International Bureau





(10) International Publication Number WO 2014/173880 A1

(43) International Publication Date 30 October 2014 (30.10.2014)

(51) International Patent Classification:

C07F 5/02 (2006.01) A01N 55/08 (2006.01)

A01N 25/00 (2006.01)

(21) International Application Number:

PCT/EP2014/058107

(22) International Filing Date:

22 April 2014 (22.04.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

1174/DEL/2013 22 April 2013 (22.04.2013) IN 13180647.3 16 August 2013 (16.08.2013) EP

- (71) Applicant: SYNGENTA PARTICIPATIONS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).
- (72) Inventors: STIERLI, Daniel; Syngenta Crop Protection, Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH). RENOLD, Peter; Syngenta Crop Protection, Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH). RAJAN, Ramya; Santa Monica Works, Corlim II-has Goa, Goa 403 110 (IN).
- (74) Agent: SYNGENTA INTERNATIONAL AG; Intellectual Property, WRO-1008-Z1-26, Schwarzwaldallee 215, CH-4058 Basel (CH).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

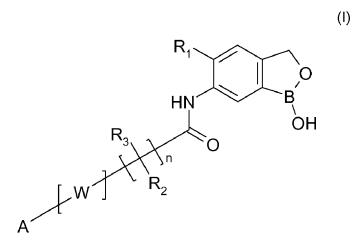
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- of inventorship (Rule 4.17(iv))

Published:

— with international search report (Art. 21(3))

(54) Title: NOVEL MICROBIOCIDES



(57) Abstract: Compounds of formula (I) are as defined in the claims, and their use in compositions and methods for the control and/or prevention of microbial infection, particularly fungal infection, in plants and to processes for the preparation of these compounds.



Novel Microbiocides

5

15

20

25

30

The present invention relates to novel microbiocidally active, in particular fungicidally active, oxaborole amide compounds their use in compositions and methods for the control and/or prevention of microbial infection, particularly fungal infection, in plants or plant propagation material, harvested food crops by phytopathogenic microorganisms, preferably fungi and to processes for the preparation of these compounds. Preferably these compounds are used in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

The incidence of serious microbial infections, particularly fungal infections, either systemic or topical, continues to increase for plants.

Fungicides are compounds, of natural or synthetic origin, which act to protect plants against damage caused by fungi. Current methods of agriculture rely heavily on the use of fungicides. In fact, some crops cannot be grown usefully without the use of fungicides. Using fungicides allows a grower to increase the yield of the crop and consequently, increase the value of the crop. Numerous fungicidal agents have been developed. However, the treatment of fungal infestations continues to be a major problem. Furthermore, fungicide resistance has become a serious problem, rendering these agents ineffective for some agricultural uses. As such, a need exists for the development of new fungicidal compounds with improved antifungal properties. It has been found that oxaborole with a specific substitution pattern are novel and have improved microbiocidal activity.

Fungicidally active oxaboroles are described in WO9533754, oxaborole amides are described in WO2007078340, WO2011019616 and WO2010045503 as antiprotozoal agents.

It has been found that certain novel oxaborol amides with a specific substitution pattern have advantageous microbiocidal activity.

The present invention accordingly relates to substituted oxaborol amides of formula (I)

wherein

R₁ is fluorine, chlorine, bromine, cyano, C₁-C₄alkyl or C₁-C₄haloalkyl;

 $R_2 \text{ and } R_3 \text{ are, independently from each other hydrogen, halogen, } C_1\text{-}C_6 \text{alkyl, } C_2\text{-}C_6 \text{alkenyl, } C_3\text{-}C_6 \text{alkinyl, } C_3\text{-}C_6 \text{cycloalkyl, } C_3\text{-}C_6 \text{alkinyl, phenyl or } R_2 \text{ and } R_3 \text{ may form a cyclic ring;} \\ W \text{ is oxygen, sulphur, nitrogen, } C_1\text{-}C_6 \text{alkylamino, } \text{-}C_1\text{-}C_4 \text{alkyl-O-N=CH-, -O-N=CH-, -O-N=C-(C_1\text{-}C_4 \text{alkyl), } C_1\text{-}C_6 \text{alkylene, } C_2\text{-}C_6 \text{alkenylene, } C_3\text{-}C_6 \text{alkinylene, } C_3\text{-}C_6 \text{cycloalkyl, or a direct bond;} \\ \text{or if then A has no meaning W is selected from fluorine, chlorin, bromine, } C_{1\text{-}4} \text{ alkoxy, } C_{1\text{-}4} \text{ alkylthio;} \\ \text{n is 0, 1 or 2;} \\ }$

A is an optionally substituted aryl or a optionally substituted heteroaryl wherein the optional

5

10

15

20

25

35

40

substituents for the optionally substituted aryl and a optionally substituted heteroaryl groups are selected from F, Cl, Br, I, –OH, -CN, nitro, an oxo substituent, - C_{1-4} alkoxy, - C_{1-4} alkylthio, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkenyl, C_{2-4} alkenyl, C_{2-4} alkynyl, -C(O)H, -C(O)C(C_{1-4} alkyl), -C(O)C(C_{1-4} alkyl), -C(O)NH(C_{1-4} alkyl), -C(O)C(C_{1-4} alkyl), -C(O)C(C_{1-4} alkyl), -C(O)C(C_{1-4} alkyl), -C(O)C(C_{1-4} alkoxy), -C(O)C(C_{1-4} alkyl), -C(

- C_{2-6} alkenyl, C_{3-8} cycloalkyl, - NR^8R^9 where R^8 and R^9 are independently H, - C_{1-4} alkyl - C_{2-4} alkenyl, - C_{2-4} alkynyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two oxo substituent , C_{1-4} alkyl groups, - C_{2-4} alkenyl or substituted - C_{2-4} alkynyl, - $C(O)(C_{1-4}$ alkyl), - $C(O)(C_{1-4}$ alkoxy), - $C(O)NH_2$, -

 $C(O)NH(C_{1-4} \text{ alkyl}), -C(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl}), -OC(O)NH(C_{1-4} \text{ alkyl}), -OC(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl}), -OC(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl}), -N(C_{1-4} \text{ alkyl}), -N(C_{1-$

alkenyl, alkoxy, aryl, aryloxy, arylthio or heteroaryl groups are either substituted or unsubstituted or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 - C_6 alkylenering together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - C_{1-4} alkoxy;

and agronomically acceptable salts, stereoisomers, diastereoisomers, enantiomers, tautomers, atriopisomers and N-oxides of those compounds.

The invention covers all agronomically acceptable salts, stereoisomers, diastereoisomers, enantiomers, tautomers, atropisomers and N-oxides of those compounds. The compounds of formula (I) may exist in different geometric or optical isomeric forms or in different tautomeric forms. One or more centres of chirality may be present, in which case compounds of the formula (I) may be present as pure enantiomers, mixtures of enantiomers, pure diastereomers or mixtures of diastereomers. There may be double bonds present in the molecule, such as C=C or C=N bonds, in which case compounds of formula (I) may exist as single isomers or mixtures of isomers. Centres of tautomerisation may be present. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds. Also atropisomerism may occur as a result of a restricted rotation about a single bond.

5

10

15

20

25

30

35

40

PCT/EP2014/058107

Suitable salts of the compounds of formula (I) include acid addition salts such as those with an inorganic acid such as hydrochloric, hydrobromic, sulphuric, nitric or phosphoric acid, or an organic carboxylic acid such as oxalic, tartaric, lactic, butyric, toluic, hexanoic or phthalic acid, or a sulphonic acid such as methane, benzene or toluene sulphonic acid. Other examples of organic carboxylic acids include haloacids such as trifluoroacetic acid.

N-oxides are oxidised forms of tertiary amines or oxidised forms of nitrogen containing heteroaromatic compounds. They are described in many books for example in "Heterocyclic N-oxides" by Angelo Albini and Silvio Pietra, CRC Press, Boca Raton, Florida, 1991.

In the context of the present specification the term "aryl" refers to a ring system which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenyl or phenanthrenyl. A preferred aryl group is phenyl.

The term "heteroaryl" refers to an aromatic ring system containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulfur. Examples of such groups include pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl and tetrazolyl. A preferred heteroaryl group is pyridine. Examples of bicyclic groups are benzothiophenyl, benzimidazolyl, benzothiadiazolyl, quinolinyl, cinnolinyl and quinoxalinyl.

The term "heterocyclyl" is defined to include heteroaryl and in addition their unsaturated or partially unsaturated analogues such as 4,5,6,7-tetrahydro-benzothiophenyl, 9H-fluorenyl, 3,4-dihydro-2H-benzo-1,4-dioxepinyl, 2,3-dihydro-benzofuranyl, piperidinyl, 1,3-dioxolanyl, 1,3-dioxanyl, 4,5dihydro-isoxazolyl, tetrahydrofuranyl and morpholinyl.

The alkyl groups, the alkenyl groups, the alkynyl groups and the alkoxy groups in the compound of formula (I) are either linerar or branched or they are perhalogenated and forming haloalkyl groups, haloalkenyl groups, haloalkynyl groups or haloalkoxy groups. Halogen signifies preferably F, Cl, Br, I, and more preferred halogen signifies F or Cl. An oxo substituent is =O, thus a oxygene atom doubly bonded to carbon or another element. The term "oxo substituent" thus embraces aldehydes, carboxylic acids, ketones, sulfonic acids, amides and esters.

The preferred substituents of the substituted alkyl groups, the substituted alkenyl groups, the substituted alkynyl groups, the substituted alkoxy groups, substituted aryl groups and / or the aromatic heterocycle groups in the compound of formula (I) are selected from the following substituents F, CI, Br, I, –OH, -CN, nitro, a oxo substituent, -C₁₋₄alkoxy, -C₁₋₄alkylthio, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkenyl, C_{2-4} alkynyl, -C(O)H, $-C(O)(C_{1-4}$ alkyl), $-C(O)(C_{1-4}$ alkoxy), $-C(O)NH_2$, $-C(O)NH(C_{1-4}$ alkyl), $-C(O)N(C_{1-4}$ $alkyl)(C_{1.4} alkyl), -OC(O)NH(C_{1.4} alkyl), -OC(O)N(C_{1.4} alkyl)(C_{1.4} alkyl), -NHC(O)(C_{1.4} alkyl), -NHC(O)(C_{1.$ NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl) C(O)(C_{1-4} alkyl), -N(C_{1-4} alkyl) C(O)(C_{1-4} alkoxy), -OC(O) (C_{1-4} alkyl), $-OC(O)(C_{1-4} \text{ alkoxy}), -Si(C_{1-4} \text{ alkyl})_3, -Si(C_{1-4} \text{ alkoxy})_3, C_{6-10} \text{ aryl}, C_{6-10} \text{ aryloxy}, C_{6-10} \text{ arylthio}, C_{6-10} \text{ aryloxy}, C_{6-10} \text{ arylox}, C_{6-10} \text{ arylox$

 $_{10}$ heteroaryl, $_{10}$ -C $_{1.8}$ — perhaloalkyl), arylC $_{2.6}$ alkynyl, $_{10}$ -C $_{2.6}$ alkenyl, heteroarylC $_{2.6}$ alkynyl, $_{10}$ -C $_{2.4}$ alkynyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two oxo substituent, $_{10}$ -C $_{1.4}$ -alkyl groups, $_{10}$ -C $_{2.4}$ -alkenyl or substituted $_{10}$ -C $_{2.4}$ -alkynyl or substituted $_{10}$ -C $_{2.4}$ -alkynyl, $_{10}$ -C(O)H, $_{10}$

15

20

25

10

5

The more preferred substituents of the substituted alkyl groups, alkenyl groups, the alkynyl groups and the alkoxy are selected from the following substituents –OH, CN, F, Cl, C_{1-4} alkoxy, $-C_{1-4}$ alkoxy, $-C_{1-4}$ alkylthio, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkenyl, C_{2-4} alkenyl, C_{2-4} alkinyl, C_{6-10} aryl, $-C_{1-4}$ alkylamino. The alkyl groups are branched or linear. The most preferred alkyl groups are methyl, ethyl, propyl, iso-propyl, n-butyl, t-butyl (1,1-dimthylethyl), sec-butyl (1-methylpropyl), iso-butyl (2-methylpropyl), pentyl, iso-pentyl (3-methylbutyl, isoamyl), 1-methylpentyl, 1-ethylpentyl, hexyl, heptyl, or octyl. Preferred alkenyl groups are ethenyl, propenyl (1-propenyl, 2-propenyl), butenyl (1-butenyl, 2-butenyl, 3-butenyl, 2-methylpropen-1-yl, 2-methylpropen-2-yl), pentenyl (pent-1-enyl, pent-2-enyl, pent-3-enyl, 3-methylbut-1-enyl, 2-methylbut-2-enyl, 3-methylbut-2-enyl, 2-methylbut-3-enyl, 3-methylbut-3-enyl, 1,2-dimethylprop-2-enyl, 1,1-dimethylprop-2-enyl). Preferred alkynyl groups are ethinyl, propinyl (prop-1-inyl or prop-2-inyl (propargyl)), butyl (but-1-ynyl, but-2-ynyl, but-3-ynyl), pentinyl (pent-1-inyl, pent-2-inyl, pent-3-inyl, pent-4-yl, 3-methylbut-1-inyl, 2-methylbut-3-inyl, 1-methylbut-3-inyl). The most preferred alkyl groups and the most preferred alkoxy groups are methyl, ethyl, propyl, t-buyl, methoxy and ethoxy groups. Methyl, ethyl and methoxy groups are very particularly preferred.

30

35

40

Preferably the alkyl groups in the compound of formula (I) and/or the alkoxy groups in the compound of formula (I) bear not more than two further substituents, more preferably the alkyl groups in the compound of formula (I) and/or the alkoxy groups in the compound of formula (I) bear not more than one further substituent, most preferred the alkyl groups in the compound of formula (I) and/or the alkoxy groups in the compound of formula (I) are not further substituted.

The aryl and hetero aryl groups unsubtituted or substituted 5- membered or 6-membered aromatic monocyclic which may contain one or two heteroatoms selected from N or S or unsubtituted or substituted 9-membered aromatic bicyclic ring system which may contain one or two heteroatoms selected from N or S.

WO 2014/173880 PCT/EP2014/058107 -5-

The aryl groups and heteroaryl groups are preferably unsubtituted or substituted 5- membered or 6membered aromatic monocyclic ring system which may contain one or two heteroatoms selected from N or S wherein the substituents are selected from the group consisting of halogen, hydroxy, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkyl,C₁-C₄a C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups.

The preferred substituents of the substituted aryl groups and heteroaryl groups in the compound of formula (I) are selected from the group consisting of halogen, hydroxy, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxy; more preferred substituents of the substituted aryl groups or heteroaryl groups in the compound of formula (I) are selected from the following substituents F, CI, CF₃, CN, –OH, nitro, -C_{1.4} alkyl, $-C_{1-4}$ alkoxy, $-C(O)(C_{1-4}$ alkoxy), -C(O)H, $-C(O)(C_{1-4}$ alkyl) wherein the alkyl groups are either substituted or unsubstituted.

15

10

5

The most preferred substituents of the substituted aryl groups in the compound of formula (I) are selected from the following substituents, F, Cl, -C₁₋₄alkyl, C₁₋₄alkoxy, -CN, -C(O)(C₁₋₄ alkoxy), -C(O)(C₁-4 alkyl) and preferably F, Cl are the even more preferred substituents of the substituted aryl groups in the compound of formula (I).

20

In particularly preferred embodiments for the methods and compounds of the invention, the preferred groups for A, R₁, R₂, R₃, R_a, R_b, R_c, R_d and R_e in any combination thereof, are as set out below.

Preferably R₁ is fluorine, chlorine, bromine, cyano, C₁-C₂alkyl or C₁-C₂haloalkyl;

25 More preferably R₁ is fluorine, chlorine or cyano;

> Preferably R₂ and R₃ are, independently from each other hydrogen, halogen, C₁-C₄alkyl, or C₂-C₄alkenyl,

30

Preferably W is oxygen, sulphur, nitrogen, C₁-C₆alkylamino, -C₁-C₄alkyl-O-N=CH-, C₁-C₆alkylene, C₂-C₆alkenylene, C₃-C₆cycloalkyl, or a direct bond;

or if then A has no meaning W is selected from fluorine, chlorin, bromine, C_{1.4} alkoxy, C_{1.4} alkylthio; More preferably W is oxygen, sulphur, nitrogen, C₁-C₆alkylamino, -C₁-C₄alkyl-O-N=CH-, C₁-C₆alkylene, C₂-C₆alkenylene, C₃-C₆cycloalkyl, or a direct bond;

35 Still more preferably A has no meaning W is selected from fluorine, chlorin, bromine, C_{1.4} alkoxy, C_{1.4} alkylthio;

Preferably n is 0 or 1

40

Preferably A is selected from

WO 2014/173880 PCT/EP2014/058107

$$\begin{array}{c} R_{d} \\ R_{c} \\ R_{b} \\ R_{c} \\ R_{b} \\ R_{c} \\$$

wherein R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups wherein the phenyl, pyridyl, thiophene, imidazole or pyrrazol groups are optionally substituted by F, Cl, Br or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - C_{1-4} alkoxy.

15 More preferably A is selected from

5

$$R_d$$
 R_c
 R_a
 (A_1) ,
 R_c
 R_a
 (A_2) ,
 R_c
 R_a
 (A_3) ,
 R_c
 R_a
 (A_4) ,
 R_c
 R_a
 (A_5) ,
 R_a
 (A_6) ,
 R_c
 R_a
 (A_8) ,
 R_a
 (A_8) ,
 R_a
 (A_1)

wherein R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkoxy- C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups wherein the phenyl, pyridyl, thiophene, imidazole or pyrrazol groups are optionally substituted by F, Cl, Br or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - C_1 -4alkoxy

Most preferably A is selected from

5

$$R_d$$
 R_c
 R_a
 R_b
 R_a
 R_b
 R_a
 R_b
 R_a
 R_b
 R_a
 R_a
 R_b
 R_a
 R_a

and
$$R_b$$
 R_c R_a A_{13}

5

20

wherein R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups wherein the phenyl, pyridyl, thiophene, imidazole or pyrrazol groups are optionally substituted by F, Cl, Br or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - $C_{1.4}$ alkoxy

Preferably R_a, R_b, R_c, R_d and R_e independently are selected from the group consisting of hydrogen halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl,C₁-C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl groups optionally substituted by F, Cl, Br or two neigboured substituents of A form a -O-C₁-C₄alkyl-O- ring or a -C₄alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, -C₁₋₄alkoxy

More preferably R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, phenyl optionally substituted by F, Cl, Br or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - C_{1-4} alkoxy.

In one embodiment the present invention provides compounds of formula (I) wherein A is

$$R_d$$
 R_c
 R_a
 R_a
 (A_1)

wherein R_a, R_b, R_c, R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkoxy, C₁-C₄alkoxy, C₁-C₄alkoxy-C₁-C₄alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R₁, R₂, R₃, R_a, R_b, R_c, R_d and R_e are as set out above.

Preferably R_a, R_b, R_c, R_d and R_e independently are selected from the group consisting of hydrogen halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl, C₁-C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl groups

-9-

More preferably R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or two neigboured substituents of A form a -O- C_1 - C_4 alkyl-O- ring or a - C_4 alkylene-ring together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, - C_1 -4alkoxy.

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_{c}$$
 R_{c}
 R_{a}
 $(A_{2}),$

5

10

wherein R_a , R_b , and R_c independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a , R_b , and R_c are as set out above.

Preferably R_a, R_b, and R_c independently are selected from the group consisting of hydrogen halogen,

C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl, C₁-C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_{c}$$
 R_{c}
 R_{c}
 R_{c}
 R_{c}
 R_{c}

wherein R_a , R_b , and R_c independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a , R_b , and R_c are as set out above.

Preferably R_a , R_b , and R_c independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_d$$
 R_c
 R_b
 R_b
 R_b

wherein R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_b , R_c , R_d and R_e are as set out above.

5

Preferably R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

10 In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_d$$
 R_c
 R_a
 R_a
 R_a

wherein R_a , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a , R_c , R_d and R_e are as set out above.

Preferably R_a , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

20

25

30

15

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_d$$
 R_e
 R_a
 R_a
 R_b

wherein R_a , R_b , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_6 , R_6 , R_6 and R_6 are as set out above.

Preferably R_a , R_b , R_d and R_e independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_b$$
 R_a
 (A_7)

5

10

15

20

wherein R_a and R_b independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a and R_b are as set out above.

Preferably R_a and R_b independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_b$$
 N
 R_a
 A_s

wherein R_a , R_b , and R_c independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_b and R_c are as set out above.

Preferably R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_b$$
 R_a
 N
 R_c
 (A_9)

wherein R_a, R_b and R_c independently are selected from the group consisting of hydrogen halogen,

hydroxy, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl,C₁
C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R₁, R₂, R₃, R_a, R_b and R_c are as set out above.

Preferably R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

5 In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_{c}$$
 O
 R_{a}
 (A_{10})

wherein R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a , R_b and R_c are as set out above.

Preferably R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_a$$
 R_b
 R_b

10

15

20

25

30

wherein R_a and R_b independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a and R_b are as set out above.

Preferably R_a and R_b independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_c$$
 \star
 (A_{12})

wherein R_c independently is selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4

 C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 and R_c are as set out above.

Preferably R_c independently is selected from the group consisting of hydrogen halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₄alkoxy-C₁-C₄alkyl, C₁-C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl groups

In one further embodiment the present invention provides compounds of formula (I) wherein A is

$$R_b$$
 R_c
 R_a
 (A_{13})

wherein R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups and R_1 , R_2 , R_3 , R_a , R_b and R_c are as set out above.

Preferably R_a , R_b and R_c independently are selected from the group consisting of hydrogen halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy- C_1 - C_4 alkoxy- C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl groups

The compounds of the invention may be made by a variety of methods. In all compounds shown in the schemes below A, R_1 , R_2 , R_3 , R_a , R_b , R_c , R_d and R_e are as defined above.

The compounds of formula (I)

25

$$R_1$$
 R_3
 R_2
 R_3
 R_2
 R_3
 R_2
 R_3
 R_3
 R_3
 R_4
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5
 R_5

may be prepared by reacting a compound of formula II

WO 2014/173880 PCT/EP2014/058107

wherein R₁ are as defined under formula I; with a compound of formula III

$$R_3$$
 R_2
 R_3
 R_2
 R_3
 R_2
 R_3
 R_3
 R_4

in which A, W, n, R₂ and R₃ are as defined under formula (I), and R* is halogen, hydroxy or C₁₋₆ alkoxy, preferably chloro. Some compounds of formula (III) are known and commercially available. The reactions for the preparation of compounds of formula I are advantageously carried out in aprotic inert organic solvents. Such solvents are hydrocarbons such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons such as dichloromethane, trichloromethane, tetrachloromethane or chlorobenzene, ethers such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran or dioxane, nitriles such as acetonitrile or propionitrile, amides such as N,N-dimethylformamide, diethylformamide or N-methylpyrrolidinone. The reaction temperatures are advantageously between -20°C and +120°C. In general, the reactions are slightly exothermic and, as a rule, they can be carried out at ambient temperature. To shorten the reaction time, or else to start the reaction, the mixture may be heated briefly to the boiling point of the reaction mixture. The reaction times can also be shortened by adding a few drops of base as reaction catalyst. Suitable bases are, in particular, tertiary amines such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene or 1,5-diazabicyclo-[5.4.0]undec-7-ene. However, inorganic bases such as hydrides, e.g. sodium hydride or calcium hydride, hydroxides, e.g. sodium hydroxide or potassium hydroxide, carbonates such as sodium carbonate and potassium carbonate, or hydrogen carbonates such as potassium hydrogen carbonate and sodium hydrogen carbonate may also be used as bases. The bases can be used as such or else with catalytic amounts of a phase-transfer catalyst, for example a crown ether, in particular 18-crown-6, or a tetraalkylammonium salt.

