at ambient temperature for 1.5 h. The reaction mixture was then diluted with water (15 mL) and was concentrated under reduced pressure. The aqueous solution was acidified with concentrated hydrochloric acid to pH 1. The reaction mixture was then extracted with

30 ethyl acetate and the extract was dried over MgSO₄. The extract was then concentrated and recrystallized from 20 % ethyl acetate in hexanes to give 370 mg of the title compound.

¹H NMR (CDCl₃) δ 4.94 (s, 2H), 6.24 (s, 1H).

Step G: Preparation of 2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

35 A solution of 3,5-dichloro-1*H*-pyrazol-1-acetic acid (70 mg, 0.36 mmol) (i.e. the product of Example 12, Step F) in dichloromethane (1 mL) and a catalytic amount of *N,N*-dimethylformamide (1 drop) was treated with oxalyl chloride (0.1 mL, 1.1 mmol) and stirred

at ambient temperature for 20 minutes. The reaction mixture was concentrated under reduced pressure and re-dissolved in dichloromethane (1 mL). The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (100 mg, 0.26 mmol), triethylamine (0.1 mL, 0.72 mmol), and potassium carbonate (150 mg, 1 mmol) in dichloromethane (2 mL). The reaction mixture was then heated to reflux for 2 h, and then cooled to ambient temperature. The resulting suspension was diluted with dichloromethane (10 mL), filtered, and concentrated in vacuo. The crude product was purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 80 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.20 (s, 1H), 7.11-7.24 (m, 4H), 7.86 (m, 1H).

EXAMPLE 13

15 Preparation of 2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 249)
Step A: Preparation of *N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide

To a solution of 3-trifluoromethylpyrazole (5.0 g, 36 mmol), triethylamine (7.0 mL, 50 mmol) in dichloromethane (40 mL) was added *N,N*-dimethylsulfamoyl chloride (5.5 mL, 51 mmol) and the reaction mixture was warmed to reflux for 2 days. The reaction mixture was cooled to ambient temperature and filtered through a pad of silica gel using dichloromethane as an eluent. The resulting solution was then concentrated under reduced pressure to give an amber residue. The residue was dissolved in diethyl ether and washed with water. The extract was then dried over MgSO₄ and concentrated under reduced pressure giving 8.71 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

¹H NMR (CDCl₃) δ 3.01 (s, 6H), 6.65 (s, 1H), 8.03 (s, 1H).

Step B: Preparation of 5-chloro-*N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide

30 Under a nitrogen atmosphere, *N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide (4.0 g, 16 mmol) (i.e. the product of Example 13, Step A) in tetrahydrofuran (25 mL) was cooled to -78 °C was then treated with *n*-butyllithium (2 M solution in cyclohexane, 8.6 mL, 17.2 mmol) dropwise. The reaction mixture formed a thick precipitate, and stirring was continued for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (4.2 g, 18 mmol) in tetrahydrofuran (15 mL) was added dropwise. After 1 h the resulting clear solution was warmed to ambient temperature and quenched with the addition of water (50 mL). The reaction mixture was extracted with

dichloromethane and dried over MgSO_4 . The reaction mixture was filtered and concentrated under reduced pressure to give 4.38 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

$^1\text{H NMR}$ (CDCl_3) δ 3.15 (s, 6H), 6.58 (s, 1H).

5 Step C: Preparation of 5-chloro-3-(trifluoromethyl)-1H-pyrazole

In a round bottom flask with magnetic stirrer, 5-chloro-*N,N*-dimethyl-3-(trifluoromethyl)-1H-pyrazole-1-sulfonamide (4.38 g, 15.8 mmol) (i.e. the product of Example 13, Step B) was cooled to 0 °C and treated with trifluoroacetic acid (2.7 mL, 35 mmol). The reaction mixture was stirred at 0 °C for 1.5 h. The resulting solution was diluted with water (15 mL) and basified with sodium carbonate to pH 12. The reaction mixture was extracted with diethyl ether. The extract was dried over MgSO_4 and concentrated under reduced pressure giving 2.1 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

$^1\text{H NMR}$ (CDCl_3) δ 6.57 (m, 1H).

15 Step D: Preparation of ethyl 5-chloro-3-(trifluoromethyl)-1H-pyrazole-1-acetate

A suspension of 5-chloro-3-(trifluoromethyl)-1H-pyrazole (2.1 g, 12.3 mmol) (i.e. the product of Example 13, Step C), potassium carbonate (3.6 g, 26 mmol) in *N,N*-dimethylformamide (20 mL) was treated with ethyl bromoacetate (2.1 mL, 18.8 mmol) and the reaction mixture was stirred at ambient temperature for 12 h. The reaction mixture was diluted with ethyl acetate, washed with water, and dried over MgSO_4 . The reaction mixture was filtered and concentrated under reduced pressure. The crude product was purified by silica gel chromatography using 0-50 % ethyl acetate in hexanes as eluant to give 940 mg of the title compound.

$^1\text{H NMR}$ (CDCl_3) δ 1.29 (m, 3H), 4.27 (q, 2H), 4.96 (m, 2H), 6.55 (s, 1H).

25 Step E: Preparation of 5-chloro-3-(trifluoromethyl)-1H-pyrazole-1-acetic acid

A solution of ethyl 5-chloro-3-(trifluoromethyl)-1H-pyrazole-1-acetate (218 mg, 0.85 mmol) in tetrahydrofuran (1 mL) was treated with sodium hydroxide (0.2 mL, 50 % aqueous solution) in water (0.6 mL), and stirred at ambient temperature for 4 h. The reaction mixture was then diluted with water (15 mL) and was concentrated under reduced pressure. The reaction mixture was acidified with concentrated hydrochloric acid to pH 1. The resulting mixture was extracted with ethyl acetate, dried over MgSO_4 , and concentrated to give 140 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

$^1\text{H NMR}$ (DMSO-d_6) δ 5.41 (s, 2H), 7.09 (s, 1H).

Step F: Preparation of 2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (140 mg, 0.61 mmol) (i.e. the product of Example 13, Step E) in dichloromethane (2 mL) and a catalytic amount of *N,N*-dimethylformamide (1 drop) was treated with oxalyl chloride (0.1 mL, 1.1 mmol) and stirred at ambient temperature for 20 minutes. The reaction mixture was concentrated under reduced pressure and re-dissolved in dichloromethane (1 mL). The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (280 mg, 0.72 mmol), triethylamine (0.2 mL, 1.5 mmol), and potassium carbonate (300 mg, 2.1 mmol) in dichloromethane (2 mL). The reaction mixture was then heated to reflux for 4 h, and then cooled to ambient temperature. The resulting suspension was diluted with dichloromethane (10 mL), filtered, and concentrated in vacuo. The crude product was purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 130 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.59 (s, 1H), 7.05-7.25 (m, 4H), 7.96 (m, 1H).

EXAMPLE 14

Preparation of 2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 210)

Step A: Preparation of 3,5-bis-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid

A solution of 3,5-bis-(trifluoromethyl)pyrazole (1.0 g, 4.90 mmol) in *N,N*-dimethylformamide (10 mL) at 0 °C was treated with sodium hydride (60 % dispersion in oil, 215 mg, 5.37 mmol) and the reaction mixture was allowed to stir at room temperature for 1 h. A solution of ethyl iodoacetate (2.0 g, 9.30 mmol) in *N,N*-dimethylformamide (10 mL) was then added and the reaction mixture heated at 80 °C for 24 h. The reaction mixture was then cooled to room temperature, diluted with ethyl acetate and washed with water. The organic layer was dried with MgSO₄, filtered and concentrated to provide 570 mg of the crude ester. The crude ester was dissolved in tetrahydrofuran (2 mL) and treated with sodium hydroxide (150 mg) in water (1.5 mL). The reaction mixture was then stirred at room temperature overnight. The resulting mixture was diluted with water (10 mL), extracted with diethyl ether (20 mL). The organic layers were dried with MgSO₄, filtered and concentrated in vacuo to provide 190 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^1H NMR (DMSO- d_6) δ 5.29 (s, 2H), 7.65 (s, 1H).

^{19}F NMR (DMSO- d_6) δ -59.4, -61.4.

Step B: Preparation of 2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 3,5-bis-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (190 mg, 0.73 mmol) (i.e. the product of Example 14, Step A) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (210 mg, 0.53 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by MPLC on silica gel using ethyl acetate/hexanes as eluant to give 110 mg of the title product, a compound of the present invention, as an oil.

^1H NMR (CDCl₃) δ 1.60-2.31 (m, 5H), 2.67-3.06 (m, 9H), 3.20-3.45 (m, 2H), 3.62-3.92 (m, 1H), 4.26-4.60 (m, 1H), 5.08-5.23 (m, 2H), 5.60-6.10 (m, 1H), 6.93 (s, 1H), 7.07-7.30 (m, 4H), 7.86 (m, 1H).

EXAMPLE 15

Preparation of 2-[1-[[3,5-diethyl-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 209)

Step A: Preparation of 3,5-diethyl-1*H*-pyrazole

A solution of 3,5-heptanedione (2.4 g, 18.8 mmol) and hydrazine hydrate (1.0 g, 19.0 mmol) and acetic acid (1 drop) in water (10 mL) was heated to reflux for 1 h. The reaction mixture was then cooled in an ice bath to form a white precipitate. The precipitate was then filtered, dissolved in chloroform and dried over MgSO₄. The resulting reaction was concentrated under reduced pressure to provide 2.14 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^1H NMR (CDCl₃) δ 1.27 (t, 6H), 2.65 (q, 4H), 5.90 (s, 1H).

Step B: Preparation of ethyl 3,5-diethyl-1*H*-pyrazole-1-acetate

To a solution of 3,5-diethyl-1*H*-pyrazole (2.14 g, 17.2 mmol) (i.e. the product of Example 15, Step A) in *N,N*-dimethylformamide (10 mL) was added potassium carbonate (4.7 g) and ethyl bromoacetate (2.9 mL, 26.1 mmol). The reaction mixture was stirred at room temperature overnight. The resulting solids were filtered off and the filtrate was diluted with ethyl acetate, washed with water and dried over MgSO₄. The reaction mixture was concentrated under reduced pressure to give 2.79 g of the title compound.

¹H NMR (CDCl₃) δ 1.27 (m, 9H), 2.57 (m, 4H), 4.22 (q, 2H), 4.78 (s, 2H), 5.93 (s, 1H).

Step C: Preparation of 3,5-diethyl-1*H*-pyrazole-1-acetic acid

Ethyl 3,5-diethyl-1*H*-pyrazole-1-acetate (2.79 g, 13.3 mmol) (i.e. the product of Example 15, Step B) in tetrahydrofuran (10 mL) was treated with sodium hydroxide (1.0 g) in water (7.5 mL). The reaction mixture was then stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure and washed with diethyl ether. The resulting aqueous layer was acidified with concentrated hydrochloric acid to give a white precipitate. The precipitate was filtered and dried in air to give 690 mg of the title compound.

¹H NMR (DMSO-*d*₆) δ 1.12 (m, 6H), 2.49 (m, 4H), 4.74 (s, 2H), 5.87 (s, 1H).

Step D: Preparation of 2-[1-[(3,5-diethyl-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 3,5-diethyl-1*H*-pyrazol-1-acetic acid (135mg, 0.74 mmol) (i.e. the product of Example 15, Step C) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (210 mg, 0.53 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by MPLC on silica gel using ethyl acetate/hexanes as eluant to give 60 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.10-1.30 (m, 6H), 1.50-2.30 (m, 8H), 2.45-2.65 (m, 4H), 2.70-2.95 (m, 5H), 3.10-3.30 (m, 2H), 3.90-4.15 (m, 2H), 4.40-4.60 (m, 1H), 4.70-5.00 (m, 2H), 5.60-6.10 (m, 2H), 7.05-7.50 (m, 4H), 7.87 (m, 1H).

EXAMPLE 16

Preparation of 2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 208)

Step A: Preparation of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole

A solution of 1,1,1-trifluoro-2,4-hexane-dione (2.4 g, 14.3 mmol), hydrazine hydrate (1.0 g, 19.0 mmol) and acetic acid (1 drop) in water (10 mL) was heated to reflux for 1 h. The reaction mixture was then cooled in an ice bath to form a white precipitate. The precipitate was then filtered, dissolved in chloroform and dried over MgSO₄. The resulting solution was concentrated under reduced pressure to provide 1.39 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

¹H NMR (CDCl₃) δ 1.26 (t, 3H), 2.70 (q, 2H), 6.34 (s, 1H).

Step B: Preparation of ethyl 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate

To a solution of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole (1.39 g, 8.5 mmol) (i.e. the product of Example 16, Step A) in *N,N*-dimethylformamide (10 mL) was added potassium carbonate (2.3 g) and ethyl bromoacetate (1.4 mL, 12.6 mmol). The reaction mixture was stirred at room temperature overnight. The resulting solids were filtered off and the filtrate was diluted with ethyl acetate, washed with water and dried over MgSO₄. The resulting solution was concentrated under reduced pressure to give 1.34 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

Step C: Preparation of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid

Ethyl 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate (1.34 g, 7.5 mmol) (i.e. the product of Example 16, Step B) in tetrahydrofuran (5 mL) was treated with sodium hydroxide (0.5 g) in water (3.5 mL). The reaction mixture was then stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure and washed with diethyl ether. The resulting aqueous layer was acidified with concentrated hydrochloric acid to give a white precipitate. The precipitate was filtered and dried in air to give 690 mg of the title compound.

¹H NMR (DMSO-d₆) δ 1.20 (m, 3H), 2.60 (m, 2H), 5.06 (s, 2H), 6.54 (s, 1H).

Step D: Preparation of 2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (170 mg, 0.76 mmol) (i.e. the product of Example 16, Step C) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6 Step C) (219 mg, 0.56 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by silica gel MPLC using ethyl acetate/hexanes as eluant to give 200 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.20-1.30 (m, 3H), 1.55-2.25 (m, 8 H), 2.50-2.70 (m, 2H), 2.70-3.00 (m, 6H), 3.10-3.50 (m, 2H), 3.90-4.10 (m, 1H), 4.30-4.60 (m, 1H), 4.80-5.10 (m, 2H), 5.60-6.10 (m, 1H), 6.33 (m, 1H), 7.05-7.50 (m, 4H), 7.88 (m, 1H).

EXAMPLE 17

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolinecarboxamide
(Compound 246)

Step A: Preparation of 1,1-dimethylethyl [(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]carbamate

A solution of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (0.887 g, 5.5 mmol) (i.e. the product of Example 6, Step A) in tetrahydrofuran (15 mL) was treated with
5 *t*-Boc-*L*-serine (1.03 g, 5 mmol), *N*-hydroxybenzotriazole (0.677 g, 0.5 mmol) and *N,N*-diisopropylcarbodiimide (0.663 g, 5.25 mmol) at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred for 16 h. The precipitate formed was filtered and washed with tetrahydrofuran. The filtrate and washings were concentrated, and the residue was purified by medium-pressure liquid chromatography using a gradient of 50-100 % of
10 ethyl acetate in hexanes as eluant to give 1.11 g of the title compound.

¹H NMR (CDCl₃) δ 1.46-1.48 (m, 9H), 1.8-1.9 (m, 2H), 1.97-2.05 (m, 2H), 2.65, 2.83 (d, 3H), 2.72-2.80 (br s, 2H), 3.33-3.43 (m, 1H), 3.78-4.00 (m, 2H), 4.65-4.80 (m, 1H), 5.27-5.91 (m, 2H), 6.98-7.2 (m, 4H).

Step B: Preparation of (2*S*)-2-amino-3-hydroxy-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]propanamide monohydrochloride

To a solution of 1,1-dimethylethyl [(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]carbamate (1.11 g, 3.19 mmol) (i.e. the product of Example 17, Step A) in methanol (15 mL) was added a 2 M solution of hydrogen chloride in ether (15 mL, 30 mmol), and the reaction mixture was stirred at room
20 temperature for 3 h. The reaction mixture was concentrated in vacuo and the resulting residue was dissolved in methanol and concentrated in vacuo again. The residue was dried in high vacuum to give 750 mg of the title compound as a white solid.

¹H NMR (DMSO-*d*₆) δ 1.6-2.0 (m, 4H), 2.7 (s, 3H), 3.61-3.80 (m, 2H), 4.38-4.43 (d, 1H), 5.18-5.66 (m, 2H), 7.0-7.2 (m, 4H), 8.2-8.3 (br s, 3H).

Step C: Preparation of ethyl 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylate

A solution of ethyl 4-piperidinecarboxylate (1.57 g, 10 mmol) and triethylamine (2.09 mL, 15 mmol) in dichloromethane (20 mL) was cooled to 0 °C and a solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (prepared as described in Example
30 19, step B) in 5 mL of dichloromethane was added dropwise with stirring. The reaction mixture was allowed to warm to room temperature and stirred for 16 h. The reaction mixture was poured in 50 mL of water, and the organic layer was subsequently washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine. The separated organic layers were dried (MgSO₄) and evaporated in vacuo to give 3.2
35 g of the title compound.

¹H NMR (CDCl₃) δ 1.22-1.24 (t, 3H), 1.61-1.74 (m, 2H), 1.85-2.00 (m, 2H), 2.3 (s, 3H), 2.5-2.6 (m, 1H), 2.88-3.23 (m, 2H), 3.82-4.32 (m, 2H), 4.17-4.19 (q, 2H), 4.85 (s, 2H), 6.31 (s, 1H).

Step D: Preparation of 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylic acid

A solution of ethyl 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylate (3.6 g, 10.36 mmol) (i.e. the product of Example 17, Step C) in methanol (10 mL) was treated with 1 M sodium hydroxide aqueous solution (15.54 mL, 15.54 mmol) at 0 °C. The reaction mixture was stirred at room temperature for 2 h and then 1 M hydrochloric acid (15.54 mL, 15.54 mmol) was added, and most of methanol was evaporated in vacuo leaving white crystals. The crystals were filtered and dried to give 2.25 g of the title compound as a white solid.

¹H NMR (DMSO-*d*₆) δ 1.30-1.65 (m, 2H), 1.80-1.92 (m, 2H), 2.2 (s, 3H), 2.72-3.21 (m, 2H), 3.25-3.36 (m, 1H), 3.77-4.20 (m, 2H), 5.18-5.34 (m, 2H), 6.5 (s, 1H).

Step E: Preparation of *N*-[(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinecarboxamide

A solution of (2*S*)-2-amino-3-hydroxy-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]propanamide monohydrochloride (546.33 mg, 2.2 mmol) (i.e. the product of Example 17, Step B) and *N*-methylmorpholine (222.53 mg, 2.2 mmol) in 8 mL of tetrahydrofuran was cooled to 0 °C and 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylic acid (638.56 mg, 2 mmol) (i.e. the product of Example 17, Step D) was added followed by *N*-hydroxybenzotriazole (27 mg, 0.2 mmol) and *N,N*-diisopropylcarbodiimide (265 mg, 2.1 mmol). The reaction mixture was stirred for 16 h at room temperature and filtered. The precipitate was washed with tetrahydrofuran and the resulting filtrate and washings were concentrated in vacuo. The residue was dissolved in dichloromethane and the resulting solution was washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, dried over MgSO₄ and concentrated in vacuo. The resulting product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % methanol in ethyl acetate as eluant to give 600 mg of the title compound as a white solid.

¹H NMR (CDCl₃) δ 1.65-2.05 (m, 8H), 2.3 (s, 3H), 2.40-3.26 (m, 2H), 2.7-2.9 (m, 6H), 3.55 (s, 1H), 3.8-3.92 (m, 2H), 3.92-4.54 (m, 2H), 5.0-5.1 (m, 2H), 5.2-5.9 (m, 1H), 6.35 (s, 1H), 6.9-7.2 (m, 5H).

Step F: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolinecarboxamide

A mixture of 207 mg (0.38 mmol) of *N*-[(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinecarboxamide (207 mg, 0.38 mmol) (i.e. the product of Example 17, Step E) and (methoxycarbonylsulfamoyl)triethylammonium hydroxide, inner salt (Burgess reagent) (104.86 mg, 0.44 mmol) in 2 mL of tetrahydrofuran were heated at 70 °C for 2.5 h in the sealed tube. The reaction mixture was concentrated in vacuo and further purified by medium-pressure liquid chromatography using 75-100 % of ethyl acetate in hexanes as eluant to give 90 mg of the title compound, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.60-2.05 (m, 8H), 2.1 (s, 3H), 2.65-2.97 (m, 5H), 3.00-3.12 (m, 1H), 3.2-3.92 (m, 2H), 4.20-4.35 (m, 2H), 4.9-5.1 (m, 4H), 5.6-5.9 (m, 1H), 6.32 (s, 1H), 6.97-7.20 (m, 4H).

EXAMPLE 18

Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide (Compound 231)

Step A: Preparation of 1,1-dimethylethyl 4-[(methylsulfonyl)oxy]-1-piperidine-carboxylate

To a solution of 1,1-dimethylethyl 4-hydroxy-1-piperidinecarboxylate (4.02 g, 20 mmol) and triethylamine (4.4 mL) in 50 mL of dichloromethane was slowly added methanesulfonylchloride (1.7 mL, 22 mmol) at 0 °C. The reaction mixture was stirred for 1 h at 0 °C, washed with 1 M aqueous hydrochloric acid, dried over MgSO₄ and concentrated in vacuo to give 5 g of the title compound as a white solid.

¹H NMR (CDCl₃) δ 1.44 (s, 9H), 1.74-1.86 (m, 2H), 1.9-2.1 (m, 2H), 3.02 (s, 3H), 3.27-3.35 (m, 2H), 3.66-3.75 (m, 2H), 4.84-4.92 (m, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[3-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate

A suspension of 60 % dispersion of sodium hydride in mineral oil (192 mg, 4.8 mmol) in 20 mL of *N,N*-dimethylformamide was cooled to 0 °C, and methyl 3-pyrazolecarboxylate (605.37 mg, 4.8 mmol) was gradually added with stirring in nitrogen atmosphere. The reaction mixture was stirred at room temperature for 0.5 h and cooled again to 0 °C. A solution of 1,1-dimethylethyl 4-[(methylsulfonyl)oxy]-1-piperidine-carboxylate (1.18 g, 4 mmol) (i.e. the product of Example 18, Step A) in 5 mL of *N,N*-dimethylformamide was gradually added to the reaction mixture. The resulting mixture was stirred for 5 days at 60 °C. The reaction mixture was poured in ice water and extracted with ethyl acetate. The organic layer was dried (MgSO₄), evaporated in vacuo, and purified by

medium-pressure liquid chromatography on silica gel eluting with 0-10 % methanol in ethyl acetate as eluant to give 290 mg of the title compound as a white solid.

^1H NMR (CDCl_3) δ 1.46 (s, 9H), 1.88-2.00 (m, 2H), 2.12-2.20 (m, 2H), 2.80-2.92 (m, 2H), 3.92 (s, 3H), 4.24-4.33 (m, 2H), 4.34-4.37 (m, 1H), 6.82 (s, 1H), 7.44 (s, 1H).

5 Additionally, 370 mg of 1,1-dimethylethyl 4-[5-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate was isolated eluting before the title compound.

^1H NMR (CDCl_3) δ 1.46 (s, 9H), 1.92-2.00 (m, 2H), 2.08-2.15 (m, 2H), 2.85-2.95 (m, 2H), 3.89 (s, 3H), 4.20-4.31 (m, 2H), 5.24-5.32 (m, 1H), 6.85 (s, 1H), 7.51 (s, 1H).

Step C: Preparation of methyl 1-(4-piperidinyl)-1*H*-pyrazole-3-carboxylate
10 monohydrochloride

To a solution of 1,1-dimethylethyl 4-[3-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate (300 mg, 0.97 mmol) (i.e. the product of Example 18, Step B) in 5 mL of diethyl ether was added a 2 M solution of hydrogen chloride in ether (4.85 mL, 9.7 mmol), and the reaction mixture was stirred for 5 h at room temperature. The reaction
15 mixture was evaporated in vacuo, the resulting residue was dissolved in methanol and concentrated in vacuo. The residue was dried in high vacuum to give 200 mg of the title compound as a white solid.

^1H NMR (DMSO-d_6) δ 2.1-2.3 (m, 4H), 3.0-3.1 (m, 2H), 3.31-3.41 (m, 2H), 3.8 (s, 3H), 4.56-4.68 (m, 1H), 6.8 (s, 1H), 7.96 (s, 1H), 9.10-9.58 (br s, 2H).

20 Step D: Preparation of Methyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylate

A mixture of methyl 1-(4-piperidinyl)-1*H*-pyrazole-3-carboxylate monohydrochloride (220 mg, 0.9 mmol) (i.e. the product of Example 18, Step C) and triethylamine (0.42 mL, 3 mmol) in 5 mL of dichloromethane was stirred at room
25 temperature for about 15 minutes until complete dissolution and cooled to 0 °C. A solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (227 mg, 1 mmol) (prepared as described in Example 19, Step B) was gradually added, and the resulting mixture was stirred at room temperature for 16 h. The reaction mixture was poured in water, the organic layer was washed with 1 M aqueous hydrochloric acid, water, saturated aqueous solution of
30 sodium bicarbonate, brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 320 mg of the title compound.

^1H NMR (CDCl_3) δ 1.90-2.07 (m, 2H), 2.2-2.3 (m, 2H), 2.34 (s, 3H), 2.79-3.36 (m, 2H), 3.93 (s, 3H), 4.10-4.71 (m, 2H), 4.25-4.52 (m, 1H), 4.94-5.04 (m, 2H), 6.35 (s, 1H), 6.85 (s, 1H), 7.22 (s, 1H).

Step E: Preparation of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylic acid

A solution of methyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylate (320 mg, 0.8 mmol) (i.e. the product of Example 18, Step D) in 8 mL of methanol was cooled to 0 °C and 1 M aqueous sodium hydroxide solution (1.6 mL, 1.6 mmol) was gradually added. The reaction mixture was stirred at 50 °C for 16 h, and 1 M aqueous hydrochloric acid (1.6 mL, 1.6 mmol) was added followed by 5 mL of saturated aqueous solution of sodium chloride. The resulting mixture was extracted with ethyl acetate, and the extract was dried over magnesium sulfate and evaporated in vacuo to give 270 mg of the title compound as a glassy solid.

¹H NMR (DMSO-*d*₆) δ 1.80-2.19 (m, 4H), 2.2 (s, 3H), 2.8-3.3 (m, 2H), 3.98-4.48 (m, 2H), 4.5-4.6 (m, 1H), 5.23-5.4 (m, 2H), 6.5 (s, 1H), 6.7 (s, 1H), 7.9 (s, 1H), 12.6 (s, 1H).

Step F: Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide

A mixture of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylic acid (270 mg, 0.7 mmol) (i.e. the product of Example 18, Step E), *N*-(3-dimethylaminopropyl)-*N*'-ethylcarbodiimide hydrochloride (EDC) (147.61 mg, 0.77 mmol) and *N*-methylmorpholine (0.088 mL, 0.8 mmol) in 5 mL of dichloromethane was stirred at room temperature for 15 minutes. (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (124.16 mg, 0.77 mmol) (i.e. the product of Example 6, Step A) was added and the reaction mixture was stirred at room temperature for 16 h. The reaction mixture was poured in water, and the organic layer was subsequently washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate, brine. The filtered reaction mixture was dried over magnesium sulfate and evaporated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 75-100 % of ethyl acetate in hexanes as eluant to give 55 mg of the title compound, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.68-2.10 (m, 6H), 2.11-2.27 (s, 3H), 2.33-2.35 (d, 3H), 2.74-3.00 (m, 5H), 3.22-3.4 (m, 1H), 4.00-4.18 (m, 1H), 4.30-4.66 (m, 2H), 4.93-5.07 (m, 2H), 5.88-6.12 (m, 1H), 6.29-6.38 (m, 1H), 6.74-6.81 (d, 1H), 7.1-7.3 (m, 4H), 7.40-7.42 (d, 1H).

EXAMPLE 19

N-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide (Compound 178)

Step A: Preparation of ethyl 2-(4-piperidinyl)-4-thiazolecarboxylate monohydrochloride

A solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidine-carboxylate (11.1g, 32.7 mmol) (i.e. the product of Example 1, Step A) in 100 mL of diethyl ether was treated with a solution of 2 M hydrogen chloride in diethyl ether (166 mL, 331 mmol) at 0 °C. The resulting reaction precipitate was dissolved with 100 mL of absolute ethanol and was stirred overnight at room temperature. The reaction mixture was evaporated in vacuo, re-dissolved in ethanol and evaporated again to give a solid. The resulting solid was placed under a high vacuum for several hours to give 10.38 g of the title compound as a hygroscopic white powder.

¹H NMR (DMSO-*d*₆) δ 1.30 (t, 3H), 1.9 (m, 2H), 2.2 (m, 2H), 3.0 (m, 2H), 3.35 (m, 2H), 3.4 (m, 1H), 4.3 (q, 2H), 8.9-9.3 (br, 2H).

Step B: Preparation of ethyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylate

5-Methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetic acid (7.58 g, 36.4 mmol) (i.e. the product of Example 2, Step A) was dissolved in 100 mL of dichloromethane. To the reaction mixture, 1 drop of *N,N*-dimethylformamide was added and the reaction mixture was cooled to 0 °C. The reaction mixture was treated with oxalyl chloride (3.5 mL, 40 mmol) dropwise and allowed to warm to room temperature and stirred for 3 h. The resulting mixture was evaporated in vacuo and placed under high vacuum to give 7.93 g of the corresponding acid chloride, 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride, as a tan solid. The acid chloride was dissolved in 50 mL of dichloromethane and a solution of ethyl 2-(4-piperidinyl)-4-thiazolecarboxylate monohydrochloride (10.38 g, 33.1 mmol) (i.e. the product of Example 19, Step A) and triethylamine (23 mL, 165 mmol) in 200 mL of dichloromethane was added at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred overnight. The reaction mixture was poured into water and extracted with dichloromethane. The extract was washed with 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate, and brine. The filtered mixture was dried (MgSO₄) and evaporated in vacuo to give 13.0 g of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.4 (t, 3H), 1.78 (m, 2H), 2.2 (m, 2H), 2.32 (s, 3H), 2.80 (m, 1 H), 3.25 (m, 1H), 3.36 (m, 1H), 4.07 (m, 1H), 4.42 (q, 2H), 4.62 (m, 1H), 4.98 (m, 2H), 6.34 (s, 1H), 8.09 (s, 1H).

Step C: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid

A solution of ethyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylate (13.0 g, 30.2 mmol) (i.e. the product of Example 19, Step B) in 60 mL of methanol was cooled to 0 °C and treated with a 1 N aqueous NaOH solution

(36.3 mL, 36.3 mmol). The reaction mixture was allowed to warm to room temperature, and stirred for 5 h. The reaction mixture was cooled again to 0 °C and treated with 1 N aqueous hydrochloric acid (36.3 mL, 36.3 mmol). The resulting precipitate was filtered, washed with water and dried in a vacuum oven at 100 °C to give 10.95 g of the title compound as a white solid.

¹H NMR (DMSO-d₆) δ 1.55 (m, 1H), 1.80 (m, 1H), 2.1 (m, 2H), 2.21 (s, 3H), 2.82 (m, 1H), 3.30 (m, 2H), 3.98 (m, 1H), 4.38 (m, 1H), 5.28 (m, 2H), 6.50 (s, 1H), 8.36 (s, 1H), 12.9 (br s, 1H).

Step D: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride

A solution of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid (2.55 g, 5.87 mmol) (i.e. the product of Example 19, Step C) in 100 mL of dichloromethane was cooled to -10 °C and added 1 drop of *N,N*-dimethylformamide. The reaction mixture was treated with a dropwise addition of a solution of oxalyl chloride (0.60 mL, 6.8 mmol) in 10 mL of dichloromethane. The reaction mixture was stirred at -10 °C for 30 minutes, allowed to warm to room temperature and stirred an additional 16 h. The resulting homogeneous mixture was evaporated in vacuo and the residue placed under high vacuum for several hours to give 2.46 g of the title compound as a light yellow solid.

¹H NMR (CDCl₃) δ 1.80 (m, 2H), 2.2 (m, 2H), 2.33 (s, 3H), 2.88 (m, 1H), 3.36 (m, 2H), 4.10 (m, 1H), 4.60 (m, 1H), 4.99 (m, 2H), 6.34 (s, 1H), 8.39 (s, 1H).

Step E: Preparation of *N*-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide

2-[1-[[5-Methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (210 mg, 0.5 mmol) (i.e. the product of Example 19, Step D) was treated with a solution of (1*R*)-2,3-dihydro-*N*,2-dimethyl-1*H*-inden-1-amine (147 μL, 1.0 mmol) and triethylamine (139 μL, 1.0 mmol) in 5 mL of dichloromethane. The reaction mixture was stirred at room temperature for 2 h, passed through a Varian 1005 Chem Elut™ column pretreated with 3 mL of 1 N aqueous hydrochloric acid. The column was flushed with three column volumes of dichloromethane. The collected dichloromethane solution was evaporated in vacuo and purified by medium-pressure liquid chromatography on silica gel using 50-100 % of ethyl acetate in 1-chlorobutane as eluant to give 214 mg of the title compound, a compound of the present invention, as a white foam.

¹H NMR (CDCl₃) δ 1.78 (m, 3H), 2.18 (m, 2H), 2.39-3.31 (two s, 3H), 2.45 (m, 1 H), 2.7-3.2 (s and m, 6H), 3.28 (m, 2H), 4.00 (m, 1H), 4.50 (m, 1H), 4.97 (m, 2H), 5.9-6.4 (m, 2H), 7.2-7.3 (m, 4H), 7.83 (s, 1H).

EXAMPLE 20

Preparation of *N*-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide
(Compound 226)

5 To a solution of 2,3-dihydro-*N*,2-dimethyl-1*H*-inden-1-amine (177 mg, 1.1 mmol) and triethylamine (0.22 mL, 1.6 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (421 mg, 1 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, 10 and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 215 mg of the title compound, a compound of the present invention, as a white foam.

¹H NMR (CDCl₃) δ 1.56 (s, 3H), 1.70-1.86 (m, 2H), 2.11-2.27 (m, 2H), 2.3 (s, 3H), 2.62-15 2.75 (m, 4H), 2.87-3.00 (m, 2H), 3.09-3.18 (m, 1H), 3.2-3.4 (m, 2H), 4.00-4.55 (m, 2H), 4.90-5.05 (m, 2H), 5.93-6.20 (m, 1H), 6.30-6.35 (m, 1H), 7.20-7.33 (m, 4H), 7.8-7.9 (d, 1H).

