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(54) Title: 1,3,4-OXADIAZOLE PYRIMIDINES AS FUNGICIDES

(57) **Abstract:** The present invention relates to 1,3,4-oxadiazole pyrimidine compounds, processes and intermediates for 5 their preparation as well as the uses thereof for controlling phytopathogenic microorganisms, such as phytopathogenic fungi.

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1,3,4-OXADIAZOLE PYRIMIDINES AS FUNGICIDES

TECHNICAL FIELD

The present invention relates to 1,3,4-oxadiazol-2-ylpyrimidine compounds, processes and intermediates for their preparation as well as the uses thereof for controlling harmful microorganisms, in particular phytopathogenic fungi, in crop protection.

BACKGROUND

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1,2,4-oxadiazoles derivatives are well known to be useful as crop protection agents to combat or prevent microorganisms' infestations. For instance, WO-2019/122323, WO-2018/118781 and WO-2018/080859 disclose 1,2,4-oxadiazol-3-ylpyrimidines and 1,2,4-oxadiazol-3-ylpyridines derivatives that may be used for the control of microbial pests, particularly fungal pests, on plants. Fungicidally active 1,2,4-oxadiazoles are further known from US 2018/317490. Some fungicidally active 1,3,4-oxadiazoles are disclosed in WO2020127974 and WO-00/15637.

1,3,4-oxadiazoles derivatives are far less common and seldomly used for the control of microbial pests. WO-2018/165520, WO-2017/065473 and WO-2017/023133 disclose 1,3,4-oxadiazol-2-ylpyrimidines and 1,3,4-oxadiazol-2-ylpyridines derivatives that may be used as metalloenzyme (histone deacetylase) inhibitors for the treatment of human diseases.

Numerous fungicidal agents have been developed until now. However, the need remains for the development of new fungicidal compounds as such, so as to provide compounds being effective against a broad spectrum of fungi, having lower toxicity, higher selectivity, being used at lower dosage rate to reduce or avoid unfavorable environmental or toxicological effects whilst still allowing effective pest control. It may also be desired to have new compounds to prevent the emergence of fungicides resistances. Furthermore, it may be desired to provide further fungicidal compounds having an improved storage stability and/or a higher weather stability, for example an improved photostability.

The present invention provides new fungicidal compounds which have advantages over known compounds and compositions in at least some of these aspects.

SUMMARY

The present invention relates to compounds of formula (I) as defined herein:

wherein R^1 , R^2 , R^3 and X are as recited herein as well as their salts, N-oxides and solvates.

The present invention also relates to a composition comprising at least one compound of formula (I) as defined herein and at least one agriculturally suitable auxiliary.

The present invention also relates to the use of a compound of formula (I) as defined herein or a composition as defined herein for controlling harmful microorganism, in particular phytopathogenic fungi, in crop protection.

The present invention also relates to a method for controlling harmful microorganisms, in particular phytopathogenic fungi, in crop protection, which comprises the step of applying at least one compound of formula (I) as defined herein or a composition as defined herein to the harmful microorganisms and/or their habitat.

The present invention also relates to processes and intermediates for preparing compounds of formula (I).

DEFINITIONS

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Unless otherwise stated, the following definitions apply for the substituents and residues used throughout this specification and claims:

15 The term "halogen" as used herein refers to fluorine, chlorine, bromine or iodine atom.

The term "oxo" as used herein refers to an oxygen atom which is bound to a carbon atom or sulfur atom via a double bound.

The term " C_1 - C_8 -alkyl" as used herein refers to a saturated, branched or straight hydrocarbon chain having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms. Examples of C_1 - C_8 -alkyl include but are not limited to methyl, ethyl, propyl (n-propyl), 1-methylethyl (iso-propyl), butyl (n-butyl), 1-methylpropyl (sec-butyl), 2-methylpropyl (iso-butyl), 1,1-dimethylethyl (tert-butyl), pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl. Particularly, said hydrocarbon chain has 1, 2, 3 or 4 carbon atoms (" C_1 - C_4 -alkyl"), e.g. methyl, ethyl, propyl, iso-propyl, butyl, sec-butyl, iso-butyl or tert-butyl.