When R* is hydroxy, a coupling agent, such as benzotriazol-1-yloxytris(dimethylamino) phosphoniumhexafluorophosphate, bis-(2-oxo-3-oxazolidinyl)-phosphinic acid chloride (BOP-CI), N,N'-dicyclohexylcarbodiimide (DCC) or 1,1'-carbonyl-diimidazole (CDI), may be used.

The intermediates of formula II

5

10

15

wherein R_1 is defined under formula I, preferably wherein R_1 is F (CAS Registry Number: 943311-50-0), R_1 is CI (CAS Registry Number: 947165-43-7), are known, and described in the literature, for example in Bioorganic & Medicinal Chemistry Letters, 20(24), 7317-7322; 2010, or Journal of Molecular Biology, 390(2), 196-207; 2009 or Bioorganic & Medicinal Chemistry Letters, 21(7), 2048-2054; 2011

5

10

15

20

25

It has now been found that the compounds of formula (I) according to the invention have, for practical purposes, a very advantageous spectrum of activities for protecting useful plants against diseases that are caused by phytopathogenic microorganisams, such as fungi, bacteria or viruses.

The invention therefore also relates to a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula (I) is applied as active ingredient to the plants, to parts thereof or the locus thereof. The compounds of formula (I) according to the invention are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous useful plants. The compounds of formula (I) can be used to inhibit or destroy the diseases that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

It is also possible to use compounds of formula (I) as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

Furthermore, the compounds of formula (I) according to the invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage or in hygiene management.

The methods according to the instant invention are particularly effective to protect useful plants or plant propagation material thereof against phytopathogenic fungi belonging to the following classes: Ascomycetes (e.g. the genus Cochliobolus, Colletotrichum, Fusarium, Gaeumannomyces, Giberella, Monographella, Microdochium, Penicillium, Phoma, Pyricularia, Magnaporthe, Septoria, Pseudocercosporella, Tapesia and Thielaviopsis); Basidiomycetes (e.g. the genus Phakopsora,
 Puccinia, Rhizoctonia, Thanatephorus, Sphacelotheca, Tilletia, Typhula and Ustilago); Fungi imperfecti (also known as Deuteromycetes; e.g. the genus Ascochyta, Diplodia, Erysiphe, Fusarium, Helminthosporium, Phomopsis, Pyrenophora and Verticillium); Oomycetes (e.g. Aphanomyces,

Peronospora, Peronosclerospora, Phytophthora, Plasmopara, Pseudoperonospora, Pythium); and Zygomycets (e.g. the genus Rhizopus).

Within the scope of the invention, useful plants to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The term "useful plants" is to be understood as including also useful plants that have been rendered tolerant to herbicides like bromoxynil or classes of herbicides (such as, for example, HPPD inhibitors, ALS inhibitors, for example primisulfuron, prosulfuron and trifloxysulfuron, EPSPS (5-enol-pyrovyl-shikimate-3-phosphate-synthase) inhibitors, GS (glutamine synthetase) inhibitors or PPO (protoporphyrinogen-oxidase) inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding (mutagenesis) is Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides or classes of herbicides by genetic engineering methods include glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady®, Herculex I® and LibertyLink®.

25

5

10

The term "useful plants" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus Bacillus.

30

35

Examples of such plants are: YieldGard® (maize variety that expresses a CryIA(b) toxin); YieldGard Rootworm® (maize variety that expresses a CryIIIB(b1) toxin); YieldGard Plus® (maize variety that expresses a CryIA(b) and a CryIIIB(b1) toxin); Starlink® (maize variety that expresses a Cry9(c) toxin); Herculex I® (maize variety that expresses a CryIF(a2) toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a CryIA(c) toxin); Bollgard I® (cotton variety that expresses a CryIA(b) toxin); VIPCOT® (cotton variety that expresses a VIP toxin); NewLeaf® (potato variety that expresses a CryIIA toxin);

NatureGard® Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait), Agrisure® RW (corn rootworm trait) and Protecta®.

The term "crops" is to be understood as including also crop plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus Bacillus.

5

10

15

20

25

30

35

40

Toxins that can be expressed by such transgenic plants include, for example, insecticidal proteins from Bacillus cereus or Bacillus popilliae; or insecticidal proteins from Bacillus thuringiensis, such as δ-endotoxins, e.g. Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), e.g. Vip1, Vip2, Vip3 or Vip3A; or insecticidal proteins of bacteria colonising nematodes, for example Photorhabdus spp. or Xenorhabdus spp., such as Photorhabdus luminescens, Xenorhabdus nematophilus; toxins produced by animals, such as scorpion toxins, arachnid toxins, wasp toxins and other insect-specific neurotoxins; toxins produced by fungi, such as Streptomycetes toxins, plant lectins, such as pea lectins, barley lectins or snowdrop lectins; agglutinins; proteinase inhibitors, such as trypsin inhibitors, serine protease inhibitors, patatin, cystatin, papain inhibitors; ribosome-inactivating proteins (RIP), such as ricin, maize-RIP, abrin, luffin, saporin or bryodin; steroid metabolism enzymes, such as 3-hydroxysteroidoxidase, ecdysteroid-UDP-glycosyltransferase, cholesterol oxidases, ecdysone inhibitors, HMG-COA-reductase, ion channel blockers, such as blockers of sodium or calcium channels, juvenile hormone esterase, diuretic hormone receptors, stilbene synthase, bibenzyl synthase, chitinases and glucanases.

In the context of the present invention there are to be understood by δ-endotoxins, for example Cry1Ab, Cry1Fc, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), for example Vip1, Vip2, Vip3 or Vip3A, expressly also hybrid toxins, truncated toxins and modified toxins. Hybrid toxins are produced recombinantly by a new combination of different domains of those proteins (see, for example, WO 02/15701). Truncated toxins, for example a truncated Cry1Ab, are known. In the case of modified toxins, one or more amino acids of the naturally occurring toxin are replaced. In such amino acid replacements, preferably non-naturally present protease recognition sequences are inserted into the toxin, such as, for example, in the case of Cry3A055, a cathepsin-G-recognition sequence is inserted into a Cry3A toxin (see WO 03/018810).

Examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-A-0 374 753, WO 93/07278, WO 95/34656, EP-A-0 427 529, EP-A-451 878 and WO 03/052073.

The processes for the preparation of such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above. Cryl-type deoxyribonucleic acids and their preparation are known, for example, from WO 95/34656, EP-A-0 367 474, EP-A-0 401 979 and WO 90/13651.

WO 2014/173880 PCT/EP2014/058107 -18-

The toxin contained in the transgenic plants imparts to the plants tolerance to harmful insects. Such insects can occur in any taxonomic group of insects, but are especially commonly found in the beetles (Coleoptera), two-winged insects (Diptera) and butterflies (Lepidoptera).

Transgenic plants containing one or more genes that code for an insecticidal resistance and express one or more toxins are known and some of them are commercially available. Examples of such plants are: YieldGard® (maize variety that expresses a Cry1Ab toxin); YieldGard Rootworm® (maize variety that expresses a Cry3Bb1 toxin); YieldGard Plus® (maize variety that expresses a Cry1Ab and a Cry3Bb1 toxin); Starlink® (maize variety that expresses a Cry9C toxin); Herculex I® (maize variety that expresses a Cry1Fa2 toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a Cry1Ac toxin); Bollgard I® (cotton variety that expresses a Cry1Ac toxin); Bollgard II® (cotton variety that expresses a Cry1Ac toxin); NewLeaf® (potato variety that expresses a Cry3A toxin); NatureGard®, Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait) and Protecta®.

Further examples of such transgenic crops are:

5

10

15

25

30

35

- 1. Bt11 Maize from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified Zea mays which has been rendered resistant to attack by the European corn borer (Ostrinia nubilalis and Sesamia nonagrioides) by transgenic expression of a truncated Cry1Ab toxin. Bt11 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
 - 2. **Bt176 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a Cry1Ab toxin. Bt176 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
 - 3. **MIR604 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Maize which has been rendered insect-resistant by transgenic expression of a modified Cry3A toxin. This toxin is Cry3A055 modified by insertion of a cathepsin-G-protease recognition sequence. The preparation of such transgenic maize plants is described in WO 03/018810.
 - 4. **MON 863 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON 863 expresses a Cry3Bb1 toxin and has resistance to certain Coleoptera insects.

- 5. **IPC 531 Cotton** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.
- 6. 1507 Maize from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.
- NK603 × MON 810 Maize from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150
 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize
 varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810
 Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4,
 which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a Cry1Ab toxin
 obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain
 Lepidoptera, include the European corn borer.

The term "locus" of a useful plant as used herein is intended to embrace the place on which the useful plants are growing, where the plant propagation materials of the useful plants are sown or where the plant propagation materials of the useful plants will be placed into the soil. An example for such a locus is a field, on which crop plants are growing.

20

25

30

35

The term "plant propagation material" is understood to denote generative parts of the plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material, such as cuttings or tubers, for example potatoes. There may be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be transplanted after germination or after emergence from the soil, may also be mentioned. These young plants may be protected before transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

The compounds of formula (I) can be used in unmodified form or, preferably, together with carriers and adjuvants conventionally employed in the art of formulation.

Therefore the invention also relates to compositions for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula (I) and an inert carrier, and to a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a composition, comprising a compound of formula (I) as active ingredient and an inert carrier, is applied to the plants, to parts thereof or the locus thereof.

To this end compounds of formula (I) and inert carriers are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute

WO 2014/173880 PCT/EP2014/058107 -20-

emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants (auxiliaries) can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO 97/33890.

The compounds of formula (I) or compositions, comprising a compound of formula (I) as acitve ingredient and an inert carrier, can be applied to the locus of the plant or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematicides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

20

25

5

10

15

A preferred method of applying a compound of formula (I), or a composition, comprising a compound of formula (I) as acitve ingredient and an inert carrier, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula (I) can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula (I) may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

30

A formulation, i.e. a composition comprising the compound of formula (I) and, if desired, a solid or liquid adjuvant or, if desired as well, a further, other biocidally active ingredient, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface-active compounds (surfactants).

35

40

The activity of the compositions according to the invention can be broadened considerably, and adapted to prevailing circumstances, by adding other insecticidally, acaricidally and/or fungicidally active ingredients. The mixtures of the compounds of formula I with other insecticidally, acaricidally and/or fungicidally active ingredients may also have further surprising advantages which can also be described, in a wider sense, as synergistic activity. For example, better tolerance by plants, reduced

phytotoxicity, insects can be controlled in their different development stages or better behaviour during their production, for example during grinding or mixing, during their storage or during their use. Suitable additions to active ingredients here are, for example, representatives of the following classes of active ingredients: organophosphorus compounds, nitrophenol derivatives, thioureas, juvenile hormones, formamidines, benzophenone derivatives, ureas, pyrrole derivatives, carbamates, pyrethroids, chlorinated hydrocarbons, acylureas, pyridylmethyleneamino derivatives, macrolides, neonicotinoids and Bacillus thuringiensis preparations.

5

10

The following mixtures of the compounds of formula I with active ingredients are preferred (the abbreviation "TX" means "one compound selected from the group consisting of one specific compound listed in Tables 2 to 2400 or a compound selected from Table 3"):

an adjuvant selected from the group of substances consisting of petroleum oils (alternative name) (628) + TX,

15 an acaricide selected from the group of substances consisting of 1,1-bis(4-chlorophenyl)-2ethoxyethanol (IUPAC name) (910) + TX, 2,4-dichlorophenyl benzenesulfonate (IUPAC/Chemical Abstracts name) (1059) + TX, 2-fluoro-N-methyl-N-1-naphthylacetamide (IUPAC name) (1295) + TX, 4-chlorophenyl phenyl sulfone (IUPAC name) (981) + TX, abamectin (1) + TX, acequinocyl (3) + TX, acetoprole [CCN] + TX, acrinathrin (9) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, alpha-20 cypermethrin (202) + TX, amidithion (870) + TX, amidoflumet [CCN] + TX, amidothioate (872) + TX, amiton (875) + TX, amiton hydrogen oxalate (875) + TX, amitraz (24) + TX, aramite (881) + TX, arsenous oxide (882) + TX, AVI 382 (compound code) + TX, AZ 60541 (compound code) + TX, azinphos-ethyl (44) + TX, azinphos-methyl (45) + TX, azobenzene (IUPAC name) (888) + TX, azocyclotin (46) + TX, azothoate (889) + TX, benomyl (62) + TX, benoxafos (alternative name) 25 [CCN] + TX, benzoximate (71) + TX, benzyl benzoate (IUPAC name) [CCN] + TX, bifenazate (74) + TX, bifenthrin (76) + TX, binapacryl (907) + TX, brofenvalerate (alternative name) + TX, bromocyclen (918) + TX, bromophos (920) + TX, bromophos-ethyl (921) + TX, bromopropylate (94) + TX, buprofezin (99) + TX, butocarboxim (103) + TX, butoxycarboxim (104) + TX, butylpyridaben (alternative name) + TX, calcium polysulfide (IUPAC name) (111) + TX, camphechlor (941) + TX, 30 carbanolate (943) + TX, carbaryl (115) + TX, carbofuran (118) + TX, carbophenothion (947) + TX, CGA 50'439 (development code) (125) + TX, chinomethionat (126) + TX, chlorbenside (959) + TX, chlordimeform (964) + TX, chlordimeform hydrochloride (964) + TX, chlorfenapyr (130) + TX, chlorfenethol (968) + TX, chlorfenson (970) + TX, chlorfensulphide (971) + TX, chlorfenvinphos (131) + TX, chlorobenzilate (975) + TX, chloromebuform (977) + TX, chloromethiuron (978) + TX, chloropropylate (983) + TX, chlorpyrifos (145) + TX, chlorpyrifos-methyl (146) + TX, chlorthiophos 35 (994) + TX, cinerin I (696) + TX, cinerin II (696) + TX, cinerins (696) + TX, clofentezine (158) + TX, closantel (alternative name) [CCN] + TX, coumaphos (174) + TX, crotamiton (alternative name) [CCN] + TX, crotoxyphos (1010) + TX, cufraneb (1013) + TX, cyanthoate (1020) + TX, cyflumetofen (CAS Reg. No.: 400882-07-7) + TX, cyhalothrin (196) + TX, cyhexatin (199) + TX, cypermethrin (201) + TX, DCPM (1032) + TX, DDT (219) + TX, demephion (1037) + TX, 40 demephion-O (1037) + TX, demephion-S (1037) + TX, demeton (1038) + TX, demeton-methyl

(224) + TX, demeton-O (1038) + TX, demeton-O-methyl (224) + TX, demeton-S (1038) + TX, demeton-S-methyl (224) + TX, demeton-S-methylsulphon (1039) + TX, diafenthiuron (226) + TX, dialifos (1042) + TX, diazinon (227) + TX, dichlofluanid (230) + TX, dichlorvos (236) + TX, dicliphos (alternative name) + TX, dicofol (242) + TX, dicrotophos (243) + TX, dienochlor (1071) + 5 TX, dimefox (1081) + TX, dimethoate (262) + TX, dinactin (alternative name) (653) + TX, dinex (1089) + TX, dinex-diclexine (1089) + TX, dinobuton (269) + TX, dinocap (270) + TX, dinocap-4 [CCN] + TX, dinocap-6 [CCN] + TX, dinocton (1090) + TX, dinopenton (1092) + TX, dinosulfon (1097) + TX, dinoterbon (1098) + TX, dioxathion (1102) + TX, diphenyl sulfone (IUPAC name) (1103) + TX, disulfiram (alternative name) [CCN] + TX, disulfoton (278) + TX, DNOC (282) + TX, 10 dofenapyn (1113) + TX, doramectin (alternative name) [CCN] + TX, endosulfan (294) + TX, endothion (1121) + TX, EPN (297) + TX, eprinomectin (alternative name) [CCN] + TX, ethion (309) + TX, ethoate-methyl (1134) + TX, etoxazole (320) + TX, etrimfos (1142) + TX, fenazaflor (1147) + TX, fenazaquin (328) + TX, fenbutatin oxide (330) + TX, fenothiocarb (337) + TX, fenpropathrin (342) + TX, fenpyrad (alternative name) + TX, fenpyroximate (345) + TX, fenson (1157) + TX, 15 fentrifanil (1161) + TX, fenvalerate (349) + TX, fipronil (354) + TX, fluacrypyrim (360) + TX, fluazuron (1166) + TX, flubenzimine (1167) + TX, flucycloxuron (366) + TX, flucythrinate (367) + TX, fluenetil (1169) + TX, fluenoxuron (370) + TX, flumethrin (372) + TX, fluorbenside (1174) + TX, fluvalinate (1184) + TX, FMC 1137 (development code) (1185) + TX, formetanate (405) + TX, formetanate hydrochloride (405) + TX, formothion (1192) + TX, formparanate (1193) + TX, 20 gamma-HCH (430) + TX, glyodin (1205) + TX, halfenprox (424) + TX, heptenophos (432) + TX, hexadecyl cyclopropanecarboxylate (IUPAC/Chemical Abstracts name) (1216) + TX, hexythiazox (441) + TX, iodomethane (IUPAC name) (542) + TX, isocarbophos (alternative name) (473) + TX, isopropyl O-(methoxyaminothiophosphoryl)salicylate (IUPAC name) (473) + TX, ivermectin (alternative name) [CCN] + TX, jasmolin I (696) + TX, jasmolin II (696) + TX, jodfenphos (1248) + 25 TX, lindane (430) + TX, lufenuron (490) + TX, malathion (492) + TX, malonoben (1254) + TX, mecarbam (502) + TX, mephosfolan (1261) + TX, mesulfen (alternative name) [CCN] + TX, methacrifos (1266) + TX, methamidophos (527) + TX, methidathion (529) + TX, methiocarb (530) + TX, methomyl (531) + TX, methyl bromide (537) + TX, metolcarb (550) + TX, mevinphos (556) + TX, mexacarbate (1290) + TX, milbemectin (557) + TX, milbemycin oxime (alternative name) [CCN] + TX, mipafox (1293) + TX, monocrotophos (561) + TX, morphothion (1300) + TX, 30 moxidectin (alternative name) [CCN] + TX, naled (567) + TX, NC-184 (compound code) + TX, NC-512 (compound code) + TX, nifluridide (1309) + TX, nikkomycins (alternative name) [CCN] + TX, nitrilacarb (1313) + TX, nitrilacarb 1:1 zinc chloride complex (1313) + TX, NNI-0101 (compound code) + TX, NNI-0250 (compound code) + TX, omethoate (594) + TX, oxamyl (602) + TX, 35 oxydeprofos (1324) + TX, oxydisulfoton (1325) + TX, pp'-DDT (219) + TX, parathion (615) + TX, permethrin (626) + TX, petroleum oils (alternative name) (628) + TX, phenkapton (1330) + TX, phenthoate (631) + TX, phorate (636) + TX, phosalone (637) + TX, phosfolan (1338) + TX, phosmet (638) + TX, phosphamidon (639) + TX, phoxim (642) + TX, pirimiphos-methyl (652) + TX, polychloroterpenes (traditional name) (1347) + TX, polynactins (alternative name) (653) + TX, proclonol (1350) + TX, profenofos (662) + TX, promacyl (1354) + TX, propargite (671) + TX, 40

propetamphos (673) + TX, propoxur (678) + TX, prothidathion (1360) + TX, prothoate (1362) + TX,

pyrethrin I (696) + TX, pyrethrin II (696) + TX, pyrethrins (696) + TX, pyridaben (699) + TX, pyridaphenthion (701) + TX, pyrimidifen (706) + TX, pyrimitate (1370) + TX, quinalphos (711) + TX, quintiofos (1381) + TX, R-1492 (development code) (1382) + TX, RA-17 (development code) (1383) + TX, rotenone (722) + TX, schradan (1389) + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, SI-0009 (compound code) + TX, sophamide (1402) + TX, spirodiclofen (738) + TX, spiromesifen (739) + TX, SSI-121 (development code) (1404) + TX, sulfiram (alternative name) [CCN] + TX, sulfluramid (750) + TX, sulfotep (753) + TX, sulphur (754) + TX, SZI-121 (development code) (757) + TX, tau-fluvalinate (398) + TX, tebufenpyrad (763) + TX, TEPP (1417) + TX, terbam (alternative name) + TX, tetrachlorvinphos (777) + TX, tetradifon (786) + TX, tetranactin (alternative name) (653) + TX, tetrasul (1425) + TX, thiafenox (alternative name) + TX, thiocarboxime (1431) + TX, thiofanox (800) + TX, thiometon (801) + TX, thioquinox (1436) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, trichlorfon (824) + TX, trifenofos (1455) + TX, trinactin (alternative name) (653) + TX, vamidothion (847) + TX, vaniliprole

[CCN] and YI-5302 (compound code) + TX,
 an algicide selected from the group of substances consisting of bethoxazin [CCN] + TX, copper dioctanoate (IUPAC name) (170) + TX, copper sulfate (172) + TX, cybutryne [CCN] + TX, dichlone (1052) + TX, dichlorophen (232) + TX, endothal (295) + TX, fentin (347) + TX, hydrated lime [CCN] + TX, nabam (566) + TX, quinoclamine (714) + TX, quinonamid (1379) + TX, simazine
 (730) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347)

(730) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX,

an anthelmintic selected from the group of substances consisting of abamectin (1) + TX, crufomate (1011) + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ivermectin (alternative name)

[CCN] + TX, milbemycin oxime (alternative name) [CCN] + TX, moxidectin (alternative name) [CCN] + TX, piperazine [CCN] + TX, selamectin (alternative name) [CCN] + TX, spinosad (737) and thiophanate (1435) + TX,

an avicide selected from the group of substances consisting of chloralose (127) + TX, endrin (1122) + TX, fenthion (346) + TX, pyridin-4-amine (IUPAC name) (23) and strychnine (745) + TX,

a bactericide selected from the group of substances consisting of 1-hydroxy-1*H*-pyridine-2-thione (IUPAC name) (1222) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, 8-hydroxyquinoline sulfate (446) + TX, bronopol (97) + TX, copper dioctanoate (IUPAC name) (170) + TX, copper hydroxide (IUPAC name) (169) + TX, cresol [CCN] + TX, dichlorophen (232) + TX, dipyrithione (1105) + TX, dodicin (1112) + TX, fenaminosulf (1144) + TX, formaldehyde (404) +

TX, hydrargaphen (alternative name) [CCN] + TX, kasugamycin (483) + TX, kasugamycin hydrochloride hydrate (483) + TX, nickel bis(dimethyldithiocarbamate) (IUPAC name) (1308) + TX, nitrapyrin (580) + TX, octhilinone (590) + TX, oxolinic acid (606) + TX, oxytetracycline (611) + TX, potassium hydroxyquinoline sulfate (446) + TX, probenazole (658) + TX, streptomycin (744) + TX, streptomycin sesquisulfate (744) + TX, tecloftalam (766) + TX, and thiomersal (alternative name)