EXAMPLE 21

Preparation of *N*-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide
(Compound 222)

20 To a solution of 2,3-dihydro-*N*,2,2-trimethyl-1*H*-inden-1-amine (193 mg, 1.1 mmol) and triethylamine (0.19 mL, 1.38 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (386 mg, 0.92 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, 25 and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 300 mg of the title compound, a compound of the present invention, as a white foam.

30 ¹H NMR (CDCl₃) δ 0.98 (s, 2H), 1.18-1.28 (m, 4H), 1.70-1.82 (m, 2H), 2.12-2.29 (m, 2H), 2.3 (s, 3H), 2.61-2.75 (m, 4H), 2.82-2.98 (m, 2H), 3.22-3.37 (m, 2H), 3.98-4.60 (m, 2H), 4.92-5.08 (m, 2H), 5.52-5.81 (d, 1H), 6.3 (s, 1H), 7.20-7.32 (m, 4H), 7.80-7.83 (d, 1H).

EXAMPLE 22

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-thiazolecarboxamide
(Compound 193)

5 To a solution of 1,2,3,4-tetrahydro-*N*,2-dimethyl-1-naphthalenamine (115 mg, 0.66 mmol) and triethylamine (0.12 mL, 0.825 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (231 mg, 0.55 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 270 mg of the title compound, a compound of the present invention, as a white foam.

10 ¹H NMR (CDCl₃) δ 1.06-1.10 (m, 3H), 1.61-1.83 (m, 4H), 2.08-2.24 (m, 3H), 2.32-2.35 (m, 3H), 2.72-2.82 (m, 4H), 2.86-3.00 (m, 3H), 3.20-3.38 (m, 2H), 3.93-4.08 (m, 1H), 4.47-4.59 (m, 1H), 4.91-5.06 (m, 2H), 5.82-6.15 (m, 1H), 6.32-6.35 (m, 1H), 7.10-7.54 (m, 4H), 7.79-7.90 (d, 1H).

EXAMPLE 23

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide (compound 188)

20 To a solution of 1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (145 mg, 0.9 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.16 mL, 1.13 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (316 mg, 0.75 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 242 mg of the title compound, a compound of the present invention, as white foam.

25 30 ¹H NMR (CDCl₃) δ 1.6-2.0 (m, 4H), 2.05-2.3 (m, 6H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.95-4.58 (m, 3H), 4.96-5.02 (m, 2H), 5.67-6.05 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

EXAMPLE 24

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (Compound 234)

5 To a solution of (1*R*,2*S*)-1,2,3,4-tetrahydro-*N*,2-dimethyl-naphthalenamine and its enantiomer (0.043 g, 0.25 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.104 mL, 0.74 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (85 mg, 0.2 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over sodium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 43 mg of the title compounds, compounds of the present invention, as white foam.

Mass spectrum at 558 (M+1).

EXAMPLE 25

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl)-4-thiazolecarboxamide (Compound 236)

To a solution of 1,2,3,4-tetrahydro-*N*,2,2-trimethyl-naphthalenamine (0.0423 g, 0.22 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.036 mL, 0.26 mmol) in 1 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (316 mg, 0.75 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 2 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over sodium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 70 mg of the title compound, a compound of the present invention, as white foam.

¹H NMR (CDCl₃) δ 0.85 and 1.10 (two s, total 4H), 0.94-1.65 (m, 2H), 1.02 and 1.14 (two s, total 3H), 1.77 (m, 3H), 2.17 (m, 1H), 2.29 and 2.32 (two s, total 3H), 2.77 and 2.86 (two s, total 3H), 2.82 (m, 1H), 2.90 (m, 1H), 3.29 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.50 (m, 1H), 5.00 (m, 2H), 5.69 and 5.85 (s and d, total 1H), 6.34 (m, 1H), 7.19-7.42 (m, 4H), 7.79 and 7.86 (two s, total 1H),

EXAMPLE 26

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (Compound 191)

5 Step A: Preparation of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer

To a solution of 1,2,3,4-tetrahydro-1,4-epoxynaphthalene (2.92 g, 20 mmol) and triethylamine (0.3 mL, 2 mmol) in 40 mL of dichloromethane at 0 °C was added 9-bromo-9-borabicyclo[3.3.1]nonane (1 M solution in tetrahydrofuran, 30 mL, 30 mmol). The reaction mixture was stirred at 0 °C for 20 minutes, and a 2 M solution of methylamine in tetrahydrofuran (40 mL) was then added, forming a white precipitate. The reaction mixture was stirred at room temperature for 16 h, poured into 100 mL of 1 M aqueous hydrochloric acid, and filtered. The filtered aqueous layer was washed with dichloromethane, basified with NaOH pellets to pH 13, and then extracted with dichloromethane. The extract was washed with brine, dried over magnesium sulfate and evaporated in vacuo to give a gummy yellow solid. The solid was slurried in diethyl ether, filtered, washed with diethyl ether and air dried to give 2.15 g of the title compounds as white powder.

¹H NMR (CDCl₃) δ 1.90 (m, 2H), 2.1 (m, 1H), 2.25 (m, 1H), 2.35 (s, 3H), 3.0-4.0 (br s, 2H), 3.76 (m, 1H), 4.70 (m, 1H), 7.2-7.4 (m, 4H).

20 Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer

To a solution of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (283 mg, 1.6 mmol) (i.e. the product of Example 26, Step A) and triethylamine (0.5 mL, 3.6 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (605 mg, 1.44 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 1 h, diluted with dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % of acetone in ethyl acetate as eluant to give 700 mg of the title compounds, compounds of the present invention, as an off-white powder.

¹H NMR (CDCl₃) δ 1.6-1.9 (m, 3H), 2.0-2.3 (m, 6H), 2.31 and 2.33 (2 s, 3H), 2.40 (m, 1 H), 2.7-3.0 (s and m, 4H), 3.2-3.4 (m, 2H), 3.9-4.1 (m, 1H), 4.3-4.6 (m, 1H), 4.80 (m, 1H), 4.97 (m, 2H), 5.6-6.0 (m, 1H), 6.35 (m, 1H), 7.2-7.4 (m, 4H), 7.88 (s, 1H).

EXAMPLE 27

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide
(Compound 211)

5 A mixture of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (96 mg, 0.17 mmol) (i.e. the product of Example 26, Step B) and manganese dioxide (400 mg, 4.6 mmol) in chloroform (2 mL) were swirled to form a vortex overnight at room temperature. The reaction mixture was filtered through
10 Celite[®] diatomaceous filter aid, and purified by medium-pressure liquid chromatography on silica gel using 20 % acetone in ethyl acetate as eluant to give 70 mg of the title compound, a compound of the present invention, as a white foam.

¹H NMR (CDCl₃) δ 1.6-1.9 (m, 3H), 2.0-2.7 (m, 7H), 2.7-3.4 (s and m, 7H), 3.8-4.2 (m, 1H), 4.3-4.7 (m, 1H), 4.9-5.1 (m, 2H), 6.0-6.4 (m, 2H), 7.4 (m, 2H), 7.6 (m, 1H), 7.98 (m,
15 1H), 8.1 (m, 1H).

EXAMPLE 28

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (compound 206)

20 Step A: Preparation of (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer

To a solution of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (517.6 mg, 2.92 mmol) (i.e. the product of Example 26, Step A) in tetrahydrofuran (3 mL) was added triphenylphosphine (766 mg, 2.92 mmol) and acetic acid
25 (175 mg, 2.92 mmol). The mixture was cooled to 0 °C and diethyl azodicarboxylate (0.541 mL, 3.4 mmol) was gradually added. The reaction mixture was stirred at room temperature for 16 h, and concentrated in vacuo. The resulting residue was diluted with diethyl ether and allowed to stand at room temperature for 16 h. The precipitate formed was filtered, the filtrate was washed with saturated aqueous solution of sodium bicarbonate, dried over
30 magnesium sulfate and concentrated under reduced pressure. The reaction residue was diluted with diethyl ether and extracted with 1 N aqueous hydrochloric acid. The aqueous extract was basified with 50 % aqueous solution of sodium hydroxide to pH 9 and immediately extracted with diethyl ether. The organic extract was dried (MgSO₄) and concentrated to give 390 mg of inverted acetates, (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenyl acetate and its enantiomer. The acetate and its enantiomer
35 were shaken for 6 h at room temperature with 2 g of Bio-Rad AG1-X2 (OH[⊖]) resin. The resin was filtered, the filtrate was evaporated in vacuo and the resulting residue was diluted

with diethyl ether and extracted with 1 N aqueous hydrochloric acid. The acidic extract was basified with 50 % aqueous solution of sodium hydroxide and extracted with dichloromethane. The organic extract was dried over magnesium sulfate and concentrated to give 70 mg of the title compounds as solid..

5 ¹H NMR (CDCl₃) δ 1.73-1.86 (m, 2H), 2.1-2.3 (m, 2H), 3.68-3.72 (m, 1H), 4.78-4.81 (m, 1H), 7.23-7.46 (m, 4H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer

10 To a solution of (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (70 mg, 0.39 mmol) (i.e. the product of Example 28, Step A) and triethylamine (0.082 mL, 0.59 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (181 mg, 0.43 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was
15 stirred at room temperature for 3 h, diluted with 5 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % of acetone in ethyl acetate as eluant to give 160 mg of the title compounds,
20 compounds of the present invention, as a white foam.

¹H NMR (CDCl₃) δ 1.6-2.3 (m, 11H), 2.78-3.03 (m, 4H), 3.20-3.36 (m, 2H), 3.88-4.61 (m, 2H), 4.80-5.05 (m, 3H), 5.78-6.12 (m, 1H), 6.32 (s, 1H), 7.18-7.36 (m, 4H), 7.60-7.66 (m, 1H), 7.91-7.95 (m, 1H).

EXAMPLE 29

25 Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarbothioamide
(Compound 289)

A mixture of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide
30 (Compound 149) (273 mg, 0.5 mmol) (i.e. the product of Example 6, Step D) and 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (202 mg, 0.5 mmol) (Lawesson's reagent) in 5 mL of toluene was heated at 100 °C for 3 days. The reaction mixture was concentrated in vacuo, and the resulting residue was dissolved in 10 mL of dichloromethane and washed with 1 M aqueous solution of potassium carbonate, and dried
35 over magnesium sulfate. The filtered residue was concentrated in vacuo and purified by medium-pressure liquid chromatography on silica gel using 50-100 % of ethyl acetate in

hexanes as eluant to give 70 mg of the title compound, a compound of the present invention, as a white foam.

^1H NMR (CDCl_3) δ 1.54-2.40 (m, 11H), 2.70-2.93 (m, 4H), 3.20-3.33 (m, 4H), 3.90-4.60 (m, 2H), 4.92-5.45 (m, 3H), 6.31 (s, 1H), 7.00-7.22 (m, 4H), 7.72 (s, 1H).

5

EXAMPLE 30

Preparation of *N*-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 220)

10 Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate

1,1-Dimethylethyl 1-piperazinecarboxylate (1.86 g, 10 mmol), methyl 2-chloro-5-thiazolecarboxylate (1.92 g, 10.0 mmol), diazabicycloundecene (1.5 mL, 10 mmol) and a catalytic amount of potassium iodide (2 mg) were dissolved in 10 mL of dry dimethyl sulfoxide and warmed to 80 °C for 16 h. The warm solution was added dropwise with stirring to 200 mL of cold water. The reaction mixture was extracted with diethyl ether. The resulting extract was washed with water and brine, dried over magnesium sulfate and concentrated under reduced pressure to give 3.23 g of a yellow oil which solidified on standing. The solid was recrystallized from diethyl ether/hexanes to give 1.0 g of the title compound as light yellow crystals. This compound was of sufficient purity to use in subsequent reactions.

15

20

^1H NMR (CDCl_3) δ 1.37 (t, 3H), 1.48 (s, 9H), 3.53 (s, 8H), 4.38 (q, 2H), 7.47 (s, 1H).

Step B: Preparation of ethyl 2-(1-piperazinyl)-4-thiazolecarboxylate monohydrochloride

25 A solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (1.0 g, 3.4 mmol) (i.e. the product of Example 30, Step A) in 10 mL of dichloromethane was treated with 2 M hydrogen chloride in diethyl ether (10 mL) and the reaction mixture was stirred at room temperature for 16 h. The resulting mixture was evaporated in vacuo to give 1.0 g of the title compound as a white solid. This compound was of sufficient purity to use in subsequent reactions.

30 ^1H NMR ($\text{DMSO}-d_6$) δ 1.27 (t, 3H), 3.20 (br s, 4H), 3.70 (m, 4H), 4.22 (q, 2H), 7.81 (s, 1H), 9.55 (br s, 2H).

Step C: Preparation of ethyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylate

35 5-Methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (1.05 g, 2.5 mmol) (prepared as described in Example 19, step B) was dissolved in 10 mL of dichloromethane and added to a mixture of 2-(1-piperazinyl)-4-thiazolecarboxylate monohydrochloride (1.0 g, 3.0 mmol) (i.e. the product of Example 30, Step B) and powdered anhydrous potassium

carbonate (2.2 g, 15.9 mmol) in 20 mL of dichloromethane at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred for 3 h. Then triethylamine (2 mL) was added to the reaction mixture, and the stirring was continued for an additional 30 minutes. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, and dried over magnesium sulfate. The filtered residue was evaporated in vacuo to give 1.0 g of a white foam. The resulting foam was slurried in 1-chlorobutane and filtered to give 0.83 g of the title compound as a white solid.

¹H NMR (CDCl₃) δ 1.38 (t, 3H), 2.33 (s, 3H), 3.5-3.8 (m, 8H), 4.36 (q, 2H), 5.00 (s, 2H), 6.34 (s, 1H), 7.51 (s, 1H).

Step D: Preparation of 2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylic acid

A solution of ethyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylate (0.83 g, 1.93 mmol) (i.e. the product of Example 30, Step C) in a mixture of methanol (10 mL) and tetrahydrofuran (10 mL) was treated with a 1 N aqueous NaOH solution (4.0 mL, 4.0 mmol). The reaction mixture was stirred at room temperature for 2 h, then treated with 1 N aqueous hydrochloric acid solution (4.5 mL, 4.5 mmol). The resulting mixture was concentrated in vacuo and the resulting suspension was diluted with dichloromethane and filtered to give solid. The resulting solid was washed with dichloromethane and diethyl ether, and air dried to give 0.64 g of the title compound as a white solid.

¹H NMR (DMSO-*d*₆) δ 2.21 (s, 3H), 3.4-3.7 (m, 8H), 5.32 (m, 2H), 6.51 (s, 1H), 7.69 (s, 1H), 12.7 (br s, 1H).

Step E: Preparation of *N*-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylic acid (200 mg, 0.5 mmol) (i.e. the product of Example 30, Step D) was suspended in 5 mL of dry dichloromethane and treated with triethylamine (150 μl, 1.08 mmol) to give a homogeneous solution. To this reaction mixture was added *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HBTU, 210 mg, 0.55 mmol) followed by (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (106 mg, 0.60 mmol) (i.e. the product of Example 6, Step A). The reaction mixture was stirred at ambient temperature for 3 h, passed through a Varian Chem Elut™ CE1010 column pretreated with 5 mL of 20 % aqueous citric acid solution. The column was flushed with three column volumes of dichloromethane, concentrated and purified by silica gel chromatography eluting with ethyl acetate to give 223 mg of the title product, a compound of the present invention, as white foam.

^1H NMR (CDCl_3) δ 1.7-2.1 (m, 4H), 2.32 (s, 3H), 2.27 and 2.80 (two s, 3H), 3.4-3.8 (m, 8H), 4.98 (m, 2H), 5.65-6.05 (m, 1H), 6.34 (s, 1H), 7.1-7.3 (m, 5H).

EXAMPLE 31

Preparation of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) and *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 315)

Step A: Preparation of 1,1-dimethylethyl 4-bromo-4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate

A mixture of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (3.4 g, 10 mmol) (i.e. the product of Example 30, Step A), *N*-bromosuccinimide (1.96 g, 11 mmol) and 2,2'-azobisisobutyronitrile (AIBN, 40 mg, 0.24 mmol) in 40 mL of carbon tetrachloride was refluxed for 1 h. The reaction mixture was then cooled, filtered, concentrated in vacuo, and purified by medium-pressure liquid chromatography on silica gel using 0-20 % of ethyl acetate in 1-chlorobutane as eluant to give 1.9 g of the title compound as an oil.

^1H NMR (CDCl_3) δ 1.40 (t, 3H), 1.46 (s, 9H), 2.3-2.5 (m, 4H), 3.35 (m, 2H), 4.05 (m, 2H), 4.2 (m, 2H), 4.41 (q, 2H), 8.20 (s, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-3,6-dihydro-1(2*H*)-piperidinecarboxylate

A mixture of 1,1-dimethylethyl 4-bromo-4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate (1.9 g, 4.5 mmol) (i.e. the product of Example 31, Step A) and anhydrous potassium carbonate (1.0 g, 7.2 mmol) were heated in 20 mL of acetonitrile at 80 °C overnight. The reaction mixture was cooled, filtered, concentrated in vacuo, and purified by medium-pressure liquid chromatography on silica gel using 0-20% of ethyl acetate in 1-chlorobutane as eluant to give 1.1 g of the title compound as a yellow oil.

^1H NMR (CDCl_3) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.75 (m, 2H), 3.65 (m, 2H), 4.12 (m, 2H), 4.42 (q, 2H), 6.62 (m, 1H), 8.07 (s, 1H).

Step C: Preparation of ethyl 2-(1,2,3,6-tetrahydro-4-pyridinyl)-4-thiazolecarboxylate monohydrochloride

A mixture of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-3,6-dihydro-1(2*H*)-piperidinecarboxylate (1.1 g, 3.25 mmol) (i.e. the product of Example 31, Step B) in 50 mL of dichloromethane was treated with 10 mL of a 2 M solution of HCl in diethyl ether.

The reaction mixture was stirred at room temperature for 16 h and concentrated in vacuo to give 1.0 g of the title compound as an orange solid.

^1H NMR (DMSO- d_6) δ 1.31 (t, 3H), 2.80 (m, 2H), 3.33 (m, 2H), 3.80 (m, 2H), 4.33 (q, 2H), 6.70 (m, 1H), 8.50 (s, 1H), 9.45 (br s, 2H).

Step D: Preparation of ethyl 2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-pyridinyl]-4-thiazolecarboxylate

5 5-Methyl-3-(trifluoromethyl)-1H-pyrazole-1-acetyl chloride (1.05 g, 2.5 mmol) (prepared as described in Example 19, step B) was dissolved in 10 mL of dichloromethane and added to a mixture of 2-(1,2,3,6-tetrahydro-4-pyridinyl)-4-thiazolecarboxylate monohydrochloride (1.0 g, 3.3 mmol) (i.e. the product of Example 31, Step C) and powdered anhydrous potassium carbonate (2.2 g, 15.9 mmol) in 20 mL of dichloromethane at 0 °C.
10 The reaction mixture was allowed to warm to room temperature and stirred for 3 h. Then triethylamine (2 mL) was added to the reaction mixture, and the stirring continued for an additional 20 minutes. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, and dried over magnesium sulfate. The filtered residue was evaporated in vacuo to
15 give 1.0 g of a white foam. The resulting foam was purified by medium-pressure liquid chromatography on silica gel eluting with 0-50 % ethyl acetate in 1-chlorobutane to give 0.67 g of the title compound as a yellow oil which solidified on standing.

^1H NMR (CDCl₃) δ 1.42 (t, 3H), 2.32 (s, 3H), 2.8 (m, 2H), 3.75-3.90 (m, 2H), 4.30 (m, 2H), 4.42 (q, 2H), 5.00 (m, 2H), 6.34 (s, 1H), 6.62 (m, 1H), 8.06 (m, 1H).

20 Step E: Preparation of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) and *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-
25 thiazolecarboxamide (Compound 315)

Ethyl 2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-pyridinyl]-4-thiazolecarboxylate (0.67 g) (i.e. the product of Example 31, Step D) was dissolved in 10 mL of methanol and treated with 2 mL of a 1 N aqueous NaOH solution. The reaction mixture was stirred at room temperature for 1 h, and a solution of 1 N aqueous
30 hydrochloric acid (2 mL) was added. The reaction mixture was diluted with water and the resulting aqueous layer was extracted with ethyl acetate. The extract was dried over magnesium sulfate and concentrated in vacuo to give 0.61 g of a tan solid. The resulting solid was dissolved in 25 mL of dichloromethane and treated with 0.5 mL of oxalyl chloride and 5 μL of *N,N*-dimethylformamide. The reaction mixture was stirred at room temperature
35 for 3 h, and then concentrated in vacuo to give a tan foam. The resulting foam was dissolved in 3 mL of dichloromethane and the resulting mixture was added dropwise to a mixture of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (350 mg, 1.97 mmol) (i.e. the product of Example 6, Step A) and triethylamine (0.5 mL, 3.6 mmol) in 5 mL of dichloromethane.

The reaction mixture was then stirred at ambient temperature for 1 h and passed through a Varian Chem Elut™ CE1010 column pretreated with 7 mL of 1 N aqueous hydrochloric acid. The column was flushed with three column volumes of dichloromethane, concentrated in vacuo and purified by silica gel chromatography eluting with ethyl acetate to give two isomeric compounds:

124 mg of *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 315) as white foam; ¹H NMR (CDCl₃) δ 1.7-2.1 (m, 4H), 2.1-2.4 (m, 5H), 2.7-3.0 (m, 4H), 3.5-4.1 (m, 4H), 4.85-5.15 (m, 3H), 5.25-6.95 (m, 3H), 7.1-7.3 (m, 4H), 7.85 (m, 1H) and 114 mg of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) as a white foam; ¹H NMR (CDCl₃) δ 1.7-2.1 (m, 3H), 2.1-2.4 (m, 4H), 2.6-3.0 (m, 7H), 3.7-3.9 (m, 2H), 4.25 (m, 2H), 5.02 (m, 2H), 5.7-6.6 (m, 3H), 7.1-7.3 (m, 4H), 7.88 (m, 1H).

EXAMPLE 32

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide (Compound 232)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2*H*-1,2,3-triazol-2-yl]-1-piperidinecarboxylate

To a solution of *t*-butyl 4-hydroxypiperidine-1-carboxylate (0.43 g, 3.3 mmol) and triphenylphosphine (1.05 g, 4.0 mmol) in tetrahydrofuran (15 mL) at 0 °C was added dropwise diethyl azodicarboxylate (0.63 mL, 4.0 mmol). After 5 minutes ethyl 1*H*-1,2,3-triazole-4-carboxylate (0.43 g, 3.0 mmol, prepared according to D. R. Buckle, C. J. M. Rockell, *J. Chem Soc., Perkin Transaction 1* **1982**, 2, 627-630.) was added in tetrahydrofuran (5 mL). The reaction mixture was stirred overnight at room temperature. The reaction mixture was concentrated under reduced pressure and the residue was purified by medium pressure liquid chromatography (MPLC) using 15 to 40 % ethyl acetate in hexanes as eluant to afford 0.42 g of the title compound as an oil.

¹H NMR (CDCl₃) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.13 (m, 4H), 2.97 (m, 2H), 4.19 (m, 2H), 4.42 (q, 2H), 4.69 (m, 1H), 8.04 (s, 1H).

Additionally eluting before the title compound was isolated 0.35 g of 1,1-dimethylethyl 4-[5-(ethoxycarbonyl)-1*H*-1,2,3-triazol-1-yl]-1-piperidinecarboxylate.

¹H NMR (CDCl₃) δ 1.41 (t, 3H), 1.48 (s, 9H), 2.09 (m, 2H), 2.29 (m, 2H), 2.94 (m, 2H), 4.30 (m, 2H), 4.39 (q, 2H), 5.27 (m, 1H), 8.13 (s, 1H).

Step B: Preparation of ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate

Trifluoroacetic acid (3 mL) was added to 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2*H*-1,2,3-triazol-2-yl]-1-piperidinecarboxylate (0.41 g, 1.3 mmol) (i.e. the product of Example 32, Step A). The reaction mixture was stirred for 45 minutes. The reaction mixture was then concentrated in vacuo. The resulting mixture was treated with saturated aqueous sodium bicarbonate and the aqueous layer was extracted three times with dichloromethane. The solvent was removed with a rotary evaporator to afford 0.23 g of ethyl 4-piperidinyl-2*H*-1,2,3-triazole-4-carboxylate as an oil. This compound was of sufficient purity to use in subsequent reactions.

To a slurry of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (0.23 g, 1.1 mmol) in dichloromethane (5 mL) was added oxalyl chloride (0.20 mL, 1.4 mmol) and one drop of *N,N*-dimethylformamide. After 45 minutes the reaction mixture was concentrated in vacuo and the resulting residue was dissolved in dichloromethane (10 mL). The reaction mixture was then added to a solution of ethyl 4-piperidinyl-2*H*-1,2,3-triazole-4-carboxylate (0.23 g) and triethylamine (0.20 mL, 1.4 mmol) in dichloromethane (10 mL) at 0 °C. The reaction mixture was stirred at room temperature overnight. The organic layer was washed with saturated aqueous sodium bicarbonate, dried (Na₂SO₄) and the solvent was removed with a rotary evaporator. The residue was purified by medium pressure liquid chromatography (MPLC) using 35 to 60 % ethyl acetate in hexanes as eluant to afford 0.35 g of the title compound as a white solid.

¹H NMR (CDCl₃) δ 1.41 (t, 3H), 2.23 (m, 4H), 2.33 (s, 3H), 3.09 (m, 1H), 3.40 (m, 1H), 4.10 (m, 1H), 4.43 (q, 2H), 4.45 (m, 1H), 4.80 (m, 1H), 5.00 (m, 2H), 6.34 (s, 1H), 8.06 (s, 1H).

Step C: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylic acid

Ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate (0.35 g, 0.82 mmol) (i.e. the product of Example 32, Step B) was dissolved in a mixture of methanol (5 mL) and tetrahydrofuran (2 mL). A 1 N aqueous solution of sodium hydroxide (1.6 mL, 1.6 mmol) was added to the reaction mixture and the mixture was stirred overnight. The reaction mixture was concentrated in vacuo and the residue was dissolved in water. The aqueous layer was washed with diethyl ether and the aqueous layer was acidified with concentrated hydrochloric acid to pH 1, and extracted with dichloromethane and then chloroform. The combined organic layers were dried (Na₂SO₄) and concentrated in vacuo to afford 0.27 g of the title compound as a white solid.

¹H NMR (CDCl₃) δ 2.23 (m, 4H), 2.33 (s, 3H), 3.10 (m, 1H), 3.41 (m, 1H), 4.08 (m, 1H), 4.45 (m, 1H), 4.81 (m, 1H), 5.02 (m, 2H), 6.37 (s, 1H), 8.13 (s, 1H).

Step D: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide

To a slurry of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylic acid (0.070 g, 0.18 mmol) (i.e. the product of Example 32, Step C) in dichloromethane (2 mL) was added oxalyl chloride (0.05 mL, 0.35 mmol) and one drop of *N,N*-dimethylformamide. After 45 minutes the reaction mixture was concentrated in vacuo and the resulting residue was dissolved in dichloromethane (10 mL). The reaction mixture was then added to a solution of (*R*)-*N*-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamine (32 mg, 0.20 mmol) and triethylamine (0.033 mL, 0.24 mmol) in dichloromethane (2 mL) at 0 °C. The reaction mixture was stirred at room temperature overnight. The organic layer was washed with saturated aqueous sodium bicarbonate, dried (Na₂SO₄) and the solvent was removed with a rotary evaporator. The residue was purified by medium pressure liquid chromatography (MPLC) using 35-60 % ethyl acetate in hexanes as eluant to afford 74 mg of the title product, a compound of the present invention, as an oil.

¹H NMR (CDCl₃) δ 1.8-2.3 (m, 8H), 2.31 and 2.33 (2 s, total 3H), 2.86 (m, 2H), 2.81 and 3.01 (2 s, total 3H), 3.13 (m, 1H), 3.40 (m, 1H), 3.98 and 4.07 (2 m, total 1H), 4.32 and 4.42 (2 m, total 1H), 4.71 and 4.75 (2 m, total 1H), 5.02 (m, 2H), 5.83 and 6.08 (2 m, total 1H), 6.34 and 6.34 (s and d, total 1H), 7.30 (m, 4H), 8.06 and 8.11 (2 s, total 1H).

EXAMPLE 33

Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide (Compound 233)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate

By a procedure analogous to that of Example 32 Step A, *t*-butyl 4-hydroxypiperidine-1-carboxylate (0.79 g, 3.6 mmol) was reacted with triphenylphosphine (1.26 g, 4.8 mmol), diethyl azodicarboxylate (0.76 mL, 4.8 mmol) and ethyl 1*H*-pyrazole-4-carboxylate (0.50 g, 3.6 mmol) to afford the title compound (0.76 g) as a white solid.

¹H NMR (acetone-*d*₆) δ 1.29 (t, 3H), 1.46 (s, 9H), 1.93 (m, 2H), 2.07 (m, 2H), 2.95 (m, 2H), 4.20 (m, 2H), 4.25 (q, 2H), 4.46 (m, 1H), 7.82 (s, 1H), 8.19 (s, 1H).

Step B: Preparation of ethyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylate

By a procedure analogous to that of Example 32 Step B, 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate (0.38 g, 1.2 mmol) (i.e. the

product of Example 33, Step A) was deprotected with trifluoroacetic acid (4 mL) to afford the corresponding amine (0.18 g). This amine was reacted with the acid chloride formed from 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (0.18 g, 0.88 mmol) and oxalyl chloride (0.10 mL, 1.15 mmol) in the presence of triethylamine (0.16 mL, 1.15 mmol) to afford 0.24 g of the title compound as a white solid.

¹H NMR (CDCl₃) δ 1.35 (t, 3H), 1.95 (m, 2H), 2.24 (m, 2H), 2.34 (s, 3H), 2.90 (m, 1H), 3.32 (m, 1H), 4.13 (m, 1H), 4.30 (q, 2H), 4.37 (m, 1H), 4.63 (m, 1H), 4.99 (s, 2H), 6.34 (s, 1H), 7.91 (s, 1H), 7.92 (s, 1H).

Step C: Preparation of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylic acid

By a procedure analogous to that of Example 32 Step C, ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate (0.24 g, 0.58 mmol) (i.e. the product of Example 33, Step B) was hydrolyzed with 1 N aqueous sodium hydroxide (1.2 mL, 1.2 mmol) to afford 0.125 g of the title compound as a white solid.

¹H NMR (DMSO-*d*₆) δ 1.82 (m, 1H), 2.07 (m, 3H), 2.21 (s, 3H), 2.83 (m, 1H), 3.26 (m, 1H), 4.00 (d, 1H), 4.39 (d, 1H), 4.52 (m, 1H), 5.29 (m, 2H), 6.50 (s, 1H), 7.82 and 7.90 (two s, total 1H), 8.30 and 8.42 (two s, total 1H).

Step D: Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide

By a procedure analogous to that of Example 32, Step D, 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylic acid (0.081 g, 0.21 mmol) (i.e. the product of Example 33, Step C) was reacted with oxalyl chloride (0.05 mL) and the resulting product was reacted with (*R*)-*N*-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamine (0.038 g, 0.23 mmol) and triethylamine (0.038 mL, 0.27 mmol) to afford 0.073 g of the title compound, a compound of the present invention, as an oil after purification by medium pressure liquid chromatography.

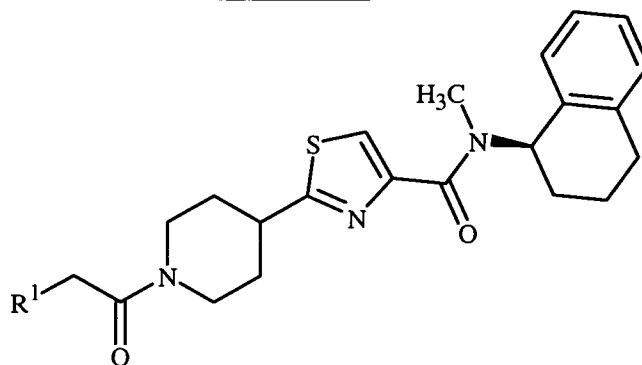
¹H NMR (CDCl₃) δ 1.8-2.3 (m, 8H), 2.33 and 2.34 (two s, total 3H), 2.82 (m, 3H), 2.78 and 2.92 (two s, total 3H), 3.31 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.64 (m, 1H), 5.00 (m, 2H), 5.36 and 6.02 (two m, total 1H), 6.34 (s, 1H), 7.19 (m, 4H), 7.68 and 7.80 (two s, total 1H), 7.80 and 7.93 (two s, total 1H).

Tables 1A to 10 list specific compounds of Formula 1 useful in the fungicidal mixtures, compositions and methods of the present invention. These compounds are to be construed as illustrative and not limiting of the disclosure in any way. The following abbreviations are used in the Tables which follow: *n* means normal, *i* means iso, *c* means cyclo, *t* means tertiary, *s* means secondary, Ac means acetyl, Me means methyl, Et

means ethyl, Pr means propyl, *i*-Pr means isopropyl, *c*-Pr means cyclopropyl, Bu means butyl, Pen means pentyl, Hex means hexyl, and CN means cyano. A dash (-) indicates no substituents.

The invention includes but is not limited to the following exemplary species of component (a) compounds.