The term "C₂-C₈-alkenyl" as used herein refers to an unsaturated, branched or straight hydrocarbon chain having 2, 3, 4, 5, 6, 7 or 8 carbon atoms and comprising at least one double bond. Examples of C₂-C₈-alkenyl include but are not limited to ethenyl (or "vinyl"), prop-2-en-1-yl (or "allyl"), prop-1-en-1-yl, but-3-enyl, but-2-enyl, but-1-enyl, pent-4-enyl, pent-3-enyl, pent-1-enyl, hex-5-enyl, hex-4-enyl,

hex-3-enyl, hex-2-enyl, hex-1-enyl, prop-1-en-2-yl (or "isopropenyl"), 2-methylprop-2-enyl, 1methylprop-2-enyl, 2-methylprop-1-enyl, 1-methylprop-1-enyl, 3-methylbut-3-enyl, 2-methylbut-3-enyl, 1-methylbut-3-enyl, 3-methylbut-2-enyl, 2-methylbut-2-enyl, 1-methylbut-2-enyl, 3-methylbut-1-enyl, 2methylbut-1-enyl, 1-methylbut-1-enyl, 1,1-dimethylprop-2-enyl, 1-ethylprop-1-enyl, 1-propylvinyl, 1isopropylvinyl, 4-methylpent-4-enyl, 3-methylpent-4-enyl, 2-methylpent-4-enyl, 1-methylpent-4-enyl, 4methylpent-3-enyl, 3-methylpent-3-enyl, 1-methylpent-3-enyl, 4-methylpent-2enyl, 3-methylpent-2-enyl, 2-methylpent-2-enyl, 1-methylpent-2-enyl, 4-methylpent-1-enyl, 3methylpent-1-enyl, 2-methylpent-1-enyl, 1-methylpent-1-enyl, 3-ethylbut-3-enyl, 2-ethylbut-3-enyl, 1ethylbut-3-enyl, 3-ethylbut-2-enyl, 2-ethylbut-2-enyl, 1-ethylbut-2-enyl, 3-ethylbut-1-enyl, 2-ethylbut-1enyl, 1-ethylbut-1-enyl, 2-propylprop-2-enyl, 1-propylprop-2-enyl, 2-isopropylprop-2-enyl, 1-2-isopropylprop-1-enyl, isopropylprop-2-enyl, 2-propylprop-1-enyl, 1-propylprop-1-enyl, 1isopropylprop-1-enyl, 3,3-dimethylprop-1-enyl, 1-(1,1-dimethylethyl)ethenyl, buta-1,3-dienyl, penta-1,4dienyl, hexa-1,5-dienyl or methylhexadienyl group.

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The term "C₂-C₈-alkynyl" as used herein refers to a branched or straight hydrocarbon chain having 2, 3, 4, 5, 6, 7 or 8 carbon atoms and comprising at least one triple bond. Examples of C₂-C₈-alkynyl include but are not limited to ethynyl, prop-1-ynyl, prop-2-ynyl (or "propargyl"), but-1-ynyl, but-2-ynyl, but-3-ynyl, pent-1-ynyl, pent-2-ynyl, pent-3-ynyl, hex-1-ynyl, hex-2-ynyl, hex-3-ynyl, hex-4-ynyl, hex-5-ynyl, 1-methylprop-2-ynyl, 2-methylbut-3-ynyl, 1-methylbut-3-ynyl, 1-methylbut-2-ynyl, 3-methylpent-3-ynyl, 1-methylpent-4-ynyl, 2-methylpent-4-ynyl, 1-methyl-pent-4-ynyl, 2-methylpent-1-ynyl, 3-methylpent-1-ynyl, 2-ethylbut-3-ynyl, 1-ethylbut-3-ynyl, 1-ethylbut-2-ynyl, 1-propylprop-2-ynyl, 1-isopropylprop-2-ynyl, 2,2-dimethylbut-3-ynyl, 1,1-dimethylbut-3-ynyl, 1,1-dimethylbut-2-ynyl or 3,3-dimethylbut-1-ynyl group.

The term " C_1 - C_8 -halogenoalkyl" as used herein refers to a C_1 - C_8 -alkyl group as defined above in which one or more hydrogen atoms are replaced with halogen atoms that may be the same or different. Typically, C_1 - C_8 -halogenoalkyl comprises up to 9 halogen atoms that can be the same or different. " C_1 - C_8 -halogenoalkyl having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkyl group as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term "C₂-C₈-halogenoalkenyl" as used herein refers to a C₂-C₈-alkenyl group as defined above in which one or more hydrogen atoms are replaced with one or more halogen atoms that may be the same or different. Typically, C₂-C₈-halogenoalkenyl comprises up to 9 halogen atoms that can be the same or different.

The term "C₂-C₈-halogenoalkynyl" as used herein refers to a C₂-C₈-alkynyl group as defined above in which one or more hydrogen atoms are replaced with one or more halogen atoms that may be the same or

different. Typically, C₂-C₈-halogenoalkynyl comprises up to 9 halogen atoms that can be the same or different.