40 [CCN] + TX,

5

10

25

a biological agent selected from the group of substances consisting of Adoxophyes orana GV (alternative name) (12) + TX, Agrobacterium radiobacter (alternative name) (13) + TX, Amblyseius spp. (alternative name) (19) + TX, Anagrapha falcifera NPV (alternative name) (28) + TX, Anagrus atomus (alternative name) (29) + TX, Aphelinus abdominalis (alternative name) (33) + TX, Aphidius 5 colemani (alternative name) (34) + TX, Aphidoletes aphidimyza (alternative name) (35) + TX, Autographa californica NPV (alternative name) (38) + TX, Bacillus firmus (alternative name) (48) + TX, Bacillus sphaericus Neide (scientific name) (49) + TX, Bacillus thuringiensis Berliner (scientific name) (51) + TX, Bacillus thuringiensis subsp. aizawai (scientific name) (51) + TX, Bacillus thuringiensis subsp. israelensis (scientific name) (51) + TX, Bacillus thuringiensis subsp. japonensis 10 (scientific name) (51) + TX, Bacillus thuringiensis subsp. kurstaki (scientific name) (51) + TX, Bacillus thuringiensis subsp. tenebrionis (scientific name) (51) + TX, Beauveria bassiana (alternative name) (53) + TX, Beauveria brongniartii (alternative name) (54) + TX, Chrysoperla carnea (alternative name) (151) + TX, Cryptolaemus montrouzieri (alternative name) (178) + TX, Cydia pomonella GV (alternative name) (191) + TX, Dacnusa sibirica (alternative name) (212) + TX, 15 Diglyphus isaea (alternative name) (254) + TX, Encarsia formosa (scientific name) (293) + TX, Eretmocerus eremicus (alternative name) (300) + TX, Helicoverpa zea NPV (alternative name) (431) + TX, Heterorhabditis bacteriophora and H. megidis (alternative name) (433) + TX, Hippodamia convergens (alternative name) (442) + TX, Leptomastix dactylopii (alternative name) (488) + TX, Macrolophus caliginosus (alternative name) (491) + TX, Mamestra brassicae NPV (alternative name) 20 (494) + TX, Metaphycus helvolus (alternative name) (522) + TX, Metarhizium anisopliae var. acridum (scientific name) (523) + TX, Metarhizium anisopliae var. anisopliae (scientific name) (523) + TX, Neodiprion sertifer NPV and N. lecontei NPV (alternative name) (575) + TX, Orius spp. (alternative name) (596) + TX, Paecilomyces fumosoroseus (alternative name) (613) + TX, Phytoseiulus persimilis (alternative name) (644) + TX, Spodoptera exigua multicapsid nuclear polyhedrosis virus (scientific name) (741) + TX, Steinernema bibionis (alternative name) (742) + TX, 25 Steinernema carpocapsae (alternative name) (742) + TX, Steinernema feltiae (alternative name) (742) + TX, Steinernema glaseri (alternative name) (742) + TX, Steinernema riobrave (alternative name) (742) + TX, Steinernema riobravis (alternative name) (742) + TX, Steinernema scapterisci (alternative name) (742) + TX, Steinernema spp. (alternative name) (742) + TX, Trichogramma spp. 30 (alternative name) (826) + TX, Typhlodromus occidentalis (alternative name) (844) and Verticillium lecanii (alternative name) (848) + TX, a soil sterilant selected from the group of substances consisting of iodomethane (IUPAC name) (542) and methyl bromide (537) + TX, a chemosterilant selected from the group of substances consisting of apholate [CCN] + TX, bisazir (alternative name) [CCN] + TX, busulfan (alternative name) [CCN] + TX, diflubenzuron (250) + TX, 35 dimatif (alternative name) [CCN] + TX, hemel [CCN] + TX, hempa [CCN] + TX, metepa [CCN] + TX, methiotepa [CCN] + TX, methyl apholate [CCN] + TX, morzid [CCN] + TX, penfluron (alternative name) [CCN] + TX, tepa [CCN] + TX, thiohempa (alternative name) [CCN] + TX,

thiotepa (alternative name) [CCN] + TX, tretamine (alternative name) [CCN] and uredepa (alternative

40

name) [CCN] + TX,

an insect pheromone selected from the group of substances consisting of (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol (IUPAC name) (222) + TX, (E)-tridec-4-en-1-yl acetate (IUPAC name) (829) + TX, (E)-6-methylhept-2-en-4-ol (IUPAC name) (541) + TX, (E,Z)-tetradeca-4,10-dien-1-yl acetate (IUPAC name) (779) + TX, (Z)-dodec-7-en-1-yl acetate (IUPAC name) (285) + TX, (Z)-hexadec-11-5 enal (IUPAC name) (436) + TX, (Z)-hexadec-11-en-1-yl acetate (IUPAC name) (437) + TX, (Z)hexadec-13-en-11-yn-1-yl acetate (IUPAC name) (438) + TX, (Z)-icos-13-en-10-one (IUPAC name) (448) + TX, (Z)-tetradec-7-en-1-al (IUPAC name) (782) + TX, (Z)-tetradec-9-en-1-ol (IUPAC name) (783) + TX, (Z)-tetradec-9-en-1-yl acetate (IUPAC name) (784) + TX, (7E,9Z)-dodeca-7,9-dien-1-yl acetate (IUPAC name) (283) + TX, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate (IUPAC name) (780) + 10 TX, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate (IUPAC name) (781) + TX, 14-methyloctadec-1-ene (IUPAC name) (545) + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one (IUPAC name) (544) + TX, alpha-multistriatin (alternative name) [CCN] + TX, brevicomin (alternative name) [CCN] + TX, codlelure (alternative name) [CCN] + TX, codlemone (alternative name) (167) + TX, cuelure (alternative name) (179) + TX, disparlure (277) + TX, dodec-8-en-1-yl acetate (IUPAC name) (286) 15 + TX, dodec-9-en-1-yl acetate (IUPAC name) (287) + TX, dodeca-8 + TX, 10-dien-1-yl acetate (IUPAC name) (284) + TX, dominicalure (alternative name) [CCN] + TX, ethyl 4-methyloctanoate (IUPAC name) (317) + TX, eugenol (alternative name) [CCN] + TX, frontalin (alternative name) [CCN] + TX, gossyplure (alternative name) (420) + TX, grandlure (421) + TX, grandlure I (alternative name) (421) + TX, grandlure II (alternative name) (421) + TX, grandlure III (alternative 20 name) (421) + TX, grandlure IV (alternative name) (421) + TX, hexalure [CCN] + TX, ipsdienol (alternative name) [CCN] + TX, ipsenol (alternative name) [CCN] + TX, japonilure (alternative name) (481) + TX, lineatin (alternative name) [CCN] + TX, litlure (alternative name) [CCN] + TX, looplure (alternative name) [CCN] + TX, medlure [CCN] + TX, megatomoic acid (alternative name) [CCN] + TX, methyl eugenol (alternative name) (540) + TX, muscalure (563) + TX, octadeca-2,13-dien-1-yl 25 acetate (IUPAC name) (588) + TX, octadeca-3.13-dien-1-yl acetate (IUPAC name) (589) + TX, orfralure (alternative name) [CCN] + TX, oryctalure (alternative name) (317) + TX, ostramone (alternative name) [CCN] + TX, siglure [CCN] + TX, sordidin (alternative name) (736) + TX, sulcatol (alternative name) [CCN] + TX, tetradec-11-en-1-yl acetate (IUPAC name) (785) + TX, trimedlure (839) + TX, trimedlure A (alternative name) (839) + TX, trimedlure B₁ (alternative name) (839) + TX, 30 trimedlure B₂ (alternative name) (839) + TX, trimedlure C (alternative name) (839) and trunc-call (alternative name) [CCN] + TX, an insect repellent selected from the group of substances consisting of 2-(octylthio)ethanol (IUPAC name) (591) + TX, butopyronoxyl (933) + TX, butoxy(polypropylene glycol) (936) + TX, dibutyl adipate (IUPAC name) (1046) + TX, dibutyl phthalate (1047) + TX, dibutyl succinate (IUPAC name) (1048) + TX, diethyltoluamide [CCN] + TX, dimethyl carbate [CCN] + TX, dimethyl phthalate [CCN] 35 + TX, ethyl hexanediol (1137) + TX, hexamide [CCN] + TX, methoquin-butyl (1276) + TX, methylneodecanamide [CCN] + TX, oxamate [CCN] and picaridin [CCN] + TX, an insecticide selected from the group of substances consisting of 1-dichloro-1-nitroethane (IUPAC/Chemical Abstracts name) (1058) + TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane (IUPAC 40 name) (1056), + TX, 1,2-dichloropropane (IUPAC/Chemical Abstracts name) (1062) + TX, 1,2-

dichloropropane with 1,3-dichloropropene (IUPAC name) (1063) + TX, 1-bromo-2-chloroethane

(IUPAC/Chemical Abstracts name) (916) + TX, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate (IUPAC name) (1451) + TX, 2,2-dichlorovinyl 2-ethylsulphinylethyl methyl phosphate (IUPAC name) (1066) + TX, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate (IUPAC/ Chemical Abstracts name) (1109) + TX, 2-(2-butoxyethoxy)ethyl thiocyanate (IUPAC/Chemical Abstracts name) (935) + TX, 2-5 (4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate (IUPAC/ Chemical Abstracts name) (1084) + TX, 2-(4-chloro-3,5-xylyloxy)ethanol (IUPAC name) (986) + TX, 2-chlorovinyl diethyl phosphate (IUPAC name) (984) + TX, 2-imidazolidone (IUPAC name) (1225) + TX, 2-isovalerylindan-1,3-dione (IUPAC name) (1246) + TX, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate (IUPAC name) (1284) + TX, 2-thiocyanatoethyl laurate (IUPAC name) (1433) + TX, 3-bromo-1-chloroprop-1-ene 10 (IUPAC name) (917) + TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate (IUPAC name) (1283) + TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate (IUPAC name) (1285) + TX, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate (IUPAC name) (1085) + TX, abamectin (1) + TX, acephate (2) + TX, acetamiprid (4) + TX, acethion (alternative name) [CCN] + TX, acetoprole [CCN] + TX, acrinathrin (9) + TX, acrylonitrile (IUPAC name) (861) + TX, alanycarb (15) + TX, 15 aldicarb (16) + TX, aldoxycarb (863) + TX, aldrin (864) + TX, allethrin (17) + TX, allosamidin (alternative name) [CCN] + TX, allyxycarb (866) + TX, alpha-cypermethrin (202) + TX, alphaecdysone (alternative name) [CCN] + TX, aluminium phosphide (640) + TX, amidithion (870) + TX, amidothioate (872) + TX, aminocarb (873) + TX, amiton (875) + TX, amiton hydrogen oxalate (875) + TX, amitraz (24) + TX, anabasine (877) + TX, athidathion (883) + TX, AVI 382 20 (compound code) + TX, AZ 60541 (compound code) + TX, azadirachtin (alternative name) (41) + TX, azamethiphos (42) + TX, azinphos-ethyl (44) + TX, azinphos-methyl (45) + TX, azothoate (889) + TX, Bacillus thuringiensis delta endotoxins (alternative name) (52) + TX, barium hexafluorosilicate (alternative name) [CCN] + TX, barium polysulfide (IUPAC/Chemical Abstracts name) (892) + TX, barthrin [CCN] + TX, Bayer 22/190 (development code) (893) + TX, Bayer 25 22408 (development code) (894) + TX, bendiocarb (58) + TX, benfuracarb (60) + TX, bensultap (66) + TX, beta-cyfluthrin (194) + TX, beta-cypermethrin (203) + TX, bifenthrin (76) + TX, bioallethrin (78) + TX, bioallethrin S-cyclopentenyl isomer (alternative name) (79) + TX, bioethanomethrin [CCN] + TX, biopermethrin (908) + TX, bioresmethrin (80) + TX, bis(2chloroethyl) ether (IUPAC name) (909) + TX, bistrifluron (83) + TX, borax (86) + TX, brofenvalerate 30 (alternative name) + TX, bromfenvinfos (914) + TX, bromocyclen (918) + TX, bromo-DDT (alternative name) [CCN] + TX, bromophos (920) + TX, bromophos-ethyl (921) + TX, bufencarb (924) + TX, buprofezin (99) + TX, butacarb (926) + TX, butathiofos (927) + TX, butocarboxim (103) + TX, butonate (932) + TX, butoxycarboxim (104) + TX, butylpyridaben (alternative name) + TX, cadusafos (109) + TX, calcium arsenate [CCN] + TX, calcium cyanide (444) + TX, calcium 35 polysulfide (IUPAC name) (111) + TX, camphechlor (941) + TX, carbanolate (943) + TX, carbaryl (115) + TX, carbofuran (118) + TX, carbon disulfide (IUPAC/Chemical Abstracts name) (945) + TX, carbon tetrachloride (IUPAC name) (946) + TX, carbophenothion (947) + TX, carbosulfan (119) + TX, cartap (123) + TX, cartap hydrochloride (123) + TX, cevadine (alternative name) (725) + TX, chlorbicyclen (960) + TX, chlordane (128) + TX, chlordecone (963) + TX, chlordimeform (964) + TX, chlordimeform hydrochloride (964) + TX, chlorethoxyfos (129) + TX, chlorfenapyr (130) + TX, 40 chlorfenvinphos (131) + TX, chlorfluazuron (132) + TX, chlormephos (136) + TX, chloroform [CCN]

+ TX, chloropicrin (141) + TX, chlorphoxim (989) + TX, chlorprazophos (990) + TX, chlorpyrifos (145) + TX, chlorpyrifos-methyl (146) + TX, chlorthiophos (994) + TX, chromafenozide (150) + TX, cinerin I (696) + TX, cinerin II (696) + TX, cinerins (696) + TX, cis-resmethrin (alternative name) + TX, cismethrin (80) + TX, clocythrin (alternative name) + TX, cloethocarb (999) + TX, closantel (alternative name) [CCN] + TX, clothianidin (165) + TX, copper acetoarsenite [CCN] + TX, copper 5 arsenate [CCN] + TX, copper oleate [CCN] + TX, coumaphos (174) + TX, coumithoate (1006) + TX, crotamiton (alternative name) [CCN] + TX, crotoxyphos (1010) + TX, crufomate (1011) + TX, cryolite (alternative name) (177) + TX, CS 708 (development code) (1012) + TX, cyanofenphos (1019) + TX, cyanophos (184) + TX, cyanthoate (1020) + TX, cyclethrin [CCN] + TX, 10 cycloprothrin (188) + TX, cyfluthrin (193) + TX, cyhalothrin (196) + TX, cypermethrin (201) + TX, cyphenothrin (206) + TX, cyromazine (209) + TX, cythioate (alternative name) [CCN] + TX, dlimonene (alternative name) [CCN] + TX, d-tetramethrin (alternative name) (788) + TX, DAEP (1031) + TX, dazomet (216) + TX, DDT (219) + TX, decarbofuran (1034) + TX, deltamethrin (223) + TX, demephion (1037) + TX, demephion-O (1037) + TX, demephion-S (1037) + TX, demeton 15 (1038) + TX, demeton-methyl (224) + TX, demeton-O (1038) + TX, demeton-O-methyl (224) + TX, demeton-S (1038) + TX, demeton-S-methyl (224) + TX, demeton-S-methylsulphon (1039) + TX, diafenthiuron (226) + TX, dialifos (1042) + TX, diamidafos (1044) + TX, diazinon (227) + TX, dicapthon (1050) + TX, dichlofenthion (1051) + TX, dichlorvos (236) + TX, dicliphos (alternative name) + TX, dicresyl (alternative name) [CCN] + TX, dicrotophos (243) + TX, dicyclanil (244) + TX, 20 dieldrin (1070) + TX, diethyl 5-methylpyrazol-3-yl phosphate (IUPAC name) (1076) + TX, diflubenzuron (250) + TX, dilor (alternative name) [CCN] + TX, dimefluthrin [CCN] + TX, dimefox (1081) + TX, dimetan (1085) + TX, dimethoate (262) + TX, dimethrin (1083) + TX, dimethylvinphos (265) + TX, dimetilan (1086) + TX, dinex (1089) + TX, dinex-diclexine (1089) + TX, dinoprop (1093) + TX, dinosam (1094) + TX, dinoseb (1095) + TX, dinotefuran (271) + TX, 25 diofenolan (1099) + TX, dioxabenzofos (1100) + TX, dioxacarb (1101) + TX, dioxathion (1102) + TX, disulfoton (278) + TX, dithicrofos (1108) + TX, DNOC (282) + TX, doramectin (alternative name) [CCN] + TX, DSP (1115) + TX, ecdysterone (alternative name) [CCN] + TX, El 1642 (development code) (1118) + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, EMPC (1120) + TX, empenthrin (292) + TX, endosulfan (294) + TX, endothion (1121) + TX, endrin 30 (1122) + TX, EPBP (1123) + TX, EPN (297) + TX, epofenonane (1124) + TX, eprinomectin (alternative name) [CCN] + TX, esfenvalerate (302) + TX, etaphos (alternative name) [CCN] + TX, ethiofencarb (308) + TX, ethion (309) + TX, ethiprole (310) + TX, ethoate-methyl (1134) + TX, ethoprophos (312) + TX, ethyl formate (IUPAC name) [CCN] + TX, ethyl-DDD (alternative name) (1056) + TX, ethylene dibromide (316) + TX, ethylene dichloride (chemical name) (1136) + TX, 35 ethylene oxide [CCN] + TX, etofenprox (319) + TX, etrimfos (1142) + TX, EXD (1143) + TX, famphur (323) + TX, fenamiphos (326) + TX, fenazaflor (1147) + TX, fenchlorphos (1148) + TX, fenethacarb (1149) + TX, fenfluthrin (1150) + TX, fenitrothion (335) + TX, fenobucarb (336) + TX, fenoxacrim (1153) + TX, fenoxycarb (340) + TX, fenpirithrin (1155) + TX, fenpropathrin (342) + TX, fenpyrad (alternative name) + TX, fensulfothion (1158) + TX, fenthion (346) + TX, fenthion-ethyl [CCN] + TX, fenvalerate (349) + TX, fipronil (354) + TX, flonicamid (358) + TX, flubendiamide 40

(CAS. Reg. No.: 272451-65-7) + TX, flucofuron (1168) + TX, flucycloxuron (366) + TX,

flucythrinate (367) + TX, fluenetil (1169) + TX, flufenerim [CCN] + TX, flufenoxuron (370) + TX, flufenprox (1171) + TX, flumethrin (372) + TX, fluvalinate (1184) + TX, FMC 1137 (development code) (1185) + TX, fonofos (1191) + TX, formetanate (405) + TX, formetanate hydrochloride (405) + TX, formothion (1192) + TX, formparanate (1193) + TX, fosmethilan (1194) + TX, fospirate (1195) + TX, fosthiazate (408) + TX, fosthietan (1196) + TX, furathiocarb (412) + TX, furethrin 5 (1200) + TX, gamma-cyhalothrin (197) + TX, gamma-HCH (430) + TX, guazatine (422) + TX, quazatine acetates (422) + TX, GY-81 (development code) (423) + TX, halfenprox (424) + TX, halofenozide (425) + TX, HCH (430) + TX, HEOD (1070) + TX, heptachlor (1211) + TX, heptenophos (432) + TX, heterophos [CCN] + TX, hexaflumuron (439) + TX, HHDN (864) + TX, 10 hydramethylnon (443) + TX, hydrogen cyanide (444) + TX, hydroprene (445) + TX, hyquincarb (1223) + TX, imidacloprid (458) + TX, imiprothrin (460) + TX, indoxacarb (465) + TX, iodomethane (IUPAC name) (542) + TX, IPSP (1229) + TX, isazofos (1231) + TX, isobenzan (1232) + TX, isocarbophos (alternative name) (473) + TX, isodrin (1235) + TX, isofenphos (1236) + TX, isolane (1237) + TX, isoprocarb (472) + TX, isopropyl O-(methoxy-15 aminothiophosphoryl)salicylate (IUPAC name) (473) + TX, isoprothiolane (474) + TX, isothioate (1244) + TX, isoxathion (480) + TX, ivermectin (alternative name) [CCN] + TX, jasmolin I (696) + TX, jasmolin II (696) + TX, jodfenphos (1248) + TX, juvenile hormone I (alternative name) [CCN] + TX, juvenile hormone II (alternative name) [CCN] + TX, juvenile hormone III (alternative name) [CCN] + TX, kelevan (1249) + TX, kinoprene (484) + TX, lambda-cyhalothrin (198) + TX, lead 20 arsenate [CCN] + TX, lepimectin (CCN) + TX, leptophos (1250) + TX, lindane (430) + TX, lirimfos (1251) + TX, lufenuron (490) + TX, lythidathion (1253) + TX, m-cumenyl methylcarbamate (IUPAC name) (1014) + TX, magnesium phosphide (IUPAC name) (640) + TX, malathion (492) + TX, malonoben (1254) + TX, mazidox (1255) + TX, mecarbam (502) + TX, mecarphon (1258) + TX, menazon (1260) + TX, mephosfolan (1261) + TX, mercurous chloride (513) + TX, mesulfenfos 25 (1263) + TX, metaflumizone (CCN) + TX, metam (519) + TX, metam-potassium (alternative name) (519) + TX, metam-sodium (519) + TX, methacrifos (1266) + TX, methamidophos (527) + TX, methanesulphonyl fluoride (IUPAC/Chemical Abstracts name) (1268) + TX, methidathion (529) + TX, methiocarb (530) + TX, methocrotophos (1273) + TX, methomyl (531) + TX, methoprene (532) + TX, methoquin-butyl (1276) + TX, methothrin (alternative name) (533) + TX, methoxychlor (534) + 30 TX, methoxyfenozide (535) + TX, methyl bromide (537) + TX, methyl isothiocyanate (543) + TX, methylchloroform (alternative name) [CCN] + TX, methylene chloride [CCN] + TX, metofluthrin [CCN] + TX, metolcarb (550) + TX, metoxadiazone (1288) + TX, mevinphos (556) + TX, mexacarbate (1290) + TX, milbemectin (557) + TX, milbemycin oxime (alternative name) [CCN] + TX, mipafox (1293) + TX, mirex (1294) + TX, monocrotophos (561) + TX, morphothion (1300) + 35 TX, moxidectin (alternative name) [CCN] + TX, naftalofos (alternative name) [CCN] + TX, naled (567) + TX, naphthalene (IUPAC/Chemical Abstracts name) (1303) + TX, NC-170 (development code) (1306) + TX, NC-184 (compound code) + TX, nicotine (578) + TX, nicotine sulfate (578) + TX, nifluridide (1309) + TX, nitenpyram (579) + TX, nithiazine (1311) + TX, nitrilacarb (1313) +

40 (compound code) + TX, nornicotine (traditional name) (1319) + TX, novaluron (585) + TX, noviflumuron (586) + TX, O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate (IUPAC name)

TX, nitrilacarb 1:1 zinc chloride complex (1313) + TX, NNI-0101 (compound code) + TX, NNI-0250