TABLE 1A



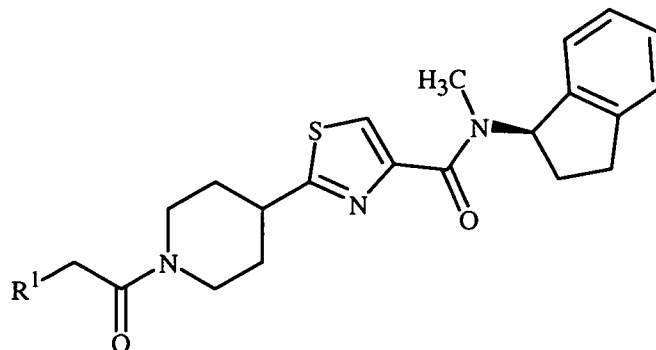
<u>R¹</u>	<u>R¹</u>
phenyl	3-(pentafluoroethyl)phenyl
2-methylphenyl	3-cyanophenyl
2-methoxyphenyl	3-nitrophenyl
2-chlorophenyl	2,5-dichlorophenyl
2-bromophenyl	5-bromo-2-chlorophenyl
2-ethylphenyl	2-chloro-5-iodophenyl
2-ethoxyphenyl	2-chloro-5-methylphenyl
2-(methylthio)phenyl	2-chloro-5-ethylphenyl
2-(ethylthio)phenyl	2-chloro-5-propylphenyl
2-(trifluoromethoxy)phenyl	2-chloro-5-isopropylphenyl
3-chlorophenyl	2-chloro-5-(trifluoromethyl)phenyl
3-bromophenyl	2-chloro-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-chloro-5-(pentafluoroethyl)phenyl
3-methylphenyl	2-chloro-5-cyanophenyl
3-ethylphenyl	2-chloro-5-nitrophenyl
3-propylphenyl	2-bromo-5-chlorophenyl
3-isopropylphenyl	2,5-dibromophenyl
3-(trifluoromethyl)phenyl	2-bromo-5-iodophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-methylphenyl

<u>R¹</u>	<u>R¹</u>
2-bromo-5-ethylphenyl	5-bromo-2-ethylphenyl
2-bromo-5-propylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-isopropylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2,5-diethylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-isopropylphenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-nitrophenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
5-chloro-2-methylphenyl	2-ethyl-5-(pentafluoroethyl)phenyl
5-bromo-2-methylphenyl	5-cyano-2-ethylphenyl
5-iodo-2-methylphenyl	2-ethyl-5-nitrophenyl
2,5-dimethylphenyl	3-methylpyrazol-1-yl
5-ethyl-2-methylphenyl	3-chloropyrazol-1-yl
2-methyl-5-propylphenyl	3-bromopyrazol-1-yl
5-isopropyl-2-methylphenyl	3-iodopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-ethylpyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3-(trifluoromethyl)pyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-cyano-2-methylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
2-methyl-5-nitrophenyl	3-cyanopyrazol-1-yl
5-chloro-2-methoxyphenyl	3-nitropyrazol-1-yl
5-bromo-2-methoxyphenyl	3,5-dimethylpyrazol-1-yl
5-iodo-2-methoxyphenyl	3-chloro-5-methylpyrazol-1-yl
2-methoxy-5-methylphenyl	3-bromo-5-methylpyrazol-1-yl
5-ethyl-2-methoxyphenyl	3-iodo-5-methylpyrazol-1-yl
2-methoxy-5-propylphenyl	3-ethyl-5-methylpyrazol-1-yl
5-isopropyl-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	3-isopropyl-5-methylpyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-cyano-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-nitrophenyl	3-cyano-5-methylpyrazol-1-yl
5-chloro-2-ethylphenyl	5-methyl-3-nitropyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-chloro-3-methylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
3,5-dichloropyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	3-cyano-5-ethylpyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	5-ethyl-3-nitropyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl	5-butyl-2-methylphenyl
5-chloro-3-isopropylpyrazol-1-yl	5-hexyl-2-methylphenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	5-allyl-2-methylphenyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2-methyl-5-(4-methyl-3-pentenyl)phenyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2-methyl-5-propargylphenyl
5-chloro-3-cyanopyrazol-1-yl	2-methyl-5-(3-methylpropargyl)phenyl
5-chloro-3-nitropyrazol-1-yl	5-cyclopropyl-2-methylphenyl
5-bromo-3-methylpyrazol-1-yl	5-cyclohexyl-2-methylphenyl
5-bromo-3-chloropyrazol-1-yl	2-methyl-5-(pentafluoroisopropyl)phenyl
3,5-dibromopyrazol-1-yl	5-(3,3-dichloro-2-propen-1-yl)-2-methylphenyl
5-bromo-3-iodopyrazol-1-yl	2-methyl-5-(4,4,4-trifluoro-2-butyn-1-yl)phenyl
5-bromo-3-ethylpyrazol-1-yl	5-(2,2-dichlorocyclopropan-1-yl)-2-methylphenyl
5-bromo-3-propylpyrazol-1-yl	2-methyl-5-(trifluoromethoxy)phenyl
5-bromo-3-isopropylpyrazol-1-yl	2-chloro-5-(isobutylthio)phenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2-chloro-5-(ethylsulfonyl)phenyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2-chloro-5-(trifluoromethylthio)phenyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	2-chloro-5-(trifluoromethylsulfonyl)phenyl
5-bromo-3-cyanopyrazol-1-yl	2-chloro-5-(methylamino)phenyl
5-bromo-3-nitropyrazol-1-yl	2-chloro-5-(tert-butylamino)phenyl
5-methoxy-3-methylpyrazol-1-yl	2-chloro-5-(dimethylamino)phenyl
3-chloro-5-methoxypyrazol-1-yl	2-chloro-5-(9-diethylamino)phenyl
5-ethyl-3-methylpyrazol-1-yl	2-chloro-5-(cyclopropylamino)phenyl
3-chloro-5-ethylpyrazol-1-yl	3-(methoxymethyl)phenyl
3-bromo-5-ethylpyrazol-1-yl	2-chloro-5-(ethoxymethyl)phenyl
5-ethyl-3-iodopyrazol-1-yl	2-chloro-5-(hydroxymethyl)phenyl
3,5-diethylpyrazol-1-yl	2-chloro-5-(methoxycarbonyl)phenyl
5-ethyl-3-propylpyrazol-1-yl	2-chloro-5-(ethylcarbonyl)phenyl
5-ethyl-3-isopropylpyrazol-1-yl	2-chloro-5-(methylcarbonyloxy)phenyl

<u>R¹</u>	<u>R¹</u>
2-chloro-5-(methylaminocarbonyl)phenyl	2,5-dimethyl-4-oxazolyl
2-chloro-5-(dimethylaminocarbonyl)phenyl	2,5-dimethyl-4-thiazolyl
2-methyl-5-(trimethylsilyl)phenyl	3-bromo-4-isothiazolyl
3,5-dimethyl-2-thienyl	3-bromo-4-isooxazolyl
3,5-dichloro-2-thienyl	1-methyl-4-imidazolyl
3,5-dimethyl-2-furyl	5-trifluoromethyl-3-(1,2,4-oxadiazolyl)
1-methyl-2-pyrrolyl	5-trifluoromethyl-3-(1,2,4-thiadiazolyl)
4-methyl-2-trifluoromethyl-5-thiazolyl	2-bromo-1-(1,3,4-triazolyl)
4-trifluoromethyl-2-thiazolyl	5-trifluoromethyl-3-(1,2,4-triazolyl)
4-trifluoromethyl-2-oxazolyl	2-bromo-1-imidazolyl
4-methyl-2-trifluoromethyl-5-oxazolyl	3,6-dimethyl-2-pyridyl
4-bromo-5-isothiazolyl	2,5-dimethyl-3-pyridyl
4-bromo-5-isoxazolyl	2,5-dimethyl-4-pyridyl
1-methyl-5-pyrazolyl	3,6-dichloro-2-pyridyl
1-methyl-5-imidazolyl	2,5-dichloro-3-pyridyl
1-methyl-4-trifluoromethyl-2-imidazolyl	2,5-dichloro-4-pyridyl
4-methyl-3-(1,3,4-triazolyl)	4-bromo-3-pyridazinyl
2-methyl-3-(1,2,4-triazolyl)	4-trifluoromethyl-2-pyrimidinyl
5-trifluoromethyl-2-(1,3,4-thiadiazolyl)	3,6-dimethyl-2-pyrazinyl
5-trifluoromethyl-2-(1,3,4-oxadiazolyl)	2,5-dimethyl-4-pyrimidinyl
3-trifluoromethyl-5-(1,2,4-thiadiazolyl)	4-methoxy-5-pyrimidinyl
3-trifluoromethyl-5-(1,2,4-oxadiazolyl)	3,6-dimethyl-4-pyridazinyl
3-trifluoromethyl-1-(1,2,4-triazolyl)	5-trifluoromethyl-3-(1,2,4-triazinyl)
2,5-dimethyl-1-pyrrolyl	5-methoxy-6-(1,2,4-triazinyl)
2,5-dimethyl-3-furyl	4-trifluoromethyl-2-(1,3,5-triazinyl)
2,5-dimethyl-3-thienyl	3,6-dimethyl-5-(1,2,4-triazinyl)
2,5-dichloro-3-thienyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
1,4-dimethyl-3-pyrrolyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1,4-dimethyl-3-pyrazolyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
1,3-dimethyl-4-pyrazolyl	

TABLE 1B



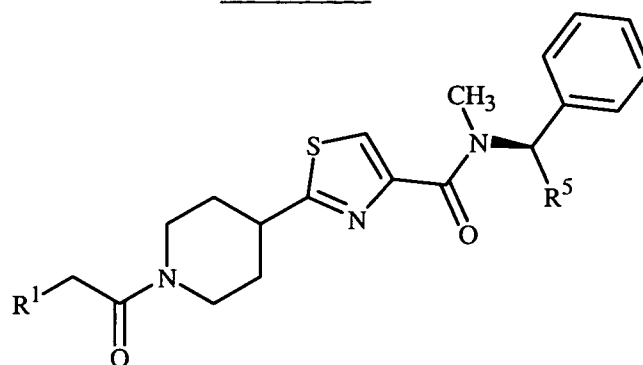
<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

<u>R¹</u>	<u>R¹</u>
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-((trifluoromethyl))phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-nitropyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3,5-dimethylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
3-cyano-5-methylpyrazol-1-yl	2,5-dimethyl-3-thienyl
5-methyl-3-nitropyrazol-1-yl	2,5-dichloro-3-thienyl

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<u>R¹</u>	<u>R¹</u>
3,6-dimethyl-2-pyridyl	2,5-dichloro-4-pyridyl
2,5-dimethyl-3-pyridyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridyl	

TABLE 1C



<u>R¹</u>	<u>R⁵</u>
2-methoxyphenyl	Et
3-bromophenyl	Et
3-iodophenyl	Et
3-(trifluoromethyl)phenyl	Et
3-(2,2,2-trifluoroethyl)phenyl	Et
3-(pentafluoroethyl)phenyl	Et
3-cyanophenyl	Et
3-nitrophenyl	Et
2,5-dichlorophenyl	Et
5-bromo-2-chlorophenyl	Et
2-chloro-5-iodophenyl	Et
2-chloro-5-methylphenyl	Et
2-chloro-5-ethylphenyl	Et
2-chloro-5-(trifluoromethyl)phenyl	Et
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	Et
2-chloro-5-(pentafluoroethyl)phenyl	Et
2-chloro-5-cyanophenyl	Et
2-chloro-5-nitrophenyl	Et

<u>R¹</u>	<u>R⁵</u>
2-bromo-5-chlorophenyl	Et
2,5-dibromophenyl	Et
2-bromo-5-iodophenyl	Et
2-bromo-5-methylphenyl	Et
2-bromo-5-ethylphenyl	Et
2-bromo-5-propylphenyl	Et
2-bromo-5-(trifluoromethyl)phenyl	Et
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	Et
2-bromo-5-(pentafluoroethyl)phenyl	Et
2-bromo-5-cyanophenyl	Et
2-bromo-5-nitrophenyl	Et
5-chloro-2-methylphenyl	Et
5-bromo-2-methylphenyl	Et
5-iodo-2-methylphenyl	Et
2,5-dimethylphenyl	Et
5-ethyl-2-methylphenyl	Et
2-methyl-5-propylphenyl	Et
5-isopropyl-2-methylphenyl	Et
2-methyl-5-(trifluoromethyl)phenyl	Et
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	Et
2-methyl-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-methylphenyl	Et
2-methyl-5-nitrophenyl	Et
5-chloro-2-methoxyphenyl	Et
5-bromo-2-methoxyphenyl	Et
5-iodo-2-methoxyphenyl	Et
2-methoxy-5-methylphenyl	Et
5-ethyl-2-methoxyphenyl	Et
2-methoxy-5-propylphenyl	Et
2-methoxy-5-(trifluoromethyl)phenyl	Et
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	Et
2-methoxy-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-methoxyphenyl	Et

<u>R¹</u>	<u>R⁵</u>
2-methoxy-5-nitrophenyl	Et
5-chloro-2-ethylphenyl	Et
5-bromo-2-ethylphenyl	Et
2-ethyl-5-iodophenyl	Et
2-ethyl-5-methylphenyl	Et
2,5-diethylphenyl	Et
2-ethyl-5-propylphenyl	Et
2-ethyl-5-(trifluoromethyl)phenyl	Et
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	Et
2-ethyl-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-ethylphenyl	Et
2-ethyl-5-nitrophenyl	Et
3-chloropyrazol-1-yl	Et
3-bromopyrazol-1-yl	Et
3-(trifluoromethyl)pyrazol-1-yl	Et
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
3-(pentafluoroethyl)pyrazol-1-yl	Et
3-cyanopyrazol-1-yl	Et
3-nitropyrazol-1-yl	Et
3,5-dimethylpyrazol-1-yl	Et
3-chloro-5-methylpyrazol-1-yl	Et
3-bromo-5-methylpyrazol-1-yl	Et
3-iodo-5-methylpyrazol-1-yl	Et
3-ethyl-5-methylpyrazol-1-yl	Et
5-methyl-3-propylpyrazol-1-yl	Et
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	Et
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	Et
3-cyano-5-methylpyrazol-1-yl	Et
5-methyl-3-nitropyrazol-1-yl	Et
5-chloro-3-methylpyrazol-1-yl	Et
3,5-dichloropyrazol-1-yl	Et
5-chloro-3-bromopyrazol-1-yl	Et

<u>R¹</u>	<u>R⁵</u>
5-chloro-3-iodopyrazol-1-yl	Et
5-chloro-3-ethylpyrazol-1-yl	Et
5-chloro-3-propylpyrazol-1-yl	Et
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	Et
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	Et
5-chloro-3-cyanopyrazol-1-yl	Et
5-chloro-3-nitropyrazol-1-yl	Et
5-bromo-3-methylpyrazol-1-yl	Et
5-bromo-3-chloropyrazol-1-yl	Et
3,5-dibromopyrazol-1-yl	Et
5-bromo-3-iodopyrazol-1-yl	Et
5-bromo-3-ethylpyrazol-1-yl	Et
5-bromo-3-propylpyrazol-1-yl	Et
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	Et
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	Et
5-ethyl-3-methylpyrazol-1-yl	Et
3-chloro-5-ethylpyrazol-1-yl	Et
3-bromo-5-ethylpyrazol-1-yl	Et
5-ethyl-3-iodopyrazol-1-yl	Et
3,5-diethylpyrazol-1-yl	Et
5-ethyl-3-propylpyrazol-1-yl	Et
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	Et
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	Et
3,5-dimethyl-2-thienyl	Et
3,5-dichloro-2-thienyl	Et
2,5-dimethyl-3-thienyl	Et
2,5-dichloro-3-thienyl	Et
3,6-dimethyl-2-pyridyl	Et
2,5-dimethyl-3-pyridyl	Et
2,5-dimethyl-4-pyridyl	Et

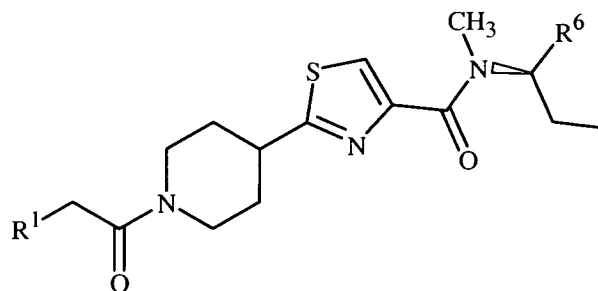
<u>R¹</u>	<u>R⁵</u>
3,6-dichloro-2-pyridyl	Et
2,5-dichloro-3-pyridyl	Et
2,5-dichloro-4-pyridyl	Et
2-methoxyphenyl	Me
2,5-dichlorophenyl	Me
5-bromo-2-chlorophenyl	Me
2-chloro-5-methylphenyl	Me
2-chloro-5-(trifluoromethyl)phenyl	Me
2,5-dibromophenyl	Me
2-bromo-5-methylphenyl	Me
2-bromo-5-(trifluoromethyl)phenyl	Me
5-chloro-2-methylphenyl	Me
5-bromo-2-methylphenyl	Me
2,5-dimethylphenyl	Me
5-ethyl-2-methylphenyl	Me
2-methyl-5-(trifluoromethyl)phenyl	Me
5-bromo-2-methoxyphenyl	Me
2-methoxy-5-methylphenyl	Me
2-methoxy-5-(trifluoromethyl)phenyl	Me
3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dimethylpyrazol-1-yl	Me
3-ethyl-5-methylpyrazol-1-yl	Me
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dichloropyrazol-1-yl	Me
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dibromopyrazol-1-yl	Me
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-diethylpyrazol-1-yl	Me
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	Me
2-methoxyphenyl	<i>n</i> -Pr
2,5-dichlorophenyl	<i>n</i> -Pr
5-bromo-2-chlorophenyl	<i>n</i> -Pr
2-chloro-5-methylphenyl	<i>n</i> -Pr

<u>R¹</u>	<u>R⁵</u>
2-chloro-5-(trifluoromethyl)phenyl	<i>n</i> -Pr
2,5-dibromophenyl	<i>n</i> -Pr
2-bromo-5-methylphenyl	<i>n</i> -Pr
2-bromo-5-(trifluoromethyl)phenyl	<i>n</i> -Pr
5-chloro-2-methylphenyl	<i>n</i> -Pr
5-bromo-2-methylphenyl	<i>n</i> -Pr
2,5-dimethylphenyl	<i>n</i> -Pr
5-ethyl-2-methylphenyl	<i>n</i> -Pr
2-methyl-5-(trifluoromethyl)phenyl	<i>n</i> -Pr
5-bromo-2-methoxyphenyl	<i>n</i> -Pr
2-methoxy-5-methylphenyl	<i>n</i> -Pr
2-methoxy-5-(trifluoromethyl)phenyl	<i>n</i> -Pr
3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pr
3,5-dimethylpyrazol-1-yl	<i>n</i> -Pr
3-ethyl-5-methylpyrazol-1-yl	<i>n</i> -Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pr
3,5-dichloropyrazol-1-yl	<i>n</i> -Pr
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pr
3,5-dibromopyrazol-1-yl	<i>n</i> -Pr
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pr
3,5-diethylpyrazol-1-yl	<i>n</i> -Pr
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pr
2-methoxyphenyl	CN
2,5-dichlorophenyl	CN
5-bromo-2-chlorophenyl	CN
2-chloro-5-methylphenyl	CN
2-chloro-5-(trifluoromethyl)phenyl	CN
2,5-dibromophenyl	CN
2-bromo-5-methylphenyl	CN
2-bromo-5-(trifluoromethyl)phenyl	CN
5-chloro-2-methylphenyl	CN
5-bromo-2-methylphenyl	CN
2,5-dimethylphenyl	CN

<u>R¹</u>	<u>R⁵</u>
5-ethyl-2-methylphenyl	CN
2-methyl-5-(trifluoromethyl)phenyl	CN
5-bromo-2-methoxyphenyl	CN
2-methoxy-5-methylphenyl	CN
2-methoxy-5-(trifluoromethyl)phenyl	CN
3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dimethylpyrazol-1-yl	CN
3-ethyl-5-methylpyrazol-1-yl	CN
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dichloropyrazol-1-yl	CN
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dibromopyrazol-1-yl	CN
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-diethylpyrazol-1-yl	CN
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	CN
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>i</i> -Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>i</i> -Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Pen
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>n</i> -Hex
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methyl-3-penten-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>c</i> -Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>c</i> -Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>c</i> -Pen
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	<i>c</i> -Hex
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trifluoromethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,2,2-trifluoroethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,3-dichloro-2-propen-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	propynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methylethynyl

<u>R¹</u>	<u>R⁵</u>
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trifluoromethylethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,2-dichlorocycloprop-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	nitro
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxymethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	hydroxymethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	acetyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	isobutyryl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxycarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethoxycarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methylaminocarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	dimethylaminocarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trimethylsilyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl	Et
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	Et
1-methyl-4-(trifluoromethyl)imidazol-2-yl	Et

TABLE 1D



<u>R¹</u>	<u>R⁶</u>
2-methoxyphenyl	2-methylphenyl
2,5-dichlorophenyl	2-methylphenyl
5-bromo-2-chlorophenyl	2-methylphenyl
2-chloro-5-methylphenyl	2-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methylphenyl
2,5-dibromophenyl	2-methylphenyl
2-bromo-5-methylphenyl	2-methylphenyl

<u>R¹</u>	<u>R⁶</u>
2-bromo-5-(trifluoromethyl)phenyl	2-methylphenyl
5-chloro-2-methylphenyl	2-methylphenyl
5-bromo-2-methylphenyl	2-methylphenyl
2,5-dimethylphenyl	2-methylphenyl
5-ethyl-2-methylphenyl	2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl	2-methylphenyl
5-bromo-2-methoxyphenyl	2-methylphenyl
2-methoxy-5-methylphenyl	2-methylphenyl
2-methoxy-5-(trifluoromethyl)phenyl	2-methylphenyl
3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dimethylpyrazol-1-yl	2-methylphenyl
3-ethyl-5-methylpyrazol-1-yl	2-methylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dichloropyrazol-1-yl	2-methylphenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dibromopyrazol-1-yl	2-methylphenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-diethylpyrazol-1-yl	2-methylphenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
2-methoxyphenyl	4-methylphenyl
2,5-dichlorophenyl	4-methylphenyl
5-bromo-2-chlorophenyl	4-methylphenyl
2-chloro-5-methylphenyl	4-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	4-methylphenyl
2,5-dibromophenyl	4-methylphenyl
2-bromo-5-methylphenyl	4-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	4-methylphenyl
5-chloro-2-methylphenyl	4-methylphenyl
5-bromo-2-methylphenyl	4-methylphenyl
2,5-dimethylphenyl	4-methylphenyl
5-ethyl-2-methylphenyl	4-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl	4-methylphenyl
5-bromo-2-methoxyphenyl	4-methylphenyl

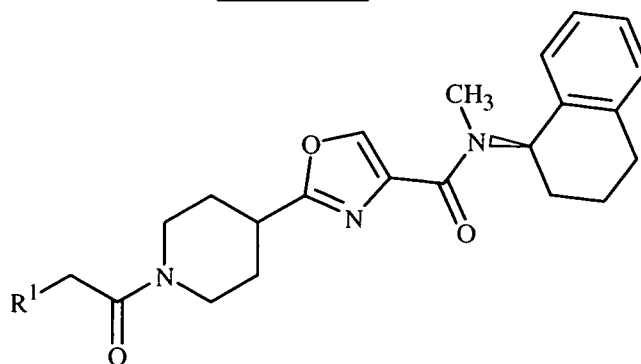
<u>R¹</u>	<u>R⁶</u>
2-methoxy-5-methylphenyl	4-methylphenyl
2-methoxy-5-(trifluoromethyl)phenyl	4-methylphenyl
3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dimethylpyrazol-1-yl	4-methylphenyl
3-ethyl-5-methylpyrazol-1-yl	4-methylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dichloropyrazol-1-yl	4-methylphenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dibromopyrazol-1-yl	4-methylphenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-diethylpyrazol-1-yl	4-methylphenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
2-methoxyphenyl	4-chlorophenyl
2,5-dichlorophenyl	4-chlorophenyl
5-bromo-2-chlorophenyl	4-chlorophenyl
2-chloro-5-methylphenyl	4-chlorophenyl
2-chloro-5-(trifluoromethyl)phenyl	4-chlorophenyl
2,5-dibromophenyl	4-chlorophenyl
2-bromo-5-methylphenyl	4-chlorophenyl
2-bromo-5-(trifluoromethyl)phenyl	4-chlorophenyl
5-chloro-2-methylphenyl	4-chlorophenyl
5-bromo-2-methylphenyl	4-chlorophenyl
2,5-dimethylphenyl	4-chlorophenyl
5-ethyl-2-methylphenyl	4-chlorophenyl
2-methyl-5-(trifluoromethyl)phenyl	4-chlorophenyl
5-bromo-2-methoxyphenyl	4-chlorophenyl
2-methoxy-5-methylphenyl	4-chlorophenyl
2-methoxy-5-(trifluoromethyl)phenyl	4-chlorophenyl
3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dimethylpyrazol-1-yl	4-chlorophenyl
3-ethyl-5-methylpyrazol-1-yl	4-chlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dichloropyrazol-1-yl	4-chlorophenyl

<u>R¹</u>	<u>R⁶</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dibromopyrazol-1-yl	4-chlorophenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-diethylpyrazol-1-yl	4-chlorophenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-ethylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4- <i>t</i> -butylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-allylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-ethynylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-cyclopropylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(2-chloroethenyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-bromoethynylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(2,2-dichlorocycloprop-1-yl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-chlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-bromophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-hydroxyphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-aminophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-cyanophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-nitrophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methoxyphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethoxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylthio)phenyl
5-methyl-3-(trifluoromethyl)pyrazole-1-yl	4-(methylsulfonyl)phenyl
(5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylsulfonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethylthio)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethylsulfonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylamino)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(dimethylamino)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(cyclopropylamino)phenyl

<u>R¹</u>	<u>R⁶</u>
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-(methoxymethyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,4-(dimethoxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-acetylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methoxycarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(acetyloxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylaminocarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(dimethylaminocarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trimethylsilyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,6-difluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,4,6-trifluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,3-dimethylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,3-dichlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-naphthalenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-thienyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-furyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-2-pyrrolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-isothiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-isoxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-5-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-5-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-2-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methyl-1,2,4-triazolyl-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-1,2,4-triazolyl-5-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,4-oxadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,4-thiadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-oxadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-thiadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-thienyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-furyl

<u>R¹</u>	<u>R⁶</u>
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-pyrrolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-4-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-isothiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-isoxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-4-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-oxadiazol-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-thiadiazol-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-1,2,4-triazolyl-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,5-dichloro-2-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-pyrazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyridazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyrazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-6-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,5-triazin-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-5-yl

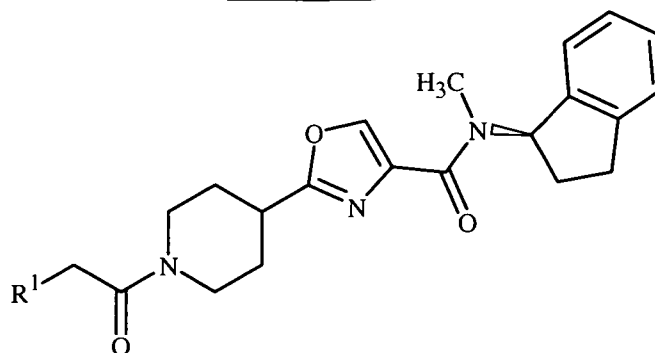
TABLE 2A



<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2,5-dimethylphenyl
3-bromophenyl	5-ethyl-2-methylphenyl
3-iodophenyl	2-methyl-5-propylphenyl
3-(trifluoromethyl)phenyl	5-isopropyl-2-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(trifluoromethyl)phenyl
3-(pentafluoroethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
3-cyanophenyl	2-methyl-5-(pentafluoroethyl)phenyl
3-nitrophenyl	5-cyano-2-methylphenyl
2,5-dichlorophenyl	2-methyl-5-nitrophenyl
5-bromo-2-chlorophenyl	5-chloro-2-methoxyphenyl
2-chloro-5-iodophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-methylphenyl	5-iodo-2-methoxyphenyl
2-chloro-5-ethylphenyl	2-methoxy-5-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-nitrophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-bromo-5-chlorophenyl	5-cyano-2-methoxyphenyl
2,5-dibromophenyl	2-methoxy-5-nitrophenyl
2-bromo-5-iodophenyl	5-chloro-2-ethylphenyl
2-bromo-5-methylphenyl	5-bromo-2-ethylphenyl
2-bromo-5-ethylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-propylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2,5-diethylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-nitrophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
5-chloro-2-methylphenyl	5-cyano-2-ethylphenyl
5-bromo-2-methylphenyl	2-ethyl-5-nitrophenyl
5-iodo-2-methylphenyl	3-chloropyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-bromopyrazol-1-yl	3,5-dibromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-iodopyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-cyanopyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-nitropyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3,5-dimethylpyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-methylpyrazol-1-yl	3,5-dichloro-2-thienyl
3,5-dichloropyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-bromopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-iodopyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-chloro-3-ethylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-chloro-3-propylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-chloro-3-cyanopyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-methylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-chloropyrazol-1-yl	

TABLE 2B

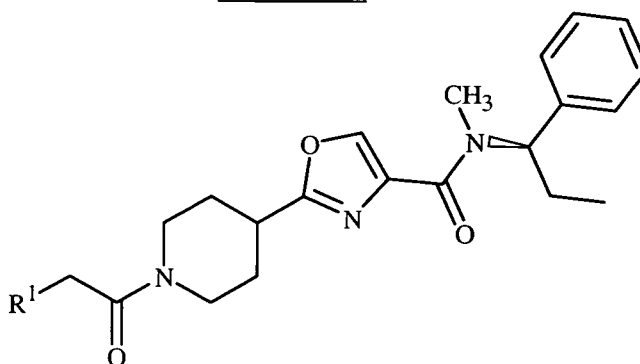


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

<u>R¹</u>	<u>R¹</u>
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-nitropyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3,5-dimethylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
3-cyano-5-methylpyrazol-1-yl	2,5-dimethyl-3-thienyl
5-methyl-3-nitropyrazol-1-yl	2,5-dichloro-3-thienyl

<u>R¹</u>	<u>R¹</u>
3,6-dimethyl-2-pyridinyl	2,5-dichloro-4-pyridinyl
2,5-dimethyl-3-pyridinyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridinyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridinyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridinyl	

TABLE 2C

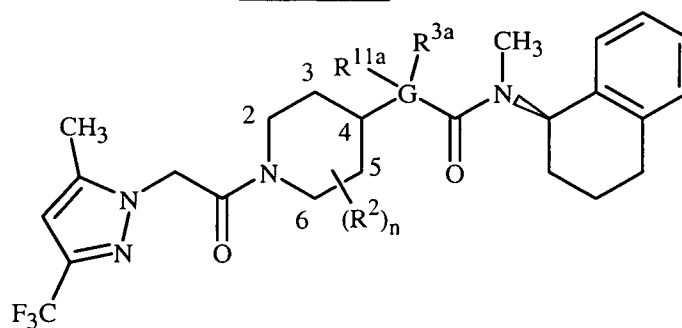


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2,5-dimethylphenyl
3-bromophenyl	5-ethyl-2-methylphenyl
3-iodophenyl	2-methyl-5-propylphenyl
3-(trifluoromethyl)phenyl	5-isopropyl-2-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(trifluoromethyl)phenyl
3-(pentafluoroethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
3-cyanophenyl	2-methyl-5-(pentafluoroethyl)phenyl
3-nitrophenyl	5-cyano-2-methylphenyl
2,5-dichlorophenyl	2-methyl-5-nitrophenyl
5-bromo-2-chlorophenyl	5-chloro-2-methoxyphenyl
2-chloro-5-iodophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-methylphenyl	5-iodo-2-methoxyphenyl
2-chloro-5-ethylphenyl	2-methoxy-5-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-nitrophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-bromo-5-chlorophenyl	5-cyano-2-methoxyphenyl
2,5-dibromophenyl	2-methoxy-5-nitrophenyl

<u>R¹</u>	<u>R¹</u>
2-bromo-5-iodophenyl	5-chloro-2-ethylphenyl
2-bromo-5-methylphenyl	5-bromo-2-ethylphenyl
2-bromo-5-ethylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-propylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2,5-diethylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-nitrophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
5-chloro-2-methylphenyl	5-cyano-2-ethylphenyl
5-bromo-2-methylphenyl	2-ethyl-5-nitrophenyl
5-iodo-2-methylphenyl	3-chloropyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	3-bromopyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-iodopyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-cyanopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-nitropyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3,5-dimethylpyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dichloropyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-bromopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-iodopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridinyl
5-chloro-3-propylpyrazol-1-yl	2,5-dimethyl-3-pyridinyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridinyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridinyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridinyl

<u>R¹</u>	<u>R¹</u>
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-4-pyridinyl
5-chloro-3-nitropyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-chloropyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
3,5-dibromopyrazol-1-yl	

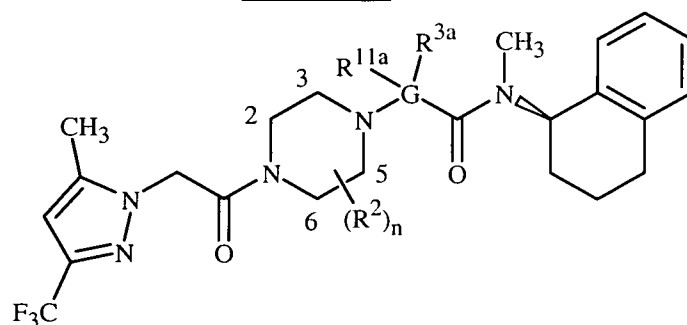
TABLE 3A



<u>(R²)_n</u>	<u>G</u>	<u>R^{3a}</u>	<u>R^{11a}</u>	<u>(R²)_n</u>	<u>G</u>	<u>R^{3a}</u>	<u>R^{11a}</u>
H	G-1	H	-	H	G-22	H	H
H	G-2	H	-	H	G-23	H	-
H	G-3	H	H	H	G-24	H	-
H	G-4	H	-	H	G-25	H	-
H	G-5	H	-	H	G-26	H	-
H	G-6	H	H	H	G-27	H	-
H	G-7	-	-	H	G-28	H	-
H	G-8	-	-	H	G-29	H	-
H	G-9	-	H	H	G-30	H	-
H	G-10	H	-	H	G-31	H	-
H	G-11	H	-	H	G-32	H	-
H	G-12	H	H	H	G-33	H	-
H	G-13	H	H	H	G-34	H	-
H	G-14	H	-	H	G-35	H	-
H	G-15	H	-	H	G-36	H	-
H	G-16	H	H	H	G-37	H	-
H	G-17	H	-	H	G-38	H	-
H	G-18	H	-	H	G-39	H	H
H	G-19	-	H	H	G-40	H	-
H	G-20	-	-	H	G-41	H	-
H	G-21	-	-	H	G-42	H	H