The term "C₁-C₄-hydroxyalkyl" as used herein refers to a C₁-C₄-alkyl group as defined above in which at least one hydrogen atom is replaced with a hydroxyl group. Examples of C₁-C₄-hydroxyalkyl include but are not limited to hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1,2-dihydroxyethyl, 3-hydroxypropyl, 2-hydroxypropyl, 1-hydroxypropyl, 1-hydroxypropan-2-yl, 2-hydroxypropan-2-yl, 2,3-dihydroxypropyl and 1,3-dihydroxypropan-2-yl.

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The term " C_1 - C_8 -cyanoalkyl" as used herein refers to a C_1 - C_8 -alkyl group as defined above in which at least one hydrogen atom is replaced with a cyano group.

The term "C₁-C₈-alkoxy" as used herein refers to a group of formula (C₁-C₈-alkyl)-O-, in which the term "C₁-C₈-alkyl" is as defined herein. Examples of C₁-C₈-alkoxy include but are not limited to methoxy, ethoxy, n-propoxy, 1-methylethoxy, n-butoxy, 1-methylpropoxy, 2-methylpropoxy, 1,1-dimethylethoxy, n-pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and 1-ethyl-2-methylpropoxy.

The term " C_1 - C_8 -halogenoalkoxy" as used herein refers to a C_1 - C_8 -alkoxy group as defined above in which one or more hydrogen atoms are replaced with halogen atoms that may be the same or different. The term " C_1 - C_8 -halogenoalkoxy having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkoxy group as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different. Examples of C_1 - C_8 -halogenoalkoxy include but are not limited to chloromethoxy, bromomethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 1-chloroethoxy, 1-bromoethoxy, 1-fluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2-difluoroethoxy, 2,2-trifluoroethoxy, pentafluoroethoxy and 1,1,1-trifluoroprop-2-oxy.

The term "C₁-C₈-alkylsulfanyl" as used herein refers to a saturated, linear or branched group of formula (C₁-C₈-alkyl)-S-, in which the term "C₁-C₈-alkyl" is as defined herein. Examples of C₁-C₈-alkylsulfanyl include but are not limited to methylsulfanyl, ethylsulfanyl, propylsulfanyl, isopropylsulfanyl, butylsulfanyl, sec-butylsulfanyl, isobutylsulfanyl, tert-butylsulfanyl, pentylsulfanyl, isopentylsulfanyl, hexylsulfanyl group.

The term "C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms" as used herein refers to a C₁-C₈-alkylsulfanyl as defined above in which 1 to 5 hydrogen atoms are replaced with halogen atoms that may be the same or different.

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The term " C_1 - C_8 -alkylsulfinyl" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkyl)-S(=O)-, in which the term " C_1 - C_8 -alkyl" is as defined herein. Examples of C_1 - C_8 -alkylsulfinyl include but are not limited to saturated, straight-chain or branched alkylsulfinyl radicals having 1 to 8, preferably 1 to 6 and more preferably 1 to 4 carbon atoms, for example (but not limited to) methylsulfinyl, ethylsulfinyl, propylsulfinyl, 1-methylethylsulfinyl, butylsulfinyl, 1-methylpropylsulfinyl, 2-methylpropylsulfinyl, 3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl, 1-ethylpropylsulfinyl, 1,1-dimethylpropylsulfinyl, 3-methylpropylsulfinyl, 4-methylpentylsulfinyl, 1,1-dimethylbutylsulfinyl, 1,2-dimethylputylsulfinyl, 1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl, 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl, 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl, 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl, 1-ethyl-1-methylpropylsulfinyl, and 1-ethyl-2-methylpropylsulfinyl.

The term "C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms" as used herein refers to a C₁-C₈-alkylsulfinyl as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term "C₁-C₈-alkylsulfonyl" as used herein refers to a saturated, linear or branched group of formula (C₁-C₈-alkyl)-S(=O)₂-, in which the term "C₁-C₈-alkyl" is as defined herein. Examples of C₁-C₈alkylsulfonyl include but are not limited to methylsulfonyl, ethylsulfonyl, propylsulfonyl, 1-methylethylsulfonyl, butylsulfonyl, 1-methylpropylsulfonyl, 2-methylpropylsulfonyl, 1,1-1-methylbutylsulfonyl, 3dimethylethylsulfonyl, pentylsulfonyl, 2-methylbutylsulfonyl, methylbutylsulfonyl, 2,2-dimethylpropylsulfonyl, 1-ethylpropylsulfonyl, 1,1-dimethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl, 2-methylpentylsulfonyl, 1,2-dimethylpropylsulfonyl, methylpentylsulfonyl, 4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl, 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl, 2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl, 3,3dimethylbutylsulfonyl, 1-ethylbutylsulfonyl, 2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl, 1,2,2trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl and 1-ethyl-2-methylpropylsulfonyl.