(1057) + TX, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate (IUPAC name) (1074) + TX, O,O-diethyl O-6-methyl-2-propylpyrimidin-4-yl phosphorothioate (IUPAC name) (1075) + TX, O,O,O',O'-tetrapropyl dithiopyrophosphate (IUPAC name) (1424) + TX, oleic acid (IUPAC name) (593) + TX, omethoate (594) + TX, oxamyl (602) + TX, oxydemeton-methyl (609) + TX, 5 oxydeprofos (1324) + TX, oxydisulfoton (1325) + TX, pp'-DDT (219) + TX, para-dichlorobenzene [CCN] + TX, parathion (615) + TX, parathion-methyl (616) + TX, penfluron (alternative name) [CCN] + TX, pentachlorophenol (623) + TX, pentachlorophenyl laurate (IUPAC name) (623) + TX, permethrin (626) + TX, petroleum oils (alternative name) (628) + TX, PH 60-38 (development code) (1328) + TX, phenkapton (1330) + TX, phenothrin (630) + TX, phenthoate (631) + TX, phorate 10 (636) + TX, phosalone (637) + TX, phosfolan (1338) + TX, phosmet (638) + TX, phosnichlor (1339) + TX, phosphamidon (639) + TX, phosphine (IUPAC name) (640) + TX, phoxim (642) + TX, phoxim-methyl (1340) + TX, pirimetaphos (1344) + TX, pirimicarb (651) + TX, pirimiphos-ethyl (1345) + TX, pirimiphos-methyl (652) + TX, polychlorodicyclopentadiene isomers (IUPAC name) (1346) + TX, polychloroterpenes (traditional name) (1347) + TX, potassium arsenite [CCN] + TX, potassium thiocyanate [CCN] + TX, prallethrin (655) + TX, precocene I (alternative name) [CCN] + 15 TX, precocene II (alternative name) [CCN] + TX, precocene III (alternative name) [CCN] + TX, primidophos (1349) + TX, profenofos (662) + TX, profluthrin [CCN] + TX, promacyl (1354) + TX, promecarb (1355) + TX, propaphos (1356) + TX, propetamphos (673) + TX, propoxur (678) + TX, prothidathion (1360) + TX, prothiofos (686) + TX, prothoate (1362) + TX, protrifenbute [CCN] + TX, 20 pymetrozine (688) + TX, pyraclofos (689) + TX, pyraclofos (689) + TX, pyresmethrin (1367) + TX, pyrethrin I (696) + TX, pyrethrin II (696) + TX, pyrethrins (696) + TX, pyridaben (699) + TX, pyridalyl (700) + TX, pyridaphenthion (701) + TX, pyrimidifen (706) + TX, pyrimitate (1370) + TX, pyriproxyfen (708) + TX, quassia (alternative name) [CCN] + TX, quinalphos (711) + TX, quinalphos-methyl (1376) + TX, quinothion (1380) + TX, quintiofos (1381) + TX, R-1492 25 (development code) (1382) + TX, rafoxanide (alternative name) [CCN] + TX, resmethrin (719) + TX, rotenone (722) + TX, RU 15525 (development code) (723) + TX, RU 25475 (development code) (1386) + TX, ryania (alternative name) (1387) + TX, ryanodine (traditional name) (1387) + TX, sabadilla (alternative name) (725) + TX, schradan (1389) + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, SI-0009 (compound code) + TX, SI-0205 (compound 30 code) + TX, SI-0404 (compound code) + TX, SI-0405 (compound code) + TX, silafluofen (728) + TX, SN 72129 (development code) (1397) + TX, sodium arsenite [CCN] + TX, sodium cyanide (444) + TX, sodium fluoride (IUPAC/Chemical Abstracts name) (1399) + TX, sodium hexafluorosilicate (1400) + TX, sodium pentachlorophenoxide (623) + TX, sodium selenate (IUPAC name) (1401) + TX, sodium thiocyanate [CCN] + TX, sophamide (1402) + TX, spinosad (737) + 35 TX, spiromesifen (739) + TX, spirotetrmat (CCN) + TX, sulcofuron (746) + TX, sulcofuron-sodium (746) + TX, sulfluramid (750) + TX, sulfotep (753) + TX, sulphuryl fluoride (756) + TX, sulprofos (1408) + TX, tar oils (alternative name) (758) + TX, tau-fluvalinate (398) + TX, tazimcarb (1412) + TX, TDE (1414) + TX, tebufenozide (762) + TX, tebufenpyrad (763) + TX, tebupirimfos (764) + TX, teflubenzuron (768) + TX, tefluthrin (769) + TX, temephos (770) + TX, TEPP (1417) + TX, terallethrin (1418) + TX, terbam (alternative name) + TX, terbufos (773) + TX, tetrachloroethane 40 [CCN] + TX, tetrachlorvinphos (777) + TX, tetramethrin (787) + TX, theta-cypermethrin (204) + TX,

thiacloprid (791) + TX, thiafenox (alternative name) + TX, thiamethoxam (792) + TX, thicrofos (1428) + TX, thiocarboxime (1431) + TX, thiocyclam (798) + TX, thiocyclam hydrogen oxalate (798) + TX, thiodicarb (799) + TX, thiofanox (800) + TX, thiometon (801) + TX, thionazin (1434) + TX, thiosultap (803) + TX, thiosultap-sodium (803) + TX, thuringiensin (alternative name) [CCN] + TX, tolfenpyrad (809) + TX, tralomethrin (812) + TX, transfluthrin (813) + TX, transpermethrin 5 (1440) + TX, triamiphos (1441) + TX, triazamate (818) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, trichlorfon (824) + TX, trichlormetaphos-3 (alternative name) [CCN] + TX, trichloronat (1452) + TX, trifenofos (1455) + TX, triflumuron (835) + TX, trimethacarb (840) + TX, triprene (1459) + TX, vamidothion (847) + TX, vaniliprole [CCN] + TX, veratridine (alternative 10 name) (725) + TX, veratrine (alternative name) (725) + TX, XMC (853) + TX, xylylcarb (854) + TX, YI-5302 (compound code) + TX, zeta-cypermethrin (205) + TX, zetamethrin (alternative name) + TX, zinc phosphide (640) + TX, zolaprofos (1469) and ZXI 8901 (development code) (858) + TX, cyantraniliprole [736994-63-19] + TX, chlorantraniliprole [500008-45-7] + TX, cyenopyrafen [560121-52-0] + TX, cyflumetofen [400882-07-7] + TX, pyrifluquinazon [337458-27-2] + TX, spinetoram [187166-40-1 + 187166-15-0] + TX, spirotetramat [203313-25-1] + TX, sulfoxaflor [946578-00-3] + TX, 15 flufiprole [704886-18-0] + TX, meperfluthrin [915288-13-0] + TX, tetramethylfluthrin [84937-88-2] + TX, a molluscicide selected from the group of substances consisting of bis(tributyltin) oxide (IUPAC name) (913) + TX, bromoacetamide [CCN] + TX, calcium arsenate [CCN] + TX, cloethocarb (999) + TX, copper acetoarsenite [CCN] + TX, copper sulfate (172) + TX, fentin (347) + TX, ferric phosphate 20 (IUPAC name) (352) + TX, metaldehyde (518) + TX, methiocarb (530) + TX, niclosamide (576) + TX, niclosamide-olamine (576) + TX, pentachlorophenol (623) + TX, sodium pentachlorophenoxide (623) + TX, tazimcarb (1412) + TX, thiodicarb (799) + TX, tributyltin oxide (913) + TX, trifenmorph (1454) + TX, trimethacarb (840) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX, pyriprole [394730-71-3] + TX, 25 a nematicide selected from the group of substances consisting of AKD-3088 (compound code) + TX, 1,2-dibromo-3-chloropropane (IUPAC/Chemical Abstracts name) (1045) + TX, 1,2-dichloropropane (IUPAC/ Chemical Abstracts name) (1062) + TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063) + TX, 1,3-dichloropropene (233) + TX, 3,4-dichlorotetrahydrothiophene 1,1dioxide (IUPAC/Chemical Abstracts name) (1065) + TX, 3-(4-chlorophenyl)-5-methylrhodanine 30 (IUPAC name) (980) + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid (IUPAC name) (1286) + TX, 6-isopentenylaminopurine (alternative name) (210) + TX, abamectin (1) + TX, acetoprole [CCN] + TX, alanycarb (15) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, AZ 60541 (compound code) + TX, benclothiaz [CCN] + TX, benomyl (62) + TX, butylpyridaben (alternative name) + TX, cadusafos (109) + TX, carbofuran (118) + TX, carbon disulfide (945) + TX, 35 carbosulfan (119) + TX, chloropicrin (141) + TX, chlorpyrifos (145) + TX, cloethocarb (999) + TX, cytokinins (alternative name) (210) + TX, dazomet (216) + TX, DBCP (1045) + TX, DCIP (218) + TX, diamidafos (1044) + TX, dichlofenthion (1051) + TX, dicliphos (alternative name) + TX, dimethoate (262) + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ethoprophos (312) + TX, ethylene dibromide (316) + TX, fenamiphos (326) + TX, fenpyrad (alternative name) + TX, 40

fensulfothion (1158) + TX, fosthiazate (408) + TX, fosthietan (1196) + TX, furfural (alternative

name) [CCN] + TX, GY-81 (development code) (423) + TX, heterophos [CCN] + TX, iodomethane (IUPAC name) (542) + TX, isamidofos (1230) + TX, isazofos (1231) + TX, ivermectin (alternative name) [CCN] + TX, kinetin (alternative name) (210) + TX, mecarphon (1258) + TX, metam (519) + TX, metam-potassium (alternative name) (519) + TX, metam-sodium (519) + TX, methyl bromide (537) + TX, methyl isothiocyanate (543) + TX, milbemycin oxime (alternative name) [CCN] + TX, moxidectin (alternative name) [CCN] + TX, Myrothecium verrucaria composition (alternative name) (565) + TX, NC-184 (compound code) + TX, oxamyl (602) + TX, phorate (636) + TX, phosphamidon (639) + TX, phosphocarb [CCN] + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, spinosad (737) + TX, terbam (alternative name) + TX, terbufos (773) + TX, tetrachlorothiophene (IUPAC/ Chemical Abstracts name) (1422) + TX, thiafenox

(773) + TX, tetrachlorothiophene (IUPAC/ Chemical Abstracts name) (1422) + TX, thiafenox (alternative name) + TX, thionazin (1434) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, xylenols [CCN] + TX, YI-5302 (compound code) and zeatin (alternative name) (210) + TX, fluensulfone [318290-98-1] + TX,

a nitrification inhibitor selected from the group of substances consisting of potassium ethylxanthate [CCN] and nitrapyrin (580) + TX,

a plant activator selected from the group of substances consisting of acibenzolar (6) + TX, acibenzolar-S-methyl (6) + TX, probenazole (658) and *Reynoutria sachalinensis* extract (alternative name) (720) + TX,

a rodenticide selected from the group of substances consisting of 2-isovalerylindan-1,3-dione (IUPAC name) (1246) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, alphachlorohydrin [CCN] + TX, aluminium phosphide (640) + TX, antu (880) + TX, arsenous oxide (882) + TX, barium carbonate (891) + TX, bisthiosemi (912) + TX, brodifacoum (89) + TX, bromadiolone (91) + TX, bromethalin (92) + TX, calcium cyanide (444) + TX, chloralose (127) + TX, chlorophacinone (140) + TX, cholecalciferol (alternative name) (850) + TX, coumachlor (1004)

- + TX, coumafuryl (1005) + TX, coumatetralyl (175) + TX, crimidine (1009) + TX, difenacoum (246) + TX, difethialone (249) + TX, diphacinone (273) + TX, ergocalciferol (301) + TX, flocoumafen (357) + TX, fluoroacetamide (379) + TX, fluoropadine (1183) + TX, fluoropadine hydrochloride (1183) + TX, gamma-HCH (430) + TX, HCH (430) + TX, hydrogen cyanide (444) + TX, iodomethane (IUPAC name) (542) + TX, lindane (430) + TX, magnesium phosphide (IUPAC name) (640) + TX, methyl bromide (537) + TX, norbormide (1318) + TX, phosacetim (1336) + TX,
 - phosphine (IUPAC name) (640) + TX, phosphorus [CCN] + TX, pindone (1341) + TX, potassium arsenite [CCN] + TX, pyrinuron (1371) + TX, scilliroside (1390) + TX, sodium arsenite [CCN] + TX, sodium cyanide (444) + TX, sodium fluoroacetate (735) + TX, strychnine (745) + TX, thallium sulfate [CCN] + TX, warfarin (851) and zinc phosphide (640) + TX,
- a synergist selected from the group of substances consisting of 2-(2-butoxyethoxy)ethyl piperonylate (IUPAC name) (934) + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone (IUPAC name) (903) + TX, farnesol with nerolidol (alternative name) (324) + TX, MB-599 (development code) (498) + TX, MGK 264 (development code) (296) + TX, piperonyl butoxide (649) + TX, piprotal (1343) + TX, propyl isomer (1358) + TX, S421 (development code) (724) + TX, sesamex (1393) + TX,
- 40 sesasmolin (1394) and sulfoxide (1406) + TX,

5

10

15

an animal repellent selected from the group of substances consisting of anthraquinone (32) + TX, chloralose (127) + TX, copper naphthenate [CCN] + TX, copper oxychloride (171) + TX, diazinon (227) + TX, dicyclopentadiene (chemical name) (1069) + TX, guazatine (422) + TX, guazatine acetates (422) + TX, methiocarb (530) + TX, pyridin-4-amine (IUPAC name) (23) + TX, thiram (804) + TX, trimethacarb (840) + TX, zinc naphthenate [CCN] and ziram (856) + TX, a virucide selected from the group of substances consisting of imanin (alternative name) [CCN] and ribavirin (alternative name) [CCN] + TX, a wound protectant selected from the group of substances consisting of mercuric oxide (512) + TX,

5

20

25

30

35

and biologically active compounds selected from the group consisting of azaconazole (60207-31-0] + TX, bitertanol [70585-36-3] + TX, bromuconazole [116255-48-2] + TX, cyproconazole [94361-06-5] + TX, difenoconazole [119446-68-3] + TX, diniconazole [83657-24-3] + TX, epoxiconazole [106325-08-0] + TX, fenbuconazole [114369-43-6] + TX, fluquinconazole [136426-54-5] + TX, flusilazole [85509-19-9] + TX, flutriafol [76674-21-0] + TX, hexaconazole [79983-71-4] + TX,

octhilinone (590) and thiophanate-methyl (802) + TX,

imazalil [35554-44-0] + TX, imibenconazole [86598-92-7] + TX, ipconazole [125225-28-7] + TX, metconazole [125116-23-6] + TX, myclobutanil [88671-89-0] + TX, pefurazoate [101903-30-4] + TX, penconazole [66246-88-6] + TX, prothioconazole [178928-70-6] + TX, pyrifenox [88283-41-4] + TX, prochloraz [67747-09-5] + TX, propiconazole [60207-90-1] + TX, simeconazole [149508-90-7] + TX, tebuconazole [107534-96-3] + TX, tetraconazole [112281-77-3] + TX, triadimefon [43121-43-3] +

TX, triadimenol [55219-65-3] + TX, triflumizole [99387-89-0] + TX, triticonazole [131983-72-7] + TX, ancymidol [12771-68-5] + TX, fenarimol [60168-88-9] + TX, nuarimol [63284-71-9] + TX, bupirimate [41483-43-6] + TX, dimethirimol [5221-53-4] + TX, ethirimol [23947-60-6] + TX, dodemorph [1593-77-7] + TX, fenpropidine [67306-00-7] + TX, fenpropimorph [67564-91-4] + TX, spiroxamine [118134-30-8] + TX, tridemorph [81412-43-3] + TX, cyprodinil [121552-61-2] + TX, mepanipyrim [110235-47-7] + TX, pyrimethanil [53112-28-0] + TX, fenpiclonil [74738-17-3] + TX,

mepanipyrim [110235-47-7] + TX, pyrimethanii [53112-28-0] + TX, fenpicionii [74738-17-3] + TX, fludioxonii [131341-86-1] + TX, benalaxyl [71626-11-4] + TX, furalaxyl [57646-30-7] + TX, metalaxyl [57837-19-1] + TX, R-metalaxyl [70630-17-0] + TX, ofurace [58810-48-3] + TX, oxadixyl [77732-09-3] + TX, benomyl [17804-35-2] + TX, carbendazim [10605-21-7] + TX, debacarb [62732-91-6] + TX, fuberidazole [3878-19-1] + TX, thiabendazole [148-79-8] + TX, chlozolinate [84332-86-5] + TX, dichlozoline [24201-58-9] + TX, iprodione [36734-19-7] + TX, myclozoline

[54864-61-8] + TX, procymidone [32809-16-8] + TX, vinclozoline [50471-44-8] + TX, boscalid [188425-85-6] + TX, carboxin [5234-68-4] + TX, fenfuram [24691-80-3] + TX, flutolanil [66332-96-5] + TX, mepronil [55814-41-0] + TX, oxycarboxin [5259-88-1] + TX, penthiopyrad [183675-82-3] + TX, thifluzamide [130000-40-7] + TX, guazatine [108173-90-6] + TX, dodine [2439-10-3] [112-65-

2] (free base) + TX, iminoctadine [13516-27-3] + TX, azoxystrobin [131860-33-8] + TX, dimoxystrobin [149961-52-4] + TX, enestroburin {Proc. BCPC, Int. Congr., Glasgow, 2003, **1**, 93} + TX, fluoxastrobin [361377-29-9] + TX, kresoxim-methyl [143390-89-0] + TX, metominostrobin [133408-50-1] + TX, trifloxystrobin [141517-21-7] + TX, orysastrobin [248593-16-0] + TX, picoxystrobin [117428-22-5] + TX, pyraclostrobin [175013-18-0] + TX, ferbam [14484-64-1] + TX,

40 mancozeb [8018-01-7] + TX, maneb [12427-38-2] + TX, metiram [9006-42-2] + TX, propineb [12071-83-9] + TX, thiram [137-26-8] + TX, zineb [12122-67-7] + TX, ziram [137-30-4] + TX,

captafol [2425-06-1] + TX, captan [133-06-2] + TX, dichlofluanid [1085-98-9] + TX, fluoroimide [41205-21-4] + TX, folpet [133-07-3] + TX, tolylfluanid [731-27-1] + TX, bordeaux mixture [8011-63-0] + TX, copperhydroxid [20427-59-2] + TX, copperoxychlorid [1332-40-7] + TX, coppersulfat [7758-98-7] + TX, copperoxid [1317-39-1] + TX, mancopper [53988-93-5] + TX, oxine-copper [10380-28-6] + TX, dinocap [131-72-6] + TX, nitrothal-isopropyl [10552-74-6] + TX, edifenphos 5 [17109-49-8] + TX, iprobenphos [26087-47-8] + TX, isoprothiolane [50512-35-1] + TX, phosdiphen [36519-00-3] + TX, pyrazophos [13457-18-6] + TX, tolclofos-methyl [57018-04-9] + TX, acibenzolar-S-methyl [135158-54-2] + TX, anilazine [101-05-3] + TX, benthiavalicarb [413615-35-7] + TX, blasticidin-S [2079-00-7] + TX, chinomethionat [2439-01-2] + TX, chloroneb [2675-77-6] + TX, 10 chlorothalonil [1897-45-6] + TX, cyflufenamid [180409-60-3] + TX, cymoxanil [57966-95-7] + TX, dichlone [117-80-6] + TX, diclocymet [139920-32-4] + TX, diclomezine [62865-36-5] + TX, dicloran [99-30-9] + TX, diethofencarb [87130-20-9] + TX, dimethomorph [110488-70-5] + TX, SYP-LI90 (Flumorph) [211867-47-9] + TX, dithianon [3347-22-6] + TX, ethaboxam [162650-77-3] + TX, etridiazole [2593-15-9] + TX, famoxadone [131807-57-3] + TX, fenamidone [161326-34-7] + TX, 15 fenoxanil [115852-48-7] + TX, fentin [668-34-8] + TX, ferimzone [89269-64-7] + TX, fluazinam [79622-59-6] + TX, fluopicolide [239110-15-7] + TX, fluoulfamide [106917-52-6] + TX, fenhexamid [126833-17-8] + TX, fosetyl-aluminium [39148-24-8] + TX, hymexazol [10004-44-1] + TX, iprovalicarb [140923-17-7] + TX, IKF-916 (Cyazofamid) [120116-88-3] + TX, kasugamycin [6980-18-3] + TX, methasulfocarb [66952-49-6] + TX, metrafenone [220899-03-6] + TX, pencycuron 20 [66063-05-6] + TX, phthalide [27355-22-2] + TX, polyoxins [11113-80-7] + TX, probenazole [27605-76-1] + TX, propamocarb [25606-41-1] + TX, proquinazid [189278-12-4] + TX, pyroquilon [57369-32-1] + TX, quinoxyfen [124495-18-7] + TX, quintozene [82-68-8] + TX, sulphur [7704-34-9] + TX, tiadinil [223580-51-6] + TX, triazoxide [72459-58-6] + TX, tricyclazole [41814-78-2] + TX, triforine [26644-46-2] + TX, validamycin [37248-47-8] + TX, zoxamide (RH7281) [156052-68-5] + 25 TX, mandipropamid [374726-62-2] + TX, isopyrazam [881685-58-1] + TX, sedaxane [874967-67-6] + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (9-dichloromethylene-1,2,3,4-tetrahydro-1,4-methano-naphthalen-5-yl)-amide (dislosed in WO 2007/048556) + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid [2-(2,4-dichlorophenyl)-2-methoxy-1-methyl-ethyl]-amide (disclosed in WO 2008/148570) + TX, 1-[4-[4-[(5S)5-(2,6-difluorophenyl)-4,5-dihydro-1,2-oxazol-3-yl]-1,3-thiazol-2-30 vllpiperidin-1-yl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone + TX, 1-[4-[4-[5-(2,6difluorophenyl)-4,5-dihydro-1,2-oxazol-3-yl]-1,3-thiazol-2-yl]piperidin-1-yl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone [1003318-67-9], both disclosed in WO 2010/123791, WO 2008/013925, WO 2008/013622 and WO 2011/051243 page 20) +TX, 3-difluoromethyl-1-methyl-1Hpyrazole-4-carboxylic acid (3',4',5'-trifluoro-biphenyl-2-yl)-amide (disclosed in WO 2006/087343) + TX, 35 1-methyl-2-(2,4,5-trichloro-thiophen-3-yl)-ethyl] + TX, (S)-[3-(4-Chloro-2-fluoro-phenyl)-5 -(2,4difluoro-phenyl)-isoxazol-4-y I]-pyridin-3-yl-methanol + TX, 3-(4-Chloro-2-fluoro-phenyl)-5 -(2,4difluoro-phenyl)-isoxazol-4-y l]-pyridin-3-yl-methanol + TX, (3-difluoromethyl-1-methyl-1H-pyrazole-4carboxylic acid (3',4'-dichloro-5-fluoro-1,1'-biphenyl-2-yl)-amide (bixafen) + TX, (N-{2-[3-Chloro-5-(trifluoromethyl)pyridin-2-yl]ethyl}-2-(trifluoromethyl)benzamid (fluopyram) + TX, N-[2-(1,3-40 dimethylbutyl)phenyl]-5-fluoro-1,3-dimethyl-1H-pyrazole-4-carboxamide (Penflufen) + TX, 1-[4-[4-[5-

(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-

1H-pyrazol-1-yl]ethanone (CAS Reg.-No.: 1003318-67-9, oxathiapiprolin) + TX and 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (3',4',5'-trifluoro-biphenyl-2-yl)-amide (dislosed in WO 2006/087343) + TX, flupyradifurone (CAS registry number 951659-40-8) + TX, afidopyropen (CAS registry number 915972-17-7) + TX, pasteuria penetrans and TX, pasteuria spp. + TX, bacillus firmus +TX, bacillus cereus + TX, bacillus subtilis + TX and pasteuria penetrans +TX..

The references in brackets behind the active ingredients, e.g. [3878-19-1] refer to the Chemical Abstracts Registry number. The above described mixing partners are known. Where the active ingredients are included in "The Pesticide Manual" [The Pesticide Manual - A World Compendium; Thirteenth Edition; Editor: C. D. S. TomLin; The British Crop Protection Council], they are described therein under the entry number given in round brackets hereinabove for the particular compound; for example, the compound "abamectin" is described under entry number (1). Where "[CCN]" is added hereinabove to the particular compound, the compound in question is included in the "Compendium of Pesticide Common Names", which is accessible on the internet [A. Wood; Compendium of Pesticide Common Names, Copyright © 1995-2004]; for example, the compound "acetoprole" is described under the internet address http://www.alanwood.net/pesticides/acetoprole.html.

Most of the active ingredients described above are referred to hereinabove by a so-called "common name", the relevant "ISO common name" or another "common name" being used in individual cases. If the designation is not a "common name", the nature of the designation used instead is given in round brackets for the particular compound; in that case, the IUPAC name, the IUPAC/Chemical Abstracts name, a "chemical name", a "traditional name", a "compound name" or a "development code" is used or, if neither one of those designations nor a "common name" is used, an "alternative name" is employed. "CAS Reg. No" means the Chemical Abstracts Registry Number.

25

30

35

40

5

10

15

20

The active ingredient mixture of the compounds of formula I selected from table P with active ingredients described above comprises a compound selected from selected from Table 1 (compounds 1.1. to 1.75) or Table A (compounds 1 to 7) and an active ingredient as described above preferably in a mixing ratio of from 100:1 to 1:6000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a ratio of from 4:1 to 2:1 being likewise preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on the other hand, molar ratios.