$(R^2)_n$	G	R ^{3a}	R ^{11a}	$(R^2)_n$	G	R ^{3a}	R ^{11a}
H	G-43	H	H	H	G-2	CF ₃	-
H	G-44	H	-	H	G-14	<i>n</i> -Pr	-
H	G-45	H	-	H	G-3	H	Me
H	G-46	-	-	H	G-3	H	<i>n</i> -Pr
H	G-47	-	-	H	G-26	5-Me	-
H	G-48	-	H	2-Me	G-1	H	-
H	G-49	H	-	3-Me	G-1	H	-
H	G-50	H	-	2,6-di-Me	G-1	H	-
H	G-51	H	H	3,5-di-Me	G-1	H	-
H	G-52	H	-	3- <i>n</i> -Bu	G-1	H	-
H	G-53	H	-	4-MeO	G-1	H	-
H	G-54	H	H	4-OH	G-1	H	-
H	G-55	-	-	4-Cl	G-1	H	-
H	G-2	Me	-	4-Br	G-1	H	-
H	G-2	Cl	-	4-CN	G-1	H	-
H	G-2	F	-				

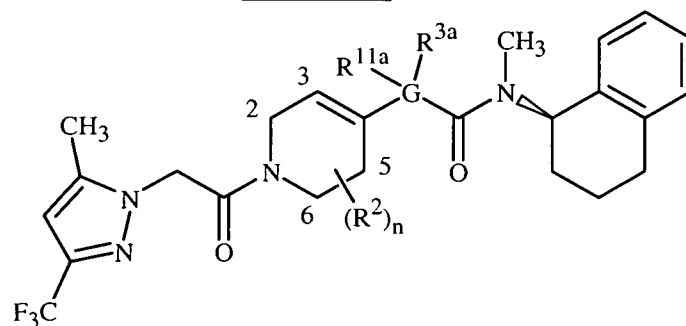
TABLE 3B



$(R^2)_n$	G	R ^{3a}	R ^{11a}	$(R^2)_n$	G	R ^{3a}	R ^{11a}
H	G-1	H	-	H	G-11	H	-
H	G-2	H	-	H	G-12	H	H
H	G-3	H	H	H	G-13	H	H
H	G-4	H	-	H	G-14	H	-
H	G-5	H	-	H	G-15	H	-
H	G-6	H	H	H	G-16	H	H
H	G-7	-	-	H	G-17	H	-
H	G-8	-	-	H	G-18	H	-
H	G-9	-	H	H	G-19	-	H
H	G-10	H	-	H	G-20	-	-

$(R^2)_n$	G	R ^{3a}	R ^{11a}	$(R^2)_n$	G	R ^{3a}	R ^{11a}
H	G-21	-	-	H	G-48	-	H
H	G-22	H	H	H	G-49	H	-
H	G-23	H	-	H	G-50	H	-
H	G-24	H	-	H	G-51	H	H
H	G-31	H	-	H	G-52	H	-
H	G-32	H	-	H	G-53	H	-
H	G-33	H	-	H	G-54	H	H
H	G-34	H	-	H	G-2	Me	-
H	G-35	H	-	H	G-2	Cl	-
H	G-37	H	-	H	G-2	F	-
H	G-38	H	-	H	G-2	CF ₃	-
H	G-39	H	H	H	G-14	<i>n</i> -Pr	-
H	G-40	H	-	H	G-3	H	Me
H	G-41	H	-	H	G-3	H	<i>n</i> -Pr
H	G-42	H	H	2-Me	G-1	H	-
H	G-43	H	H	3-Me	G-1	H	-
H	G-44	H	-	2,6-di-Me	G-1	H	-
H	G-45	H	-	3,5-di-Me	G-1	H	-
H	G-46	-	-	3- <i>n</i> -Bu	G-1	H	-
H	G-47	-	-				

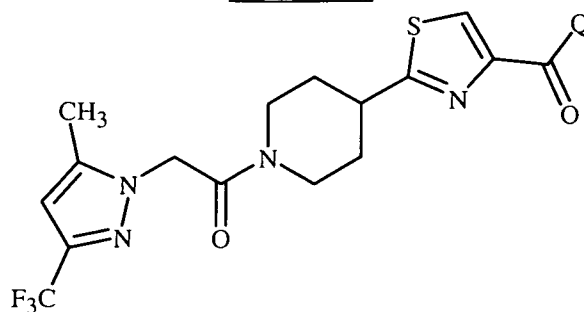
TABLE 3C



$(R^2)_n$	G	R ^{3a}	R ^{11a}	$(R^2)_n$	G	R ^{3a}	R ^{11a}
H	G-1	H	-	H	G-7	-	-
H	G-2	H	-	H	G-8	-	-
H	G-3	H	H	H	G-9	-	H
H	G-4	H	-	H	G-10	H	-
H	G-5	H	-	H	G-11	H	-
H	G-6	H	H	H	G-12	H	H

$(R^2)_n$	G	R ^{3a}	R ^{11a}	$(R^2)_n$	G	R ^{3a}	R ^{11a}
H	G-13	H	H	H	G-45	H	-
H	G-14	H	-	H	G-46	-	-
H	G-15	H	-	H	G-47	-	-
H	G-16	H	H	H	G-48	-	H
H	G-17	H	-	H	G-49	H	-
H	G-18	H	-	H	G-50	H	-
H	G-19	-	H	H	G-51	H	H
H	G-20	-	-	H	G-52	H	-
H	G-21	-	-	H	G-53	H	-
H	G-22	H	H	H	G-54	H	H
H	G-23	H	-	H	G-2	Me	-
H	G-24	H	-	H	G-2	Cl	-
H	G-31	H	-	H	G-2	F	-
H	G-32	H	-	H	G-2	CF ₃	-
H	G-33	H	-	H	G-14	<i>n</i> -Pr	-
H	G-34	H	-	H	G-3	H	Me
H	G-35	H	-	H	G-3	H	<i>n</i> -Pr
H	G-37	H	-	2-Me	G-1	H	-
H	G-38	H	-	3-Me	G-1	H	-
H	G-39	H	H	2,6-di-Me	G-1	H	-
H	G-40	H	-	3,5-di-Me	G-1	H	-
H	G-41	H	-	3- <i>n</i> -Bu	G-1	H	-
H	G-42	H	H	5-Me	G-1	H	-
H	G-43	H	H	6-Me	G-1	H	-
H	G-44	H	-				

TABLE 4*



Q	Q ^a	R ⁵	(R ⁸) _m	(R ⁹) _i	R ¹⁰	R ¹⁵	(R ¹⁶) _m /R ^{16a}
Q-2	Me	-	H	H	-	H	-
Q-3	Me	-	H	H	-	H	-

<u>Q</u>	<u>Q^a</u>	<u>R⁵</u>	<u>(R⁸)_m</u>	<u>(R⁹)_j</u>	<u>R¹⁰</u>	<u>R¹⁵</u>	<u>(R¹⁶)_m / R^{16a}</u>
Q-4	Me	-	H	H	-	H	-
Q-5	Me	-	H	H	H	H	-
Q-6	Me	-	H	H	-	H	-
Q-7	Me	-	H	H	-	H	-
Q-8	Me	-	H	H	-	H	-
Q-9	Me	-	H	H	-	H	-
Q-10	Me	-	H	H	-	H	-
Q-11	Me	-	H	H	H	H	-
Q-12	Me	-	H	H	-	H	-
Q-13	Me	-	H	H	-	H	-
Q-14	Me	-	H	H	-	H	-
Q-15	Me	-	H	H	H	H	-
Q-2	Me	-	2-Me	H	-	H	-
Q-2	Me	-	2,2-di-Me	H	-	H	-
Q-2	Me	-	2-Et	H	-	H	-
Q-2	Me	-	H	6-Me	-	H	-
Q-2	Me	-	H	6-Cl	-	H	-
Q-2	Me	-	H	6-OMe	-	H	-
Q-2	Me	-	H	6-Br	-	H	-
Q-2	Me	-	H	6-F	-	H	-
Q-2	Me	-	H	5-OMe	-	H	-
Q-2	Me	-	H	7-OMe	-	H	-
Q-3	Me	-	3-Me	H	-	H	-
Q-4	Me	-	3-Me	H	-	H	-
Q-5	Me	-	H	H	Me	H	-
Q-5	Me	-	H	H	<i>n</i> -Pr	H	-
Q-6	Me	-	H	3-Cl	-	H	-
Q-7	Me	-	H	2-Cl	-	H	-
Q-8	Me	-	2-Me	H	-	H	-
Q-8	Me	-	2,2-di-Me	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	Me	-	2- <i>n</i> -Pr	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	H	5-Me	-	H	-
Q-8	Me	-	H	5-Cl	-	H	-
Q-8	Me	-	H	5-OMe	-	H	-

Q	Q ^a	R ⁵	(R ⁸) _m	(R ⁹) _j	R ¹⁰	R ¹⁵	(R ¹⁶) _m /R ^{16a}
Q-8	Me	-	H	5-Br	-	H	-
Q-9	Me	-	2-Me	H	-	H	-
Q-10	Me	-	2-Me	H	-	H	-
Q-11	Me	-	H	H	Me	H	-
Q-13	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Cl	-	H	-
Q-15	Me	-	H	H	Me	H	-
Q-16	Me	-	H	H	-	H	-
Q-17	Me	-	H	H	-	H	-
Q-18	Me	-	H	H	Me	H	-
Q-19	Me	-	H	H	-	H	-
Q-20	Me	-	H	H	-	H	-
Q-21	Me	-	H	H	Me	H	-
Q-22	Me	-	H	H	-	H	-
Q-23	Me	-	H	H	-	H	-
Q-24	Me	-	H	H	-	H	-
Q-25	Me	-	H	H	-	H	-
Q-26	Me	-	H	H	-	H	-
Q-27	Me	-	H	H	-	H	-
Q-28	Me	-	H	H	-	H	-
Q-29	Me	-	H	H	-	H	-
Q-30	Me	-	H	H	-	H	-
Q-31	Me	-	H	H	-	H	-
Q-32	Me	-	H	H	-	H	-
Q-33	Me	-	H	H	Me	H	-
Q-34	Me	-	H	H	-	H	-
Q-35	Me	-	H	H	-	H	-
Q-36	Me	-	H	H	Me	H	-
Q-37	Me	-	H	H	-	H	-
Q-38	Me	-	H	H	-	H	-
Q-39	Me	-	H	H	Me	H	-
Q-40	Me	-	H	H	-	H	-
Q-41	Me	-	H	H	-	H	-
Q-42	Me	-	H	H	-	H	-
Q-43	Me	-	H	H	-	H	-

Q	Q ^a	R ⁵	(R ⁸) _m	(R ⁹) _j	R ¹⁰	R ¹⁵	(R ¹⁶) _m /R ^{16a}
Q-44	Me	-	H	H	-	H	-
Q-45	Me	-	H	H	-	H	-
Q-46	Me	-	H	H	-	H	-
Q-47	Me	-	H	H	-	H	-
Q-48	Me	-	H	H	-	H	-
Q-49	Me	-	H	H	-	H	-
Q-50	Me	-	H	H	-	H	-
Q-51	Me	-	H	H	-	H	-
Q-52	Me	-	H	H	-	H	-
Q-53	Me	-	H	H	-	H	-
Q-54	Me	-	H	H	-	H	-
Q-55	Me	-	H	H	-	H	-
Q-56	Me	-	H	H	-	H	-
Q-57	Me	-	H	H	-	H	-
Q-58	-	-	H	H	-	H	-
Q-59	-	-	H	H	-	H	-
Q-60	-	-	H	H	-	H	-
Q-61	-	-	H	H	-	H	-
Q-62	-	-	H	H	-	H	-
Q-63	-	-	H	H	-	H	-
Q-64	-	-	H	H	-	H	-
Q-65	-	-	H	H	-	H	-
Q-66	-	-	H	H	-	H	-
Q-67	-	-	H	H	-	H	-
Q-68	-	-	H	H	-	H	-
Q-69	-	-	H	H	-	H	-
Q-70	-	Et	-	H	-	H	-
Q-71	-	Et	-	H	-	H	-
Q-72	-	Et	-	H	-	H	-
Q-73	Me	-	-	H	-	H	-
Q-74	Me	-	-	H	-	H	-
Q-75	Me	Me	-	H	-	Me	-
Q-76	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	4-Ph
Q-78	-	-	-	-	-	-	4-Ph
Q-79	-	-	-	-	-	-	H

<u>Q</u>	<u>Q^a</u>	<u>R⁵</u>	<u>(R⁸)_m</u>	<u>(R⁹)_j</u>	<u>R¹⁰</u>	<u>R¹⁵</u>	<u>(R¹⁶)_m/R^{16a}</u>
Q-80	-	-	-	-	-	-	4-Ph
Q-81	-	-	-	-	-	-	1-Me
Q-82	-	-	-	-	-	-	H
Q-83	Me	-	-	-	-	H	2-Ph
Q-84	Me	-	-	-	-	H	2-Ph
Q-85	Me	-	-	-	-	H	2-Ph
Q-2	Me	-	4-Me	H	-	H	-
Q-2	Me	-	4,4-di-Me	H	-	H	-
Q-2	Me	-	4-Et	H	-	H	-
Q-2	Me	-	2-OH	H	-	H	-
Q-2	Me	-	4-OH	H	-	H	-
Q-2	Me	-	4-OMe	H	-	H	-
Q-2	Me	-	4-SMe	H	-	H	-
Q-2	Me	-	4-SOMe	H	-	H	-
Q-2	Me	-	4-SO ₂ Me	H	-	H	-
Q-2	Me	-	4-OCF ₃	H	-	H	-
Q-2	Me	-	2-CF ₃	H	-	H	-
Q-2	Me	-	4-NH ₂	H	-	H	-
Q-2	Me	-	2- <i>n</i> -Bu	H	-	H	-
Q-2	Me	-	2-propenyl	H	-	H	-
Q-2	Me	-	2-propynyl	H	-	H	-
Q-2	Me	-	4-Cl	H	-	H	-
Q-2	Me	-	2-CN	H	-	H	-
Q-2	Me	-	4-CN	H	-	H	-
Q-2	Me	-	4- <i>O-t</i> -Bu	H	-	H	-
Q-2	Me	-	4-NHMe	H	-	H	-
Q-2	Me	-	4-N(Me)Me	H	-	H	-
Q-2	Me	-	2-MeOMe	H	-	H	-
Q-2	Me	-	4-CH ₂ OH	H	-	H	-
Q-2	Me	-	4-Ac	H	-	H	-
Q-2	Me	-	4-COOMe	H	-	H	-
Q-2	Me	-	4-OAc	H	-	H	-
Q-2	Me	-	4-O(C=O)- <i>n</i> -Bu	H	-	H	-
Q-2	Me	-	4-OEt	H	-	H	-
Q-2	Me	-	4-O(C=O)Et	H	-	H	-
Q-2	Me	-	4-SAc	H	-	H	-

<u>Q</u>	<u>Q^a</u>	<u>R⁵</u>	<u>(R⁸)_m</u>	<u>(R⁹)_i</u>	<u>R¹⁰</u>	<u>R¹⁵</u>	<u>(R¹⁶)_m / R^{16a}</u>
Q-2	Me	-	4-CONHMe	H	-	H	-
Q-2	Me	-	4-CONMe ₂	H	-	H	-
Q-2	H	-	2-Me	H	-	H	-
Q-2	H	-	2,2-di-Me	H	-	H	-
Q-2	H	-	4-Me	H	-	H	-
Q-2	H	-	4,4-di-Me	H	-	H	-
Q-2	H	-	4-OH	H	-	H	-
Q-2	H	-	4-OMe	H	-	H	-
Q-2	H	-	4-OAc	H	-	H	-
Q-2	Me	-	2-Me	H	-	Me	-
Q-2	Me	-	2,2-di-Me	H	-	Me	-
Q-2	Me	-	4-Me	H	-	Me	-
Q-2	Me	-	4,4-di-Me	H	-	Me	-
Q-2	Me	-	4-OH	H	-	Me	-
Q-2	Me	-	4-OMe	H	-	Me	-
Q-2	Me	-	4-OAc	H	-	Me	-
Q-2	Et	-	H	H	-	H	-
Q-2	Pr	-	H	H	-	H	-
Q-2	2-propenyl	-	H	H	-	H	-
Q-2	2-propynyl	-	H	H	-	H	-
Q-2	<i>c</i> -propyl	-	H	H	-	H	-
Q-2	CF ₃	-	H	H	-	H	-
Q-2	CN	-	H	H	-	H	-
Q-2	OH	-	H	H	-	H	-
Q-2	OMe	-	H	H	-	H	-
Q-2	CH ₂ OMe	-	H	H	-	H	-
Q-2	CH ₂ OH	-	H	H	-	H	-
Q-2	Ac	-	H	H	-	H	-
Q-2	COEt	-	H	H	-	H	-
Q-2	CO ₂ Me	-	H	H	-	H	-
Q-2	CONHMe	-	H	H	-	H	-
Q-2	CON(Me) ₂	-	H	H	-	H	-
Q-8	Me	-	3-Me	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	3-OH	H	-	H	-
Q-8	Me	-	3-OMe	H	-	H	-

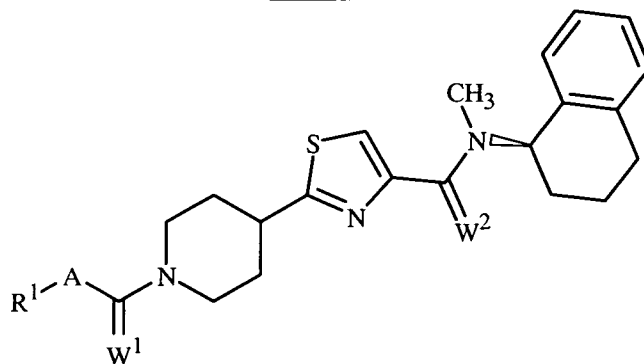
<u>Q</u>	<u>Q^a</u>	<u>R⁵</u>	<u>(R⁸)_m</u>	<u>(R⁹)_i</u>	<u>R¹⁰</u>	<u>R¹⁵</u>	<u>(R¹⁶)_m/R^{16a}</u>
Q-8	Me	-	3-OAc	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	H	-	H	H	-	H	-
Q-14	Me	-	2-Me	H	-	H	-
Q-14	Me	-	2,2-di-Me	H	-	H	-
Q-14	Me	-	3-Me	H	-	H	-
Q-14	Me	-	3,3-di-Me	H	-	H	-
Q-14	Me	-	3-OH	H	-	H	-
Q-14	Me	-	3-OMe	H	-	H	-
Q-14	Me	-	3-OAc	H	-	H	-
Q-14	Me	-	2-Et	H	-	H	-
Q-14	Me	-	H	H	-	H	-
Q-23	Me	-	2-Me	H	-	H	-
Q-23	Me	-	2,2-di-Me	H	-	H	-
Q-23	Me	-	3-Me	H	-	H	-
Q-23	Me	-	3,3-di-Me	H	-	H	-
Q-23	H	-	H	H	-	H	-
Q-41	Me	-	2-Me	H	-	H	-
Q-41	Me	-	2,2-di-Me	H	-	H	-
Q-41	H	-	H	H	-	H	-
Q-70	-	Me	-	H	-	Me	-
Q-71	-	Me	-	H	-	Me	-
Q-78	-	-	-	-	-	-	H
Q-78	-	-	-	-	-	-	4-Me
Q-78	-	-	-	-	-	-	4-Et
Q-78	-	-	-	-	-	-	4- <i>i</i> -Pr
Q-78	-	-	-	-	-	-	4- <i>t</i> -Bu
Q-78	-	-	-	-	-	-	4-propen-2-yl
Q-78	-	-	-	-	-	-	4-propyn-2-yl
Q-78	-	-	-	-	-	-	4- <i>c</i> -propyl
Q-78	-	-	-	-	-	-	4- <i>c</i> -hexyl
Q-78	-	-	-	-	-	-	4-CF ₃
Q-78	-	-	-	-	-	-	4-CH ₂ CF ₃
Q-78	-	-	-	-	-	-	4-SO ₂ Me
Q-78	-	-	-	-	-	-	4-CH ₂ OH
Q-78	-	-	-	-	-	-	4-Ac

<u>Q</u>	<u>Q^a</u>	<u>R⁵</u>	<u>(R⁸)_m</u>	<u>(R⁹)_j</u>	<u>R¹⁰</u>	<u>R¹⁵</u>	<u>(R¹⁶)_m/R^{16a}</u>
Q-78	-	-	-	-	-	-	4-COEt
Q-78	-	-	-	-	-	-	4-COO- <i>t</i> -Bu
Q-78	-	-	-	-	-	-	4-benzyl
Q-78	-	-	-	-	-	-	4-(4-Cl-Ph)
Q-77	-	-	-	-	-	-	H
Q-77	-	-	-	-	-	-	4-Me
Q-77	-	-	-	-	-	-	4- <i>t</i> -Bu
Q-77	-	-	-	-	-	-	4-OH
Q-77	-	-	-	-	-	-	4-OMe
Q-77	-	-	-	-	-	-	4-OPr
Q-77	-	-	-	-	-	-	4-Br
Q-77	-	-	-	-	-	-	4-Cl
Q-77	-	-	-	-	-	-	4-NH ₂
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-N(Et) ₂
Q-77	-	-	-	-	-	-	4-CN
Q-77	-	-	-	-	-	-	4-NO ₂
Q-77	-	-	-	-	-	-	4-OCF ₃
Q-77	-	-	-	-	-	-	4-SMe
Q-77	-	-	-	-	-	-	4-SO- <i>n</i> -Bu
Q-77	-	-	-	-	-	-	4-SCHF ₂
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-N(Me) ₂
Q-77	-	-	-	-	-	-	4-MeOMe
Q-77	-	-	-	-	-	-	4-CO ₂ Me
Q-77	-	-	-	-	-	-	4-OAc
Q-77	-	-	-	-	-	-	4-CONHMe
Q-77	-	-	-	-	-	-	4-trimethylsilyl
Q-77	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	3-Me
Q-77	-	-	-	-	-	-	2-Ph
Q-75	Me	Et	-	H	-	Et	-
Q-75	Me	Et	-	H	-	Me	-
Q-75	Me	Me	-	H	-	<i>i</i> -Pr	-

Notes:

- * The definitions of R^5 , R^{10} , R^{15} , $(R^{16})_m$, R^{16a} , Q^a , $(R^8)_m$ and $(R^9)_j$ in the compounds of Table 4 are shown in Embodiment 50 unless otherwise noted.

TABLE 5



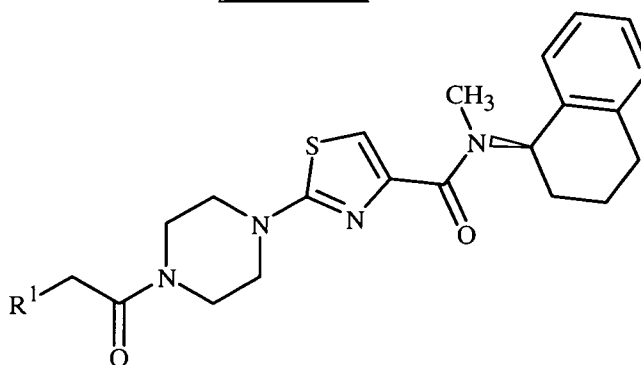
5

<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>W²</u>
2-methoxyphenyl	NH	O	O
2,5-dichlorophenyl	NH	O	O
5-bromo-2-chlorophenyl	NH	O	O
2-chloro-5-methylphenyl	NH	O	O
2-chloro-5-(trifluoromethyl)phenyl	NH	O	O
2,5-dibromophenyl	NH	O	O
2-bromo-5-methylphenyl	NH	O	O
2-bromo-5-(trifluoromethyl)phenyl	NH	O	O
5-chloro-2-methylphenyl	NH	O	O
5-bromo-2-methylphenyl	NH	O	O
2,5-dimethylphenyl	NH	O	O
5-ethyl-2-methylphenyl	NH	O	O
2-methyl-5-(trifluoromethyl)phenyl	NH	O	O
5-bromo-2-methoxyphenyl	NH	O	O
2-methoxy-5-methylphenyl	NH	O	O
2-methoxy-5-(trifluoromethyl)phenyl	NH	O	O
3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dimethylpyrazol-1-yl	NH	O	O
3-ethyl-5-methylpyrazol-1-yl	NH	O	O
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dichloropyrazol-1-yl	NH	O	O

<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>W²</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dibromopyrazol-1-yl	NH	O	O
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-diethylpyrazol-1-yl	NH	O	O
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
2-methoxyphenyl	NH	S	O
2,5-dichlorophenyl	NH	S	O
5-bromo-2-chlorophenyl	NH	S	O
2-chloro-5-methylphenyl	NH	S	O
2-chloro-5-(trifluoromethyl)phenyl	NH	S	O
2,5-dibromophenyl	NH	S	O
2-bromo-5-methylphenyl	NH	S	O
2-bromo-5-(trifluoromethyl)phenyl	NH	S	O
5-chloro-2-methylphenyl	NH	S	O
5-bromo-2-methylphenyl	NH	S	O
2,5-dimethylphenyl	NH	S	O
5-ethyl-2-methylphenyl	NH	S	O
2-methyl-5-(trifluoromethyl)phenyl	NH	S	O
5-bromo-2-methoxyphenyl	NH	S	O
2-methoxy-5-methylphenyl	NH	S	O
2-methoxy-5-(trifluoromethyl)phenyl	NH	S	O
3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dimethylpyrazol-1-yl	NH	S	O
3-ethyl-5-methylpyrazol-1-yl	NH	S	O
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dichloropyrazol-1-yl	NH	S	O
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dibromopyrazol-1-yl	NH	S	O
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-diethylpyrazol-1-yl	NH	S	O
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CH ₂	S	S
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CH ₂	O	S

<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>W²</u>
3,5-dichloropyrazol-1-yl	CH ₂	O	S
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	CH ₂	O	S
3,5-diethylpyrazol-1-yl	CH ₂	O	S
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	CH ₂	O	S
3,5-bis-(trifluoromethyl)pyrazol-1-yl	CH ₂	O	S
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	CH ₂	O	S
1-methyl-4-(trifluoromethyl)imidazol-2-yl	CH ₂	O	S

TABLE 6A

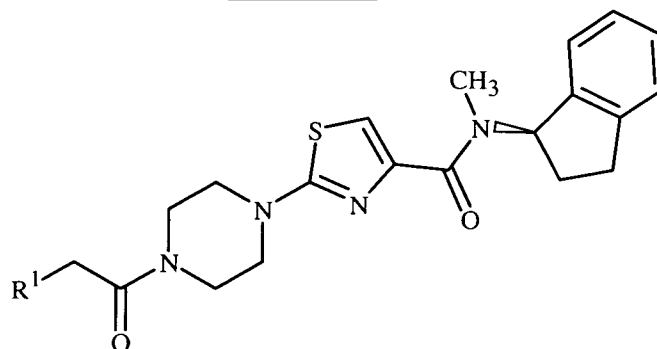


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-chloro-5-cyanophenyl
3-bromophenyl	2-chloro-5-nitrophenyl
3-iodophenyl	2-bromo-5-chlorophenyl
3-(trifluoromethyl)phenyl	2,5-dibromophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-iodophenyl
3-(pentafluoroethyl)phenyl	2-bromo-5-methylphenyl
3-cyanophenyl	2-bromo-5-ethylphenyl
3-nitrophenyl	2-bromo-5-propylphenyl
2,5-dichlorophenyl	2-bromo-5-(trifluoromethyl)phenyl
5-bromo-2-chlorophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
2-chloro-5-methylphenyl	2-bromo-5-cyanophenyl
2-chloro-5-ethylphenyl	2-bromo-5-nitrophenyl
2-chloro-5-(trifluoromethyl)phenyl	5-chloro-2-methylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-2-methylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-iodo-2-methylphenyl

<u>R¹</u>	<u>R¹</u>
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dimethyl-3-thienyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-3-thienyl
5-ethyl-3-methylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
3-chloro-5-ethylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
3-bromo-5-ethylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-ethyl-3-iodopyrazol-1-yl	3,6-dichloro-2-pyridyl
3,5-diethylpyrazol-1-yl	2,5-dichloro-3-pyridyl
5-ethyl-3-propylpyrazol-1-yl	2,5-dichloro-4-pyridyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
3,5-dimethyl-2-thienyl	

TABLE 6B

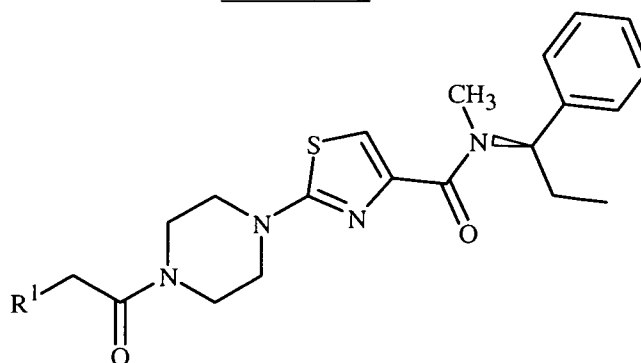


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-chloro-5-iodophenyl
3-bromophenyl	2-chloro-5-methylphenyl
3-iodophenyl	2-chloro-5-ethylphenyl
3-(trifluoromethyl)phenyl	2-chloro-5-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-(pentafluoroethyl)phenyl
3-cyanophenyl	2-chloro-5-cyanophenyl
3-nitrophenyl	2-chloro-5-nitrophenyl
2,5-dichlorophenyl	2-bromo-5-chlorophenyl
5-bromo-2-chlorophenyl	2,5-dibromophenyl

<u>R¹</u>	<u>R¹</u>
2-bromo-5-iodophenyl	5-bromo-2-ethylphenyl
2-bromo-5-methylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-ethylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-propylphenyl	2,5-diethylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-chloro-3-propylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	3,5-dimethyl-2-thienyl
5-bromo-3-methylpyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-chloropyrazol-1-yl	2,5-dimethyl-3-thienyl
3,5-dibromopyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-iodopyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-propylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-ethyl-3-methylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3-bromo-5-ethylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-iodopyrazol-1-yl	

TABLE 6C

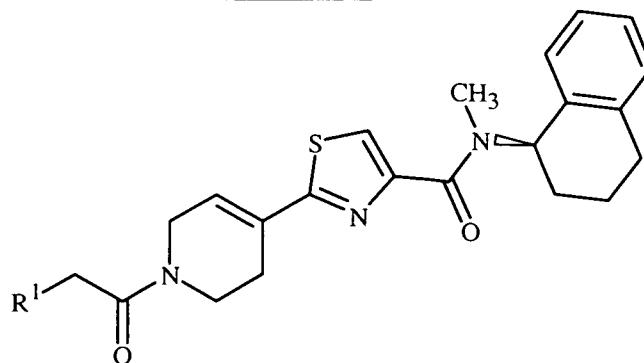


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	3-(2,2,2-trifluoroethyl)phenyl
3-bromophenyl	3-(pentafluoroethyl)phenyl
3-iodophenyl	3-cyanophenyl
3-(trifluoromethyl)phenyl	3-nitrophenyl

<u>R¹</u>	<u>R¹</u>
2,5-dichlorophenyl	5-chloro-2-methoxyphenyl
5-bromo-2-chlorophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-iodophenyl	5-iodo-2-methoxyphenyl
2-chloro-5-methylphenyl	2-methoxy-5-methylphenyl
2-chloro-5-ethylphenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-chloro-5-nitrophenyl	5-cyano-2-methoxyphenyl
2-bromo-5-chlorophenyl	2-methoxy-5-nitrophenyl
2,5-dibromophenyl	5-chloro-2-ethylphenyl
2-bromo-5-iodophenyl	5-bromo-2-ethylphenyl
2-bromo-5-methylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-ethylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-propylphenyl	2,5-diethylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-ethyl-5-methylpyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
3,5-dichloropyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-propylpyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-chloro-3-nitropyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-methylpyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-chloropyrazol-1-yl	2,5-dichloro-3-pyridyl
3,5-dibromopyrazol-1-yl	2,5-dichloro-4-pyridyl
5-bromo-3-iodopyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-propylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	

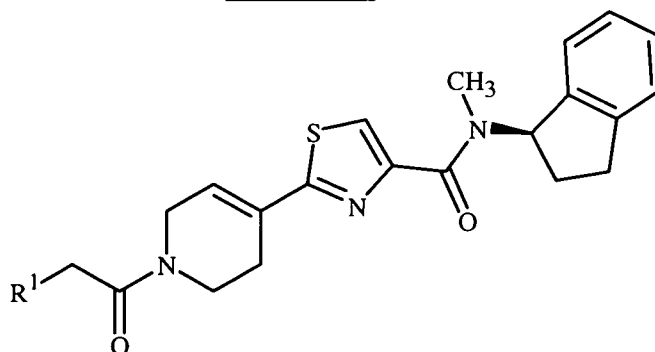
TABLE 7A



<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2,5-dimethylphenyl
3-bromophenyl	5-ethyl-2-methylphenyl
3-iodophenyl	2-methyl-5-propylphenyl
3-(trifluoromethyl)phenyl	5-isopropyl-2-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(trifluoromethyl)phenyl
3-(pentafluoroethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
3-cyanophenyl	2-methyl-5-(pentafluoroethyl)phenyl
3-nitrophenyl	5-cyano-2-methylphenyl
2,5-dichlorophenyl	2-methyl-5-nitrophenyl
5-bromo-2-chlorophenyl	5-chloro-2-methoxyphenyl
2-chloro-5-iodophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-methylphenyl	5-iodo-2-methoxyphenyl
2-chloro-5-ethylphenyl	2-methoxy-5-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-nitrophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-bromo-5-chlorophenyl	5-cyano-2-methoxyphenyl
2,5-dibromophenyl	2-methoxy-5-nitrophenyl
2-bromo-5-iodophenyl	5-chloro-2-ethylphenyl
2-bromo-5-methylphenyl	5-bromo-2-ethylphenyl
2-bromo-5-ethylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-propylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2,5-diethylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-nitrophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
5-chloro-2-methylphenyl	5-cyano-2-ethylphenyl
5-bromo-2-methylphenyl	2-ethyl-5-nitrophenyl
5-iodo-2-methylphenyl	3-chloropyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-bromopyrazol-1-yl	3,5-dibromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-iodopyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-cyanopyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-nitropyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3,5-dimethylpyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-methylpyrazol-1-yl	3,5-dichloro-2-thienyl
3,5-dichloropyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-bromopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-iodopyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-chloro-3-ethylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-chloro-3-propylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-chloro-3-cyanopyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-methylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-chloropyrazol-1-yl	