The term "C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms" as used herein refers to a C₁-C₈-alkylsulfonyl as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term " C_1 - C_8 -alkylsulfonylamino" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkyl)-S(=O)₂-NH-, in which the term " C_1 - C_8 -alkyl" is as defined herein.

The term " C_1 - C_8 -halogenoalkylsulfonylamino having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkylsulfonylamino as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term "arylsulfonylamino" as used herein refers to a group of formula aryl-S(=O)₂-NH-, in which the term "aryl" is as defined herein.

The term "C₁-C₈-alkylsulfamoyl" as used herein refers to a sulfamoyl radical having one C₁-C₈-alkyl group as defined herein.

The term "di- $(C_1$ - C_8 -alkyl)sulfamoyl" as used herein refers to a sulfamoyl radical having two independently selected C_1 - C_8 -alkyl groups as defined herein.

The term "C₁-C₈-alkylcarbamoyl" as used herein refers to a carbamoyl radical having one C₁-C₈-alkyl group as defined herein.

The term "di- $(C_1$ - C_8 -alkyl)carbamoyl" as used herein refers to a carbamoyl radical having two independently selected C_1 - C_8 -alkyl groups as defined herein.

The term " C_1 - C_8 -alkylcarbonyl" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkyl)-C(=O)-, in which the term " C_1 - C_8 -alkyl" is as defined herein.

The term "C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms" as used herein refers to a C₁-C₈-alkylcarbonyl as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term " C_1 - C_8 -alkylcarbonyloxy" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkyl)-C(=0)-O-, in which the term " C_1 - C_8 -alkyl" is as defined herein.

The term " C_1 - C_8 -halogenoalkylcarbonyloxy having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkylcarbonyloxy as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term "C₁-C₈-alkylcarbonylamino" as used herein refers to a saturated, linear or branched group of formula (C₁-C₈-alkyl)-C(=O)-NH-, in which the term "C₁-C₈-alkyl" is as defined herein.

The term " C_1 - C_8 -halogenoalkylcarbonylamino having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkylcarbonylamino as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term " C_1 - C_8 -alkoxycarbonylamino" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkoxy)-C(=0)-NH-, in which the term " C_1 - C_8 -alkoxy" is as defined herein.

The term " C_1 - C_8 -halogenoalkoxycarbonylamino having 1 to 5 halogen atoms" as used herein refers to a C_1 - C_8 -alkoxycarbonylamino as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term " C_1 - C_8 -alkoxycarbonyl" as used herein refers to a saturated, linear or branched group of formula (C_1 - C_8 -alkoxy)-C(=O)-, in which the term " C_1 - C_8 -alkoxy" is as defined herein.

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The term "C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms" as used herein refers to a C₁-C₈-alkoxycarbonyl as defined above in which one to five hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term "C₁-C₈-alkylamino" as used herein refers to an amino radical having one C₁-C₈-alkyl group as defined herein. Examples of C₁-C₈-alkylamino include but are not limited to *N*-methylamino, *N*-ethylamino, *N*-isopropylamino, *N*-n-propylamino, *N*-isopropylamino and *N*-tert-butylamino.

The term "di-(C_1 - C_8 -alkyl)amino" as used herein refers to an amino radical having two independently selected C_1 - C_8 -alkyl groups as defined herein. Examples of di-(C_1 - C_8 -alkyl)amino include but are not limited to N,N-dimethylamino, N,N-diethylamino, N-diethylamino, N-ethyl-N-methylamino, N-methylamino, N-isopropyl-N-n-propylamino and N-tert-butyl-N-methylamino.

The term "N- $(C_1$ - C_8 -alkyl)-N- $(C_3$ - C_7 -cycloalkyl)amino" as used herein refers to a N,N-disubstituted amino radical having one C_1 - C_8 -alkyl group as defined herein and one C_3 - C_7 -cycloalkyl group as defined herein.