The mixtures as described above can be used in a method for controlling pests, which comprises applying a composition comprising a mixture as described above to the pests or their environment, with the exception of a method for treatment of the human or animal body by surgery or therapy and diagnostic methods practised on the human or animal body.

WO 2014/173880 PCT/EP2014/058107 -35-

The mixtures comprising a compound of formula I selected from selected from Tables 2 to 2400 or a compound selected from Table 3 and one or more active ingredients as described above can be applied, for example, in a single "ready-mix" form, in a combined spray mixture composed from separate formulations of the single active ingredient components, such as a "tank-mix", and in a combined use of the single active ingredients when applied in a sequential manner, i.e. one after the other with a reasonably short period, such as a few hours or days. The order of applying the compounds of formula I selected from selected from Tables 2 to 2400 or a compound selected from Table 3 and the active ingredients as described above is not essential for working the present invention.

5

10

15

20

25

30

35

40

The compositions according to the invention are prepared in a manner known per se, in the absence of auxiliaries for example by grinding, screening and/or compressing a solid active ingredient and in the presence of at least one auxiliary for example by intimately mixing and/or grinding the active ingredient with the auxiliary (auxiliaries). These processes for the preparation of the compositions and the use of the compounds I for the preparation of these compositions are also a subject of the invention.

The application methods for the compositions, that is the methods of controlling pests of the abovementioned type, such as spraying, atomizing, dusting, brushing on, dressing, scattering or pouring - which are to be selected to suit the intended aims of the prevailing circumstances - and the use of the compositions for controlling pests of the abovementioned type are other subjects of the invention. Typical rates of concentration are between 0.1 and 1000 ppm, preferably between 0.1 and 500 ppm, of active ingredient. The rate of application per hectare is generally 1 to 2000 g of active ingredient per hectare, in particular 10 to 1000 g/ha, preferably 10 to 600 g/ha.

A preferred method of application in the field of crop protection is application to the foliage of the plants (foliar application), it being possible to select frequency and rate of application to match the danger of infestation with the pest in question. Alternatively, the active ingredient can reach the plants via the root system (systemic action), by drenching the locus of the plants with a liquid composition or by incorporating the active ingredient in solid form into the locus of the plants, for example into the soil, for example in the form of granules (soil application). In the case of paddy rice crops, such granules can be metered into the flooded paddy-field.

The compositions according to the invention are also suitable for the protection of plant propagation material, for example seeds, such as fruit, tubers or kernels, or nursery plants, against pests of the abovementioned type. The propagation material can be treated with the compositions prior to planting, for example seed can be treated prior to sowing. Alternatively, the compositions can be applied to seed kernels (coating), either by soaking the kernels in a liquid composition or by applying a layer of a solid composition. It is also possible to apply the compositions when the propagation material is planted to the site of application, for example into the seed furrow during drilling. These treatment

methods for plant propagation material and the plant propagation material thus treated are further subjects of the invention.

The following non-limiting examples illustrate the above-described invention in greater detail without limiting it. The compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which can be verified by the person skilled in the art using the experimental procedures outlined in the Examples, using lower application rates if necessary, for example 50 ppm, 12.5 ppm, 6 ppm, 3 ppm, 1.5 ppm or 0.8 ppm.

10 Preparation examples:

5

15

20

25

30

35

The following examples describe synthesis of compounds of formula (I) and intermediates thereof.

Example P1: Preparation of 5-chloro-1-hydroxy-6-nitro-3H-2,1-benzoxaborole:

To nitric acid (60 mL, 99.5 mass%) maintained at -45 to -40°C with dry ice/acetonitrile bath, 5-chloro-1-hydroxy-3H-2,1-benzoxaborole (5.00 g, 29.7 mmol, 99 mass%) was added portionwise (10 times 0.5 g/min) under vigorous stirring and under nitrogen atmosphere. Light exothermic observed during addition. Slow dissolution observed and the mixture turned quickly red. The mixture was stirred and maintained at -45 to -30°C for 2h. The red slurry obtained was poured in an agitated becher filled with water and ice. Precipitation of fine beige solid occurred. The becher was stored in the fridge for 1h. The fine beige solid was filtered over a tissue and washed with water. It was then dissolved in isopropanol at 45°C and water was added dropwise to the stirred solution. Precipitation occurred. The white solid was filtered over a tissue and dried in the vacuum oven at 40°C overnight. 5-chloro-1-hydroxy-6-nitro-3H-2,1-benzoxaborole (4.54 g, 20.8 mmol, 98 mass%, 71% Yield) was recovered as a beige solid (melting point > 200°C).

¹H NMR (400 MHz, *DMSO-d*₆) δ ppm 5.08 (s, 2 H), 7.88 (s, 1 H), 8.31 (s, 1 H), 9.62 (s, 1 H) ¹¹B NMR (128 MHz, *DMSO-d*₆) δ ppm 32 (br. s., 1 B) MS [M-H]⁻ 211/**212**/214 (rt 0.77 min)

Example P2a: Preparation of 6-amino-5-chloro-1-hydroxy-3H-2,1-benzoxaborole:

A solution of 5-chloro-1-hydroxy-6-nitro-3H-2,1-benzoxaborole (0.20 g, 0.94 mmol, 98 mass%) in THF (19 mL, 99.8 mass%) was passed in the H-Cube continuous flow hydrogenation machine (settings: solution concentration 0.050 mmol/mL, flowrate 1.0 mL/min, cartridge temperature 60°C, exit pressure 50 bar) with Raney Nickel standard cartridge. The solution obtained was evaporated and subject to

flash chromatography over silicagel with ethyl acetate as eluent. Solvent was evaporated. 6-amino-5chloro-1-hydroxy-3H-2,1-benzoxaborole (138 mg, 0.60 mmol, 97 mass%, 63% Yield) was recovered as a brown solid (melting point > 200°C).

 1 H NMR (400 MHz, *DMSO-d*₆) δ ppm 4.82 (s, 2 H), 5.25 (s, 2 H), 7.11 (s, 1 H), 7.25 (s, 1 H), 9.06 (s, 1 H) H)

¹¹B NMR (128 MHz, *DMSO-d*₆) δ ppm 33 (br. s., 1 B) MS [M+H]⁺ 183/**184**/186 (rt 0.60 min)

5

15

25

30

10 **Example P2b:** Preparation of 6-amino-5-chloro-1-hydroxy-3H-2,1-benzoxaborole:

5-chloro-1-hydroxy-6-nitro-3H-2,1-benzoxaborole (23.50 g, 99.12 mmol, 90 mass%) was dissolved in ethanol (200 mL, 99.9 mass%) and water (10 mL, 100 mass%) at 35°C and iron (16.77 g, 3.0 equiv., 297.4 mmol, 99 mass%) was added to the vigorously stirred solution followed by calcium chloride (17.00 g, 1.5 equiv., 148.7 mmol, 97 mass%) crushed in a morter. The mixture was vigorously stirred at 75°C for 6h. The mixture was poured in a flask with isolute adsorbent and the solvents were fully evaporated. The residue obtained was subject to manual column chromatography over silicagel with ethyl acetate/methanol 1:0 to 95:5 as eluant. 6-amino-5-chloro-1-hydroxy-3H-2,1-benzoxaborole (9.23 g, 47.8 mmol, 95 mass%, 48.2% Yield) was recovered as a red solid (melting point > 200°C).

20 ¹H NMR (400 MHz, *DMSO-d*₆) δ ppm 4.82 (s, 2 H), 5.25 (s, 2 H), 7.11 (s, 1 H), 7.25 (s, 1 H), 9.06 (s, 1 H)

¹¹B NMR (128 MHz, *DMSO-d*₆) δ ppm 33 (br. s., 1 B) MS [M+H]⁺ 183/**184**/186 (rt 0.60 min)

Example P3: Preparation of N-(5-chloro-1-hydroxy-3H-2,1-benzoxaborol-6-yl)-4-cyano-benzamide (compound Y.074):

6-amino-5-chloro-1-hydroxy-3H-2,1-benzoxaborole (85.6 mg, 0.45 mmol, 97 mass%) and diisopropylethylamine (0.16 mL, 2.0 equiv., 0.90 mmol, 99 mass%) were stirred in THF (2.5 mL, 99.8 mass%) and a solution of 4-cyanobenzoyl chloride (80 mg, 1.05 equiv., 0.47 mmol, 99 mass%) in THF (2.0 mL, 99.8 mass%) was added dropwise. The mixture was stirred at 23°C for 18h. The mixture was evaporated and the residue was purified by reverse phase column chromatography. N-(5-chloro-1-

-38-

hydroxy-3H-2,1-benzoxaborol-6-yl)-4-cyano-benzamide (68 mg, 0.22 mmol, 99 mass%, 48% Yield) was recovered as a white solid (melting point > 200°C).

¹H NMR (400 MHz, *DMSO-d*₆) δ ppm 5.03 (s, 2 H), 7.68 (s, 1 H), 7.90 (s, 1 H), 8.06 (dt, J=8.5, 1.8 Hz, 2 H), 8.16 (dt, J=8.5, 1.7 Hz, 2 H), 9.41 (s, 1 H), 10.43 (s, 1 H)

5 11 B NMR (128 MHz, *CHLOROFORM-d*) δ ppm 32 (br. s., 1 B) MS [M-H]⁻ 310/**311**/313 (rt 0.79 min)

Example P4: Preparation of N-(5-chloro-1-hydroxy-3H-2,1-benzoxaborol-6-yl)-2-(2,4-dichlorophenyl)pentanamide (compound Y.062):

6-amino-5-chloro-1-hydroxy-3H-2,1-benzoxaborole (97.0 mg, 0.50 mmol, 95 mass%) was suspended in acetonitrile (5.0 mL, 99.7 mass%) and diisopropylethylamine (0.10 mL, 1.2 equiv., 0.60 mmol, 99 mass%) was added. The mixture was stirred at 23°C for 5 min and 2-(2,4-dichlorophenyl)pentanoyl chloride (0.14 g, 1.05 equiv., 0.52 mmol, 98 mass%) was added dropwise. The mixture was stirred for 18h at 30°C. The mixture was evaporated, poured in 1M HCl and extracted with ethyl acetate. Combined organics were dried over sodium sulfate and evaporated under reduced pressure. The crude was subject to flash chromatography over silicagel with cyclohexane/ethyl acetate 85:15 to 50:50 as eluant. N-(5-chloro-1-hydroxy-3H-2,1-benzoxaborol-6-yl)-2-(2,4-dichlorophenyl)pentanamide (115 mg, 0.28 mmol, 99 mass%, 56% Yield) was obtained as a white solid (melting point: 89-109°C). ¹H NMR (400 MHz, *CHLOROFORM-d*) δ ppm 0.77 - 0.93 (m, 1 H), 1.27 - 1.51 (m, 3 H), 1.70 - 1.95 (m, 1 H), 2.12 - 2.35 (m, 1 H), 3.86 (br. s., 1 H), 4.07 - 4.19 (m, 1 H), 5.00 (s, 2 H), 5.67 (br. s., 1 H), 7.21 - 7.36 (m, 2 H), 7.40 - 7.52 (m, 2 H), 7.76 (d, J=17.6 Hz, 1 H), 8.58 (s, 1 H)

The following Table illustrates the invention Compounds of formula IA Table X:

MS [M+H]⁺ 411/**412**/413/**414**/415/416 (rt 1.14 min)

30

10

15

20

$$R_d$$
 R_c
 R_a
 R_b
 R_a
 R_b
 R_a
 R_b
 R_a
 R_b
 R_a
 R_a

<u>Table 1</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA:

$$R_{1}$$
 R_{3}
 R_{2}
 R_{3}
 R_{2}
 R_{3}
 R_{2}
 R_{3}
 R_{2}
 R_{3}
 R_{3}
 R_{4}
 R_{5}
 R_{5}
 R_{6}
 R_{7}
 R_{8}
 R_{1}
 R_{2}

5

10

wherein, R_1 is F, n is 0, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1:

- <u>Table 2</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 3: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 4</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 5</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is n-propyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1
 - Table 6: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F,
- 15 R_2 is c-propyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 7</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is n-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 8: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is s-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 9</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is i-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 10</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is t-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 11: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 12</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both ethyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 13: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 form together with the carbon attached a cyclopropyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 14</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 form together with the carbon attached a cyclopentyl, n is 1, W is a bond, A is A_1 to A_6
- and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 15</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 form together with the carbon attached a cyclohexyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 16: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ are both hydrogen, n is 2, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 17</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 3, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- 10 <u>Table 18</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ is methyl, R₃ is H, n is 2, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

- <u>Table 19</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 20</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1
- Table 21: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 22</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 23: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is CH_2O , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 24</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is CH_2O , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 25</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH_2O , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 26: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is CH_2O , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 27</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 28</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 29: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 30</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 31: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is CH_2S , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 32</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is CH_2S , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 33</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH_2S , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 34: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ are both methyl, n is 1, W is CH₂S, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 35</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 36: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 37</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 38</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 39: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 40</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 41</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 42: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ are both methyl, n is 1, W is NMe, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 43</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is CH_2NH , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 44: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ is methyl, R₃ is H, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
- Table 45: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 46</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 47: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ are both hydrogen, n is 1, W is CH₂NMe, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 48</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is CH₂NMe, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 49: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH_2NMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 50</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is CH_2NMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 51</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is CH_2NOMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 52: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is CH_2NOMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 53</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH₂NOMe, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

- <u>Table 54</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is CH_2NOMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 55: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ are both hydrogen, n is 1, W is –CH₂-ON=CH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 56</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is –CH₂-ON=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- 10 <u>Table 57</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is –CH₂-ON=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 58</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is –CH₂-ON=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 59</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both hydrogen, n is 1, W is $-CH_2$ -ON= $C(CH_3)$, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 60: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is $-CH_2$ -ON=C(CH₃), A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 61</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is $-CH_2$ -ON= $C(CH_3)$, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 62: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is $-CH_2$ -ON=C(CH₃), A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 63</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is methyl, R_3 is H, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 64</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is ethyl, R_3 is H, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 65: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ is n-propyl, R₃ is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 66</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is c-propyl, R_3 is H, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 67</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is n-butyl, R_3 is H, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 68: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is s-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 69</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is i-butyl, R_3 is H, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 70: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 is t-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 71</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both methyl, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 72</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 are both ethyl, n is 1, W is CH_2 , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 73: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, R₂ and R₃ form together with the carbon attached a cyclopropyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 74</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 form together with the carbon attached a cyclopentyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
- Table 75: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, R_2 and R_3 form together with the carbon attached a cyclohexyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 76</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is F, n is 0, W is CH=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 77: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, n is 0, W is CH(CH₃)=CH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 Table 78: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is F, n is 0, W is 1,2-cyclopropane, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 Table 79: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA,
- 35 wherein, R_1 is CI, n is 0, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1:
 - <u>Table 80</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 81</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 82: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is ethyl, R₃ is H, n is 1, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 83</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is n-propyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 84: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is c-propyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 85</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is n-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 86</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is s-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 87: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is i-butyl, R₃ is H, n is 1, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 88</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is t-butyl, R_3 is H, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 89: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 90</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both ethyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 91</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 form together with the carbon attached a cyclopropyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 92: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ form together with the carbon attached a cyclopentyl, n is 1, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 93</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 form together with the carbon attached a cyclohexyl, n is 1, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 94</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 2, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 95: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both hydrogen, n is 3, W is a bond, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 96</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 2, W is a bond, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 97: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 98</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 99</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1
- Table 100: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both methyl, n is 1, W is O, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 101</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH₂O, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 102: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is CH₂O, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 103</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is CH₂O, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 104</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH₂O, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 105: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both hydrogen, n is 1, W is S, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 106</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 107</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is S, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 108: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both methyl, n is 1, W is S, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 109</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH₂S, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
- Table 110: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is methyl, R₃ is H, n is 1, W is CH₂S, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

- <u>Table 111</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is CH_2S , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 112</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH₂S, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 113: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both hydrogen, n is 1, W is NH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 114</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 115: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 116</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is NH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 117</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 118: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 119</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 120</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is NMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 121: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ and R₃ are both hydrogen, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 122</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is CH_2NH , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 123: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is ethyl, R₃ is H, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

- <u>Table 124</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH₂NH, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 125</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH₂NMe, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 126: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is methyl, R₃ is H, n is 1, W is CH₂NMe, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 127</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is CH_2NMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 128: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH_2NMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 129</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH₂NOMe, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 130</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is CH_2NOMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 131: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is ethyl, R₃ is H, n is 1, W is CH₂NOMe, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 132</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH_2NOMe , A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 133</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 134: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is methyl, R₃ is H, n is 1, W is CH=NO, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 135</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is CH=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- 10 <u>Table 136</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

- <u>Table 137</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both hydrogen, n is 1, W is CH(CH₃)=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- <u>Table 138</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is CH(CH₃)=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 139: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is ethyl, R₃ is H, n is 1, W is CH(CH₃)=NO, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 140</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH(CH₃)=NO, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 141: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is methyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 142</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is ethyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
 - <u>Table 143</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is n-propyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.
- Table 144: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R₁ is CI, R₂ is c-propyl, R₃ is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.
 - <u>Table 145</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is n-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

<u>Table 146</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is s-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

Table 147: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is i-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

<u>Table 148</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 is t-butyl, R_3 is H, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

Table 149: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both methyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

15

35

<u>Table 150</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 are both ethyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

<u>Table 151</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 form together with the carbon attached a cyclopropyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

Table 152: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 form together with the carbon attached a cyclopentyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a, R_b, R_c, R_d and R_e are as defined in Table 1.

<u>Table 153</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, R_2 and R_3 form together with the carbon attached a cyclohexyl, n is 1, W is CH₂, A is A₁ to A₆ and A₁₃, R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

Table 154: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, n is 0, W is CH=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

<u>Table 155</u>: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, n is 0, W is CH(CH₃)=CH, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

Table 156: This table discloses the 264 compounds T1.001 to T1.264 of the formula IA, wherein, R_1 is CI, n is 0, W is 1,2-cyclopropane, A is A_1 to A_6 and A_{13} , R_a , R_b , R_c , R_d and R_e are as defined in Table 1.

<u>Table 1:</u>
The table 1 discloses specific meanings of the substituents A, R_a, R_b, R_c, R_d, R_e

No.	Α	R _a	R _b	R _c	R _d	R _e
T1.001	A1	Н	Н	Н	Н	Н
T1.002	A1	F	Н	Н	Н	Н
T1.003	A1	CI	Н	Н	Н	Н
T1.004	A1	Br	Н	Н	Н	Н
T1.005	A1	I	Н	Н	Н	Н

No.	Α	R _a	R _b	R _c	R _d	R _e
T1.006	A1	CN	Н	Н	Н	Н
T1.007	A1	CH₃	Н	Н	Н	Н
T1.008	A1	CH₂CH₃	Н	Н	Н	Н
T1.009	A1	CHF ₂	Н	Н	Н	Н
T1.010	A1	CF ₃	Н	Н	Н	Н
T1.011	A1	Ph	Н	Н	Н	Н
T1.012	A1	2-CI-Ph	Н	Н	Н	Н
T1.013	A1	3-CI-Ph	Н	Н	Н	Н
T1.014	A1	4-CI-Ph	Н	Н	Н	Н
T1.015	A1	OCH ₃	Н	Н	Н	Н
T1.016	A1	OCH(CH ₃) ₂	Н	Н	Н	Н
T1.017	A1	OCF ₃	Н	Н	Н	Н
T1.018	A1	OPh	Н	Н	Н	Н
T1.019	A1	SCH₃	Н	Н	Н	Н
T1.020	A1	SPh	Н	Н	Н	Н
T1.021	A1	NO ₂	Н	Н	Н	Н
T1.022	A1	NH ₂	Н	Н	Н	Н
T1.023	A1	NH(CO)CH₃	Н	Н	Н	Н
T1.024	A1	NH(CO)Ph	Н	Н	Н	Н
T1.025	A1	NH(CO)OCH₃	Н	Н	Н	Н
T1.026	A1	NH(CO)NHCH ₃	Н	Н	Н	Н
T1.027	A1	NH(SO ₂)CH ₃	Н	Н	Н	Н
T1.028	A1	Н	F	Н	Н	Н
T1.029	A1	Н	CI	Н	Н	Н
T1.030	A1	Н	Br	Н	Н	Н
T1.031	A1	Н	I	Н	Н	Н
T1.032	A1	Н	CN	Н	Н	Н
T1.033	A1	Н	CH₃	Н	Н	Н
T1.034	A1	Н	CH ₂ CH ₃	Н	Н	Н
T1.035	A1	Н	CHF ₂	Н	Н	Н
T1.036	A1	Н	CF ₃	Н	Н	Н
T1.037	A1	Н	Ph	Н	Н	Н
T1.038	A1	Н	2-CI-Ph	Н	Н	Н
T1.039	A1	Н	3-CI-Ph	Н	Н	Н
T1.040	A1	Н	4-CI-Ph	Н	Н	Н
T1.041	A1	Н	OCH₃	Н	Н	Н
T1.042	A1	Н	OCH(CH ₃) ₂	Н	Н	Н
T1.043	A1	Н	OCF ₃	Н	Н	Н