TABLE 7B



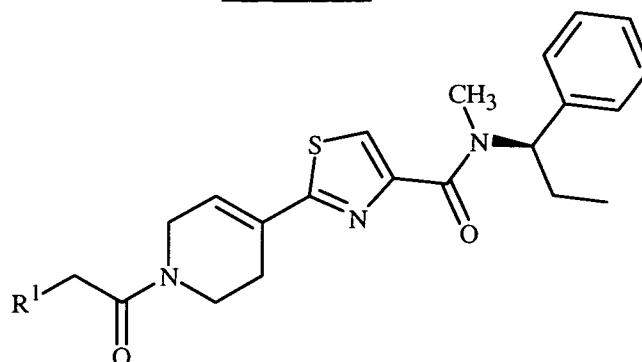
<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

<u>R¹</u>	<u>R¹</u>
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-nitropyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3,5-dimethylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
3-cyano-5-methylpyrazol-1-yl	2,5-dimethyl-3-thienyl
5-methyl-3-nitropyrazol-1-yl	2,5-dichloro-3-thienyl

R¹
 3,6-dimethyl-2-pyridyl
 2,5-dimethyl-3-pyridyl
 2,5-dimethyl-4-pyridyl
 3,6-dichloro-2-pyridyl
 2,5-dichloro-3-pyridyl

R¹
 2,5-dichloro-4-pyridyl
 3,5-bis-(trifluoromethyl)pyrazol-1-yl
 1-methyl-3-(trifluoromethyl)pyrazol-5-yl
 1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 7C



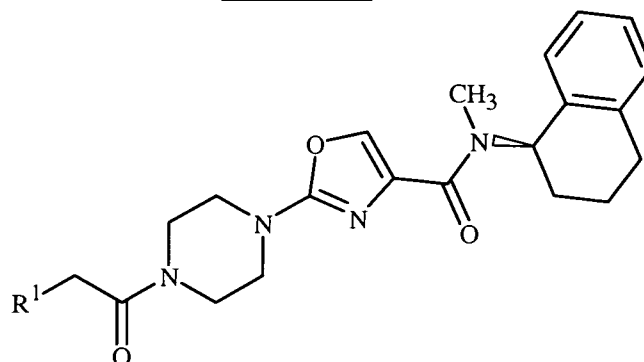
R¹
 2-methoxyphenyl
 3-bromophenyl
 3-iodophenyl
 3-(trifluoromethyl)phenyl
 3-(2,2,2-trifluoroethyl)phenyl
 3-(pentafluoroethyl)phenyl
 3-cyanophenyl
 3-nitrophenyl
 2,5-dichlorophenyl
 5-bromo-2-chlorophenyl
 2-chloro-5-iodophenyl
 2-chloro-5-methylphenyl
 2-chloro-5-ethylphenyl
 2-chloro-5-(trifluoromethyl)phenyl
 2-chloro-5-(2,2,2-trifluoroethyl)phenyl
 2-chloro-5-(pentafluoroethyl)phenyl
 2-chloro-5-cyanophenyl
 2-chloro-5-nitrophenyl

R¹
 2-bromo-5-chlorophenyl
 2,5-dibromophenyl
 2-bromo-5-iodophenyl
 2-bromo-5-methylphenyl
 2-bromo-5-ethylphenyl
 2-bromo-5-propylphenyl
 2-bromo-5-(trifluoromethyl)phenyl
 2-bromo-5-(2,2,2-trifluoroethyl)phenyl
 2-bromo-5-(pentafluoroethyl)phenyl
 2-bromo-5-cyanophenyl
 2-bromo-5-nitrophenyl
 5-chloro-2-methylphenyl
 5-bromo-2-methylphenyl
 5-iodo-2-methylphenyl
 2,5-dimethylphenyl
 5-ethyl-2-methylphenyl
 2-methyl-5-propylphenyl
 5-isopropyl-2-methylphenyl

<u>R¹</u>	<u>R¹</u>
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
3-bromo-5-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-ethyl-3-iodopyrazol-1-yl	2,5-dimethyl-3-pyridyl
3,5-diethylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-ethyl-3-propylpyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-dichloro-2-thienyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dimethyl-3-thienyl	

TABLE 8A

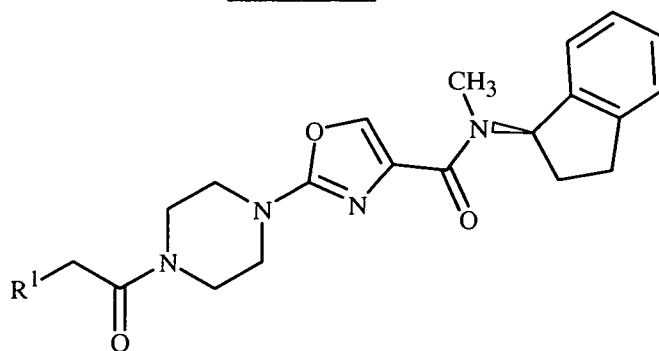


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-chloro-5-ethylphenyl
3-bromophenyl	2-chloro-5-(trifluoromethyl)phenyl
3-iodophenyl	2-chloro-5-(2,2,2-trifluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-chloro-5-(pentafluoroethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-cyanophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-nitrophenyl
3-cyanophenyl	2-bromo-5-chlorophenyl
3-nitrophenyl	2,5-dibromophenyl
2,5-dichlorophenyl	2-bromo-5-iodophenyl
5-bromo-2-chlorophenyl	2-bromo-5-methylphenyl
2-chloro-5-iodophenyl	2-bromo-5-ethylphenyl
2-chloro-5-methylphenyl	2-bromo-5-propylphenyl

<u>R¹</u>	<u>R¹</u>
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-chloro-3-cyanopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dibromopyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-iodopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-propylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-methylpyrazol-1-yl	2,5-dichloro-3-pyridyl
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
3-bromo-5-ethylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-diethylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-propylpyrazol-1-yl	

TABLE 8B

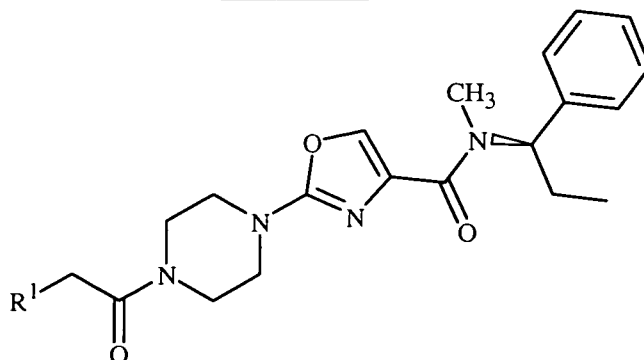


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	3-cyanophenyl
3-bromophenyl	3-nitrophenyl
3-iodophenyl	2,5-dichlorophenyl
3-(trifluoromethyl)phenyl	5-bromo-2-chlorophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-iodophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-methylphenyl

<u>R¹</u>	<u>R¹</u>
2-chloro-5-ethylphenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-chloro-5-nitrophenyl	5-cyano-2-methoxyphenyl
2-bromo-5-chlorophenyl	2-methoxy-5-nitrophenyl
2,5-dibromophenyl	5-chloro-2-ethylphenyl
2-bromo-5-iodophenyl	5-bromo-2-ethylphenyl
2-bromo-5-methylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-ethylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-propylphenyl	2,5-diethylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3,5-dichloropyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-nitropyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-methylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-chloropyrazol-1-yl	2,5-dimethyl-4-pyridyl
3,5-dibromopyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-iodopyrazol-1-yl	2,5-dichloro-3-pyridyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
5-bromo-3-propylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	

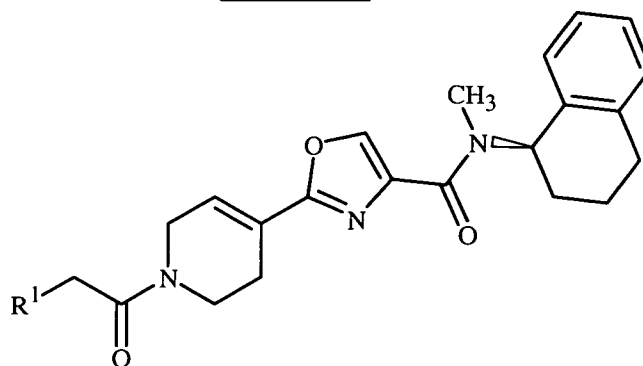
TABLE 8C



<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	5-ethyl-2-methylphenyl
3-bromophenyl	2-methyl-5-propylphenyl
3-iodophenyl	5-isopropyl-2-methylphenyl
3-(trifluoromethyl)phenyl	2-methyl-5-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
3-cyanophenyl	5-cyano-2-methylphenyl
3-nitrophenyl	2-methyl-5-nitrophenyl
2,5-dichlorophenyl	5-chloro-2-methoxyphenyl
5-bromo-2-chlorophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-iodophenyl	5-iodo-2-methoxyphenyl
2-chloro-5-methylphenyl	2-methoxy-5-methylphenyl
2-chloro-5-ethylphenyl	5-ethyl-2-methoxyphenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methoxy-5-propylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methoxy-5-(trifluoromethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-cyanophenyl	2-methoxy-5-(pentafluoroethyl)phenyl
2-chloro-5-nitrophenyl	5-cyano-2-methoxyphenyl
2-bromo-5-chlorophenyl	2-methoxy-5-nitrophenyl
2,5-dibromophenyl	5-chloro-2-ethylphenyl
2-bromo-5-iodophenyl	5-bromo-2-ethylphenyl
2-bromo-5-methylphenyl	2-ethyl-5-iodophenyl
2-bromo-5-ethylphenyl	2-ethyl-5-methylphenyl
2-bromo-5-propylphenyl	2,5-diethylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-iodopyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-cyanopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-nitropyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3,5-dimethylpyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dichloropyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-bromopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-iodopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-chloro-3-propylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-4-pyridyl
5-chloro-3-nitropyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-chloropyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
3,5-dibromopyrazol-1-yl	

TABLE 9A



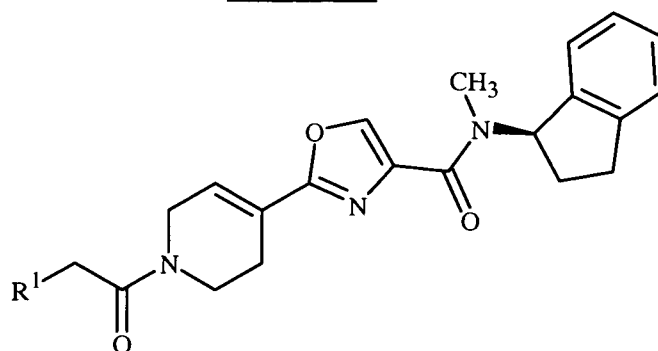
<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

<u>R¹</u>	<u>R¹</u>
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-nitropyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
3,5-dimethylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl	3,5-diethylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
3-cyano-5-methylpyrazol-1-yl	2,5-dimethyl-3-thienyl
5-methyl-3-nitropyrazol-1-yl	2,5-dichloro-3-thienyl

R¹
 3,6-dimethyl-2-pyridyl
 2,5-dimethyl-3-pyridyl
 2,5-dimethyl-4-pyridyl
 3,6-dichloro-2-pyridyl
 2,5-dichloro-3-pyridyl

R¹
 2,5-dichloro-4-pyridyl
 3,5-bis-(trifluoromethyl)pyrazol-1-yl
 1-methyl-3-(trifluoromethyl)pyrazol-5-yl
 1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 9B



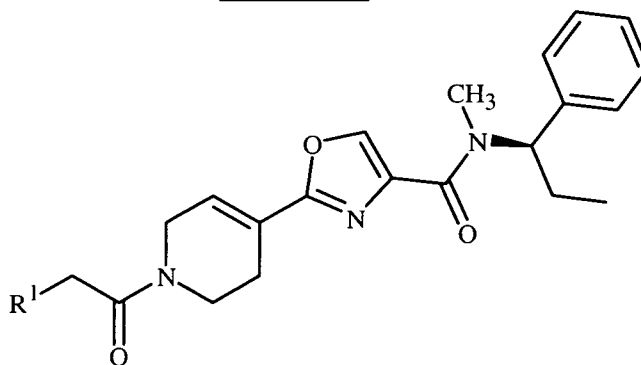
R¹
 2-methoxyphenyl
 3-bromophenyl
 3-iodophenyl
 3-(trifluoromethyl)phenyl
 3-(2,2,2-trifluoroethyl)phenyl
 3-(pentafluoroethyl)phenyl
 3-cyanophenyl
 3-nitrophenyl
 2,5-dichlorophenyl
 5-bromo-2-chlorophenyl
 2-chloro-5-iodophenyl
 2-chloro-5-methylphenyl
 2-chloro-5-ethylphenyl
 2-chloro-5-(trifluoromethyl)phenyl
 2-chloro-5-(2,2,2-trifluoroethyl)phenyl
 2-chloro-5-(pentafluoroethyl)phenyl
 2-chloro-5-cyanophenyl
 2-chloro-5-nitrophenyl

R¹
 2-bromo-5-chlorophenyl
 2,5-dibromophenyl
 2-bromo-5-iodophenyl
 2-bromo-5-methylphenyl
 2-bromo-5-ethylphenyl
 2-bromo-5-propylphenyl
 2-bromo-5-(trifluoromethyl)phenyl
 2-bromo-5-(2,2,2-trifluoroethyl)phenyl
 2-bromo-5-(pentafluoroethyl)phenyl
 2-bromo-5-cyanophenyl
 2-bromo-5-nitrophenyl
 5-chloro-2-methylphenyl
 5-bromo-2-methylphenyl
 5-iodo-2-methylphenyl
 2,5-dimethylphenyl
 5-ethyl-2-methylphenyl
 2-methyl-5-propylphenyl
 5-isopropyl-2-methylphenyl

<u>R¹</u>	<u>R¹</u>
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
2-ethyl-5-propylphenyl	5-chloro-3-cyanopyrazol-1-yl
2-ethyl-5-(trifluoromethyl)phenyl	5-chloro-3-nitropyrazol-1-yl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	5-bromo-3-methylpyrazol-1-yl
2-ethyl-5-(pentafluoroethyl)phenyl	5-bromo-3-chloropyrazol-1-yl
5-cyano-2-ethylphenyl	3,5-dibromopyrazol-1-yl
2-ethyl-5-nitrophenyl	5-bromo-3-iodopyrazol-1-yl
3-chloropyrazol-1-yl	5-bromo-3-ethylpyrazol-1-yl
3-bromopyrazol-1-yl	5-bromo-3-propylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl	5-bromo-3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl	5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
3-bromo-5-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-ethyl-3-iodopyrazol-1-yl	2,5-dimethyl-3-pyridyl
3,5-diethylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-ethyl-3-propylpyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-dichloro-2-thienyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dimethyl-3-thienyl	

TABLE 9C

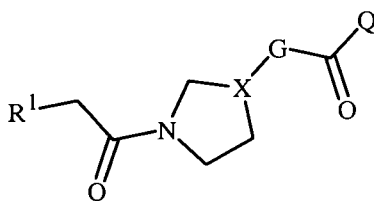


<u>R¹</u>	<u>R¹</u>
2-methoxyphenyl	2-chloro-5-ethylphenyl
3-bromophenyl	2-chloro-5-(trifluoromethyl)phenyl
3-iodophenyl	2-chloro-5-(2,2,2-trifluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-chloro-5-(pentafluoroethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-cyanophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-nitrophenyl
3-cyanophenyl	2-bromo-5-chlorophenyl
3-nitrophenyl	2,5-dibromophenyl
2,5-dichlorophenyl	2-bromo-5-iodophenyl
5-bromo-2-chlorophenyl	2-bromo-5-methylphenyl
2-chloro-5-iodophenyl	2-bromo-5-ethylphenyl
2-chloro-5-methylphenyl	2-bromo-5-propylphenyl

<u>R¹</u>	<u>R¹</u>
2-bromo-5-(trifluoromethyl)phenyl	2-ethyl-5-propylphenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	2-ethyl-5-(trifluoromethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl	2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-cyanophenyl	2-ethyl-5-(pentafluoroethyl)phenyl
2-bromo-5-nitrophenyl	5-cyano-2-ethylphenyl
5-chloro-2-methylphenyl	2-ethyl-5-nitrophenyl
5-bromo-2-methylphenyl	3-chloropyrazol-1-yl
5-iodo-2-methylphenyl	3-bromopyrazol-1-yl
2,5-dimethylphenyl	3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-2-methylphenyl	3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2-methyl-5-propylphenyl	3-(pentafluoroethyl)pyrazol-1-yl
5-isopropyl-2-methylphenyl	3-cyanopyrazol-1-yl
2-methyl-5-(trifluoromethyl)phenyl	3-nitropyrazol-1-yl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	3,5-dimethylpyrazol-1-yl
2-methyl-5-(pentafluoroethyl)phenyl	3-chloro-5-methylpyrazol-1-yl
5-cyano-2-methylphenyl	3-bromo-5-methylpyrazol-1-yl
2-methyl-5-nitrophenyl	3-iodo-5-methylpyrazol-1-yl
5-chloro-2-methoxyphenyl	3-ethyl-5-methylpyrazol-1-yl
5-bromo-2-methoxyphenyl	5-methyl-3-propylpyrazol-1-yl
5-iodo-2-methoxyphenyl	5-methyl-3-(trifluoromethyl)pyrazol-1-yl
2-methoxy-5-methylphenyl	5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-2-methoxyphenyl	5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
2-methoxy-5-propylphenyl	3-cyano-5-methylpyrazol-1-yl
2-methoxy-5-(trifluoromethyl)phenyl	5-methyl-3-nitropyrazol-1-yl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	5-chloro-3-methylpyrazol-1-yl
2-methoxy-5-(pentafluoroethyl)phenyl	3,5-dichloropyrazol-1-yl
5-cyano-2-methoxyphenyl	5-chloro-3-bromopyrazol-1-yl
2-methoxy-5-nitrophenyl	5-chloro-3-iodopyrazol-1-yl
5-chloro-2-ethylphenyl	5-chloro-3-ethylpyrazol-1-yl
5-bromo-2-ethylphenyl	5-chloro-3-propylpyrazol-1-yl
2-ethyl-5-iodophenyl	5-chloro-3-(trifluoromethyl)pyrazol-1-yl
2-ethyl-5-methylphenyl	5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
2,5-diethylphenyl	5-chloro-3-(pentafluoroethyl)pyrazol-1-yl

<u>R¹</u>	<u>R¹</u>
5-chloro-3-cyanopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dibromopyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-iodopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-propylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-methylpyrazol-1-yl	2,5-dichloro-3-pyridyl
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
3-bromo-5-ethylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-diethylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-propylpyrazol-1-yl	

TABLE 10



<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2,5-dichlorophenyl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
2,5-dimethylphenyl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	(1R)-N-methyl-1-phenylpropylamino
2,5-dichlorophenyl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-26	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2,5-dimethylphenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	(1R)-N-methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	(1R)-N-methyl-1-phenylpropylamino
2,5-dichlorophenyl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-27	N-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	N-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X[*]</u>	<u>G^{**}</u>	<u>Q</u>
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X[*]</u>	<u>G^{**}</u>	<u>Q</u>
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-27	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2,5-dimethylphenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-phenylpropylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2,5-dichlorophenyl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-36	N-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	N-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-36	N-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	(1 <i>R</i>)- <i>N</i> -methyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> -methyl-3-hydroxy-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2,5-dichlorophenyl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-36	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ¹	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> -methyl-3-hydroxy-1-indanyl-amino
2,5-dichlorophenyl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
2,5-dimethylphenyl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
3,5-dimethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
3,5-dichloropyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
3,5-dibromopyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
3,5-diethylpyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-1	<i>N</i> -methyl-3-oxo-1-indanyl-amino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl-amino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> ,2-dimethyl-1-indanyl-amino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanyl-amino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ²	G-2	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> -methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X[*]</u>	<u>G^{**}</u>	<u>Q</u>
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X[*]</u>	<u>G^{**}</u>	<u>Q</u>
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

<u>R¹</u>	<u>X[*]</u>	<u>G^{**}</u>	<u>Q</u>
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> -methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> ,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> ,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> -methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino

<u>R¹</u>	<u>X*</u>	<u>G**</u>	<u>Q</u>
3,5-dichloropyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X ³	G-1	<i>N</i> -methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁴	G-1	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁵	G-1	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁶	G-1	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁷	G-1	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁸	G-1	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁴	G-2	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁵	G-2	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁶	G-2	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁷	G-2	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ⁸	G-2	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-1-phenylcycloprop-1-ylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X ¹	G-1	<i>N</i> -methyl-1-phenylcycloprop-1-ylamino

Notes:

* n is 0.

** R^{3a} is H.

Formulation/Utility

A mixture of this invention will generally be used to provide fungicidal active ingredients in compositions, i.e. formulations, with at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, which serves as a carrier. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredients, mode of application and environmental factors such as soil type, moisture and temperature.

The mixtures of component (a) (i.e. the at least one compound of Formula 1, *N*-oxides or salts thereof) with component (b) (e.g., selected from (b1) to (b46) and salts thereof as described above) can be formulated in a number of ways, including:

- (i) component (a) and component (b) can be formulated separately and applied separately or applied simultaneously in an appropriate weight ratio, e.g., as a tank mix; or
- (ii) component (a) and component (b) can be formulated together in the proper weight ratio.

Useful formulations include both liquid and solid compositions. Liquid compositions include solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like, which optionally can be thickened into gels. The general types of aqueous liquid compositions are soluble concentrate, suspension concentrate, capsule suspension, concentrated emulsion, microemulsion and suspo-emulsion. The general types of nonaqueous liquid compositions are emulsifiable concentrate, microemulsifiable concentrate, dispersible concentrate and oil dispersion.

The general types of solid compositions are dusts, powders, granules, pellets, prills, pastilles, tablets, filled films (including seed coatings) and the like, which can be water-dispersible ("wettable") or water-soluble. Films and coatings formed from film-forming solutions or flowable suspensions are particularly useful for seed treatment. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. An emulsifiable granule combines the advantages of both an emulsifiable concentrate formulation and a dry granular formulation. High-strength compositions are primarily used as intermediates for further formulation.

Sprayable formulations are typically extended in a suitable medium before spraying. Such liquid and solid formulations are formulated to be readily diluted in the spray medium, usually water. Spray volumes can range from about one to several thousand liters per hectare, but more typically are in the range from about ten to several hundred liters per hectare. Sprayable formulations can be tank mixed with water or another suitable medium for foliar treatment by aerial or ground application, or for application to the growing

medium of the plant. Liquid and dry formulations can be metered directly into drip irrigation systems or metered into the furrow during planting. Liquid and solid formulations can be applied onto seeds of crops and other desirable vegetation as seed treatments before planting to protect developing roots and other subterranean plant parts and/or foliage through systemic uptake.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders	0.001-90	0-99.999	0-15
Oil Dispersions, Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	1-50	40-99	0-50
Dusts	1-25	70-99	0-5
Granules and Pellets	0.001-99	5-99.999	0-15
High Strength Compositions	90-99	0-10	0-2

Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, gypsum, cellulose, titanium dioxide, zinc oxide, starch, dextrin, sugars (e.g., lactose, sucrose), silica, talc, mica, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Typical solid diluents are described in Watkins et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey.

Liquid diluents include, for example, water, *N,N*-dimethylalkanamides (e.g., *N,N*-dimethylformamide), limonene, dimethyl sulfoxide, *N*-alkylpyrrolidones (e.g., *N*-methylpyrrolidinone), ethylene glycol, triethylene glycol, propylene glycol, dipropylene glycol, polypropylene glycol, propylene carbonate, butylene carbonate, paraffins (e.g., white mineral oils, normal paraffins, isoparaffins), alkylbenzenes, alkyl-naphthalenes, glycerine, glycerol triacetate, sorbitol, triacetin, aromatic hydrocarbons, dearomatized aliphatics, alkylbenzenes, alkyl-naphthalenes, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, acetates such as isoamyl acetate, hexyl acetate, heptyl acetate, octyl acetate, nonyl acetate, tridecyl acetate and isobornyl acetate, other esters such as alkylated lactate esters, dibasic esters and γ -butyrolactone, and alcohols, which can be linear, branched, saturated or unsaturated, such as methanol, ethanol, *n*-propanol, isopropyl

alcohol, *n*-butanol, isobutyl alcohol, *n*-hexanol, 2-ethylhexanol, *n*-octanol, decanol, isodecyl alcohol, isoctadecanol, cetyl alcohol, lauryl alcohol, tridecyl alcohol, oleyl alcohol, cyclohexanol, tetrahydrofurfuryl alcohol, diacetone alcohol and benzyl alcohol. Liquid diluents also include glycerol esters of saturated and unsaturated fatty acids (typically
5 C₆-C₂₂), such as plant seed and fruit oils (e.g, oils of olive, castor, linseed, sesame, corn (maize), peanut, sunflower, grapeseed, safflower, cottonseed, soybean, rapeseed, coconut and palm kernel), animal-sourced fats (e.g., beef tallow, pork tallow, lard, cod liver oil, fish oil), and mixtures thereof. Liquid diluents also include alkylated fatty acids (e.g., methylated, ethylated, butylated) wherein the fatty acids may be obtained by hydrolysis of
10 glycerol esters from plant and animal sources, and can be purified by distillation. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950.

The solid and liquid compositions of the present invention often include one or more surfactants. When added to a liquid, surfactants (also known as "surface-active agents")
15 generally modify, most often reduce, the surface tension of the liquid. Depending on the nature of the hydrophilic and lipophilic groups in a surfactant molecule, surfactants can be useful as wetting agents, dispersants, emulsifiers or defoaming agents.

Surfactants can be classified as nonionic, anionic or cationic. Nonionic surfactants useful for the present compositions include, but are not limited to: alcohol alkoxyates such
20 as alcohol alkoxyates based on natural and synthetic alcohols (which may be branched or linear) and prepared from the alcohols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof; amine ethoxyates, alkanolamides and ethoxylated alkanolamides; alkoxyated triglycerides such as ethoxylated soybean, castor and rapeseed oils; alkylphenol alkoxyates such as octylphenol ethoxyates, nonylphenol ethoxyates, dinonyl phenol
25 ethoxyates and dodecyl phenol ethoxyates (prepared from the phenols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); block polymers prepared from ethylene oxide or propylene oxide and reverse block polymers where the terminal blocks are prepared from propylene oxide; ethoxylated fatty acids; ethoxylated fatty esters and oils; ethoxylated methyl esters; ethoxylated tristyrylphenol (including those prepared from
30 ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); fatty acid esters, glycerol esters, lanolin-based derivatives, polyethoxylate esters such as polyethoxylated sorbitan fatty acid esters, polyethoxylated sorbitol fatty acid esters and polyethoxylated glycerol fatty acid esters; other sorbitan derivatives such as sorbitan esters; polymeric surfactants such as random copolymers, block copolymers, alkyd peg (polyethylene glycol)
35 resins, graft or comb polymers and star polymers; polyethylene glycols (pegs); polyethylene glycol fatty acid esters; silicone-based surfactants; and sugar-derivatives such as sucrose esters, alkyl polyglycosides and alkyl polysaccharides.

Useful anionic surfactants include, but are not limited to: alkylaryl sulfonic acids and their salts; carboxylated alcohol or alkylphenol ethoxylates; diphenyl sulfonate derivatives; lignin and lignin derivatives such as lignosulfonates; maleic or succinic acids or their anhydrides; olefin sulfonates; phosphate esters such as phosphate esters of alcohol
5 alkoxyates, phosphate esters of alkylphenol alkoxyates and phosphate esters of styryl phenol ethoxylates; protein-based surfactants; sarcosine derivatives; styryl phenol ether sulfate; sulfates and sulfonates of oils and fatty acids; sulfates and sulfonates of ethoxylated alkylphenols; sulfates of alcohols; sulfates of ethoxylated alcohols; sulfonates of amines and amides such as *N,N*-alkyltaurates; sulfonates of benzene, cumene, toluene, xylene, and
10 dodecyl and tridecylbenzenes; sulfonates of condensed naphthalenes; sulfonates of naphthalene and alkyl naphthalene; sulfonates of fractionated petroleum; sulfosuccinamates; and sulfosuccinates and their derivatives such as dialkyl sulfosuccinate salts.

Useful cationic surfactants include, but are not limited to: amides and ethoxylated amides; amines such as *N*-alkyl propanediamines, tripropylenetriamines and
15 dipropylenetetramines, and ethoxylated amines, ethoxylated diamines and propoxylated amines (prepared from the amines and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); amine salts such as amine acetates and diamine salts; quaternary ammonium salts such as quaternary salts, ethoxylated quaternary salts and diquaternary salts; and amine oxides such as alkyldimethylamine oxides and bis-(2-hydroxyethyl)-
20 alkylamine oxides.

Also useful for the present compositions are mixtures of nonionic and anionic surfactants or mixtures of nonionic and cationic surfactants. Nonionic, anionic and cationic surfactants and their recommended uses are disclosed in a variety of published references including *McCutcheon's Emulsifiers and Detergents*, annual American and International
25 Editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964; and A. S. Davidson and B. Milwidsky, *Synthetic Detergents*, Seventh Edition, John Wiley and Sons, New York, 1987.

Compositions of this invention may also contain formulation auxiliaries and additives,
30 known to those skilled in the art as formulation aids (some of which may be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such polyorganosiloxanes), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), wash-off (film formers or stickers),
35 evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Examples

of formulation auxiliaries and additives include those listed in *McCutcheon's Volume 2: Functional Materials*, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

5 The compounds of Formulae 1 and any other active ingredients are typically incorporated into the present compositions by dissolving the active ingredient in a solvent or by grinding in a liquid or dry diluent. Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. If the solvent of a liquid composition intended for use as an emulsifiable concentrate is water-immiscible, an emulsifier is typically added
10 to emulsify the active-containing solvent upon dilution with water. Active ingredient slurries, with particle diameters of up to 2,000 μm can be wet milled using media mills to obtain particles with average diameters below 3 μm . Aqueous slurries can be made into finished suspension concentrates (see, for example, U.S. 3,060,084) or further processed by spray drying to form water-dispersible granules. Dry formulations usually require dry
15 milling processes, which produce average particle diameters in the 2 to 10 μm range. Dusts and powders can be prepared by blending and usually grinding (such as with a hammer mill or fluid-energy mill). Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical
20 Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S.
25 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of
30 Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al.,
35 *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A

and B. Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except where otherwise indicated.

Example AHigh Strength Concentrate

Compound 3	50.0%
folpet	48.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%

Example BWettable Powder

Compound 3	50.0%
copper hydroxide	15.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example CGranule

Compound 149	8.0%
fluopicolide	2.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example DExtruded Pellet

Compound 2	13.0%
cymoxanil	12.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example EEmulsifiable Concentrate

Compound 3	5.0%
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azoxystrobin	5.0%
polyoxyethylene sorbitol hexoleate	20.0%
C ₆ -C ₁₀ fatty acid methyl ester	70.0%

Example FMicroemulsion

Compound 4	4.0%
pyraclostrobin	1.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example GSeed Treatment

Compound 149	10.00%
fosetyl-aluminum	10.00%
polyvinylpyrrolidone-vinyl acetate copolymer	5.00%
montan acid wax	5.00%
calcium ligninsulfonate	1.00%
polyoxyethylene/polyoxypropylene block copolymers	1.00%
stearyl alcohol (POE 20)	2.00%
polyorganosilane	0.20%
colorant red dye	0.05%
water	65.75%

Examples of component (b) fungicides include acibenzolar-S-methyl, aldimorph, amisulbrom, anilazine, azaconazole, azoxystrobin, benalaxyl, benalaxyl-M, benodanil, 5 benomyl, bentiavalicarb, bentiavalicarb-isopropyl, bethoxazin, binapacryl, biphenyl, bitertanol, bixafen, blastidicid-S, Bordeaux mixture (tribasic copper sulfate), boscalid, bromuconazole, bupirimate, captafol, captan, carbendazim, carboxin, carpropamid, chloroneb, chlorothalonil, chlozolinate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cyflufenamid, cymoxanil, 10 cyproconazole, cyprodinil, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, diflumetorim, dimethirimol, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, dithianon, dodemorph, dodine, edifenphos, enestroburin, epoxiconazole, ethaboxam, ethirimol, etridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fenfuram, fenhexamid, fenoxanil, fenciclonil, fenpropidin, fenpropimorph, 15 fentin acetate, fentin chloride, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumorph, fluopicolide, fluopyram, fluoroimide, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, furalaxyl,

furametpyr, guazatine, hexaconazole, hymexazol, imazalil, imibenconazole, iminoctadine
 albesilate, iminoctadine triacetate, iodocarb, ipconazole, iprobenfos, iprodione, iprovalicarb,
 isoprothiolane, isotianil, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid,
 maneb, mepanipyrim, mepronil, meptyldinocap, metalaxyl, metalaxyl-M, metconazole,
 5 methasulfocarb, metiram, metominostrobin, metrafenone, myclobutanil, naftifine, neo-
 asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl,
 oxolinic acid, oxpoconazole, oxycarboxin, oxytetracycline, pefurazoate, penconazole,
 pencycuron, penthiopyrad, phosphorous acid and salts, phthalide, picoxystrobin, piperalin,
 polyoxin, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride,
 10 propiconazole, propineb, proquinazid, prothiocarb, prothioconazole, pyrazophos,
 pyraclostrobin, pyribencarb, pyributicarb, pyrifenox, pyrimethanil, pyrrolnitrin, pyroquilon,
 quinomethionate, quinoxifen, quintozone, silthiofam, simeconazole, spiroxamine,
 streptomycin, sulfur, tebuconazole, tecloftalam, tecnazene, terbinafine, tetraconazole,
 thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-
 15 methyl, tolyfluanid, triadimefon, triadimenol, triazoxide, tricyclazole, tridemorph,
 trifloxystrobin, triflumizole, triforine, triticonazole, uniconazole, validamycin, valiphenal,
 vinclozolin, zineb, ziram, zoxamide, *N*-[2-(1,3-dimethylbutyl)phenyl]-5-fluoro-1,3-
 dimethyl-1*H*-pyrazol-4-carboxamide, *N*-[2-(1*S*,2*R*)-[1,1'-bicyclopropyl]-2-ylphenyl]-3-
 (difluoromethyl)-1-methyl-1*H*-pyrazole-4-carboxamide, □ α -[methoxyimino]-*N*-methyl-2-
 20 [[[1-[3-(trifluoromethyl)-phenyl]-ethoxy]imino]methyl]benzeneacetamide, 2-[[[3-(2,6-
 dichlorophenyl)-1-methyl-2-propen-1-ylidene]amino]oxy]methyl]- α -(methoxyimino)-*N*-
 methylbenzeneacetamide, *N*-[2-[4-[[3-(4-chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxy
 phenyl]ethyl]-3-methyl-2-[(methylsulfonyl)amino]butanamide, *N*-[2-[4-[[3-(4-
 chlorophenyl)-2-propyn-1-yl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-
 25 [(ethylsulfonyl)amino]butanamide, 2-[[2-fluoro-5-(trifluoromethyl)phenyl]thio]-2-[3-(2-
 methoxyphenyl)-2-thiazolidinylidene]acetonitrile, 2-butoxy-6-iodo-3-propyl-4*H*-1-
 benzopyran-4-one, 3-[5-(4-chlorophenyl)-2,3-dimethyl-3-isoxazolidinyl]pyridine, 4-
 fluorophenyl *N*-[1-[[[1-(4-cyanophenyl)ethyl]sulfonyl]-methyl]propyl]carbamate, 5-chloro-
 6-(2,4,6-trifluorophenyl)-7-(4-methylpiperidin-1-yl)[1,2,4]triazolo[1,5-*a*]pyrimidine, *N*-(4-
 30 chloro-2-nitrophenyl)-*N*-ethyl-4-methylbenzenesulfonamide, *N*-
 [[(cyclopropylmethoxy)amino][6-(difluoromethoxy)-2,3
 difluorophenyl]methylene]benzeneacetamide, *N*'-[4-[4-chloro-3-(trifluoromethyl)phenoxy]-
 2,5-dimethylphenyl]-*N*-ethyl-*N*-methylmethanimidamide, and 1-[(2-propenylthio)carbonyl]-
 2-(1-methylethyl)-4-(2-methylphenyl)-5-amino-1*H*-pyrazol-3-one.

35 Compositions of component (a) with component (b) can be further mixed with one or
 more other biologically active compounds or agents including insecticides, nematocides,
 bactericides, acaricides, herbicides, herbicide safeners, growth regulators such as insect
 molting inhibitors and rooting stimulants, chemosterilants, semiochemicals, repellents,

attractants, pheromones, feeding stimulants, plant nutrients, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Thus the present invention also pertains to a composition comprising a fungicidally effective amount of a mixture of component (a) with component (b) and a biologically effective amount of at least one additional biologically active compound or agent and can further comprise at least one of a surfactant, a solid diluent or a liquid diluent. The other biologically active compounds or agents can also be separately formulated in compositions comprising at least one of a surfactant, solid or liquid diluent. For compositions of the present invention, one or more other biologically active compounds or agents can be formulated together with one or both of components (a) and (b) to form a premix, or one or more other biologically active compounds or agents can be formulated separately from components (a) and (b) and the formulations combined together before application (e.g., in a spray tank) or, alternatively, applied in succession.

Examples of such biologically active compounds or agents with which compositions of component (a) with component (b) can be formulated are: insecticides such as abamectin, acephate, acetamiprid, amidoflumet (S-1955), avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, buprofezin, carbofuran, cartap, chlorantraniliprole (DPX-E2Y45), chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenerim (UR-50701), flufenoxuron, fonophos, halofenozide, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, methoxyfenozide, nitenpyram, nithiazine, novaluron, noviflumuron (XDE-007), oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, pymetrozine, pyrafluprole, pyrethrin, pyridalyl, pyrifluquinazon, pyriprole, pyriproxifen, rotenone, ryanodine, spinetoram, spinosad, spirotetramat, sulprofos, tebufenozide, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, trichlorfon and triflumuron; nematocides such as aldicarb, imicyafos, oxamyl and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyenopyrafen, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents including

entomopathogenic bacteria, such as *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, and the encapsulated delta-endotoxins of *Bacillus thuringiensis* (e.g., Cellcap, MPV, MPVII); entomopathogenic fungi, such as green muscardine fungus; and entomopathogenic virus including baculovirus, nucleopolyhedro virus (NPV) such as HzNPV, AfNPV; and granulosis virus (GV) such as CpGV.

Mixtures of this invention and compositions thereof can be applied to plants genetically transformed to express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* delta-endotoxins). The effect of the exogenously applied fungicidal mixtures of this invention may be synergistic with the expressed toxin proteins.

General references for agricultural protectants (i.e. insecticides, fungicides, nematocides, acaricides, herbicides and biological agents) include *The Pesticide Manual, 13th Edition*, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2003 and *The BioPesticide Manual, 2nd Edition*, L. G. Copping, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2001.

For embodiments where one or more of these various mixing partners are used, the weight ratio of these various mixing partners (in total) to the mixture of component (a) with component (b) is typically between about 1:100 and about 3000:1. Of note are weight ratios between about 1:30 and about 300:1 (for example ratios between about 1:5 and about 30:1). It will be evident that including these additional components may expand the spectrum of diseases or other pests, for example insects, mites and weeds controlled beyond the spectrum controlled by a mixture of component (a) with component (b).

Of note is a composition embodiment wherein granules of a solid composition comprising a compound of Formula 1 is mixed with granules of a solid composition comprising component (b). These mixtures can be further mixed with granules comprising additional agricultural protectants. Alternatively, two or more agricultural protectants (e.g., a component (a) (Formula 1) compound, a component (b) compound, an agricultural protectant other than component (a) or (b)) can be combined in the solid composition of one set of granules, which is then mixed with one or more sets of granules of solid compositions comprising one or more additional agricultural protectants. These granule mixtures can be in accordance with the general granule mixture disclosure of PCT Patent Publication WO 94/24861 or more preferably the homogeneous granule mixture teaching of U.S. Patent 6,022,552.

The compositions of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or vegetative propagation unit to be protected, or to the soil before, during or after planting, an effective amount of a mixture of the invention or a fungicidal composition comprising said mixture.

Plant disease control is ordinarily accomplished by applying an effective amount of a mixture of this invention, typically as a formulated composition, either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The mixtures can also be applied to seeds to protect the seeds and seedlings developing from the seeds. The mixtures can also be applied through irrigation water to treat plants.

Rates of application for these mixtures and compositions of this invention can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than about 1 g/ha to about 5,000 g/ha of active ingredients. Seed, vegetative propagation units and seedlings can normally be protected when seed is treated at a rate of from about 0.1 to about 10 g per kilogram of seed.

The mixtures and/or compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, turf, vegetable, field, cereal, and fruit crops. These pathogens include: Oomycetes, including *Phytophthora* diseases such as *Phytophthora infestans*, *Phytophthora megasperma*, *Phytophthora parasitica*, *Phytophthora cinnamomi* and *Phytophthora capsici*, *Pythium* diseases such as *Pythium aphanidermatum* and *Pythium ultimum*, and diseases in the Peronosporaceae family such as *Plasmopara viticola*, *Peronospora* spp. (including *Peronospora tabacina* and *Peronospora parasitica*), *Pseudoperonospora* spp. (including *Pseudoperonospora cubensis*) and *Bremia lactucae*; Ascomycetes, including *Alternaria* diseases such as *Alternaria solani* and *Alternaria brassicae*, *Guignardia* diseases such as *Guignardia bidwelli*, *Venturia* diseases such as *Venturia inaequalis*, *Septoria* diseases such as *Septoria nodorum* and *Septoria tritici*, powdery mildew diseases such as *Erysiphe* spp. (including *Erysiphe graminis* and *Erysiphe polygoni*), *Uncinula necator*, *Sphaerotheca fuliginea* and *Podosphaera leucotricha*, *Pseudocercospora herpotrichoides*, *Botrytis* diseases such as *Botrytis cinerea*, *Monilinia fructicola*, *Sclerotinia* diseases such as *Sclerotinia sclerotiorum*, *Magnaporthe grisea*, *Phomopsis viticola*, *Helminthosporium* diseases such as *Helminthosporium tritici repentis*, *Pyrenophora teres*, anthracnose diseases such as *Glomerella* or *Colletotrichum* spp. (such as *Colletotrichum graminicola* and *Colletotrichum orbiculare*), and *Gaeumannomyces graminis*; Basidiomycetes, including rust diseases caused by *Puccinia* spp. (such as *Puccinia recondita*, *Puccinia striiformis*, *Puccinia hordei*, *Puccinia graminis* and *Puccinia arachidis*), *Hemileia vastatrix* and *Phakopsora pachyrhizi*; other pathogens including *Rhizoctonia* spp. (such as *Rhizoctonia solani* and *Rhizoctonia oryzae*); *Fusarium* diseases such as *Fusarium roseum*, *Fusarium graminearum* and *Fusarium oxysporum*; *Verticillium dahliae*; *Sclerotium rolfsii*; *Rynchosporium secalis*; *Cercosporidium personatum*, *Cercospora arachidicola* and

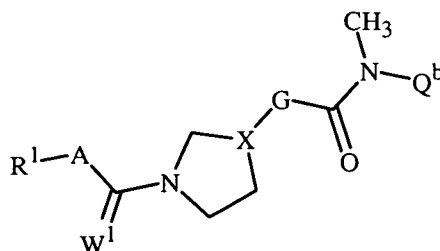
Cercospora beticola; and other genera and species closely related to these pathogens. In addition to their fungicidal activity, the compositions or combinations also have activity against bacteria such as *Erwinia amylovora*, *Xanthomonas campestris*, *Pseudomonas syringae*, and other related species.

5 Mixtures of fungicides may provide significantly better disease control than could be predicted based on the activity of the individual components. This synergism has been described as “the cooperative action of two components of a mixture, such that the total effect is greater or more prolonged than the sum of the effects of the two (or more) taken independently” (see Tames, P. M. L., *Neth. J. Plant Pathology*, (1964), 70, 73–80).

10 Compositions are provided in accordance with this invention that comprise proportions of component (a) and component (b) that are especially useful for controlling particular fungal diseases. These compositions are considered especially useful for controlling Oomycetes plant pathogens (such as *Phytophthora infestans*, *Phytophthora megasperma*, *Phytophthora parasitica*, *Phytophthora cinnamomi*, *Phytophthora capsici*, *Pythium*
15 *aphanidermatum*, *Plasmopara viticola*, *Peronospora tabacina*, *Peronospora parasitica*, *Pseudoperonospora cubensis* and *Bremia lactucae*).

The following Tests demonstrate the control efficacy of mixtures of this invention on specific pathogens. The disease control afforded by the mixtures is not limited, however, to the pathogenic fungi species exemplified. See Index Tables A and B for compound
20 descriptions of Formula 1. The following abbreviations are used in the Index Tables which follow: *t* means tertiary, *s* means secondary, Ph means phenyl. The stereocenters are labeled as *R* (*rectus*) and *S* (*sinister*) based on Cahn-Ingold-Prelog system. The abbreviation “Ex.” stands for “Example” and is followed by a number indicating in which example the compound is prepared. Index Tables A and B list the molecular weight of the highest
25 isotopic abundance parent ion (M+1) formed by addition of H⁺ (molecular weight of 1) to the molecule, observed by mass spectrometry using atmospheric pressure chemical ionization (AP⁺).

INDEX TABLE A



<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G(*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
1	2-chlorophenyl	CH ₂	O	X ¹	G-1	(1S)-1-phenylethyl	482

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G</u> (*)	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
2	2-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	482
3	phenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	448
4	4-methoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	478
5	3-methoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	478
6	2,4-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
7	2,6-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
8	2-bromophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	526
9	2-fluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	466
10	2-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
11	2-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	462
12	4-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	462
13	4-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	482
14	4-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
15	3-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	482
16	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
17	2,3-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
18	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	517
19	3-bromophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	526
20	3-nitrophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	493
21	3-iodophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	574
22	3,5-di-CF ₃ -Ph	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	584
23	3-fluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	466
24	3-trifluoromethyl- pyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	505
25	2-chlorophenyl	CH ₂	O	X ²	G-1	(1R)-1-phenylethyl	483
26	3-chlorophenyl	CH ₂	O	X ²	G-1	(1R)-1-phenylethyl	483
27	3-bromophenyl	CH ₂	O	X ²	G-1	(1R)-1-phenylethyl	527
28	3-nitrophenyl	CH ₂	O	X ²	G-1	(1R)-1-phenylethyl	494
29	3-iodophenyl	CH ₂	O	X ²	G-1	(1R)-1-phenylethyl	575
30	3-trifluorophenyl	CH ₂	O	X ¹	G-1 ^a	(1R)-1-phenylethyl	550
31	3-methylsulfonylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	526
32	3-bromophenyl	CH ₂	O	X ²	G-37	(1R)-1-phenylethyl	527
33	2-fluoro-3-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	500
34	4-fluoro-3- trifluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	534
35	4-fluoro-3-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	500

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
36	3-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	462
37	3,5-difluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	484
38	3,4-difluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	484
39	2-chloro-5-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	550
40	3-fluoro-5-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	534
41	2-methoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	478
42	2-fluoro-3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	534
43	3,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	476
44	2,5-difluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	484
45	2-trifluoromethoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	532
46	2,3-difluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	484
47	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	476
48	3-methylthienyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	494
49	3-trifluoromethoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	532
50	2,5-dimethylphenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	461
51	2-chloro-5-trifluoromethylphenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	535
52	3-methylphenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	447
53	2-methoxyphenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	463
54	3-bromophenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	511
55	3-trifluoromethylphenyl	CH ₂	O	X ²	G-2	(1R)-1-phenylethyl	501
56	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(3-trifluoromethylphenyl)-ethyl	544
57	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(2-fluorophenyl)ethyl	494
58 (Ex. 1)	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	490
59	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(4-methoxyphenyl)ethyl	506

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
60	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(4-chlorophenyl)ethyl	510
61	3-methylphenyl	CH ₂	O	X ¹	G-1	1-(3,5-dichloro-2-pyridinyl)ethyl	531
62	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(4-methylphenyl)-ethyl	490
63	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(4-bromophenyl)-ethyl	554
64	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(4-fluorophenyl)-ethyl	494
65	3,5-dimethylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	466
66	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	1-(3-pyridinyl)ethyl	517
67	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(3-trifluoromethylphenyl)-ethyl	544
68	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1S)-1-phenylethyl	476
69	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(3-methoxyphenyl)ethyl	506
70 (Ex. 5)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	520
71	4-bromopyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
72	3-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	452
73	4-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	452
74 (Ex. 4)	2,5-dimethylphenyl	CH ₂	O	X ²	G-37	(1R)-1-phenylethyl	477
75	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	1-(2-pyridinyl)ethyl	517
76	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	1-(4-pyridinyl)ethyl	517
77	2,5-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	516
78	2-ethoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	492
79	3-ethoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	492
80	2-methyl-5-fluorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	481
81	2-methoxy-5-bromophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	556
82	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenylbutyl	504
83	pyridin-3-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	449

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
84	pyridin-4-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	449
85	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenylpentyl	518
86	2-bromo-5-chlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	560
87	2,5-bis-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	584
88	2-thienyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	454
89	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-(2-thienyl)ethyl	482
90	2-methoxycarbonylmethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	521
91	2-methylthiazol-4-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	469
92	2,5-dimethylthiazol-4-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	483
93	3- <i>t</i> -butylisoxazol-5-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	495
94	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-(2-pyridinyl)ethyl	477
95	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-(3-pyridinyl)ethyl	477
96	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenyl-2-methylpropan-1-yl	504
97	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenyl-3-methylbutan-1-yl	518
98	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1,2,3,4-tetrahydro-2-naphthalenyl	502
99	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1,2,3,4-tetrahydro-1-naphthalenyl	502
100	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	indan-1-yl	488
101	2,4-dimethylpyrrol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	465
102	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	phenyl-cyanomethyl	487
103	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenyl-2-propen-1-yl	488
104	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenyl-3-buten-1-yl	502
105	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-naphthalenylethyl	526
106	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-2-naphthalenylethyl	526
107	3-bromophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	540
108	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	531
109	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-phenyl-2-methoxyethyl	506
110 (Ex. 3)	2,5-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	530
111 (Ex. 11)	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-(3,5-dichloro-2-pyridinyl)ethyl	545

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
112	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-(2,5-dimethyl-3-thienyl)ethyl	510
113	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1-(2,5-dimethyl-3-furyl)ethyl	494
114	2-chloro-5-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	564
115	2-methoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	492
116	3-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	476
117 (Ex. 2)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	534
118	3,5-dimethylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylpropyl	480
119	3-ethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	476
120	3,5-dimethyltriazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	467
121	2,4-dimethylimidazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	466
122	3-trifluoromethyltriazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	507
123	2-propyl-4-trifluoromethylimidazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	548
124	2-methyl-5-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	530
125	2-methoxy-5-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	492
126	2,5-dimethylphenyl	CH ₂	O	X ¹	G-2	(1R)-1-phenylethyl	460
127	3-isopropyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	494
128	2-ethyl-4-methylimidazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	480
129	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	6,7,8,9-tetrahydro-5H-benzocyclohepten-5-yl	516
130	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(R)-indan-1-yl	488
131	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(S)-indan-1-yl	488
132 (Ex. 6)	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	502

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
133	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1S)-1,2,3,4-tetrahydro-1-naphthalenyl	502
134	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	4,5,6,7-tetrahydro-benzo[<i>b</i>]thien-4-yl	508
135	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	4,5,6,7-tetrahydro-benzo[<i>b</i>]furan-4-yl	492
136	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	thiochroman-4-yl	520
137	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	chroman-4-yl	504
138	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	2,3-dihydro-benzofuran-3-yl	490
139	2-isopropylimidazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	480
140	3-cyclohexyltriazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	521
141	4- <i>t</i> -butylimidazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	494
142	3- <i>s</i> -butyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1-phenylethyl	508
143	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(R)-1-(3,5-dichloro-2-pyridinyl)ethyl	589
144 (Ex. 6)	2,5-dichlorophenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	542
145 (Ex. 6)	2-methoxyphenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	504
146 (Ex. 6)	2-methoxy-5-methylphenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	518
147 (Ex. 6)	2-chloro-5-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	576
148 (Ex. 6)	2-methoxy-5-bromophenyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	582
149 (Ex. 6)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	546
150 (Ex. 6)	3,5-dimethylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	492
151 (Ex. 8)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-2	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	530
152 (Ex. 7)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-2	(1R)-1-phenylpropyl	518

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G</u> (*)	<u>Q^b</u>	<u>AP⁺</u> (M+1)
153 (Ex. 9)	2,5-dimethylphenyl	CH ₂	O	X ¹	G-2	(1R)-1,2,3,4-tetrahydro- 1-naphthalenyl	486
154 (Ex. 10)	2,5-dimethylphenyl	CH ₂	O	X ¹	G-2	(1R)-1-phenylpropyl	474
155	3-trifluoromethylphenyl	NH	O	X ¹	G-1	(1R)-1-phenylethyl	518
156	3-trifluoromethylphenyl	NH	O	X ¹	G-1	(1R)-1-phenylethyl	517
157	2-methoxyphenyl	NH	O	X ¹	G-2	(1R)-1-phenylethyl	464
158	3-trifluoromethylphenyl	NH	O	X ¹	G-2	(1R)-1-phenylethyl	502
159	2-methoxy-5- methylphenyl	NH	S	X ¹	G-1	(1R)-1-phenylethyl	509
160	3-trifluoromethylphenyl	NH	S	X ¹	G-1	(1R)-1-phenylethyl	533
161	2-chlorophenyl	CH ₂	O	X ¹	G-1	benzyl	468
162	2-chlorophenyl	CH ₂	O	X ¹	G-1	2-phenylethyl	482
163	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	3-pyridinylmethyl	503
164	3-methylphenyl	CH ₂	O	X ¹	G-1	3-pyridylmethyl	449
165	3-methylphenyl	CH ₂	O	X ¹	G-1	2-pyridylmethyl	449
166	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	(1R)-1-cyclohexylethyl	483
167	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	benzyl	463
168	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	2-pyridylmethyl	503
169	3-trifluoromethylphenyl	CH ₂	O	X ¹	G-1	4-pyridylmethyl	503
170	3-methylphenyl	CH ₂	O	X ¹	G-1	4-pyridylmethyl	449
171	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	3,4-dimethoxy-2- phenylethyl	536
172	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	isothiochroman-4-yl 1,2,3,4-	520
173	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	tetrahydrophenanthren-1- yl	552
174	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	cyclohexyl	454
175	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	1,1-dimethyl-2-(4- fluorophenyl)ethyl	522
176	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	3-methylcyclohex-1-yl	468
177	2,5-dimethylphenyl	CH ₂	O	X ¹	G-1	2,3-dimethylcyclohex-1- yl	482
178 (Ex. 19)	3-trifluoromethyl-5- methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(R)-1-indan-1-yl	533

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
179	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	chroman-4-yl	548
180	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	thiochroman-4-yl	564
181	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	6,7,8,9-tetrahydro-5H-benzocyclohepten-5-yl	560
182	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	4,5,6,7-tetrahydrobenzo[b]thien-4-yl	552
183	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	4,5,6,7-benzo[b]furan-4-yl	536
184	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2,3-dihydro-benzofuran-3-yl	534
185	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	isothiochroman-4-yl	564
186	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2-phenylcyclohex-1-yl	574
187	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1S)-1,2,3,4-tetrahydro-1-naphthalenyl	546
188 (Ex. 23)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1,2,3,4-tetrahydro-1-naphthalenyl	546
189	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	5-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
190	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	6-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
191 (h) (Ex. 26)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R,4S)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl	562
192 (b)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	4-methyl-1,2,3,4-tetrahydro-1-naphthalenyl	560
193 (c) (Ex. 22)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2-methyl-1,2,3,4-tetrahydro-1-naphthalenyl	560

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
194	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	<i>trans</i> -2-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
195	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	<i>trans</i> -2-acetoxy-1,2,3,4-tetrahydro-1-naphthalenyl	604
196	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
197	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	6-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
198	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
199	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	4,4-dimethyl-1,2,3,4-tetrahydro-1-naphthalenyl	574
200 (h)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1 <i>S</i> ,2 <i>R</i>)-2-hydroxyindan-1-yl	548
201	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	6-chloro-1,2,3,4-tetrahydro-1-naphthalenyl	580
202	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-11	(1 <i>R</i>)-1,2,3,4-tetrahydro-1-naphthalenyl	530
203	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-23	(1 <i>R</i>)-1,2,3,4-tetrahydro-1-naphthalenyl	530
204	3-methyl-2-pyridyl	CH ₂	O	X ¹	G-1	(1 <i>R</i>)-1,2,3,4-tetrahydro-1-naphthalenyl	489
205	2-pyridinyl	CH ₂	O	X ¹	G-1	(1 <i>R</i>)-1,2,3,4-tetrahydro-1-naphthalenyl	475
206 ^h (Ex. 28)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1 <i>R</i> ,4 <i>R</i>)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl	562
207	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	<i>trans</i> -2-hydroxy-indan-1-yl	548

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
208 (Ex. 16)	3-trifluoromethyl-5-ethylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	560
209 (Ex. 15)	3,5-diethylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	520
210 (Ex. 14)	3,5-bis-trifluoromethyl-pyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	600
211 (Ex. 27)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	4-oxo-1,2,3,4-tetrahydro-1-naphthalenyl	560
212	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	<i>cis</i> -4-acetoxy-1,2,3,4-tetrahydro-1-naphthalenyl	604
213	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	<i>cis</i> -4-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
214	6-chloro-2-pyridyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	509
215	4,6-dimethyl-2-pyridyl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	503
216	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-20	(1R)-1-phenylethyl	505
217	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-30	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	530
218 (Ex. 31)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ³	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	544
219	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1,2,3,4-tetrahydro-4-naphthalenol-1-yl methylcarbamate (aa)	619
220 (Ex. 30)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ²	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	547
221	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	5-chloroindan-1-yl	566
222 (Ex. 21)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2,2-dimethylindan-1-yl	560
223	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-15	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	546

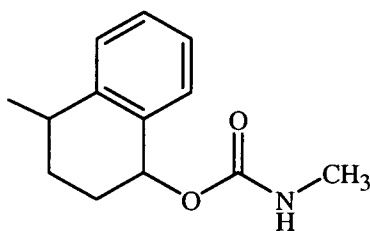
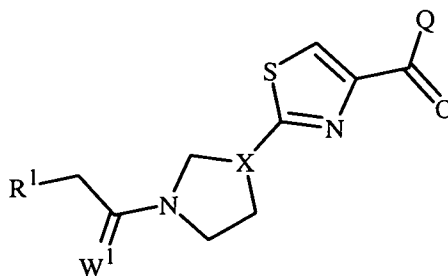
<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
224	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ²	G-7	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	548
225	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-8	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	531
226 (Ex. 20)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2-methylindan-1-yl	546
227	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-37 (d)	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	560
228	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	trans-2-ethyl-1,2-dihydro-1-naphthalenyl	572
229	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	3-carbomethoxy-indan-1-yl	590
230	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	trans-2-methyl-1,2-dihydro-1-naphthalenyl	558
231 (Ex. 18)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-26	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	529
232 (Ex. 32)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-36	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	530
233 (Ex. 33)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-27	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	529
234 ^h (Ex. 24)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R,2S)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl	560
235	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	3-hydroxymethylindan-1-yl	562
236 (Ex. 25)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenyl	574
237	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-methyl-1-phenylethyl	534
238 (Ex. 12)	3,5-dichloro-pyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	532
239 (e)	3-trifluoromethyl-5- <i>t</i> -butylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	588
240	3-trifluoromethyl-5-isopropylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	574

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
241	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ⁸	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	561
242 (f)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ⁸	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	597
243	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(2-methylphenyl)ethyl	534
244	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(αS)-α-phenylacetic acid methyl ester	564
245	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(αS)-α-phenylacetic acid	550
246 (Ex. 17)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-49	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	532
247	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(αS)-α-phenylacetamide	549
248	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	benzyl	506
249 (Ex. 13)	3-trifluoromethyl-5-chloropyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	566
250	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(2-fluorophenyl)ethyl	538
251	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(2-chlorophenyl)ethyl	554
252	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(2-bromophenyl)ethyl	598
253	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(4-chlorophenyl)-2,2,2-trifluoroethyl	608
254 (g)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	perhydronaphthalen-1-yl	552
255	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	1-(2-methoxyphenyl)ethyl	550
256	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-55	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	531
257	3-chloro-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	512
258	3-bromo-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	(1R)-1,2,3,4-tetrahydro-1-naphthalenyl	556

<u>Cmpd</u>	<u>R¹</u>	<u>A</u>	<u>W¹</u>	<u>X</u>	<u>G (*)</u>	<u>Q^b</u>	<u>AP⁺</u> <u>(M+1)</u>
259	3-trifluoromethyl-5-methylpyrazol-1-yl	CH ₂	O	X ¹	G-1	2-phenylethyl	520

Notes:

- (*) R^{3a} is H unless otherwise indicated.
- (a) wherein R^{3a} is 5-Cl.
- (b) mixture of cis and trans.
- (c) mixture of cis and trans.
- (d) R^{3a} is CH₃.
- (e) contains 40 % of 3-t-butyl-5-trifluoromethyl-pyrazol-1-yl isomer.
- (f) HCl salt.
- (g) mixture of cis and trans.
- (h) racemic mixture with its enantiomer.
- (aa) 1,2,3,4-tetrahydro-4-naphthalenol-1-yl methylcarbamate means

INDEX TABLE B

<u>Cmpd</u>	<u>R¹</u>	<u>X</u>	<u>W¹</u>	<u>Q</u>	<u>AP⁺</u> <u>(M+1)</u>
260	2-chlorophenyl	X ¹	O	(1S)-1-phenylethylamino	468
261	2-chlorophenyl	X ¹	O	(1R)-1-phenylethylamino	468
262	2-chlorophenyl	X ¹	O	benzylamino	454
263	2-chlorophenyl	X ¹	O	1-methyl-1-phenylethylamino	482
264	2-chlorophenyl	X ¹	O	2-phenylethylamino	468
265	2-chlorophenyl	X ¹	O	2-indanylamino	480
266	2-chlorophenyl	X ¹	O	1,2,3,4-tetrahydro-1-naphthalenylamino	494

267	2-chlorophenyl	X ¹	O	1,2,3,4-tetrahydroisoquinolino	481
268	2-chlorophenyl	X ¹	O	4-methylpiperidino	446
269	2-chlorophenyl	X ¹	O	2-(3-pyridinyl)pyrrolidino	495
270	3-trifluoromethylphenyl	X ¹	O	(1R)-1-(4-bromophenyl)ethylamino	580
271	3-bromophenyl	X ¹	O	(1R)-1-(4-fluorophenyl)ethylamino	530
272	3-bromophenyl	X ¹	O	(1R)-1-cyclohexylethylamino	518
273	3-bromophenyl	X ¹	O	(1R)-1-(4-nitrophenyl)ethylamino	557
274	3-bromophenyl	X ¹	O	(1R)-1-(4-methylphenyl)ethylamino	526
275	3-bromophenyl	X ¹	O	(1R)-1-(2-trifluoromethylphenyl)ethylamino	580
276	3-bromophenyl	X ¹	O	(1R)-1-(2-fluorophenyl)ethylamino	530
277	3-bromophenyl	X ¹	O	(1R)-1-(3-trifluoromethylphenyl)ethylamino	580
278	3-bromophenyl	X ¹	O	(1R)-1-phenylpropylamino	526
279	3-bromophenyl	X ¹	O	(1R)-1-(4-methoxyphenyl)ethylamino	542
280	3-bromophenyl	X ¹	O	(1R)-1-(4-chlorophenyl)ethylamino	546
281	3-bromophenyl	X ¹	O	(1R)-1-(3-methoxyphenyl)ethylamino	542
282	3-bromophenyl	X ¹	O	α -cyanobenzylamino	523
283	2,5-dimethylphenyl	X ¹	O	(1R)-1-phenylethylamino	463
284	2,5-dimethylphenyl	X ¹	O	(1R)-N-allyl-1-phenylethylamino	502
285	2,5-dimethylphenyl	X ¹	O	(1R)-N-isopropyl-1-phenylethylamino	504
286	2,5-dimethylphenyl	X ¹	O	(1R)-N-ethyl-1-phenylethylamino	490
287	2,5-dimethylphenyl	X ¹	O	(1R)-N-propyl-1-phenylethylamino	504
288	2,5-dimethylphenyl	X ¹	O	2-(3,4-dimethoxyphenyl)ethylamino	522
289 (Ex. 29)	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	S	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	562
290	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	2-(4-chlorophenyl)pyrrolidino	566
291	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	7(1H)-oxo-2,3,8,8a-tetrahydrocyclopent[<i>ij</i>]isoquinolino	558
292 (i)	3-trifluoromethyl-5-methylpyrazol-1-yl	X ²	O	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	575
293 (j)	3-trifluoromethyl-5-methylpyrazol-1-yl	X ²	O	(1R)-N-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	561
294	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	1,2,3,4-tetrahydro-1-naphthalenylamino	532
295	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	2,3-dihydro-1H-isoindolo	504
296	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	1,2,3,4-tetrahydroisoquinolino	518

297	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolino	578
298	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	1-methyl-2,3-dihydro-1 <i>H</i> -isoindolo	518
299	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	perhydroisoquinolino	524
300	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	perhydroquinolino	524
301	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)-1-phenylethylamino	506
302	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)- <i>N</i> -ethyl-1-phenylethylamino	534
303	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)- <i>N</i> -propyl-1-phenylethylamino	548
304	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)- <i>N</i> -allyl-1-phenylethylamino	546
305	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)-1,2,3,4-tetrahydro-1-naphthalenylamino	532
306	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)- <i>N</i> -ethyl-1,2,3,4-tetrahydro-1-naphthalenylamino	560
307	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	piperidino	470
308	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	4-methylpiperidino	484
309	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	4,4-dimethylpiperidino	498
310	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	1,2,3,6-tetrahydropyridino	468
311	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	4-phenyl-1,2,3,6-tetrahydropyridino	544
312	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	3-methylpiperidino	484
313	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	3,3-dimethylpiperidino	498
314	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	2-phenylethylamino	506
315 (k) (Ex. 31)	3-trifluoromethyl-5-methylpyrazol-1-yl	X ¹	O	(1 <i>R</i>)- <i>N</i> -methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	544

316 (l) 3-trifluoromethyl-5- X¹ O (1R)-N-methyl-1,2,3,4-tetrahydro-1- 572
methylpyrazol-1-yl naphthalenylamino

Notes:

- (i) Has 2,6-dimethyl substitution on the carbon atoms adjacent to the nitrogen atom bonded to C(=W¹) of the piperazine ring comprising X.
- (j) Has 2-methyl substitution on one of the carbon atoms adjacent to the nitrogen atom bonded to C(=W¹) of the piperazine ring comprising X.
- (k) Ring comprising X contains one carbon-carbon double bond and thus is a 1,2,3,4-tetrahydropyridine ring.
- (l) Has ethylene bridge between the 2 and 6 positions (on carbon atoms adjacent to the nitrogen atom) of the piperidine ring comprising X (to form an 8-azabicyclo[3.2.1]octane ring system).

BIOLOGICAL EXAMPLES OF THE INVENTION

General protocol for preparing test compositions for Tests A–B: Fluopicolide and mandipropamid were obtained as unformulated, technical-grade materials. Azoxystrobin, chlorothalonil, copper hydroxide, cyazofamid, cymoxanil, dimethomorph, fluazinam, folpet, foseetyl-aluminum and pyraclostrobin were obtained as formulated products marketed under the trademarks Amistar[®], Bravo[®], Kocide[®], Ranman[®], Curzate[®], Acrobat[®], Shirlan[®], Phaltan[®], Aliette[®] and Headline[®] respectively. Compound 149 was formulated as an emulsifiable concentrate (EC) containing a mixture of POE (polyoxyethylene) 40 sorbitol hexaoleate and PEG (polyethylene glycol) alkyd resin surfactants in a liquid carrier consisting of glycerol triesters of octanoic and decanoic acids. Unformulated materials were first dissolved in acetone in an amount equal to 3 % of the final volume and then suspended at the desired concentration (in ppm) in acetone and purified water (50/50 mix by volume) containing 250 ppm of the surfactant Trem[®] 014 (polyhydric alcohol esters). Formulated materials were dispersed in sufficient water to give the desired concentration, and neither organic solvent nor surfactant was added to the suspension. The resulting test mixtures were then used in Tests A–B. Spraying a 200 ppm test mixture to the point of run-off on the test plants was the equivalent of a rate of 500 g/ha. The tests were replicated three times and the results reported as the mean average of the three replicates.