No. A R₀ R₀ R₀ R₀ R₀ R₀ T1.044 A1 H OPh H H H H T1.045 A1 H SCH₂ H H H H T1.046 A1 H SCH₂ H H H H T1.047 A1 H NH₂ H H H H H T1.048 A1 H NH₂ H							
T1.045 A1 H SCH₃ H H H T1.046 A1 H SPh H H H H T1.046 A1 H NO₂ H H H H T1.047 A1 H NN₂ H	No.	Α	Ra	R₀	R _c	R_d	R _e
T1.046 A1 H SPh H H H H T1.047 A1 H NO₂ H H H H T1.048 A1 H NH₂ H H H T1.049 A1 H NH(CO)CH₃ H H H T1.050 A1 H NH(CO)CH₃ H H H T1.051 A1 H NH(CO)CH₃ H H H T1.052 A1 H NH(CO)CH₃ H H H T1.053 A1 H NH(CO)CH₃ H H H T1.053 A1 H NH(SO₂CH₃ H H H T1.054 A1 H H F H T1.055 A1 H H H CI H H T1.056 A1 H H H CI H H T1.057 A1 H H H H H T1.058 A1 H H H CI H H T1.058 A1 H H H CH H T1.059 A1 H H H CH₃ H H H T1.059 A1 H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₃ H H H T1.050 A1 H H H CH₂ H H H T1.060 A1 H H H CH₂ H H H T1.061 A1 H H H CH₂ H H H T1.062 A1 H H H CH₂ H H H T1.063 A1 H H H CH₂ H H H T1.064 A1 H H H CH₂ H H H T1.065 A1 H H H CCF₃ H H H T1.066 A1 H H H CCF₃ H H H T1.066 A1 H H H S-CH₂ H H H T1.066 A1 H H H S-CH₂ H H H T1.067 A1 H H H S-CH₂ H H H T1.068 A1 H H H S-CH₂ H H H T1.069 A1 H H H S-CH₂ H H H T1.067 A1 H H H S-CH₂ H H H T1.069 A1 H H H S-CH₂ H H H T1.070 A1 H H H S-CH₂ H H H T1.071 A1 H H H S-CH₂ H H H T1.072 A1 H H H S-CH₂ H H H T1.073 A1 H H H S-CH₂ H H H T1.074 A1 H H H S-CH₂ H H H T1.075 A1 H H H S-CH₂ H H H T1.076 A1 H H H S-CH₂ H H H T1.077 A1 H H H S-CH₂ H H H T1.078 A1 H H H S-CH₂ H H H T1.079 A1 H H H NH(CO)CH₃ H H H T1.079 A1 H H H NH(CO)CH₃ H H H T1.079 A1 H H H NH(CO)CH₃ H H H T1.079 A1 H H H NH(CO)CH₃ H H H T1.079 A1 H H H NH(CO)CH₃ H H H T1.079 A1 H H H NH(CO)CH₃ H H H	T1.044	A1	Н	OPh	Н	Н	Н
T1.047 A1 H NO2 H H H T1.048 A1 H NH2 H H H T1.049 A1 H NH(CO)CH3 H H H T1.050 A1 H NH(CO)CH3 H H H H T1.051 A1 H NH(CO)CH3 H	T1.045	A1	Н	SCH₃	Н	Н	Н
T1.048 A1 H NH₂ H H H T1.049 A1 H NH(CO)CH₃ H H H H T1.050 A1 H NH(CO)Ph H H H H T1.051 A1 H NH(CO)Ph H <t< td=""><td>T1.046</td><td>A1</td><td>Н</td><td colspan="2"></td><td>Н</td><td>Н</td></t<>	T1.046	A1	Н			Н	Н
T1.049 A1 H NH(CO)CH₃ H H H T1.050 A1 H NH(CO)Ph H H H T1.051 A1 H NH(CO)NHCH₃ H H H T1.052 A1 H NH(CO)NHCH₃ H H H T1.053 A1 H NH(CO)NHCH₃ H H H T1.053 A1 H H H H H H T1.054 A1 H <	T1.047	A1	Н	NO ₂	Н	Н	Н
T1.050 A1 H NH(CO)Ph H H H T1.051 A1 H NH(CO)CH3 H H H H T1.052 A1 H NH(CO)CH3 H	T1.048	A1	Н	NH ₂	Н	Н	Н
T1.051 A1 H NH(CO)OCH ₃ H H	T1.049	A1	Н	NH(CO)CH₃ H		Н	Н
T1.052 A1 H NH(CO)NHCH₃ H	T1.050	A1	Н	NH(CO)Ph	Н	Н	Н
T1.053 A1 H NH(SO₂)CH₃ H H H H T1.054 A1 H H H F H H T1.055 A1 H H H GI H H T1.056 A1 H H H Br H H T1.057 A1 H H H GN H H T1.058 A1 H H H CN H H T1.059 A1 H H CN H H T1.060 A1 H H CH₂CH₃ H H T1.061 A1 H H CH₂CH₃ H H T1.062 A1 H H CF₃ H H H T1.063 A1 H H H CF₃ H H H T1.063 A1 H H H CF₃ H H H T1.064 A1 H H H CF₃ H H H T1.065 A1 H H H CC-C-C-P-D H H H T1.066 A1 H H H C-C-C-P-D H H H T1.066 A1 H H H C-C-C-P-D H H H T1.067 A1 H H H CCH₃ H H T1.068 A1 H H H CCH₃ H H T1.069 A1 H H H CC-C-S H H T1.069 A1 H H H CC-C-S H H T1.060 A1 H H H CC-C-S H H T1.070 A1 H H H CC-C-S H H T1.070 A1 H H H CC-C-S H H T1.071 A1 H H H CC-C-S H H T1.072 A1 H H H CC-C-S H H T1.073 A1 H H H NH₂ H H T1.074 A1 H H NH₂ H H T1.075 A1 H H H NH₂ H H T1.076 A1 H H NH₂ H H T1.077 A1 H H H NH₂ H H T1.078 A1 H H NH⟨CO⟩C-C-S H H T1.079 A1 H H NH⟨CO⟩C-C-S H H T1.070 A1 H H H NH⟨CO⟩C-C-S H H T1.070 A1 H H H NH⟨CO⟩C-C-S H	T1.051	A1	Н	NH(CO)OCH ₃	Н	Н	Н
T1.054 A1 H H H F H H H T1.055 A1 H H H GI H H T1.056 A1 H H H Br H H T1.057 A1 H H H I I H H T1.058 A1 H H H CN H H T1.059 A1 H H H CH ₃ H H H T1.060 A1 H H H CH ₂ CH ₃ H H T1.061 A1 H H CH ₂ CH ₃ H H T1.062 A1 H H CF ₃ H H H T1.063 A1 H H H CF ₃ H H H T1.064 A1 H H P Ph H H T1.065 A1 H H H 2-CI-Ph H H T1.066 A1 H H H 3-CI-Ph H H T1.066 A1 H H H OCH ₃ H H H T1.067 A1 H H H OCH ₃ H H H T1.068 A1 H H H S-CI-Ph H H H T1.069 A1 H H H OCH ₃ H H H T1.069 A1 H H H OCH ₃ H H H T1.070 A1 H H H OCH ₃ H H H T1.070 A1 H H H NOC ₄ H H H T1.071 A1 H H N OCH ₃ H H H T1.072 A1 H H N OCH ₃ H H H T1.073 A1 H H H NOC ₄ H H H T1.074 A1 H H N OCH ₃ H H H T1.075 A1 H H N OCH ₃ H H H T1.076 A1 H H N OCH ₃ H H H T1.077 A1 H H N OC ₄ H H H T1.078 A1 H N NO ₂ H H H T1.077 A1 H N NO ₂ H H H T1.078 A1 H N NO ₂ H H H T1.077 A1 H N NO ₂ H H H T1.077 A1 H N NO ₂ H H H T1.077 A1 H N NO ₂ H H H T1.078 A1 H N NO ₂ H H H T1.079 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H T1.070 A1 H N NO ₂ H H H	T1.052	A1	Н	NH(CO)NHCH ₃	Н	Н	Н
T1.055 A1 H </td <td>T1.053</td> <td>A1</td> <td>Н</td> <td colspan="2">NH(SO₂)CH₃ H</td> <td>Н</td> <td>Н</td>	T1.053	A1	Н	NH(SO ₂)CH ₃ H		Н	Н
T1.056 A1 H </td <td>T1.054</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>F</td> <td>Н</td> <td>Н</td>	T1.054	A1	Н	Н	F	Н	Н
T1.057 A1 H </td <td>T1.055</td> <td>A1</td> <td>Н</td> <td colspan="2">H CI</td> <td>Н</td> <td>Н</td>	T1.055	A1	Н	H CI		Н	Н
T1.058 A1 H </td <td>T1.056</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>Br</td> <td>Н</td> <td>Н</td>	T1.056	A1	Н	Н	Br	Н	Н
T1.059 A1 H H CH ₂ CH ₃ H H T1.060 A1 H H H CH ₂ CH ₃ H H T1.061 A1 H H CHF ₂ H H H T1.062 A1 H H H CF ₃ H H	T1.057	A1	Н	Н	I	Н	Н
T1.060 A1 H H H CH2CH3 H H T1.061 A1 H H CHF2 H H T1.062 A1 H H H CF3 H H T1.063 A1 H H H Ph H H T1.064 A1 H H H Ph H H T1.065 A1 H <td< td=""><td>T1.058</td><td>A1</td><td>Н</td><td>Н</td><td>CN</td><td>Н</td><td>Н</td></td<>	T1.058	A1	Н	Н	CN	Н	Н
T1.061 A1 H H CHF2 H H T1.062 A1 H H CF3 H H T1.063 A1 H H Ph H H T1.064 A1 H H H H H H T1.065 A1 H	T1.059	A1	Н	Н	CH ₃	Н	Н
T1.062 A1 H H H CF3 H H T1.063 A1 H H H H H H T1.064 A1 H H H 2-Cl-Ph H H H T1.065 A1 H H H 4-Cl-Ph H H H T1.066 A1 H H H OCH3 H H H T1.067 A1 H H OCH3 H	T1.060	A1	Н	Н	CH ₂ CH ₃	Н	Н
T1.063 A1 H H Ph H H T1.064 A1 H H 2-Cl-Ph H H T1.065 A1 H H H 3-Cl-Ph H H T1.066 A1 H H H H H T1.067 A1 H H OCH ₃ H H T1.068 A1 H H OCH ₃ H H T1.069 A1 H H OCF ₃ H H T1.070 A1 H H OPh H H T1.071 A1 H H SCH ₃ H H T1.072 A1 H H SPh H H T1.073 A1 H H NO ₂ H H T1.074 A1 H H NH(CO)CH ₃ H H T1.075 A1 H	T1.061	A1	Н	Н	CHF ₂	Н	Н
T1.064 A1 H H 2-Cl-Ph H H T1.065 A1 H H H 3-Cl-Ph H H T1.066 A1 H H H 4-Cl-Ph H H T1.067 A1 H H OCH ₃ H H H T1.068 A1 H H OCH(CH ₃) ₂ H H H T1.069 A1 H H OCF ₃ H H H T1.070 A1 H H OPh H H H T1.071 A1 H H SCH ₃ H H H T1.072 A1 H H SPh H H H T1.073 A1 H H NH ₂ H H H T1.074 A1 H H NH(CO)CH ₃ H H H T1.075 A1	T1.062	A1	Н	Н	CF ₃	Н	Н
T1.065 A1 H H H 3-Cl-Ph H H T1.066 A1 H H H 4-Cl-Ph H H T1.067 A1 H H H OCH ₃ H H T1.068 A1 H H OCH ₃ H H T1.069 A1 H H OCF ₃ H H T1.070 A1 H H OPh H H T1.071 A1 H H SCH ₃ H H T1.072 A1 H H SPh H H T1.073 A1 H H NO ₂ H H T1.074 A1 H H NH(CO)CH ₃ H H T1.075 A1 H H NH(CO)OCH ₃ H H T1.077 A1 H H NH(CO)OCH ₃ H H <t< td=""><td>T1.063</td><td>A1</td><td>Н</td><td>Н</td><td>Ph</td><td>Н</td><td>Н</td></t<>	T1.063	A1	Н	Н	Ph	Н	Н
T1.066 A1 H H 4-Cl-Ph H H T1.067 A1 H H H OCH ₃ H H T1.068 A1 H H H OCH(CH ₃) ₂ H H T1.069 A1 H H OCF ₃ H H T1.070 A1 H H OPh H H T1.071 A1 H H SCH ₃ H H T1.072 A1 H H SPh H H T1.073 A1 H H NO ₂ H H T1.074 A1 H H NH ₂ H H T1.075 A1 H H NH(CO)CH ₃ H H T1.076 A1 H H NH(CO)OCH ₃ H H T1.078 A1 H H NH(CO)NHCH ₃ H H T1.080	T1.064	A1	Н	Н	2-CI-Ph	Н	Н
T1.067 A1 H H OCH3 H H T1.068 A1 H H H OCH(CH3)2 H H T1.069 A1 H H H OCF3 H H T1.070 A1 H H OPh H H T1.071 A1 H H SCH3 H H T1.072 A1 H H SPh H H T1.073 A1 H H NO2 H H T1.074 A1 H H NH(CO)CH3 H H T1.075 A1 H H NH(CO)CH3 H H T1.076 A1 H H NH(CO)NHCH3 H H T1.078 A1 H H NH(SO2)CH3 H H T1.080 A1 F F H H H	T1.065	A1	Н	Н	3-CI-Ph	Н	Н
T1.068 A1 H H H OCH(CH ₃) ₂ H H T1.069 A1 H H H OCF ₃ H H T1.070 A1 H H H OPh H H T1.071 A1 H H SCH ₃ H H T1.072 A1 H H SPh H H T1.073 A1 H H NO ₂ H H T1.074 A1 H H NH(CO)CH ₃ H H T1.075 A1 H H NH(CO)Ph H H T1.076 A1 H H NH(CO)OCH ₃ H H T1.077 A1 H H NH(CO)NHCH ₃ H H T1.079 A1 H H NH(SO ₂)CH ₃ H H T1.080 A1 F F H H H	T1.066	A1	Н	Н	4-CI-Ph	Н	Н
T1.069 A1 H </td <td>T1.067</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>OCH₃</td> <td>Н</td> <td>Н</td>	T1.067	A1	Н	Н	OCH ₃	Н	Н
T1.070 A1 H H OPh H H T1.071 A1 H H H SCH ₃ H H T1.072 A1 H H H SPh H H T1.073 A1 H H NO ₂ H H H T1.074 A1 H H NH ₂ H H H T1.075 A1 H H NH(CO)CH ₃ H H H T1.076 A1 H H NH(CO)OCH ₃ H H H T1.077 A1 H H NH(CO)NHCH ₃ H H H T1.078 A1 H H NH(SO ₂)CH ₃ H H H T1.080 A1 F F H H H H	T1.068	A1	Н	Н	OCH(CH ₃) ₂	Н	Н
T1.071 A1 H H SCH3 H H T1.072 A1 H H H SPh H H T1.073 A1 H H H NO2 H H H T1.074 A1 H H NH(CO)CH3 H H H T1.075 A1 H H NH(CO)Ph H H H T1.076 A1 H H NH(CO)OCH3 H H H T1.077 A1 H H NH(CO)NHCH3 H H H T1.079 A1 H H NH(SO2)CH3 H H H T1.080 A1 F F H H H H	T1.069	A1	Н	Н	OCF ₃	Н	Н
T1.072 A1 H H SPh H H T1.073 A1 H H H NO2 H H T1.074 A1 H H H NH2 H H T1.075 A1 H H NH(CO)CH3 H H T1.076 A1 H H NH(CO)OCH3 H H T1.077 A1 H H NH(CO)NHCH3 H H T1.079 A1 H H NH(SO2)CH3 H H T1.080 A1 F F H H H	T1.070	A1	Н	Н	OPh	Н	Н
T1.073 A1 H </td <td>T1.071</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>SCH₃</td> <td>Н</td> <td>Н</td>	T1.071	A1	Н	Н	SCH₃	Н	Н
T1.074 A1 H </td <td>T1.072</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>SPh</td> <td>Н</td> <td>Н</td>	T1.072	A1	Н	Н	SPh	Н	Н
T1.075 A1 H </td <td>T1.073</td> <td>A1</td> <td>Н</td> <td>Н</td> <td>NO₂</td> <td>Н</td> <td>Н</td>	T1.073	A1	Н	Н	NO ₂	Н	Н
T1.076 A1 H H NH(CO)Ph H H T1.077 A1 H H NH(CO)OCH ₃ H H T1.078 A1 H H NH(CO)NHCH ₃ H H T1.079 A1 H H NH(SO ₂)CH ₃ H H T1.080 A1 F F H H H	T1.074	A1	Н	Н	NH ₂	Н	Н
T1.077 A1 H H NH(CO)OCH3 H H T1.078 A1 H H NH(CO)NHCH3 H H T1.079 A1 H H NH(SO2)CH3 H H T1.080 A1 F F H H H	T1.075	A1	Н	Н	NH(CO)CH ₃	Н	Н
T1.078 A1 H H NH(CO)NHCH ₃ H H T1.079 A1 H H NH(SO ₂)CH ₃ H H T1.080 A1 F F H H H	T1.076	A1	Н	Н	NH(CO)Ph	Н	Н
T1.079 A1 H H NH(SO ₂)CH ₃ H H T1.080 A1 F F H H H	T1.077	A1	Н	Н	NH(CO)OCH₃	Н	Н
T1.080 A1 F F H H H	T1.078	A1	Н	Н	NH(CO)NHCH ₃	Н	Н
	T1.079	A1	Н	Н	NH(SO ₂)CH ₃	Н	Н
T1.081 A1 F H F H H	T1.080	A1	F	F	Н	Н	Н
	T1.081	A1	F	Н	F	Н	Н

No.	Α	R _a	R₅	R _c	R _d	R _e
T1.082	A1	F	Н	Н	F	Н
T1.083	A1	F	Н	Н	Н	F
T1.084	A1	Н	F	F	Н	Н
T1.085	A1	Н	F	Н	F	Н
T1.086	A1	F	F	F	Н	Н
T1.087	A1	F	F	Н	F	Н
T1.088	A1	F	F	Н	Н	F
T1.089	A1	Н	F	F	F	Н
T1.090	A1	F	Н	F	Н	F
T1.091	A1	CI	CI	Н	Н	Н
T1.092	A1	CI	Н	CI	Н	Н
T1.093	A1	CI	Н	Н	CI	Н
T1.094	A1	CI	Н	Н	Н	CI
T1.095	A1	Н	CI	CI	Н	Н
T1.096	A1	Н	CI	Н	CI	Н
T1.097	A1	CI	CI	CI	Н	Н
T1.098	A1	CI	CI	Н	CI	Н
T1.099	A1	CI	CI	Н	Н	CI
T1.100	A1	Н	CI	CI	CI	Н
T1.101	A1	CI	Н	CI	Н	CI
T1.102	A1	CH ₃	CH ₃	Н	Н	Н
T1.103	A1	CH ₃	Н	CH₃	Н	Н
T1.104	A1	CH ₃	Н	Н	CH ₃	Н
T1.105	A1	CH ₃	Н	Н	Н	CH ₃
T1.106	A1	Н	CH ₃	CH₃	Н	Н
T1.107	A1	Н	CH ₃	Н	CH ₃	Н
T1.108	A1	CH ₃	CH ₃	CH₃	Н	Н
T1.109	A1	CH ₃	CH ₃	Н	CI	Н
T1.110	A1	CH ₃	CH ₃	Н	Н	CH ₃
T1.111	A1	Н	CH ₃	CH ₃	CH ₃	Н
T1.112	A1	CH ₃	Н	CH ₃	Н	CH ₃
T1.113	A1	OCH ₃	OCH ₃	Н	Н	Н
T1.114	A1	OCH ₃	Н	OCH ₃	Н	Н
T1.115	A1	OCH ₃	Н	Н	OCH₃	Н
T1.116	A1	OCH ₃	Н	Н	Н	OCH ₃
T1.117	A1	Н	OCH₃	OCH ₃	Н	Н
T1.118	A1	Н	OCH₃	Н	OCH₃	Н
T1.119	A1	OCH₃	OCH₃	OCH₃	Н	Н

No.	Α	R _a	R _b	R _c	R₀	R _e
T1.120	A1	OCH ₃	OCH₃	Н	OCH₃	Н
T1.121	A1	OCH ₃	OCH₃	Н	Н	OCH ₃
T1.122	A1	Н	OCH₃	OCH ₃	Н	
T1.123	A1	OCH₃	Н	OCH ₃	Н	OCH ₃
T1.124	A1	OCF ₃	OCF ₃ H		Н	Н
T1.125	A1	OCF ₃	H OCF ₃		Н	Н
T1.126	A1	OCF ₃	Н	Н	OCF ₃	Н
T1.127	A1	OCF ₃	Н	Н	Н	OCF ₃
T1.128	A1	CH=CH	I-CH=CH	Н	Н	I
T1.129	A1	CH=CH	I-CH=CH	CI	Н	I
T1.130	A1	CH=CH	I-CH=CH	Н	CI	Н
T1.131	A1	CH=CH	I-CH=CH	Н	Н	CI
T1.132	A1	Н	CH=CH	-CH=CH	Н	Н
T1.133	A1	CI	CH=CH	-CH=CH	Н	Н
T1.134	A1	Н	CH=CH	-CH=CH	Н	CI
T1.135	A1	0-0	CF ₂ -O	Н	Н	Н
T1.136	A1	Н	O-C	F ₂ -O	Н	Н
T1.137	A1	O-C	CF ₂ -O	F	Н	н
T1.138	A1	F	O-C	F ₂ -O	Н	Н
T1.139	A1	0-0	CF ₂ -O	Н	F	Н
T1.140	A1	Н	O-C	F ₂ -O	F	Н
T1.141	A1	Н	OCH₃	OCH₂CCH	Н	Н
T1.142	A1	Н	OCH₂CCH	OCH₃	Н	Н
T1.143	A1	Н	OCH₃	OCH ₂ CH ₃	Н	Н
T1.144	A1	Н	OCH₂CH₃	OCH₃	Н	Н
T1.141	A1	F	CI	Н	Н	Н
T1.142	A1	F	CN	Н	Н	Н
T1.143	A1	F	CH ₃	Н	Н	Н
T1.144	A1	F	CF ₃	Н	Н	Н
T1.141	A1	F	Ph	Н	Н	Н
T1.142	A1	F	4-CI-Ph	Н	Н	Н
T1.143	A1	F	OCH₃	Н	Н	Н
T1.144	A1	F	NO ₂	Н	Н	Н
T1.145	A1	F	NH(CO)CH₃	Н	Н	Н
T1.146	A1	F	NH(CO)OCH₃	Н	Н	Н
T1.147	A1	F	NH(CO)NHCH₃	Н	Н	Н
T1.148	A1	F	NH(SO ₂)CH ₃	Н	Н	I

				_			
No.	Α	R _a	R _b	R _c	R₀	R _e	
T1.149	A1	Н	F	CI	Н	Н	
T1.150	A1	Н	F	CN	Н	Н	
T1.151	A1	Н	F	CH₃	Н	Н	
T1.152	A1	Н	F	CF ₃	Н	Н	
T1.153	A1	Н	F	Ph	Н	Н	
T1.154	A1	Н	F	4-CI-Ph	Н	Н	
T1.155	A1	Н	F	OCH₃	Н	Н	
T1.156	A1	Н	F	NO ₂	Н	Н	
T1.157	A1	Н	F	NH(CO)CH ₃	Н	Н	
T1.158	A1	Н	F	NH(CO)OCH ₃	Н	Н	
T1.159	A1	Н	F	NH(CO)NHCH ₃	Н	Н	
T1.160	A1	Н	F	NH(SO ₂)CH ₃	Н	Н	
T1.161	A1	F	Н	CI	Н	Н	
T1.162	A1	F	Н	CN	Н	Н	
T1.163	A1	F	Н	CH ₃	Н	Н	
T1.164	A1	F	Н	CF ₃	Н	Н	
T1.165	A1	F	Н	Ph	Н	Н	
T1.166	A1	F	Н	4-CI-Ph	Н	Н	
T1.167	A1	F	Н	OCH₃	Н	Н	
T1.168	A1	F	Н	NO ₂	Н	Н	
T1.169	A1	F	Н	NH(CO)CH ₃	Н	Н	
T1.170	A1	F	Н	NH(CO)OCH ₃	Н	Н	
T1.171	A1	F	Н	NH(CO)NHCH ₃	Н	Н	
T1.172	A1	F	Н	NH(SO ₂)CH ₃	Н	Н	
T1.174	A1	F	Н	Н	CI	Н	
T1.175	A1	F	Н	Н	CN	Н	
T1.176	A1	F	Н	Н	CH₃	Н	
T1.177	A1	F	Н	Н	CF ₃	Н	
T1.178	A1	F	Н	Н	Ph	Н	
T1.179	A1	F	Н	Н	4-CI-Ph	Н	
T1.180	A1	F	Н	Н	OCH₃	Н	
T1.181	A1	F	Н	Н			
T1.182	A1	F	Н	Н	H NH(CO)CH ₃		
T1.183	A1	F	Н	Н	H NH(CO)OCH ₃		
T1.184	A1	F	Н	Н	NH(CO)NHCH ₃		
T1.185	A1	F	Н	Н	H NH(SO ₂)CH ₃		
T1.186	A1	CN	Н	CI	Н		
T1.187	A1	CH₃	Н	CI	Н	Н	