20 The presence of a synergistic effect between two active ingredients was established with the aid of the Colby equation (see Colby, S. R. "Calculating Synergistic and Antagonistic Responses of Herbicide Combinations", *Weeds*, (1967), 15, 20-22):

$$p = A + B - \left[\frac{A \times B}{100} \right]$$

25 Using the method of Colby, the presence of a synergistic interaction between two active ingredients is established by first calculating the predicted activity, p, of the mixture

based on activities of the two components applied alone. If p is lower than the experimentally established effect, synergism has occurred. In the equation above, A is the fungicidal activity in percentage control of one component applied alone at rate x . The B term is the fungicidal activity in percentage control of the second component applied at rate y . The equation estimates p , the expected fungicidal activity of the mixture of A at rate x with B at rate y if their effects are strictly additive and no interaction has occurred.

TEST A

Tomato seedlings were inoculated the day after application with a spore suspension of *Phytophthora infestans* (the causal agent of tomato late blight) and incubated in a saturated atmosphere at 20 °C for 24 h. After a short drying period, the test suspension was sprayed to the point of run-off on the tomato seedlings, and the seedlings were then moved to a growth chamber at 20 °C for 4 days, after which time visual disease ratings were made.

TEST B

Cucumber seedlings were inoculated the day after application with a spore suspension of *Pseudoperonospora cubensis* (the causal agent of cucumber downy mildew) and incubated in a saturated atmosphere at 20 °C for 24 h. After a short drying period, the test suspension was sprayed to the point of run-off on the grape seedlings, and the seedlings were then moved to a growth chamber at 20 °C for 6 days, after which time visual disease ratings were made.

Results for Tests A to B are given in Tables A–H. Each table corresponds to a set of evaluations performed together at the same time. In each table, a rating of 100 indicates 100 % disease control and a rating of 0 indicates no disease control (relative to the controls). Columns labeled “Obsd” indicate the average of results observed from three replications. Columns labeled “Exp” indicate the expected value for each treatment mixture using the Colby equation. Tests demonstrating substantially greater control than expected are indicated with an asterisk (*).

Table A

Observed and Expected Effects of Compound 149 Alone and Mixtures with Copper Hydroxide, Folpet, Chlorothalonil, Fosetyl-Aluminum and Pyraclostrobin in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.05	–	0	31	–	0	–
0.1	–	0	67	–	0	–
0.15	–	0	64	–	0	–
0.2	–	0	85	–	33	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
1	–	0	97	–	100	–
0	copper hydroxide	0.4	0	–	0	–
0	copper hydroxide	2	0	–	0	–
0	copper hydroxide	10	48	–	26	–
0	copper hydroxide	50	57	–	21	–
0	copper hydroxide	250	66	–	100	–
0.1	copper hydroxide	0.4	65	67	47*	0
0.15	copper hydroxide	0.4	96*	64	41*	0
0.1	copper hydroxide	2	0	67	47*	0
0.15	copper hydroxide	2	93*	64	57*	0
0.1	copper hydroxide	10	86	83	47*	26
0.15	copper hydroxide	10	87*	81	37*	26
0.1	copper hydroxide	50	89	86	62*	21
0.15	copper hydroxide	50	100*	85	90*	21
0.1	copper hydroxide	250	93	89	99	100
0.15	copper hydroxide	250	92	88	98	100
0	folpet	0.08	8	–	0	–
0	folpet	0.4	0	–	0	–
0	folpet	2	0	–	0	–
0	folpet	10	16	–	0	–
0	folpet	50	44	–	51	–
0.1	folpet	0.08	64	69	0	0
0.15	folpet	0.08	70	67	0	0
0.1	folpet	0.4	48	67	0	0
0.15	folpet	0.4	87*	64	31*	0
0.1	folpet	2	85*	67	26*	0
0.15	folpet	2	67	64	37*	0
0.1	folpet	10	86*	72	26*	0
0.15	folpet	10	72	70	46*	0
0.1	folpet	50	93*	82	99*	51
0.15	folpet	50	67	80	70*	51
0	chlorothalonil	0.08	0	–	0	–
0	chlorothalonil	0.4	15	–	0	–
0	chlorothalonil	2	23	–	0	–
0	chlorothalonil	10	56	–	97	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	chlorothalonil	50	99	-	100	-
0.1	chlorothalonil	0.08	67	67	47*	0
0.15	chlorothalonil	0.08	61	64	0	0
0.1	chlorothalonil	0.4	64	72	56*	0
0.15	chlorothalonil	0.4	61	70	0	0
0.1	chlorothalonil	2	89*	74	59*	0
0.15	chlorothalonil	2	57	72	50*	0
0.1	chlorothalonil	10	95*	85	55	97
0.15	chlorothalonil	10	95*	84	94	97
0.1	chlorothalonil	50	100	100	100	100
0.15	chlorothalonil	50	100	100	100	100
0	fosetyl-aluminum	2	0	-	0	-
0	fosetyl-aluminum	10	8	-	0	-
0	fosetyl-aluminum	50	8	-	0	-
0	fosetyl-aluminum	250	65	-	9	-
0	fosetyl-aluminum	1000	92	-	97	-
0.1	fosetyl-aluminum	2	61	67	0	0
0.15	fosetyl-aluminum	2	67	64	47*	0
0.1	fosetyl-aluminum	10	44	69	0	0
0.15	fosetyl-aluminum	10	61	67	0	0
0.1	fosetyl-aluminum	50	74	69	0	0
0.15	fosetyl-aluminum	50	67	67	0	0
0.1	fosetyl-aluminum	250	92	88	47*	9
0.15	fosetyl-aluminum	250	61	87	53*	9
0.1	fosetyl-aluminum	1000	85	97	80	97
0.15	fosetyl-aluminum	1000	93	97	95	97
0	pyraclostrobin	0.4	51	-	0	-
0	pyraclostrobin	2	72	-	67	-
0	pyraclostrobin	10	96	-	100	-
0	pyraclostrobin	50	97	-	100	-
0	pyraclostrobin	250	99	-	100	-
0.1	pyraclostrobin	0.4	69	84	0	0
0.15	pyraclostrobin	0.4	87	83	66*	0
0.1	pyraclostrobin	2	94	91	88*	67
0.15	pyraclostrobin	2	85	90	94*	67

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.1	pyraclostrobin	10	97	99	98	100
0.15	pyraclostrobin	10	100	99	100	100
0.1	pyraclostrobin	50	100	99	100	100
0.15	pyraclostrobin	50	95	99	100	100
0.1	pyraclostrobin	250	96	100	100	100
0.15	pyraclostrobin	250	97	100	100	100

Table B

Observed and Expected Effects of Compound 149 Alone and Mixtures with Fluazinam, Dimethomorph, Fosetyl-Aluminum, Cyazofamid and Fluopicolide in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.05	–	0	28	–	0	–
0.1	–	0	40	–	0	–
0.15	–	0	74	–	0	–
0.2	–	0	80	–	0	–
1	–	0	100	–	100	–
0	fluazinam	0.4	0	–	17	–
0	fluazinam	2	61	–	70	–
0	fluazinam	10	70	–	95	–
0	fluazinam	40	91	–	100	–
0	fluazinam	200	91	–	100	–
0.1	fluazinam	0.4	63*	40	47*	17
0.15	fluazinam	0.4	78	74	47*	17
0.1	fluazinam	2	90*	76	58	70
0.15	fluazinam	2	91	90	80*	70
0.1	fluazinam	10	95*	82	100	95
0.15	fluazinam	10	100*	92	98	95
0.1	fluazinam	40	95	95	100	100
0.15	fluazinam	40	100	98	100	100
0.1	fluazinam	200	100*	94	100	100
0.15	fluazinam	200	100	98	100	100
0	dimethomorph	0.4	7	–	0	–
0	dimethomorph	2	40	–	85	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	dimethomorph	10	71	-	100	-
0	dimethomorph	40	97	-	100	-
0	dimethomorph	200	98	-	100	-
0.1	dimethomorph	0.4	40	44	31*	0
0.15	dimethomorph	0.4	68	76	16*	0
0.1	dimethomorph	2	37	64	54	85
0.15	dimethomorph	2	93*	84	96*	85
0.1	dimethomorph	10	96*	82	100	100
0.15	dimethomorph	10	99*	93	100	100
0.1	dimethomorph	40	99	98	100	100
0.15	dimethomorph	40	100	99	100	100
0.1	dimethomorph	200	100	99	100	100
0.15	dimethomorph	200	100	100	100	100
0	fosetyl-aluminum	10	7	-	0	-
0	fosetyl-aluminum	40	37	-	0	-
0	fosetyl-aluminum	200	50	-	0	-
0	fosetyl-aluminum	1000	97	-	63	-
0	fosetyl-aluminum	5000	100	-	100	-
0.1	fosetyl-aluminum	10	63*	44	16*	0
0.15	fosetyl-aluminum	10	74	76	0	0
0.1	fosetyl-aluminum	40	95*	62	58*	0
0.15	fosetyl-aluminum	40	87	84	64*	0
0.1	fosetyl-aluminum	200	91*	70	86*	0
0.15	fosetyl-aluminum	200	87	87	83*	0
0.1	fosetyl-aluminum	1000	99	98	98*	63
0.15	fosetyl-aluminum	1000	97	99	93*	63
0.1	fosetyl-aluminum	5000	99	100	100	100
0.15	fosetyl-aluminum	5000	100	100	100	100
0	cyazofamid	0.08	61	-	86	-
0	cyazofamid	0.4	87	-	100	-
0	cyazofamid	2	98	-	100	-
0	cyazofamid	10	100	-	100	-
0	cyazofamid	40	99	-	100	-
0.1	cyazofamid	0.08	66	76	95*	86
0.15	cyazofamid	0.08	84	90	99*	86

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.1	cyazofamid	0.4	95	92	100	100
0.15	cyazofamid	0.4	100	97	100	100
0.1	cyazofamid	2	94	99	100	100
0.15	cyazofamid	2	98	99	100	100
0.1	cyazofamid	10	99	100	100	100
0.15	cyazofamid	10	93	100	100	100
0.1	cyazofamid	40	100	99	100	100
0.15	cyazofamid	40	99	100	100	100
0	fluopicolide	0.08	7	-	0	-
0	fluopicolide	0.4	7	-	0	-
0	fluopicolide	2	61	-	91	-
0	fluopicolide	10	96	-	100	-
0	fluopicolide	40	99	-	100	-
0.1	fluopicolide	0.08	78*	44	46*	0
0.15	fluopicolide	0.08	79	76	34*	0
0.1	fluopicolide	0.4	99*	44	64*	0
0.15	fluopicolide	0.4	99*	76	72*	0
0.1	fluopicolide	2	99*	76	93	91
0.15	fluopicolide	2	94	90	95	91
0.1	fluopicolide	10	97	98	100	100
0.15	fluopicolide	10	95	99	100	100
0.1	fluopicolide	40	98	100	100	100
0.15	fluopicolide	40	100	100	100	100

Table C

Observed and Expected Effects of Compound 149 Alone and Mixtures with Dimethomorph, Copper Hydroxide, Folpet, Fosetyl-Aluminum and Pyraclostrobin in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.05	-	0	9	-	0	-
0.1	-	0	57	-	47	-
0.15	-	0	53	-	58	-
0.2	-	0	79	-	47	-
1	-	0	100	-	100	-

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	dimethomorph	0.08	0	-	0	-
0	dimethomorph	0.4	0	-	0	-
0	dimethomorph	2	33	-	0	-
0	dimethomorph	10	72	-	93	-
0	dimethomorph	40	94	-	100	-
0.1	dimethomorph	0.08	33	57	47	47
0.15	dimethomorph	0.08	78*	53	9	58
0.1	dimethomorph	0.4	40	57	47	47
0.15	dimethomorph	0.4	79*	53	16	58
0.1	dimethomorph	2	43	71	47	47
0.15	dimethomorph	2	82*	68	46	58
0.1	dimethomorph	10	76	88	99	96
0.15	dimethomorph	10	95*	87	100	97
0.1	dimethomorph	40	95	97	100	100
0.15	dimethomorph	40	95	97	100	100
0	copper hydroxide	2	0	-	0	-
0	copper hydroxide	10	24	-	0	-
0	copper hydroxide	40	58	-	21	-
0	copper hydroxide	200	68	-	69	-
0	copper hydroxide	500	93	-	72	-
0.1	copper hydroxide	2	40	57	0	47
0.15	copper hydroxide	2	58*	53	16	58
0.1	copper hydroxide	10	63	67	24	47
0.15	copper hydroxide	10	70*	64	53	58
0.1	copper hydroxide	40	70	82	66*	58
0.15	copper hydroxide	40	82	80	90*	67
0.1	copper hydroxide	200	85	86	90*	84
0.15	copper hydroxide	200	84	85	99*	87
0.1	copper hydroxide	500	93	97	99*	85
0.15	copper hydroxide	500	83	97	95*	88
0	folpet	0.4	0	-	0	-
0	folpet	2	0	-	0	-
0	folpet	10	0	-	16	-
0	folpet	40	33	-	37	-
0	folpet	200	58	-	97	-

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.1	folpet	0.4	70*	57	0	47
0.15	folpet	0.4	65*	53	0	58
0.1	folpet	2	33	57	0	47
0.15	folpet	2	51	53	0	58
0.1	folpet	10	46	57	31	55
0.15	folpet	10	83*	53	0	65
0.1	folpet	40	71	71	63	67
0.15	folpet	40	81*	68	54	74
0.1	folpet	200	93*	82	93	99
0.15	folpet	200	93*	80	93	99
0	fosetyl-aluminum	10	0	-	0	-
0	fosetyl-aluminum	40	17	-	0	-
0	fosetyl-aluminum	200	9	-	0	-
0	fosetyl-aluminum	1000	85	-	70	-
0	fosetyl-aluminum	2000	95	-	97	-
0.1	fosetyl-aluminum	10	57	57	0	47
0.15	fosetyl-aluminum	10	47	53	16	58
0.1	fosetyl-aluminum	40	33	64	0	47
0.15	fosetyl-aluminum	40	63	61	16	58
0.1	fosetyl-aluminum	200	72*	61	0	47
0.15	fosetyl-aluminum	200	66*	57	31	58
0.1	fosetyl-aluminum	1000	87	94	87	84
0.15	fosetyl-aluminum	1000	93	93	77	87
0.1	fosetyl-aluminum	2000	95	98	91	99
0.15	fosetyl-aluminum	2000	100	98	100	99
0	pyraclostrobin	0.08	0	-	0	-
0	pyraclostrobin	0.4	24	-	0	-
0	pyraclostrobin	2	24	-	47	-
0	pyraclostrobin	10	88	-	100	-
0	pyraclostrobin	40	88	-	100	-
0.1	pyraclostrobin	0.08	39	57	68*	47
0.15	pyraclostrobin	0.08	33	53	40	58
0.1	pyraclostrobin	0.4	66	67	68*	47
0.15	pyraclostrobin	0.4	58	64	47	58
0.1	pyraclostrobin	2	91*	67	85*	72

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.15	pyraclostrobin	2	71*	64	56	78
0.1	pyraclostrobin	10	85	95	99	100
0.15	pyraclostrobin	10	92	94	100	100
0.1	pyraclostrobin	40	95	95	100	100
0.15	pyraclostrobin	40	95	94	100	100

Table D

Observed and Expected Effects of Compound 149 Alone and Mixtures with Dimethomorph, Mandipropamid, Cymoxanil, Cyazofamid and Azoxystrobin in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.05	-	0	39	-	0	-
0.1	-	0	57	-	26	-
0.15	-	0	93	-	33	-
0.2	-	0	90	-	53	-
1	-	0	100	-	100	-
0	dimethomorph	0.4	8	-	24	-
0	dimethomorph	2	39	-	17	-
0	dimethomorph	10	64	-	100	-
0	dimethomorph	40	99	-	100	-
0	dimethomorph	200	100	-	100	-
0.1	dimethomorph	0.4	52	61	31	44
0.15	dimethomorph	0.4	68	93	24	49
0.1	dimethomorph	2	37	74	63*	39
0.15	dimethomorph	2	74	96	73*	45
0.1	dimethomorph	10	85	85	100	100
0.15	dimethomorph	10	98	97	100	100
0.1	dimethomorph	40	100	100	100	100
0.15	dimethomorph	40	100	100	100	100
0.1	dimethomorph	200	100	100	100	100
0.15	dimethomorph	200	100	100	100	100
0	mandipropamid	0.08	15	-	0	-
0	mandipropamid	0.4	39	-	17	-
0	mandipropamid	2	100	-	98	-

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	mandipropamid	10	100	–	100	–
0	mandipropamid	40	100	–	100	–
0.1	mandipropamid	0.08	99*	64	24	26
0.15	mandipropamid	0.08	95	94	40*	33
0.1	mandipropamid	0.4	99*	74	58*	39
0.15	mandipropamid	0.4	100	96	67*	45
0.1	mandipropamid	2	100	100	100	98
0.15	mandipropamid	2	100	100	99	98
0.1	mandipropamid	10	100	100	100	100
0.15	mandipropamid	10	100	100	100	100
0.1	mandipropamid	40	100	100	100	100
0.15	mandipropamid	40	100	100	100	100
0	cymoxanil	0.4	0	–	0	–
0	cymoxanil	2	8	–	0	–
0	cymoxanil	10	57	–	9	–
0	cymoxanil	40	100	–	9	–
0	cymoxanil	200	100	–	9	–
0.1	cymoxanil	0.4	32	57	9	26
0.15	cymoxanil	0.4	52	93	58*	33
0.1	cymoxanil	2	70*	61	33*	26
0.15	cymoxanil	2	82	93	68*	33
0.1	cymoxanil	10	98*	82	33	32
0.15	cymoxanil	10	100	97	68*	39
0.1	cymoxanil	40	100	100	40*	32
0.15	cymoxanil	40	100	100	53*	39
0.1	cymoxanil	200	100	100	47*	32
0.15	cymoxanil	200	100	100	66*	39
0	cyazofamid	0.08	34	–	95	–
0	cyazofamid	0.4	72	–	100	–
0	cyazofamid	2	95	–	100	–
0	cyazofamid	10	100	–	100	–
0	cyazofamid	40	100	–	100	–
0.1	cyazofamid	0.08	87*	72	95	96
0.15	cyazofamid	0.08	87	95	96	97
0.1	cyazofamid	0.4	98*	88	100	100

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.15	cyazofamid	0.4	98	98	100	100
0.1	cyazofamid	2	98	98	100	100
0.15	cyazofamid	2	100	100	100	100
0.1	cyazofamid	10	100	100	100	100
0.15	cyazofamid	10	100	100	100	100
0.1	cyazofamid	40	100	100	100	100
0.15	cyazofamid	40	100	100	100	100
0	azoxystrobin	0.08	0	–	0	–
0	azoxystrobin	0.4	24	–	75	–
0	azoxystrobin	2	92	–	100	–
0	azoxystrobin	10	96	–	100	–
0	azoxystrobin	40	96	–	100	–
0.1	azoxystrobin	0.08	46	57	43*	26
0.15	azoxystrobin	0.08	54	93	31	33
0.1	azoxystrobin	0.4	86*	68	46	81
0.15	azoxystrobin	0.4	75	95	95*	83
0.1	azoxystrobin	2	86	96	100	100
0.15	azoxystrobin	2	99	99	100	100
0.1	azoxystrobin	10	97	98	100	100
0.15	azoxystrobin	10	100	100	100	100
0.1	azoxystrobin	40	100	98	100	100
0.15	azoxystrobin	40	100	100	100	100

Table E

Observed and Expected Effects of Compound 149 Alone and Mixtures with Fenamidone, Propamocarb, Kresoxim-methyl, Trifloxystrobin and Famoxadone in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	–	0	0	–	0	–
0.05	–	0	56	–	0	–
0.1	–	0	54	–	0	–
0.15	–	0	55	–	66	–
0.2	–	0	89	–	77	–
1	–	0	100	–	100	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	fenamidone	0.08	8	–	0	–
0	fenamidone	0.4	8	–	16	–
0	fenamidone	2	92	–	99	–
0	fenamidone	10	100	–	100	–
0	fenamidone	40	100	–	100	–
0.1	fenamidone	0.08	99	58	24*	0
0.1	fenamidone	0.4	99	58	16	16
0.1	fenamidone	2	100	96	100	99
0.1	fenamidone	10	100	100	100	100
0.1	fenamidone	40	100	100	100	100
0.15	fenamidone	0.08	95	58	41	66
0.15	fenamidone	0.4	100	58	37	71
0.15	fenamidone	2	98	96	100	100
0.15	fenamidone	10	100	100	100	100
0.15	fenamidone	40	100	100	100	100
0	propamocarb	10	0	–	0	–
0	propamocarb	40	0	–	0	–
0	propamocarb	200	0	–	77	–
0	propamocarb	1000	15	–	98	–
0	propamocarb	5000	75	–	100	–
0.1	propamocarb	10	64	54	44*	0
0.1	propamocarb	40	80	54	93*	0
0.1	propamocarb	200	80	54	100*	77
0.1	propamocarb	1000	82	61	100	98
0.1	propamocarb	5000	88	89	100	100
0.15	propamocarb	10	39	55	41	66
0.15	propamocarb	40	56	55	77*	66
0.15	propamocarb	200	97	55	98*	92
0.15	propamocarb	1000	94	62	100	99
0.15	propamocarb	5000	97	89	100	100
0	kresoxim-methyl	0.4	31	–	0	–
0	kresoxim-methyl	2	39	–	0	–
0	kresoxim-methyl	10	0	–	0	–
0	kresoxim-methyl	40	90	–	100	–
0	kresoxim-methyl	200	100	–	100	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.1	kresoxim-methyl	0.4	67	68	0	0
0.1	kresoxim-methyl	2	52	72	73*	0
0.1	kresoxim-methyl	10	57	54	0	0
0.1	kresoxim-methyl	40	95	95	100	100
0.1	kresoxim-methyl	200	100	100	100	100
0.15	kresoxim-methyl	0.4	58	69	16	66
0.15	kresoxim-methyl	2	90	72	41	66
0.15	kresoxim-methyl	10	63	55	47	66
0.15	kresoxim-methyl	40	100	95	98	100
0.15	kresoxim-methyl	200	100	100	100	100
0	trifloxystrobin	0.4	0	-	0	-
0	trifloxystrobin	2	16	-	47	-
0	trifloxystrobin	10	16	-	76	-
0	trifloxystrobin	40	8	-	98	-
0	trifloxystrobin	200	24	-	100	-
0.1	trifloxystrobin	0.4	46	54	0	0
0.1	trifloxystrobin	2	72	62	41	47
0.1	trifloxystrobin	10	32	62	92*	76
0.1	trifloxystrobin	40	36	58	98	98
0.1	trifloxystrobin	200	95	65	100	100
0.15	trifloxystrobin	0.4	76	55	16	66
0.15	trifloxystrobin	2	77	62	26	82
0.15	trifloxystrobin	10	73	62	91	92
0.15	trifloxystrobin	40	99	58	100	99
0.15	trifloxystrobin	200	99	66	100	100
0	famoxadone	0.4	0	-	31	-
0	famoxadone	2	0	-	41	-
0	famoxadone	10	56	-	95	-
0	famoxadone	40	56	-	99	-
0	famoxadone	200	39	-	100	-
0.1	famoxadone	0.4	56	54	58*	31
0.1	famoxadone	2	67	54	78*	41
0.1	famoxadone	10	85	80	95	95
0.1	famoxadone	40	87	80	100	99
0.1	famoxadone	200	88	72	100	100

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.15	famoxadone	0.4	87	55	70	76
0.15	famoxadone	2	77	55	87*	80
0.15	famoxadone	10	96	80	99	98
0.15	famoxadone	40	85	80	100	100
0.15	famoxadone	200	95	72	100	100

Table F

Observed and Expected Effects of Compound 149 Alone and Mixtures with Benthiovalicarb, Mefenoxam, Iprovalicarb, Valiphenal and Quinoxifen in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	-	0	4	-	0	-
0.05	-	0	56	-	0	-
0.1	-	0	75	-	0	-
0.15	-	0	86	-	0	-
0.2	-	0	100	-	68	-
1	-	0	100	-	100	-
0	benthiovalicarb	0.08	32	-	0	-
0	benthiovalicarb	0.4	77	-	80	-
0	benthiovalicarb	2	100	-	100	-
0	benthiovalicarb	10	100	-	100	-
0	benthiovalicarb	40	100	-	100	-
0.1	benthiovalicarb	0.08	67	83	0	0
0.1	benthiovalicarb	0.4	85	94	94*	80
0.1	benthiovalicarb	2	100	100	100	100
0.1	benthiovalicarb	10	100	100	100	100
0.1	benthiovalicarb	40	100	100	100	100
0.15	benthiovalicarb	0.08	100*	90	77*	0
0.15	benthiovalicarb	0.4	100	97	97*	80
0.15	benthiovalicarb	2	100	100	100	100
0.15	benthiovalicarb	10	100	100	100	100
0.15	benthiovalicarb	40	100	100	100	100
0	mefenoxam	0.08	28	-	0	-
0	mefenoxam	0.4	76	-	0	-

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	mefenoxam	2	100	–	100	–
0	mefenoxam	10	100	–	100	–
0	mefenoxam	40	100	–	100	–
0.1	mefenoxam	0.08	84	82	80*	0
0.1	mefenoxam	0.4	100*	94	97*	0
0.1	mefenoxam	2	100	100	100	100
0.1	mefenoxam	10	100	100	100	100
0.1	mefenoxam	40	100	100	100	100
0.15	mefenoxam	0.08	97*	90	100*	0
0.15	mefenoxam	0.4	100	97	100*	0
0.15	mefenoxam	2	100	100	100	100
0.15	mefenoxam	10	100	100	100	100
0.15	mefenoxam	40	100	100	100	100
0	iprovalicarb	0.4	89	–	0	–
0	iprovalicarb	2	76	–	0	–
0	iprovalicarb	10	100	–	100	–
0	iprovalicarb	40	100	–	100	–
0	iprovalicarb	200	100	–	100	–
0.1	iprovalicarb	0.4	94	97	0	0
0.1	iprovalicarb	2	84	94	0	0
0.1	iprovalicarb	10	100	100	100	100
0.1	iprovalicarb	40	100	100	100	100
0.1	iprovalicarb	200	100	100	100	100
0.15	iprovalicarb	0.4	92	98	31*	0
0.15	iprovalicarb	2	96	97	0	0
0.15	iprovalicarb	10	100	100	100	100
0.15	iprovalicarb	40	100	100	100	100
0.15	iprovalicarb	200	100	100	100	100
0	valiphenal	0.4	70	–	0	–
0	valiphenal	2	98	–	0	–
0	valiphenal	10	97	–	0	–
0.1	valiphenal	0.4	95	92	0	0
0.1	valiphenal	2	100	100	0	0
0.1	valiphenal	10	96	99	31*	0
0.15	valiphenal	0.4	89	96	21*	0

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.15	valiphenal	2	99	100	0	0
0.15	valiphenal	10	94	100	26*	0
0	quinoxifen	0.4	0	–	–	–
0	quinoxifen	2	27	–	0	–
0	quinoxifen	10	59	–	0	–
0	quinoxifen	40	51	–	0	–
0	quinoxifen	200	8	–	0	–
0.1	quinoxifen	0.4	99*	75	0	0
0.1	quinoxifen	2	97*	82	0	0
0.1	quinoxifen	10	100*	90	0	0
0.1	quinoxifen	40	99*	88	0	0
0.1	quinoxifen	200	100*	77	–	0
0.15	quinoxifen	0.4	99*	86	0	0
0.15	quinoxifen	2	100*	90	0	0
0.15	quinoxifen	10	100*	94	0	0
0.15	quinoxifen	40	100*	93	74*	0
0.15	quinoxifen	200	100*	87	–	0

Table G

Observed and Expected Effects of Compound 149 Alone and Mixtures with Boscalid, Mancozeb, Proquinazid and Penthiopyrad in Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	–	0	0	–	83	–
0.05	–	0	28	–	85	–
0.1	–	0	66	–	86	–
0.15	–	0	73	–	99	–
0.2	–	0	100	–	93	–
1	–	0	100	–	100	–
0	boscalid	0.4	13	–	72	–
0	boscalid	2	21	–	72	–
0	boscalid	10	21	–	68	–
0	boscalid	40	7	–	64	–
0	boscalid	200	13	–	64	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.1	boscalid	0.4	82*	70	77	96
0.1	boscalid	2	66	73	81	96
0.1	boscalid	10	68	73	83	96
0.1	boscalid	40	90*	68	100*	95
0.1	boscalid	200	70	70	68	95
0.15	boscalid	0.4	70	76	90	100
0.15	boscalid	2	74	78	86	100
0.15	boscalid	10	70	78	88	100
0.15	boscalid	40	90*	75	85	99
0.15	boscalid	200	82*	76	77	99
0	mancozeb	0.4	35	–	64	–
0	mancozeb	2	20	–	64	–
0	mancozeb	10	55	–	100	–
0	mancozeb	40	70	–	100	–
0	mancozeb	200	100	–	100	–
0.1	mancozeb	0.4	60	78	80	95
0.1	mancozeb	2	70	72	95	95
0.1	mancozeb	10	87	85	99	100
0.1	mancozeb	40	99*	90	100	100
0.1	mancozeb	200	100	100	100	100
0.15	mancozeb	0.4	64	82	97	99
0.15	mancozeb	2	79	78	97	99
0.15	mancozeb	10	85	88	100	100
0.15	mancozeb	40	99*	92	100	100
0.15	mancozeb	200	100	100	100	100
0	proquinazid	0.4	7	–	53	–
0	proquinazid	2	35	–	47	–
0	proquinazid	10	13	–	53	–
0	proquinazid	40	21	–	53	–
0	proquinazid	200	28	–	64	–
0.1	proquinazid	0.4	55	68	64	93
0.1	proquinazid	2	72	78	68	93
0.1	proquinazid	10	43	70	53	93
0.1	proquinazid	40	77	73	66	93
0.1	proquinazid	200	62	75	76	95

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0.15	proquinazid	0.4	85*	75	71	99
0.15	proquinazid	2	74	82	72	99
0.15	proquinazid	10	73	76	70	99
0.15	proquinazid	40	75	78	80	99
0.15	proquinazid	200	82	80	72	99
0	penthioapyrad	0.4	7	–	47	–
0	penthioapyrad	2	14	–	47	–
0	penthioapyrad	10	41	–	47	–
0	penthioapyrad	40	28	–	47	–
0	penthioapyrad	200	28	–	47	–
0.1	penthioapyrad	0.4	62	68	47	93
0.1	penthioapyrad	2	61	70	47	93
0.1	penthioapyrad	10	89*	80	47	93
0.1	penthioapyrad	40	78	75	47	93
0.1	penthioapyrad	200	78	75	80	93
0.15	penthioapyrad	0.4	87*	75	47	99
0.15	penthioapyrad	2	88*	77	53	99
0.15	penthioapyrad	10	84	84	47	99
0.15	penthioapyrad	40	95*	80	76	99
0.15	penthioapyrad	200	79	80	96	99

Table H

Observed and Expected Effects of Compound 149 Alone and Mixtures with BAS600 in
Controlling Tomato Late Blight and Cucumber Downy Mildew

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	–	0	0	–	0	–
0.05	–	0	12	–	0	–
0.10	–	0	100	–	29	–
0.15	–	0	100	–	29	–
0.20	–	0	100	–	57	–
1	–	0	100	–	100	–
0	BAS600	2	6	–	23	–
0	BAS600	10	99	–	84	–
0	BAS600	40	95	–	100	–

Application Rate (ppm) of Compound 149	Component (b)	Application Rate (ppm) of Component (b)	Test A		Test B	
			Obsd	Exp	Obsd	Exp
0	BAS600	200	84	–	100	–
0.100	BAS600	2	77	100	10	45
0.100	BAS600	10	98	100	63	89
0.100	BAS600	40	96	100	100	100
0.100	BAS600	200	85	100	100	100
0.150	BAS600	2	100	100	23	45
0.150	BAS600	10	96	100	77	89
0.150	BAS600	40	85	100	100	100
0.150	BAS600	200	100	100	100	100

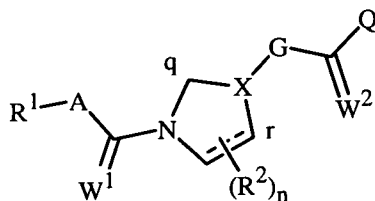
Tables A–H show compositions of the present invention comprising mixtures of a representative Formula 1 compound with a variety of component (b) compounds demonstrating synergistic control of tomato late blight and cucumber downy mildew. As control cannot exceed 100 %, the increase above expected fungicidal activity can be greatest when the separate active ingredient components alone are at application rates providing considerably less than 100 % control. Synergy may not be evident at low application rates where the individual active ingredient components alone have little activity. However, in some instances high activity was observed for combinations wherein individual active ingredients alone at the same application rates had essentially no activity. As demonstrated above, this invention provides advantageous method of combating tomato late blight (*Phytophthora infestans*) and cucumber downy mildew (*Pseudoperonospora cubensis*) diseases.

CLAIMS

What is claimed is:

1. A fungicidal composition comprising:

(a) at least one compound selected from the compounds of Formula 1, *N*-oxides, and salts thereof,



1

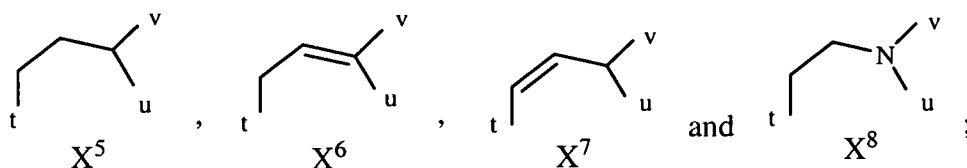
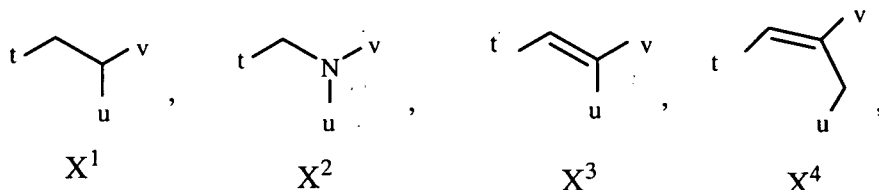
wherein

R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

A is CH_2 or NH;

W^1 is O or S;

X is a radical selected from



wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula 1, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula 1, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1 - C_4 alkyl, C_1 - C_4 alkenyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1 - C_3 alkylene or C_2 - C_3 alkenylene to form a bridged bicyclic ring system; or

two R² attached to adjacent ring carbon atoms joined by a double bond are taken together as -CH=CH-CH=CH- optionally substituted with 1-3 substituents selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

5 G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;

W² is O or S;

Q is -NQ^aQ^b;

10 Q^a is H, C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, cyano, hydroxy, C₁-C₃ alkoxy, C₂-C₃ alkoxyalkyl, C₁-C₃ hydroxyalkyl, C₂-C₃ alkylcarbonyl, C₂-C₃ alkoxy carbonyl, C₂-C₃ alkylaminocarbonyl or C₃-C₅ dialkylaminocarbonyl;

15 Q^b is an optionally substituted 8- to 11-membered saturated or partially saturated bicyclic ring system or an optionally substituted 10- to 15-membered partially saturated tricyclic ring system, each ring system optionally containing 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, and optionally including 1-3 ring members selected from the group consisting of C(=O), C(=S), S(O), or S(O)₂; or

20 Q^b is CR⁵R⁶R¹⁵; or

Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- to 7-membered saturated or partially saturated heterocyclic ring;

25 R⁵ is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, cyano, nitro, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

30 R⁶ is an optionally substituted phenyl, benzyl, naphthalenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkenyl or 5- or 6-membered heteroaromatic ring; and

35 R¹⁵ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl or C₂-C₄ alkoxyalkyl; or

Q^a and R⁵ are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon

atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

5 Q^a and R⁶ are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

10 R⁵ and R¹⁵ are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; or

R⁵ and R⁶ are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N;

15 provided that when X is X², X³, X⁴, X⁶ or X⁸, then G is not linked to X via a heteroatom of the G ring; and

(b) at least one additional fungicidal compound.

2. The composition of Claim 1 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

20 R¹ is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R⁴;

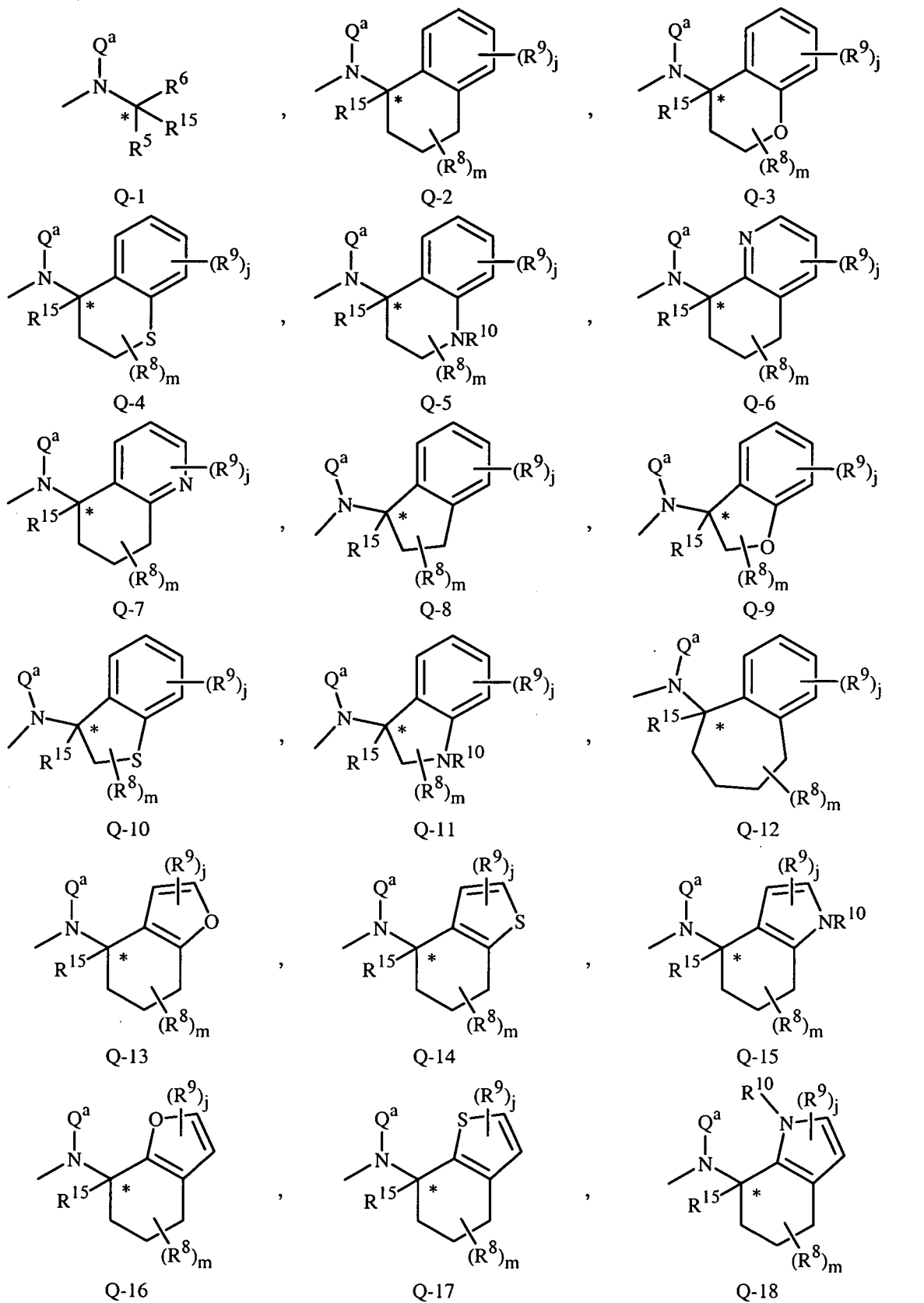
each R⁴ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, 25 C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ 30 dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

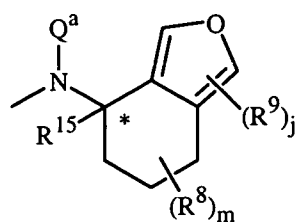
G is a 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring, each ring optionally substituted with up to 2 substituents selected from R³ on carbon ring members and selected from R¹¹ on nitrogen ring 35 members;

each R³ is independently C₁-C₃ alkyl, C₁-C₃ haloalkyl or halogen;

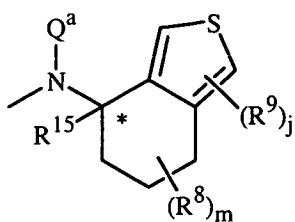
R¹¹ is C₁-C₃ alkyl;

Q is a radical selected from

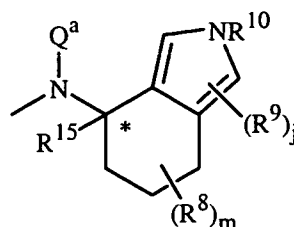




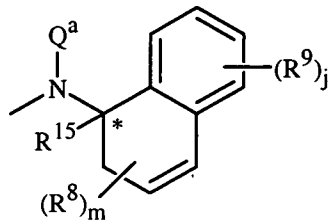
Q-19



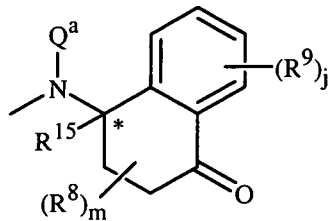
Q-20



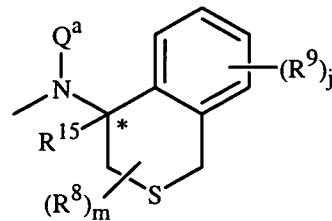
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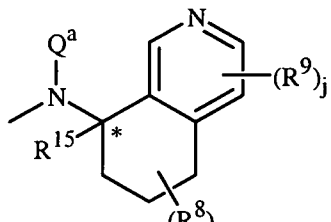
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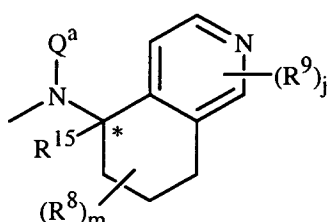
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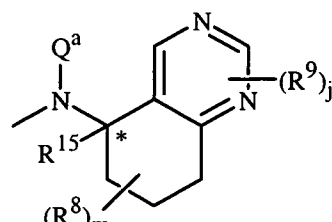
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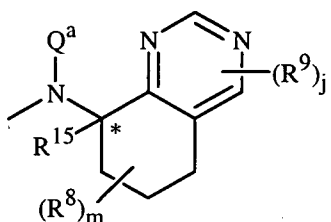
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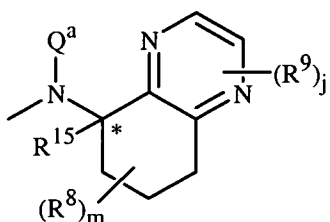
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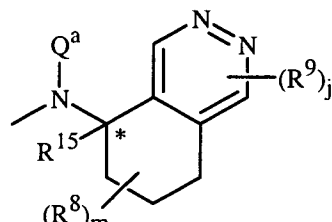
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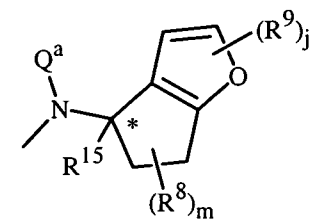
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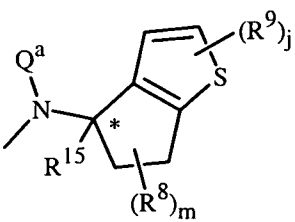
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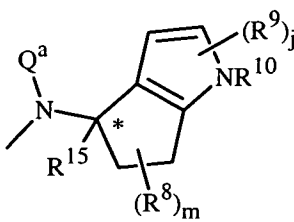
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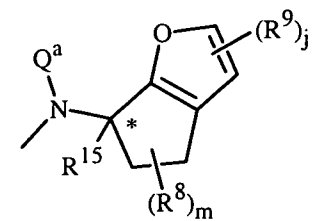
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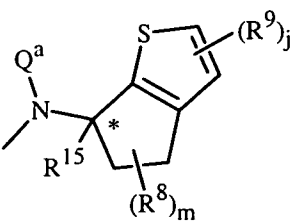
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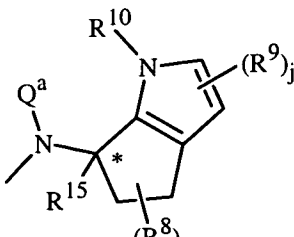
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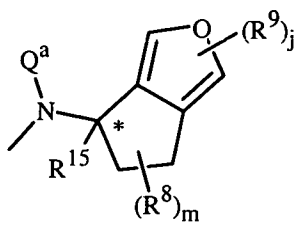
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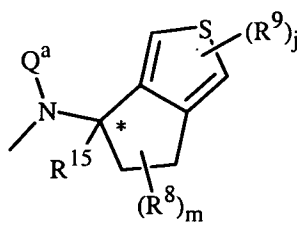
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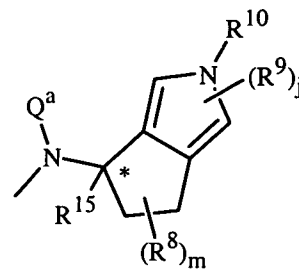
Q-36



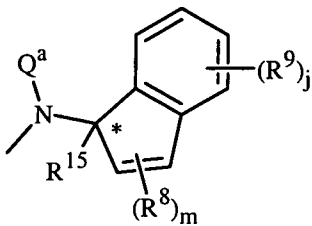
Q-37



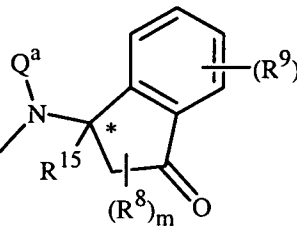
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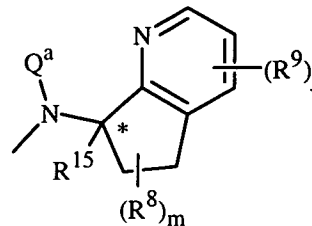
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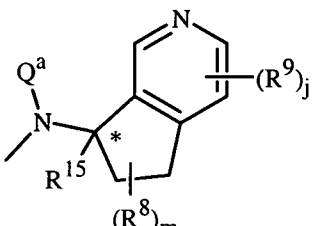
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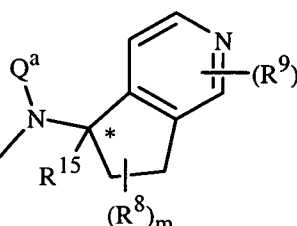
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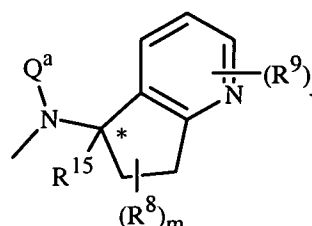
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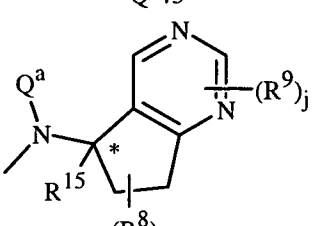
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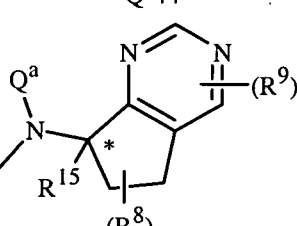
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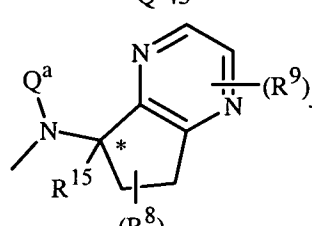
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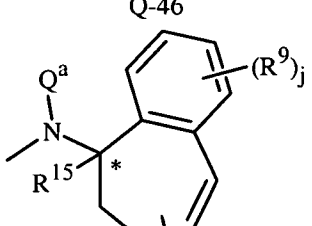
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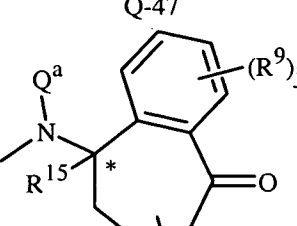
Q-47



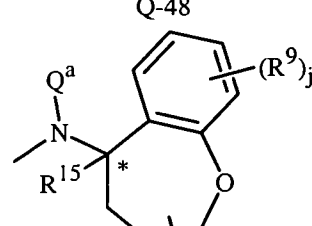
Q-48



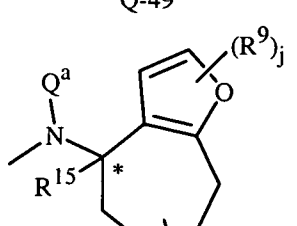
Q-49



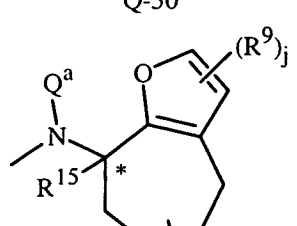
Q-50



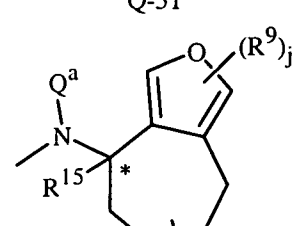
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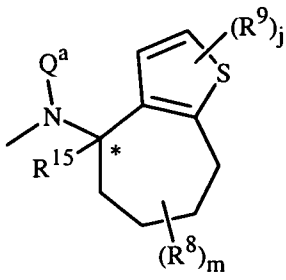
Q-52



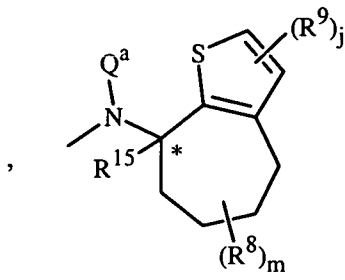
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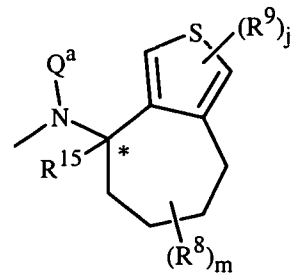
Q-54



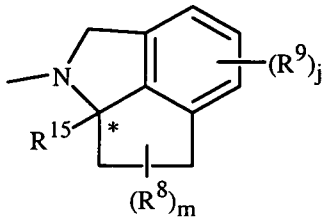
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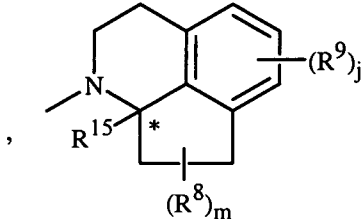
Q-56



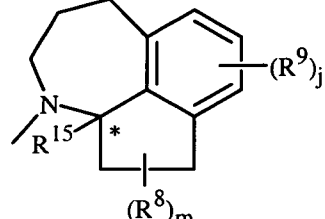
Q-57



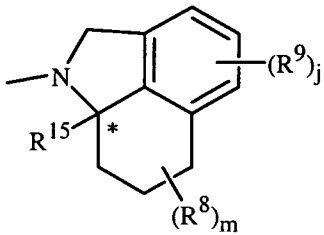
Q-58



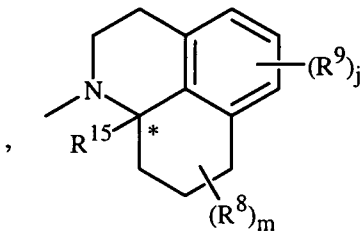
Q-59



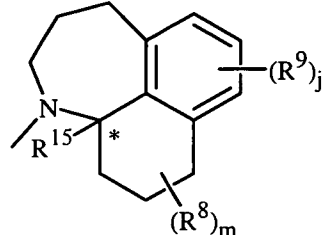
Q-60



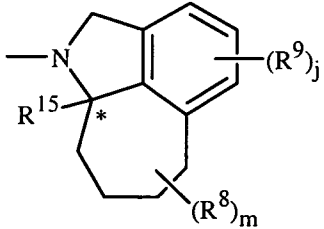
Q-61



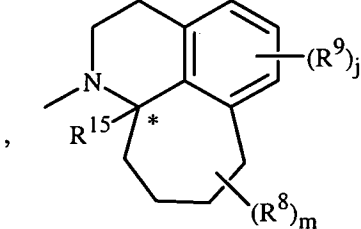
Q-62



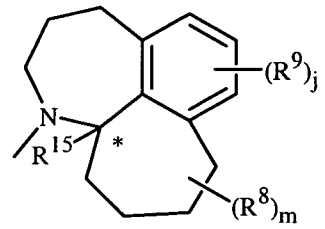
Q-63



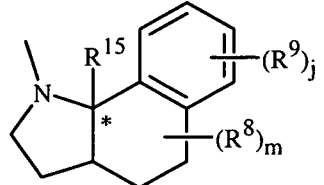
Q-64



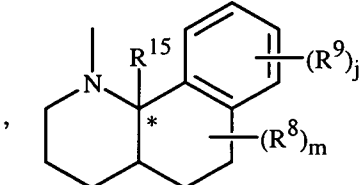
Q-65



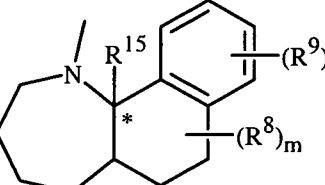
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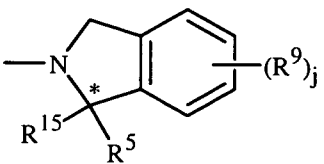
Q-67



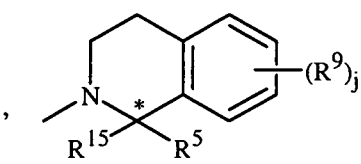
Q-68



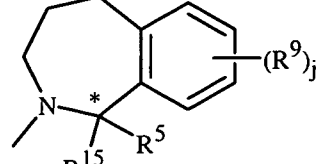
Q-69



Q-70

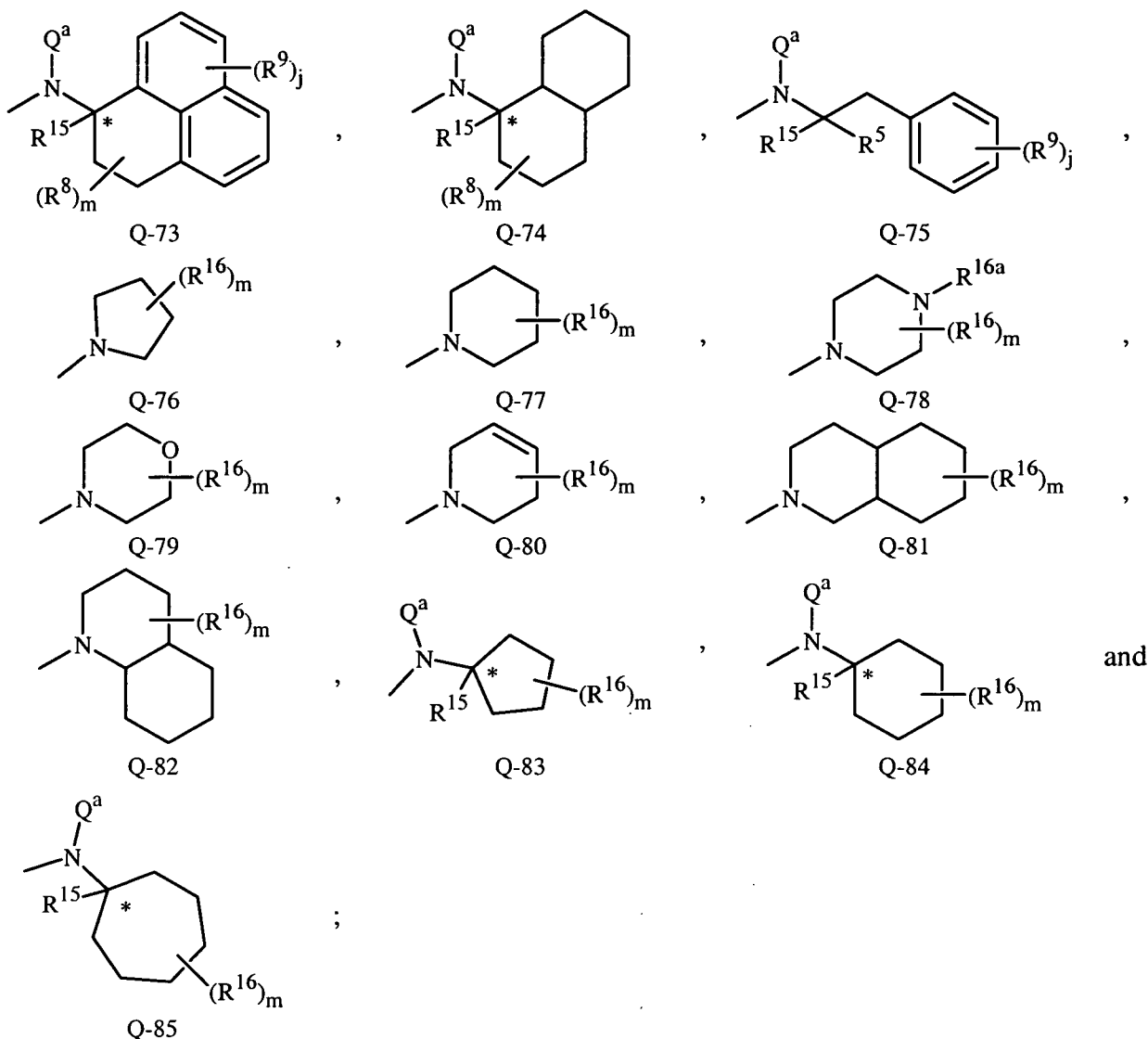


Q-71



Q-72

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wherein carbon atom identified with the asterisk (*) contains a stereocenter; and for Q-2 through Q-76, each R^8 is independently attached to the carbon atoms of the nonaromatic carbocyclic ring or heterocyclic ring of the Q group, and each R^9 is independently attached to the carbon atoms of phenyl or heteroaromatic ring of the Q group;

each R^8 is independently H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_3 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_6 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_4 alkoxyalkyl, C_1 - C_4 hydroxyalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_4 alkoxyalkyl, C_2 - C_4 alkylcarbonyloxy, C_2 - C_4 alkylcarbonylthio, C_2 - C_4 alkylaminocarbonyl, C_2 - C_4 alkylaminocarbonyloxy, C_3 - C_6 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

10

each R⁹ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₃-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

10 R¹⁰ is H or C₁-C₃ alkyl;

m is 0, 1 or 2;

j is 0, 1 or 2;

each R¹⁶ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³; or

two R¹⁶ attached to adjacent ring carbon atoms are taken together as -(CH₂)₃- or -(CH₂)₄- optionally substituted with 1-3 substituents selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

R^{16a} is H, C₁-C₆ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₃-C₆ haloalkenyl, C₃-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, amino, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³;

each R¹³ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl,

C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁶ is a phenyl, benzyl, naphthalenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents selected from R⁷ on carbon ring members and R¹² on nitrogen ring members;

each R⁷ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, C₄-C₁₀ alkylcycloalkyl, C₅-C₁₀ alkylcycloalkylalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxyalkyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; and

R¹² is H or C₁-C₃ alkyl.

3. The composition of Claim 2 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

R¹ is one of U-1 through U-50;

k is 0, 1 or 2;

G is one of G-1 through G-55;

R^{3a} is H, C₁-C₃ alkyl, C₁-C₃ haloalkyl or halogen;

R^{11a} is H or C₁-C₃ alkyl;

R⁶ is one of H-1 through H-46; and

p is 0, 1 or 2;

provided that when U is U-4, U-11 through U-15, U-24 through U-26, U-31 and U-35,

and an R⁴ radical is attached to a nitrogen atom of the ring, said R⁴ radical is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl or C₂-C₄ alkoxyalkyl.

4. The composition of Claim 3 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

each R² is independently C₁-C₂ alkyl, C₁-C₂ haloalkyl, C₁-C₂ alkoxy, halogen, cyano or hydroxy;

5 each R⁴ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy;

X is a radical selected from X¹, X² and X³;

Q^a is H or CH₃;

10 R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano or C₂-C₄ alkoxyalkyl;

each R⁷ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy;

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each R⁸ is independently H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₃-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C₁-C₄ alkoxy or C₂-C₄ alkylcarbonyloxy;

20

each R⁹ is independently C₁-C₃ alkyl, cyclopropyl, C₁-C₃ haloalkyl, halocyclopropyl, halogen, hydroxy, C₂-C₃ alkylcarbonyloxy, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy;

R¹⁰ is H or methyl;

each R¹⁶ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl,

25

halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³;

R^{16a} is H, C₁-C₃ alkyl, allyl, propargyl, cyclopropyl or C₁-C₃ haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R¹³;

each R¹³ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, cyclopropyl, C₁-C₃ haloalkyl, C₂-C₃ haloalkenyl, C₂-C₃ haloalkynyl, halocyclopropyl,

30

halogen, cyano, nitro, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy; and

R¹⁵ is H or CH₃.

5. The composition of Claim 4 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

35

R¹ is one of U-1 through U-3, U-13, U-20, U-22, U-23, U-37 through U-39 and U-50; and

each R⁴ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy.

6. The composition of Claim 5 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

5 G is G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36 through G-38, G-49 or G-50;

R^{3a} is H, CH₃, Cl or Br; and

R¹¹ is H or CH₃.

7. The composition of Claim 6 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

10 G is unsubstituted.

8. The composition of Claim 7 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

15 Q is Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 or Q-84;

R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₄ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₄ halocycloalkyl, cyano or C₂-C₄ alkoxyalkyl;

R⁶ is H-1, H-20, H-32, H-45 or H-46;

20 each R⁷ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₂ alkoxy or C₁-C₂ haloalkoxy;

each R⁸ is independently C₁-C₂ alkyl, C₁-C₂ haloalkyl, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₂-C₄ alkylcarbonyloxy or hydroxy; and

each R⁹ is independently halogen, hydroxy, OCH₃ or CH₃.

9. The composition of Claim 8 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 or Q-62;

Q^a is CH₃;

R⁵ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkenyl, C₂-C₄ haloalkenyl or cyano;

30 R⁶ is H-1 or H-45;

R¹² is H or CH₃;

each R⁷ is independently F, Cl, Br, OCH₃ or methyl;

R⁸ is CH₃, OCH₃ or hydroxy;

R¹⁰ is H or CH₃; and

35 R¹⁵ is H.

10. The composition of Claim 9 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

W¹ and W² are independently O;

Q^a is CH₃;

5 R^{3a} is H;

m, j, n and p are all independently 0 or 1;

each R⁷ is independently F, Cl, Br, OCH₃ or methyl;

each R⁸ is independently C₁-C₂ alkyl, C₁-C₂ alkoxy or hydroxy; and

each R⁹ is independently F, Cl, Br, hydroxy, OCH₃ or CH₃.

10 11. The composition of Claim 10 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

R¹ is U-1 or U-50;

each R⁴ is independently halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl or C₁-C₂ alkoxy;

k is 1 or 2;

15 G is G-1, G-2, G-15, G-26, G-27, G-36, G-37 or G-38;

Q is Q-1, Q-2, Q-8, Q-23 or Q-41;

R⁵ is C₁-C₄ alkyl, C₂-C₄ alkenyl or cyano; and

R⁶ is H-45;

20 provided that when k is 1, R⁴ is connected to the 3- or 5-position of U-1 and to the 2- or 3-position of U-50; and when k is 2, an independently selected R⁴ is connected to each of the 3- and 5-positions of U-1 and to each of the 2- and 5-positions of U-50.

12. The composition of Claim 11 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

25 X is X¹; and

G is G-1.

13. The composition of Claim 12 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X¹; and

30 G is G-2.

14. The composition of Claim 13 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X¹; and

G is G-15.

35 15. The composition of Claim 14 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X¹; and

G is G-26.

16. The composition of Claim 15 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X¹; and

G is G-36.

17. The composition of Claim 16 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X²; and

G is G-1.

18. The composition of Claim 2 wherein component (a) is a compound of Formula 1 or a salt thereof, wherein

X is X²; and

G is G-2.

19. The composition of Claim 1 wherein component (a) is selected from the group consisting of

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-

thiazolecarbothioamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-

thiazolecarboxamide and its enantiomer,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-

thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-

thiazolecarboxamide and its enantiomer,

- 2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
5 *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide,
N-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
10 *N*-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-
15 carboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide,
N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-
20 carboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide,
25 *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl)-4-thiazolecarboxamide and its enantiomer,
2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
30 2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide,
and
35 *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide.

20. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b3), demethylation inhibitor (DMI) fungicides.

21. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b4), phenylamide fungicides.

5 22. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b5), amine/morpholine fungicides.

23. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b7), carboxamide fungicides.

10 24. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b11), quinone outside inhibitor (QoI) fungicides.

25. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b12), phenylpyrrole fungicides.

26. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b13), quinoline fungicides.

15 27. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b21), quinone inside inhibitor (QiI) fungicides.

28. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b28), carbamate fungicides.

20 29. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b29), oxidative phosphorylation uncoupling fungicides.

30. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b40), carboxylic acid amide (CAA) fungicides.

25 31. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b27), cyanoacetylamineoxime fungicides; (b33), phosphonate fungicides; (b43), benzamide fungicides which are acylpicolide fungicides; (b46.1) thiazole carbamate fungicides and (b46.3) quinazolinone fungicides.

32. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b45), multi-site contact fungicides.

30 33. The composition of Claims 1 wherein component (b) includes at least one compound selected from (b46), fungicides other than fungicides of component (a) and components (b3), (b4), (b5), (b7), (b11), (b12), (b13), (b21), (b27), (b28), (b29), (b33), (b40) (b43) which are acylpicolide fungicides and (b45).

34. The composition of Claims 32 wherein (b45) compound is selected from the group consisting of copper fungicides (b45.1), sulfur fungicides (b45.2), dithiocarbamate fungicides (b45.3), phthalimide fungicides (b45.4) and chloronitrile fungicides (b45.5).

5 35. The composition of Claims 33 wherein (b46) compound is selected from 5-chloro-6-(2,4,6-trifluorophenyl)-7-(4-methylpiperidin-1-yl)[1,2,4]triazolo[1,5-*a*]pyrimidine.

36. The composition of Claims 20 wherein component (b3) includes at least one compound selected from cyproconazole, difenconazole, flusilazole, myclobutanil, propiconazole, tebuconazole and tetraconazole.

10 37. The composition of Claims 21 wherein component (b4) includes at least one compound selected from mefenoxam, metalaxyl, metalaxyl M, benalaxyl, furalaxyl, ofurace and oxadixyl.

38. The composition of Claims 22 wherein component (b5) includes at least one compound selected from spiroxamine.

15 39. The composition of Claims 23 wherein component (b7) includes at least one compound selected from boscalid, penthiopyrad, carboxin and oxycarboxin.

40. The composition of Claims 24 wherein component (b11) includes at least one compound selected from azoxystrobin, pyraclostrobin, kresoxim-methyl, trifloxystrobin, picoxystrobin, pyribencarb, famoxadone, fenamidone, discostrobin, enestrobin, dimoxystrobin, metominostrobin, orysastrobin and fluoxastrobin.

20 41. The composition of Claims 25 wherein component (b12) includes at least one compound selected from fenpiclonil and fludioxonil.

42. The composition of Claims 26 wherein component (b13) includes at least one compound selected from quinoxifen.

25 43. The composition of Claims 27 wherein component (b21) includes at least one compound selected from cyazofamid and amisulbrom.

44. The composition of Claims 28 wherein component (b28) includes at least one compound selected from propamacarb.

45. The composition of Claims 29 wherein component (b29) includes at least one compound selected from fluazinam and dinocap.

30 46. The composition of Claims 30 wherein component (b40) includes at least one compound selected from dimethomorph, benthiavalicarb, benthiavalicarb-isopropyl, iprovalicarb, valiphenal, mandipropamid and flumorph.

47. The composition of Claims 31 wherein components (b27), (b33), (b43), (b46.1) or (b46.3) include at least one compound selected from cymoxanil, phosphorous acid and its various salts, including fosetyl-aluminum, fluopicolide, ethaboxam and proquinazid.

5 48. The composition of Claims 34 wherein component (b45) includes at least one compound selected from copper sulfate, copper hydroxide, Bordeaux mixture (tribasic copper sulfide), copper hydroxide, elemental sulfur, mancozeb, metiram, propineb, ferbam, maneb, thiram, zineb, ziram, folpet, captan, captafol and chlorothalonil.

10 49. The composition comprising a fungicidally effective amount of the mixture of Claim 1 and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.

50. The composition of Claim 1 wherein the mixture further comprises an additional fungicidal compound.

51. The composition of Claim 1 wherein weight ratio of component (a) to component (b) is from about 125:1 to about 1:125.