No.	А	R _a	R _b	R _c	R _d	R _e
T1.188	A1	CF₃	Н	CI	Н	Н
T1.189	A1	Ph	Н	CI	Н	Н
T1.190	A1	4-CI-Ph	Н	CI	Н	Н
T1.191	A1	OCH₃	Н	CI	Н	Н
T1.192	A1	NO ₂	Н	CI	Н	Н
T1.193	A1	NH(CO)CH₃	H CI		Н	Н
T1.194	A1	NH(CO)OCH₃	Н	CI	Н	Н
T1.195	A1	NH(CO)NHCH₃	Н	CI	Н	Н
T1.196	A2	Н	Н	Н	-	-
T1.197	A2	CI	Н	Н	-	-
T1.198	A2	Н	CI	Н	-	-
T1.199	A2	Н	Н	CI	-	-
T1.200	A2	F	Н	Н		
T1.201	A2	Н	F	Н	-	-
T1.202	A2	Н	Н	F	-	-
T1.203	A2	F	F	Н	-	-
T1.204	A2	F	Н	F	-	-
T1.205	A2	Н	F	F	-	-
T1.206	A2	CI	CI	Н	-	-
T1.207	A2	CI	Н	CI	-	-
T1.208	A2	Н	CI	CI	-	-
T1.209	A3	Н	Н	Н	-	-
T1.210	A3	CI	Н	Н	-	-
T1.211	A3	Н	CI	Н	-	-
T1.212	A3	Н	Н	CI	-	-
T1.213	A3	F	Н	Н		
T1.214	A3	Н	F	Н	-	-
T1.215	A3	Н	Н	F	-	-
T1.216	A3	F	F	Н	-	-
T1.217	А3	F	Н	F	-	-
T1.218	A3	Н	F	F	-	-
T1.219	A3	CI	CI	Н	-	-
T1.220	A3	CI	Н	CI	-	-
T1.221	A3	Н	CI	CI	-	-
T1.222	A4	-	CI	Н	Н	Н
T1.223	A4	-	Н	CI	Н	Н
T1.224	A4	-	CI	Н	CI	Н
T1.225	A4	-	Н	Н	Н	CI

	Ι	Б	Б			
No.	A	R _a	R _b	R _c	R _d	R _e
T1.226	A4	-	OCH3	Н	H	H
T1.227	A4	-	H	OCH3	Н	Н
T1.228	A4	-	Н	Н	ОСН3	Н
T1.229	A4	-		-CH=CH	Н	Н
T1.230	A4	-		-CH=CH	ОСН3	Н
T1.211	A4	-		CH-CH=CH	Н	Н
T1.232	A4	-		CH-CH=CH	ОСН3	Н
T1.233	A4	-	CH=CH	-CH=CH	CI	Н
T1.234	A5	Н	-	Н	Н	Н
T1.235	A5	CI	-	Н	Н	Н
T1.236	A5	Н	-	CI	Н	Н
T1.237	A5	CI	-	Н	CI	Н
T1.238	A5	Н	-	Н	Н	CI
T1.239	A5	OCH3	-	Н	Н	Н
T1.220	A5	Н	-	OCH3	Н	Н
T1.241	A5	CI	-	Н	OCH3	Н
T1.242	A5	Н	-	Н	Н	OCH3
T1.243	A5	F	-	Н	Н	Н
T1.244	A5	Н	-	F	Н	Н
T1.245	A5	CI	-	Н	F	Н
T1.246	A5	Н	-	Н	Н	F
T1.247	A6	Н	-	Н	Н	Н
T1.248	A6	CI	-	Н	Н	Н
T1.249	A6	Н	-	CI	Н	Н
T1.250	A6	CI	-	Н	CI	Н
T1.251	A6	Н	-	Н	Н	CI
T1.252	A6	OCH3	-	Н	Н	Н
T1.253	A6	Н	-	OCH3	Н	Н
T1.254	A6	CI	-	Н	OCH3	Н
T1.255	A6	Н	-	Н	Н	OCH3
T1.256	A6	F	-	Н	Н	Н
T1.257	A6	Н	-	F	Н	Н
T1.258	A6	CI	- H		F	Н
T1.259	A6	Н	- H		Н	F
T1.260	A13	CH ₃	H CH ₃		-	-
T1.261	A13	CH ₃	H CF ₃		-	-
T1.262	A13	CHF ₂	H CHF ₂		-	_
T1.263	A13	CF ₃	Н	CF ₃	-	_
	L	I		1	1	1

No.	Α	Ra	R₅	R _c	R₀	R _e
T1.264	A13	CH₃	Н	Н	-	-

Table 2 shows selected examples with data

$$R_1$$
 R_3
 R_2
 R_3
 R_2
 R_3
 R_2
 R_3
 R_3
 R_4
 R_3
 R_4
 R_5
 R_5
 R_5
 R_7
 R_7
 R_7
 R_7
 R_7
 R_7

$$R_{c}$$

$$R_{c}$$

$$R_{b}$$

$$R_{c}$$

$$R_{b}$$

$$R_{c}$$

$$R_{c}$$

$$R_{b}$$

$$R_{c}$$

$$R_{c$$

$$R_b$$
 R_c
 R_a
 (A_{13})

Table 2:

Cpd No.	Α	R _a	R _b	R _c	R _d	R _e	R ₂	R ₃	n	w	R ₁
Y.001	A1	Н	Н	Н	Н	Н	Н	Н	1	-	F
Y.002	A1	CI	Н	CI	Н	Н	-	-	0	-	F
Y.003	A1	Н	Н	н	Н	Н	-	-	0	CH=CH	F
Y.004	A1	Н	CI	CI	Н	Н	-	-	0	-	F
Y.005	A1	(CH	H=CH) ₂	Н	Н	Н	-	-	0	-	F
Y.006	A1	Н	Н	CH₂CI	Н	Н	-	-	0	-	F
Y.007	A1	Н	CI	Н	Н	Н	-	-	0	-	F
Y.008	A5	SEt	-	н	Н	Н	-	-	0	-	F
Y.009	A1	Н	Н	CI	Н	Н	Н	Н	1	-	F
Y.010	A1	-O-	CF ₂ -O-	Н	Н	Н	-	-	0	-	F
Y.011	A5	S-nPr	-	Н	Н	Н	-	-	0	-	F
Y.012	A1	CI	Н	CI	Н	CI	-	-	0	-	F
Y.013	A1	CI	Н	F	Н	Н	-	-	0	-	F
Y.014	A4	-	Н	Н	Н	Н	-	-	0	-	F
Y.015	A7	Me	Me	-	-	-	-	-	0	-	F
Y.016	A1	Н	Н	CI	Н	Н	C(C	H ₂) ₄	1	-	F
Y.017	A1	F	F	F	F	F	-	-	0	-	F
Y.018	A5	Н	-	Н	Н	CF ₃	-	-	0	-	F
Y.019	A8	CF ₃	н	p-CI- phenyl	-	-	-	-	0	-	F
Y.020	A1	CI	Н	CI	Н	Н	Н	nPr	1	-	F
Y.021	A1	Н	Н	CI	Н	Н	Н	iPr	1	-	F

Cpd No.	A	R _a	R _b	R _c	R _d	R _e	R ₂	R ₃	n	w	R ₁
Y.022	A1	Cl	CI	Н	Н	CI	-	-	0	-	F
Y.023	A1	OMe	CI	Н	Н	CI	-	-	0	-	F
Y.024	A9	Н	tBu	Me	-	-	-	-	0	-	F
Y.025	A1	Н	OMe	OMe	OMe	Н	-	-	0	-	F
Y.026	A1	Н	Н	CI	Н	Н	-	-	0	-	F
Y.027	A1	Н	Н	Н	Н	Н	-	-	0	1,2- cyclopropane	F
Y.028	A1	Н	CI	Н	CI	Н	-	1	0	-	F
Y.029	A10	CF ₃	Н	CH₃	-	-	-	-	0	-	F
Y.030	A11	Н	Me	-	-	-	-	1	0	-	F
Y.031	A8	Pr	Н	Ph	-	-	-	-	0	-	F
Y.032	A7	Me	2-CI,6-F- phenyl	Н	-	-	-	-	0	-	F
Y.033	A12	-	-	Н	-	-	-	-	0	-	F
Y.034	A1	F	Н	F	F	Н	-	-	0	-	F
Y.035	A1	F	Н	F	Н	Н	-	-	0	-	F
Y.036	A1	F	Н	Н	F	Н	-	-	0	-	F
Y.037	A10	Me	-	tBu	-	-	-	-	0	-	F
Y.038	A1	F	F	Н	Н	F	-	-	0	-	F
Y.039	A1	Н	Н	Н	Н	Н	-	-	0	C(CH ₃)=CH	F
Y.040	A1	Me	Н	Me	Н	Ме	Н	Н	1	-	F
Y.041	A6	Н	CI	Н	CI	Н	-	-	0	-	F
Y.042	A1	F	Н	Н	Н	F	-	-	0	-	F
Y.043	A1	Н	CF ₃	Н	Н	Н	-	-	0	-	F
Y.044	A10	Me	Н	Me	-	-	-	-	0	-	F
Y.045	A1	F	Н	CI	Н	Н	-	-	0	-	F
Y.046	A1	F	Н	F	Н	F	-	-	0	-	F
Y.047	A1	Н	F	F	Н	Н	-	-	0	-	F

Cpd No.	A	R _a	R _b	R _c	R _d	R _e	R ₂	R ₃	n	w	R ₁
Y.048	A1	Н	F	Н	F	Н	-	-	0	-	F
Y.049	A1	CI	Н	Н	Н	CI	-	-	0	-	F
Y.050	A1	F	F	Н	Н	Н	-	-	0	-	F
Y.051	A1	Н	Н	Н	Н	Н	Н	Ме	1	0	F
Y.052	A1	CI	CI	Н	Н	Н	-	-	0	-	F
Y.053	A1	I	Н	Н	Н	Н	-	-	0	-	F
Y.054	A7	Me	Ph	Н	Н	Н	-	-	0	-	F
Y.055	A9	CI	CF ₃	Me	-	-	-	-	0	-	F
Y.056	A1	F	Н	Н	Н	Н	Н	Н	1	-	F
Y.057	A1	Н	Н	F	Н	Н	Н	Н	1	-	F
Y.058	A4	-	CI	Н	CF ₃	Н	-	-	0	-	F
Y.059	A1	Н	Н	Н	Н	Н	Н	Н	1	OCH ₂	F
Y.060	А3	Н	Н	Н	-	-	Н	Н	1	-	F
Y.061	-	-	-	-	-	-	Н	Н	1	OCH ₃	F
Y.062	A1	CI	Н	CI	Н	Н	Н	nPr	1	-	CI
Y.063	A1	Н	Н	Н	Н	Н	Н	Н	1	-	CI
Y.064	A1	(CH=CH) ₂		Н	Н	Н	-	-	0	-	CI
Y.065	A1	Н	Н	Н	Н	Н	-	-	0	CH=CH	CI
Y.066	A1	F	Н	CI	Н	Н	-	-	0	-	CI
Y.067	A1	-0-	CF ₂ -O-	Н	Н	Н	-	-	0	-	CI
Y.068	A1	Н	Н	F	Н	Н	Н	Н	1	-	CI
Y.069	A1	Н	Н	CI	Н	Н	-	-	0	-	CI
Y.070	A1	Н	Н	Н	Н	Н	Н	Н	1	OCH ₂	CI
Y.071	A4	-	(CH=CH) ₂		Н	Н	-	-	0	-	F
Y.072	A4	-	(CH=C	:H) ₂	Н	Н	-	-	0	-	CI
Y.073	A1	Н	Н	CN	Н	Н	-	-	0	-	F
Y.074	A1	Н	Н	CN	Н	Н	-	-	0	-	CI

Cpd No.	A	R _a	R _b	R _c	R _d	R _e	R ₂	R ₃	n	w	R ₁
Y.075	A4	-	C(OCH ₃)=CF	I-CH=CH-	OMe	Н	-	-	0	-	CI
Y.076	A8	CF ₃	Н	Me	-	-	-	-	0	-	CI
Y.077	A1	Н	Н	NO ₂	Н	Н	-	-	0	-	CI
Y.078	A1	Н	Н	Н	Н	Н	-	-	0	-	CI
Y.079	A8	CHF ₂	Н	Me	-	-	-	-	0	-	CI
Y.080	A8	CHF ₂	F	Me	-	-	-	-	0	-	CI
Y.081	A1	F	Н	Н	Н	Н	Н	Н	1	-	CI
Y.082	-	-	-	-	-	-	Ме	Н	1	Cl	CI
Y.083	-	-	-	-	-	-	Н	Н	1	Cl	CI
Y.084	A1	Н	Н	Н	Н	Н	Н	Н	1	SCH ₂	CI
Y.085	-	-	-	-	-	-	Ме	Н	1	Br	CI
Y.086	A1	Н	Н	Н	Н	Н	Н	Ме	1	S	CI
Y.087	A13	CHF ₂	CHF ₂	Н	-	-	Н	Н	1	-	CI
Y.088	A1	Н	Н	CI	Н	Н	Н	Ме	1	O-N=(Me)	CI
Y.089	A1	Н	Н	Н	Н	Н	Н	Ме	1	0	CI
Y.090	A1	Н	Н	Н	Н	Н	Н	Н	1	S	CI
Y.091	A1	Н	Н	Н	Н	Н	Н	Н	1	0	CI
Y.092	A1	Н	Н	CI	Н	Н	Н	Н	1	OCH ₂	CI
Y.093	A1	CI	Н	CI	Н	Н	Н	Н	1	0	CI
Y.094	A1	NO ₂	Н	Н	Н	Н	Н	Н	1	0	CI
Y.095	A1	Н	Н	Н	Н	Н	Н	Et	1	0	CI
Y.096	A1	OMe	Н	F	Н	Н	Н	Н	1	0	CI
Y.097	A1	Н	CF ₃	Н	Н	Н	Н	Et	1	0	CI
Y.098	A1	Н	CF ₃	F	Н	Н	Н	Et	1	0	CI
Y.099	A1	Me	Н	CI	Н	Н	Н	Ме	1	0	CI
Y.100	-	-	-	-	-	-	Н	Н	1	OCH₃	CI
Y.101	A1	Н	Н	CI	Н	Н	Н	Н	1	OCH ₂	F

-64-

Cpd No.	Α	R_a	R₀	R _c	R₀	R _e	R ₂	R ₃	n	w	R ₁
Y.102	A1	Н	Н	Н	Н	Н	Н	Me	1	0	CI
Y.103	A13	CH ₃	CF ₃	Н	-	-	Н	Н	1	-	CI
Y.104	A1	Н	Н	CI	Н	Н	Н	Me	1	0	CI
Y.105	A1	Н	Н	F	Н	Н	-	-	-	NH	CI
Y.106	A1	Н	Н	F	Н	Н	-	-	-	NH	F
Y.107	A1	Н	CI	Н	Н	Н	-	-	-	NH	CI
Y.108	A1	Н	CI	Н	Н	Н	-	-	-	NH	F
Y.109	A1	Н	Н	Н	Н	Н	-	-	-	NH	CI
Y.110	A1	Н	Н	Н	Н	Н	-	-	-	NH	F
Y.111	A1	Н	Н	CI	Н	Н	-	-	-	NH	CI
Y.112	A1	Н	Н	CI	Н	Н	-	-	-	NH	F
Y.113	A1	-	-	-	-	-	-	-	-	(Et)NH	CI
Y.114	A1	-	-	-	-	-	-	-	-	(Et)NH	F
Y.115	A1	-	-	-	-	-	-	-	-	(nBu)NH	CI
Y.116	A1	-	-	-	-	-	-	-	-	(nBu)NH	F
Y.117	A1	-	-	-	-	-	-	-	-	CICH ₂ CH ₂ NH	CI
Y.118	A1	-	-	-	-	-	-	-	-	CICH ₂ CH ₂ NH	F
Y.119	A1	-	-	-	-	-	-	-	-	(tBu)NH	CI
Y.120	A1	-	-	-	-	-	-	-	-	(tBu)NH	F
Y.121	A1	Н	Н	Н	Н	Н	-	-	-	OCH ₂	CI
Y.122	A1	Н	Н	Н	Н	Н	-	-	-	0	CI
Y.123	A1	Н	Н	OCH₃	Н	Н	-	-	-	0	CI
Y.124	A1	-	-	-	-	-	-	-	-	OCH₃	CI
Y.125	A1	F	Н	Н	Н	F	-	-	0	0	CI

Table 3: Characterising data:

5

Table 3 shows selected melting point and selected NMR data for compounds of Table 1. $CDCI_3/D_2O \ \ and \ DMSO \ are \ used \ as \ solvents \ for \ NMR \ 400 \ \ MHz \ measurements. \ No \ attempt \ is \ made to list all characterising data in all cases.$

-65-

In Table 3 and throughout the description that follows, temperatures are given in degrees Celsius; "NMR" means nuclear magnetic resonance spectrum; MS stands for mass spectrum; "%" is per cent by weight, unless corresponding concentrations are indicated in other units. The following abbreviations are used throughout this description:

5

m.p. = melting point b.p.= boiling point.
S = singlet br = broad

d = doublet dd = doublet of doublets

t = triplet q = quartet

m = multiplet ppm = parts per million

The following LC-MS method was used to characterize the compounds:

ACQUITY SQD Mass Spectrometer from Waters (Single quadrupole mass spectrometer)

Ionisation method: Electrospray

10ppolarity: positive ions

Capillary (kV) 3.00, Cone (V) 20.00, Extractor (V) 3.00, Source Temperature (°C) 150, Desolvation

Temperature (°C) 400, Cone Gas Flow (L/Hr) 60, Desolvation Gas Flow (L/Hr) 700

Mass range: 100 to 800 Da

DAD Wavelength range (nm): 210 to 400

15

Method Waters ACQUITY UPLC with the following HPLC gradient conditions

(Solvent A: Water/Methanol 9:1,0.1% formic acid and Solvent B: Acetonitrile,0.1% formic acid)

	Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
	0	100	0	0.75
20	2.5	0	100	0.75
	2.8	0	100	0.75
	3.0	100	0	0.75

Type of column: Waters ACQUITY UPLC HSS T3; Column length: 30 mm; Internal diameter of column:

2.1 mm; Particle Size: 1.8 micron; Temperature: 60°C.

25

The characteristic values obtained for each compound were the retention time (" R_t ", recorded in minutes) and the molecular ion as listed in Table 3.

30 Table 3: Physical data of compounds of formula I:

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.001	>240	286	1.08	
Y.002		340	1.30	

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.003	216-221	298	1.23	
Y.004	189-199	340	1.39	
Y.005		322	1.26	
Y.006		320	1.20	
Y.007		306	1.23	
Y.008		333	1.16	
Y.009		320	1.65	
Y.010	196-200	352	1.40	
Y.011		347	1.31	
Y.012		374	1.35	
Y.013		324	1.16	
Y.014		273	1.16	
Y.015		291	0.90	
Y.016		374	1.65	
Y.017		362	1.28	
Y.018		341	1.11	
Y.019		440	1.50	
Y.020		396	1.76	
Y.021		362	1.56	
Y.022		374	1.30	
Y.023		370	1.26	
Y.024		332	1.33	
Y.025		362	1.08	
Y.026	208-211	306	1.22	
Y.027		312	1.28	
Y.028		339	1.44	
Y.029		344	1.28	
Y.030		277	1.03	

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.031		380	1.38	
Y.032		405	1.35	
Y.033		328	1.12	
Y.034		326	1.25	
Y.035		308	1.19	
Y.036		308	1.16	
Y.037		332	1.59	
Y.038		326	1.08	
Y.039		312	1.34	
Y.040		328	1.46	
Y.041		342	1.24	
Y.042		308	1.01	
Y.043		340	1.32	
Y.044		290	1.18	
Y.045	186-189	324	1.34	
Y.046		326	1.08	
Y.047		308	1.16	
Y.048		308	1.16	
Y.049		340	1.14	
Y.050		308	1.17	
Y.051		316	1.30	
Y.052		340	1.24	
Y.053		397	1.15	
Y.054		353	1.23	
Y.055		378	1.47	
Y.056		304	1.10	
Y.057	215-218	304	1.12	
Y.058		375	1.40	

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.059	158-160	316	1.28	
Y.060		292	1.03	
Y.061		240	0.76	
Y.062	89-109	412/414	1.14	(<i>CHLOROFORM-d</i>): 0.77 - 0.93 (m, 1 H), 1.27 - 1.51 (m, 3 H), 1.70 - 1.95 (m, 1 H), 2.12 - 2.35 (m, 1 H), 3.86 (br. s., 1 H), 4.07 - 4.19 (m, 1 H), 5.00 (s, 2 H), 5.67 (br. s., 1 H), 7.21 - 7.36 (m, 2 H), 7.40 - 7.52 (m, 2 H), 7.76 (d, <i>J</i> =17.6 Hz, 1 H), 8.58 (s, 1 H)
Y.063	220-226	302		
Y.064	207-210	338		
Y.065	210-215	340		
Y.066	solid	340/342	0.99	(<i>METHANOL-d</i> ₄): 5.07 (s, 2 H), 7.36 - 7.49 (m, 2 H), 7.57 (s, 1 H), 7.93 (t, <i>J</i> =8.3 Hz, 1 H), 8.21 (s, 1 H)
Y.067	>235	368/370	0.99	
Y.068	>240	320/322	0.85	
Y.069	>235	322/324/326	0.90	
Y.070	145-147	332/334	0.93	
Y.071	>230	323	0.98	
Y.072	>230	339/341	1.05	
Y.073	>200	297	0.73	
Y.074	>200	313/315	0.79	(<i>DMSO-d</i> ₆): 5.03 (s, 2 H), 7.68 (s, 1 H), 7.90 (s, 1 H), 8.06 (dt, <i>J</i> =8.5, 1.8 Hz, 2 H), 8.16 (dt, <i>J</i> =8.5, 1.7 Hz, 2 H), 9.41 (s, 1 H), 10.43 (s, 1 H)
Y.075	>240	399/401	1.04	
Y.076	>240	360/362	0.80	
Y.077	>200	not dedected	0.83	
Y.078	>200	288/290	0.82	
Y.079	>200	342	0.82	
Y.080	211-213	360/362	0.81	

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.081	>240	320/322	0.84	
Y.082	212-216	274/276	0.78	
Y.083	180-190	260/262	0.70	
Y.084	145-160	348/350	0.94	
Y.085	>240	320/322	0.78	
Y.086	120-131	348/350	0.97	
Y.087	236-240	392/394	0.84	
Y.088	130-135	405/407	1.09	
Y.089	121-125	332/334	0.95	
Y.090	>200	334/336	0.91	
Y.091	>200	318/320	0.91	
Y.092	190-200	366/368	1.00	
Y.093	>215	385/86/88/90	1.07	
Y.094	>210	363/365	0.89	
Y.095	130-145	346/348	1.00	
Y.096	>200	366/368	0.93	
Y.097		314/316	1.06	
Y.098		432/434	1.07	
Y.099		380/382	1.08	
Y.100	185-195	256/258	0.70	
Y.101	160-170	350/352	0.95	
Y.102	121-125	332/334	0.95	
Y.103	200-204	374/376	0.90	
Y.104	137-140	366/368	1.01	
Y.105	>200	321/323	0.85	
Y.106	solid	305	0.80	
Y.107	solid	337/339	0.92	
Y.108	solid	320/321/323	0.87	

-70-

Cpd No.	m.p. (°C)	MS [M+H] ⁺	R _t (min)	1H-NMR data: ppm (multiplicity/number of Hs)
Y.109	solid	302/303/305	0.83	
Y.110	solid	287	0.78	
Y.111	solid	337/339	0.91	
Y.112	solid	321/323	0.87	
Y.113	solid	255/257	0.66	
Y.114	solid	239	0.58	
Y.115	solid	283/285	0.81	
Y.116	solid	267	0.76	
Y.117	solid	289/291	0.70	
Y.118	solid	273/275	0.64	
Y.119	solid	283/285	0.82	
Y.120	solid	267	0.77	
Y.121	solid	318/320	1.07	
Y.122	solid	not dedected	0.90	
Y.123	180-190	not dedected	0.90	
Y.124		242/244	0.71	
Y.125	>200	324/326	0.81	

Formulation examples for compounds of formula (I):

Example F-1.1 to F-1.2: Emulsifiable concentrates

Components	F-2.1	F-2.2
A compound selected from the Tables 2 to 2400 or a compound selected from Table 3	25%	50%
calciumdodecylbenzenesulfonate	5%	6%
castoroilpolyethyleneglycolether		
(36molethylenoxyunits)	5%	-
tributylphenolpolyethyleneglycolether		
(30molethylenoxyunits)	-	
cyclohexanone	-	20%
xylenemixture	65%	20%

5

Emulsions of any desired concentration can be prepared by diluting such concentrates with water.

Example F-2: Emulsifiable concentrate

Components	F-2
A compound selected from the Tables 2 to 2400 or a compound selected from Table 3	10%
octylphenolpolyethyleneglycolether	3%
(4 to 5 mol ethylenoxy units)	
Calcium dodecylbenzenesulfonate	3%
Castoroilpolyglycolether	4%
(36 mol ethylenoxy units)	
cyclohexanone	30%
xylenemixture	50%

Emulsions of any desired concentration can be prepared by diluting such concentrates with water.

5 Examples F-3.1 to F-3.4: Solutions

Components	F-	F-	F-	F-
	3.1	3.2	3.3	3.4
A compound selected from the Tables 2 to 2400 or a compound	80%	10%	5%	95%
selected from Table 3				
propylene glycol monomethyl ether	20%	-	-	-
polyethylene glycol	-	70%	-	-
(relative molecular mass: 400 atomic mass units)				
N-methylpyrrolid-2-one	-	20%	-	-
epoxidised coconut oil	-	-	1%	5%
benzin (boiling range: 160-190°)	-	-	94%	-
The solutions are suitable for use in the form of microdrops.				

Examples F-4.1 to F-4.4: Granulates

Components	F-	F-	F-	F-
	4.1	4.2	4.3	4.4
A compound selected from the Tables 2 to 2400 or a compound	5%	10%	8%	21%
selected from Table 3				
Kaolin	94%	-	79%	54%
highly dispersed silicic acid	1%	-	13%	7%
Attapulgite	-	90%	-	18%

The novel compound is dissolved in dichloromethane, the solution is sprayed onto the carrier and the solvent is then removed by distillation under vacuum.

Examples F-5.1 and F-5.2: Dusts

Components	F-5.1	F-5.2

-72-

A compound selected from the Tables 2 to 2400 or a compound selected from Table 3	2%	5%
highly dispersed silicic acid	1%	5%
Talcum	97%	-
Kaolin	-	90%

Ready for use dusts are obtained by intimately mixing all components.

Examples F-6.1 to F-6.3: Wettable powders

Components		F-	F-
	6.1	6.2	6.3
A compound selected from the Tables 2 to 2400 or a compound selected from	25%	50%	75%
Table 3			
sodium lignin sulfonate	5%	5%	-
sodium lauryl sulphate	3%	-	5%
sodium diisobutylnaphthalene sulfonate	6%	10%	-
octylphenolpolyethylene glycol ether	2%	-	-
(7 to 8 mol ethylenoxy units)			
highly dispersed silicic acid	5%	10%	10%
Kaolin	62%	27%	-

All components are mixed and the mixture is thoroughly ground in a suitable mill to give wettable powders which can be diluted with water to suspensions of any desired concentration.

Example F7: Flowable concentrate for seed treatment

Components	F-7
A compound selected from the Tables 2 to 2400 or a compound selected from Table 3	40 %
propylene glycol	5 %
copolymer butanol PO/EO	2 %
tristyrenephenole with 10-20 moles EO	2 %
1,2-benzisothiazolin-3-one	0.5 %
(in the form of a 20% solution in water)	
monoazo-pigment calcium salt	5 %
Silicone oil	0.2 %
(in the form of a 75 % emulsion in water)	
Water	45.3 %

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with water. Using such dilutions, living plants as well as plant propagation material can be treated and protected against infestation by microorganisms, by spraying, pouring or immersion.

5

BIOLOGICAL EXAMPLES: FUNGICIDAL ACTION:

5

10

15

20

25

30

35

40

1 Phytophthora infestans / tomato / leaf disc preventative (late blight)

Tomato leaf disks were placed on water agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water at an application rate of 200ppm. The leaf disks were inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf disks were incubated at 16°C and 75% relative humidity under a light regime of 24 h darkness followed by 12/12 h (light/dark) in a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf disks (5 – 7 days after application). The following compounds gave at least 80% control of *Phytophthora infestans*: Y.001, Y.042, Y.044, Y.045, Y.047, Y.049, Y.051, Y.052, Y.053, Y.054, Y.056, Y.057, Y.059, Y.081, Y.082, Y.083, Y.103, Y.125.

2 Plasmopara viticola / grape / leaf disc preventative (late blight)

Grape vine leaf disks were placed on water agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks were inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf disks were incubated at 19°C and 80% relative humidity under a light regime of 12/12 h (light/dark) in a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf disks (6 – 8 days after application). The following compounds gave at least 80% control of *Plasmopara viticola* Y.001, Y.002, Y.003, Y.004, Y.005, Y.006, Y.007, Y.008, Y.010, Y.011, Y.012, Y.013, Y.016, Y.021, Y.026, Y.027, Y.028, Y.029, Y.032, Y.041, Y.042, Y.043, Y.045, Y.049, Y.050, Y.052, Y.053, Y.054, Y.056, Y.057, Y.058, Y.059, Y.063, Y.064, Y.065, Y.067, Y.068, Y.069, Y.070, Y.076, Y.077, Y.078, Y.079, Y.080, Y.081, Y.082, Y.083, Y.084, Y.085, Y.086, Y.087, Y.089, Y.090, Y.091, Y.092, Y.094, Y.095, Y.098, Y.101, Y.102, Y.103, Y.123, Y.125.

4 Puccinia recondita f. sp. tritici / wheat / leaf disc preventative (Brown rust):

Wheat leaf segments cultivated variety (cv) Kanzler were placed on agar in 24-well plates and sprayed with formulated test compound diluted in water at an application rate of 200ppm. The leaf disks were inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf segments were incubated at 19°C and 75% relative humidity under a light regime of 12/12 h (light/dark) in a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf segments (7 – 9 days after application). The following compounds gave at least 80% control of *Puccinia recondita* f. sp. *Tritici*: Y.010, Y.011, Y.016, Y.017, Y.031, Y.064, Y.067, Y.069, Y.070, Y.076, Y.081, Y.086, Y.089, Y.095, Y.101, Y.102, Y.104.

5 Phaeosphaeria nodorum (Septoria nodorum) / wheat / leaf disc preventative (Glume blotch):

Wheat leaf segments cv Kanzler were placed on agar in a 24-well plate and sprayed with formulated test compound diluted in water at an application rate of 200ppm. The leaf disks were inoculated with a spore suspension of the fungus 2 days after application. The inoculated test leaf disks were incubated at 20°C and 75% relative humidity under a light regime of 12/12 h (light/dark) in

a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf disks (5 – 7 days after application). The following compounds gave at least 80% control of *Phaeosphaeria nodorum* Y.082, Y.104, Y.125.

7 Alternaria solani / tomato / leaf disc (early blight)

5

10

15

20

25

30

35

40

Tomato leaf disks cultivated variety (cv.) Baby were placed on agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water at an application rate of 200ppm. The leaf disks were inoculated with a spore suspension of the fungus 2 days after application. The inoculated leaf disks were incubated at 23°C/21°C (day/night) and 80% relative humidity under a light regime of 12/12 h (light/dark) in a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears on untreated check disk leaf disks (5 – 7 days after application). The following compounds gave at least 80% control of *Alternaria solani*: Y.055.

8 Magnaporthe grisea (Pyricularia oryzae) / rice / leaf disc preventative (Rice Blast):

Rice leaf segments cv. Ballila were placed on agar in multiwell plate (24-well format) and sprayed with the formulated test compound diluted in water at an application rate of 200ppm. The leaf segments were inoculated with a spore suspension of the fungus 2 days after application. The inoculated leaf segments were incubated at 22°C and 80% rh under a light regime of 24 h darkness followed by 12/12 h (light/dark) in a climate cabinet and the activity of a compound was assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf segments (5 – 7 days after application). The following compounds gave at least 80% control of *Magnaporthe grisea* Y.029, Y.099.

9 Pythium ultimum / liquid culture (seedling damping off)

Mycelia fragments and oospores of a newly grown liquid culture of the fungus were directly mixed into nutrient broth (potato dextrose broth). After placing a DMSO solution of test compound into a 96-well format microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal mycelia/spore mixture was added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 2-3 days after application. The compounds gave at least 80% control of *Pythium ultimo:* Y.001, Y.002, Y.003, Y.004, Y.005, Y.006, Y.007, Y.008, Y.009, Y.010, Y.011, Y.012, Y.013, Y.014, Y.015, Y.016, Y.017, Y.018, Y.019, Y.020, Y.021, Y.022, Y.023, Y.024, Y.025, Y.026, Y.027, Y.028, Y.029, Y.030, Y.031, Y.032, Y.033, Y.041, Y.042, Y.043, Y.044, Y.045, Y.047, Y.048, Y.049, Y.050, Y.051, Y.052, Y.053, Y.054, Y.056, Y.057, Y.058, Y.059, Y.061, Y.063, Y.064, Y.065, Y.067, Y.068, Y.069, Y.070, Y.071, Y.073, Y.074, Y.076, Y.077, Y.078, Y.079, Y.080, Y.081, Y.082, Y.083, Y.084, Y.085, Y.086, Y.087, Y.088, Y.089, Y.090, Y.091, Y.092, Y.093, Y.094, Y.095, Y.096, Y.097, Y.100, Y.101, Y.102, Y.103, Y.104, Y.105, Y.106, Y.108, Y.109, Y.110, Y.113, Y.114, Y.115, Y.116, Y.117, Y.118, Y.119, Y.120, Y.122, Y.123, Y.124, Y.125.

10 Botryotinia fuckeliana (Botrytis cinerea) / liquid culture (Gray mould):

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (Vogels broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal spores was added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 3-4 days after

-75-

application. The following compounds gave at least 80% control of *Botryotinia fuckeliana:* Y.033, Y.088, Y.099, Y.100, Y.122.

11 Glomerella lagenarium (Colletotrichum lagenarium) / liquid culture (Anthracnose) :

5

10

15

20

25

30

35

40

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal spores was added. The test plates were incubated at 24°C and the inhibition of growth was measured photometrically 3-4 days after application. The following compounds gave at least 80% control of *Glomerella lagenarium*: Y.002, Y.005, Y.010, Y.011, Y.013, Y.014, Y.016, Y.020, Y.021, Y.026, Y.029, Y.033, Y.042, Y.049, Y.050, Y.051, Y.052, Y.053, Y.054, Y.059, Y.062, Y.064, Y.067, Y.069, Y.078, Y.083, Y.086, Y.088, Y.089, Y.090, Y.091, Y.095, Y.097, Y.099, Y.102, Y.104, Y.107, Y.108, Y.121, Y.122, Y.125.

12 Mycosphaerella arachidis (Cercospora arachidicola) / liquid culture (early leaf spot):

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal spores was added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 4-5 days after application. The compounds gave at least 80% control of *Mycosphaerella arachidis*: Y.003, Y.003, Y.062, Y.063, Y.065, Y.070, Y.078, Y.082, Y.083, Y.084, Y.086, Y.089, Y.090, Y.091, Y.095, Y.097, Y.099, Y.102, Y.104, Y.121, Y.122.

13 Mycosphaerella graminicola (Septoria tritici) / liquid culture (Septoria blotch):

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal spores was added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 4-5 days after application. The following compounds gave at least 80% control of *Mycosphaerella graminicola*: Y.020, Y.033, Y.062, Y.083, Y.089, Y.089, Y.095, Y.097, Y.102, Y.104, Y.107, Y.108, Y.120, Y.121, Y.122.

14 Gaeumannomyces graminis / liquid culture (Take-all of cereals):

Mycelial fragments of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth Cp.33, containing the fungal spores is added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 4-5 days after application. The compounds gave at least 80% control of *Gaeumannomyces:* Y.005, Y.008, Y.010, Y.011, Y.013, Y.014, Y.016, Y.020, Y.021, Y.022, Y.028, Y.029, Y.031, Y.033, Y.042, Y.043, Y.045, Y.050, Y.051, Y.052, Y.053, Y.059, Y.062, Y.064, Y.067, Y.069, Y.070, Y.071, Y.076, Y.078, Y.079, Y.080, Y.082, Y.083, Y.086, Y.090, Y.092, Y.093, Y.097, Y.098, Y.099, Y.101, Y.104, Y.125.

15 Monographella nivalis (Microdochium nivale) / liquid culture (foot rot cereals):

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of test compound into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal spores was added. The test plates

WO 2014/173880 PCT/EP2014/058107 -76-

were incubated at 24°C and the inhibition of growth was determined photometrically 4-5 days after application. The compounds gave at least 80% control of *Monographella nivalis*: Y.010, Y.011, Y.014, Y.016, Y.020, Y.021, Y.022, Y.023, Y.024, Y.029, Y.031, Y.032, Y.033, Y.042, Y.049, Y.049, Y.050, Y.051, Y.067, Y.078, Y.082, Y.083, Y.085, Y.086, Y.088, Y.089, Y.090, Y.091, Y.093, Y.095, Y.097, Y.098, Y.099, Y.101, Y.102, Y.104, Y.108, Y.122, Y.123, Y.125.

16 Fusarium culmorum / liquid culture (Head blight):

5

10

15

20

Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of the test compounds into a microtiter plate (96-well format), the nutrient broth containing the fungal spores was added. The test plates were incubated at 24°C and the inhibition of growth was determined visually 3-4 days after application. The following compounds gave at least 80% control of *Fusarium culmorum*: Y.022, Y.026, Y.029, Y.033, Y.088, Y.089, Y.090, Y.091, Y.099, Y.102, Y.104, Y.125.

17 Thanatephorus cucumeris (Rhizoctonia solani) / liquid culture (foot rot, damping-off):

Mycelia fragments of a newly grown liquid culture of the fungus were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a DMSO solution of the test compounds into a 96-well microtiter plate at an application rate of 200ppm, the nutrient broth containing the fungal material was added. The test plates were incubated at 24°C and the inhibition of growth was determined photometrically 3-4 days after application. The following compounds gave at least 80% control of *Thanatephorus cucumeri:* Y.008, Y.011, Y.026, Y.029, Y.045, Y.067, Y.069, Y.083, Y.088, Y.104, Y.125.

Claims:

1. Compounds of formula (I)

$$R_1$$
 R_2
 R_3
 R_2
 R_3
 R_2
 R_3
 R_2
 R_3
 R_3
 R_2

wherein

5

10

15

20

25

30

R₁ is fluorine, chlorine, bromine, cyano, C₁-C₄alkyl or C₁-C₄haloalkyl;

 R_2 and R_3 are, independently from each other hydrogen, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_6 alkinyl, C_3 - C_6 cycloalkyl, C_3 - C_6 alkinyl, phenyl or R_2 and R_3 may form a cyclic ring;

-77-

W is oxygen, sulphur, nitrogen, C_1 - C_6 alkylamino, $-C_1$ - C_4 alkyl-O-N=CH-, -O-N=CH-, -O-N=C-(C_1 - C_4 alkyl), C_1 - C_6 alkylene, C_2 - C_6 alkenylene, C_3 - C_6 alkinylene, C_3 - C_6 cycloalkyl, or a direct bond; or if then A has no meaning W is selected from fluorine, chlorin, bromine, C_{1-4} alkoxy, C_{1-4} alkylthio; n is 0, 1 or 2;

A is an oprtionally substituted aryl or a optionally substituted heteroaryl wherein the optional substituents for the oprtionally substituted aryl and a optionally substituted heteroary groups are selected from F, Cl, Br, I, –OH, -CN, nitro, a oxo substituent, -C₁₋₄alkoxy, -C₁₋₄alkylthio, C₁₋₄alkyl, C₂₋ 4alkenyl, C_{2-4} alkenyl, C_{2-4} alkynyl, -C(O)H, $-C(O)(C_{1-4}$ alkyl), $-C(O)(C_{1-4}$ alkoxy), $-C(O)NH_2$, - $C(O)NH(C_{1-4} \text{ alkyl}), -C(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl}), -OC(O)NH(C_{1-4} \text{ alkyl}), -OC(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl})$ alkyl),-NHC(O)(C_{1-4} alkyl),- NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl) C(O)(C_{1-4} alkyl), -N(C_{1-4} alkyl) C(O)($C_$ alkoxy), $-OC(O)(C_{1-4} \text{ alkyl})$, $-OC(O)(C_{1-4} \text{ alkoxy})$, $-Si(C_{1-4} \text{ alkyl})_3$, $-Si(C_{1-4} \text{ alkoxy})_3$, $C_{6-10} \text{ aryl}$, $C_{6-10} \text{ aryloxy}$, $C_{6\text{--}10} arylthio,\ C_{6\text{--}10} heteroaryl,\ \textbf{-}(C_{1\text{--}8}-perhaloalkyl)\ ,\ arylC_{2\text{--}6} alkynyl,\ \textbf{-}C_{2\text{--}6} alkenyl,\ heteroarylC_{2\text{--}6} alkynyl,\ arylC_{2\text{--}6} alkynyl,\ ary$ -C₂₋₆alkenyl, C₃₋₈cycloalkyl, -NR⁸R⁹ where R⁸ and R⁹ are independently H, -C₁₋₄alkyl -C₂₋₄alkenyl, -C₂₋₅ 4alkynyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two oxo substituent, C₁₋₄ alkyl groups, -C₂₋₄alkenyl or substituted -C₂₋₄alkenyl, - C_{2-4} alkynyl or substituted $-C_{2-4}$ alkynyl, -C(O)H, $-C(O)(C_{1-4}$ alkyl), $-C(O)(C_{1-4}$ alkoxy), $-C(O)NH_2$, $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4}$ alkoxy), $-C(O)(C_{1-4})(C$ $C(O)NH(C_{1-4} \text{ alkyl}), -C(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl}), -OC(O)NH(C_{1-4} \text{ alkyl}), -OC(O)N(C_{1-4} \text{ alkyl})(C_{1-4} \text{ alkyl})$ alkyl),-NHC(O)(C₁₋₄ alkyl),- NHC(O)(C₁₋₄ alkoxy), -N(C₁₋₄ alkyl)C(O)(C₁₋₄ alkyl), -N(C₁₋₄ alkyl)C(O)(C₁₋₄ alkoxy), $-OC(O)(C_{1-4} \text{ alkyl})$, $-OC(O)(C_{1-4} \text{ alkoxy})$, $-Si(C_{1-4} \text{ alkyl})_3$, $-Si(C_{1-4} \text{ alkoxy})_3$, $C_{6-10} \text{ aryl}$, $C_{6-10} \text{ aryloxy}$, C_{6-10} arylthio, C_{6-10} heteroaryl, -(C_{1-8} – perhaloalkyl), aryl C_{1-4} alkynyl, - C_{1-6} alkynyl, wherein all the alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, arylthio or heteroaryl groups are either substituted or unsubstituted, or two neighboured substituents of A form a -O-C₁-C₄alkyl-O- ring or a -C₄-C₆alkylenering together with the carbon atoms to which they are attached and theses rings are optionally substituted by F, Cl, Br, -C₁₋₄alkoxy;

and agronomically acceptable salts, stereoisomers, diastereoisomers, enantiomers, tautomers, atriopisomers and N-oxides of those compounds.

5

- 2. Compounds of formula (I) according to claim 1
- R₁ is fluorine, chlorine, bromine, cyano, C₁-C₂alkyl or C₁-C₂haloalkyl;
- 3. Compounds of formula (I) according to claim 1 or 2 characterized in that
- 10 R₂ and R₃ are, independently from each other hydrogen, halogen, C₁-C₄alkyl, or C₂-C₄alkenyl,
 - 4. Compounds of formula (I) according to claim 1 or 2 characterized in that W is oxygen, sulphur, nitrogen, C_1 - C_6 alkylamino, $-C_1$ - C_4 alkyl-O-N=CH-, C_1 - C_6 alkylene, C_2 - C_6 alkenylene, C_3 - C_6 cycloalkyl, or a direct bond;
- or if then A has no meaning W is selected from fluorine, chlorin, bromine, C₁₋₄ alkoxy, C₁₋₄ alkylthio;
 - 5. Compounds of formula (I) according to claim 1 characterized in that the R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole
- C₄haloalkoxy, C₁-C₄alkoximino and C₁-C₄alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups
 - 6. Compounds of formula (I) according to claim 1 characterized in that n is 0 or 1

25

30

7. Compounds of formula (I) according to claim 1 characterized in that A is selected from

$$R_b$$
 and R_c R_c R_a A_{3} , R_c A_{13} , A_{13}

10

wherein R_a , R_b , R_c , R_d and R_e independently are selected from the group consisting of hydrogen halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkoximino and C_1 - C_4 alkylendioxygroups, or phenyl, pyridyl, thiophene, imidazole or pyrrazol groups

- 8. A method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula (I) as defined in claim 1 or 2 or a composition comprising a compound of formula (I) as defined in claim 1 or 2 as active ingredient, is applied to the plants, to parts thereof or the locus thereof.
- 9. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula (I) as defined in claim 1 or 2 and at least one auxiliary.
- 10. A method of controlling phytopathogenic diseases on useful plants or plant propagation material thereof, which comprises applying to said plant propagation material a fungicidally effective amount of a plant propagation material protecting composition comprising a compound of formula (I) as defined in claim 1 or 2, together with a suitable carrier therefor.
- 20 11. A composition comprising a fungicidally effective amount of a compound of formula (I) as defined in claim 1 or 2, optionally comprising at least one additional active ingredient.

INTERNATIONAL SEARCH REPORT

International application No PCT/EP2014/058107

A. CLASSIFICATION OF SUBJECT MATTER INV. C07F5/02 A01N25/00

A01N55/08

ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07F A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUM	C. DOCUMENTS CONSIDERED TO BE RELEVANT				
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.			
X	WO 2013/050591 A2 (SYNGENTA PARTICIPATIONS AG [CH]; BOBBIO CARLA [CH]; WEIDER CHRISTOPHE) 11 April 2013 (2013-04-11) claim 1 page 39; compound 1	1-11			
X	US 2007/155699 A1 (BAKER STEPHEN J [US] ET AL) 5 July 2007 (2007-07-05) page 106; compound C52 page 100; example 16	1-11			

X Further documents are listed in the continuation of Box C.	X See patent family annex.
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is
"O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed	combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family
Date of the actual completion of the international search 2 June 2014	Date of mailing of the international search report $10/06/2014$
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer Duval, Eric

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2014/058107

C(Continua	ation). DOCUMENTS CONSIDERED TO BE RELEVANT	
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	CHARLES Z DING ET AL: "Synthesis and biological evaluations of P4-benzoxaborole-substituted macrocyclic inhibitors of HCV NS3 protease", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, GB, vol. 20, no. 24, 14 October 2010 (2010-10-14), pages 7317-7322, XP028129106, ISSN: 0960-894X, DOI: 10.1016/J.BMCL.2010.10.071 [retrieved on 2010-10-21] cited in the application page 7318; compound 8	
A	XIANFENG LI ET AL: "Synthesis and SAR of acyclic HCV NS3 protease inhibitors with novel P4-benzoxaborole moieties", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, GB, vol. 21, no. 7, 2 February 2011 (2011-02-02), pages 2048-2054, XP028162334, ISSN: 0960-894X, DOI: 10.1016/J.BMCL.2011.02.006 [retrieved on 2011-02-05] cited in the application page 2050; compound 5g	

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2014/058107

WO 2013050591				member(s)		date
	A2	11-04-2013	AU CA WO WO	2012320382 A 2850263 A 2013050591 A 2013057740 A	1 2	22-05-2014 11-04-2013 11-04-2013 25-04-2013
US 2007155699	A1	05-07-2007	AU BR CA EP JP KR US US US US US	2006333527 A: PI0621279 A: 2635680 A: 1976536 A: 2009526751 A: 20080110984 A: 2007155699 A: 2010267981 A: 2012289686 A: 2013059802 A: 2013059803 A: 2013064783 A: 2013210770 A: 2007078340 A:	2 1 2 1 1 1 1 1 1 1	12-07-2007 20-12-2011 12-07-2007 08-10-2008 23-07-2009 22-12-2008 05-07-2007 21-10-2010 15-11-2012 07-03-2013 07-03-2013 14-03-2013 15-08-2013 12-07-2007