The term "non-aromatic C₃-C₁₂-carbocycle" or "C₃-C₁₂-carbocycle" as used herein refers to a non-aromatic, saturated or partially unsaturated, hydrocarbon ring system in which all of the ring members, which vary from 3 to 12, are carbon atoms. The ring system may be monocyclic or polycyclic (fused, spiro or bridged). Non-aromatic C₃-C₁₂-carbocycles include but are not limited to C₃-C₁₂-cycloalkyl (mono or bicyclic), bicyclic system comprising an aryl (e.g. phenyl) fused to a monocyclic C₃-C₇-cycloalkyl (e.g. tetrahydronaphthalenyl, indanyl), bicyclic system comprising an aryl (e.g. phenyl) fused to a monocyclic C₃-C₈-cycloalkenyl (e.g. indenyl, dihydronaphthalenyl) and tricyclic system comprising a cyclopropyl connected through one carbon atom to a bicyclic system comprising an aryl (e.g. phenyl) fused to a monocyclic C₃-C₇-cycloalkyl or to a monocyclic C₃-C₈-cycloalkenyl. The non-aromatic C₃-C₁₂-carbocycle can be attached to the parent molecular moiety through any carbon atom.

The term "C₃-C₁₂-cycloalkyl" as used herein refers to a saturated, monovalent, mono- or bicyclic hydrocarbon ring which contains 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12 carbon atoms. "C₃-C₇-cycloalkyl" as used herein designates monocyclic C₃-C₇-cycloalkyls which include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl. "C₃-C₅-cycloalkyl" as used herein designates monocyclic C₃-C₅-cycloalkyls

which include cyclopropyl, cyclobutyl and cyclopentyl. Examples of bicyclic C₆-C₁₂-cycloalkyls include but are not limited to bicyclo[3.1.1]heptane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, bicyclo[3.2.2]nonane, bicyclo[3.3.1]nonane, bicyclo[4.2.0]octyl, octahydropentalenyl and bicyclo[4.2.1]nonane.

The term "C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms" as used herein refers to a C₃-C₇-cycloalkyl group as defined above in which 1 to 5 hydrogen atoms are replaced with halogen atoms that may be the same or different.

The term " C_3 - C_7 -cycloalkylsulfanyl" as used herein refers to a group of formula (C_3 - C_7 -cycloalkyl)- S_7 , in which the term " C_3 - C_7 -cycloalkyl" is as defined herein.

The term "C₃-C₇-cycloalkylsulfinyl" as used herein refers to a group of formula (C₃-C₇-cycloalkyl)-S(=O)-, in which the term "C₃-C₇-cycloalkyl" is as defined herein.

The term " C_3 - C_7 -cycloalkylsulfonyl" as used herein refers to a group of formula (C_3 - C_7 -cycloalkyl)- $S(=O)_2$ -, in which the term " C_3 - C_7 -cycloalkyl" is as defined herein.

The term "C₃-C₇-cycloalkylcarbamoyl" as used herein refers to a carbamoyl radical having one C₃-C₇-cycloalkyl group as defined herein.

The term "N-(C_1 - C_8 -alkyl)-N-(C_3 - C_7 -cycloalkyl)carbamoyl" as used herein refers to a N,N-disubstituted carbamoyl radical having one C_1 - C_8 -alkyl group as defined herein and one C_3 - C_7 -cycloalkyl group as defined herein.

The term "C₃-C₇-cycloalkylamino" as used herein refers to a (C₃-C₇-cycloalkyl)-NH-group, in which the term "C₃-C₇-cycloalkyl" is as defined herein.

The term " C_3 - C_7 -cycloalkylcarbonyl" as used herein refers to a group of formula (C_3 - C_7 -cycloalkyl)-C(=0)-, in which the term " C_3 - C_7 -cycloalkyl" is as defined herein.

The term " C_3 - C_7 -cycloalkylcarbonyloxy" as used herein refers to a group of formula (C_3 - C_7 -cycloalkyl)-C(=0)-O-, in which the term " C_3 - C_7 -cycloalkyl" is as defined herein.

25 The term "C₃-C₇-cycloalkylcarbonylamino" as used herein refers to a group of formula (C₃-C₇-cycloalkyl)-C(=O)-NH-, in which the term "C₃-C₇-cycloalkyl" is as defined herein.

The term " C_3 - C_7 -cycloalkoxycarbonylamino" as used herein refers to a group of formula (C_3 - C_7 -cycloalkoxy)-C(=O)-NH-, in which the term " C_3 - C_7 -cycloalkoxy" is as defined herein.

The term "C₃-C₇-cycloalkylsulfonylamino" as used herein refers to a group of formula (C₃-C₇-cycloalkyl)-S(=O)₂-NH-, in which the term "C₃-C₇-cycloalkyl" is as defined herein.

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The term "C₃-C₇-cycloalkylsulfamoyl" as used herein refers to a sulfamoyl radical having one C₃-C₇-cycloalkyl group as defined herein.

The term "aromatic C₆-C₁₄-carbocycle" or "aryl" as used herein refers to an aromatic hydrocarbon ring system in which all of the ring members, which vary from 6 to 14, preferably from 6 to 10, are carbon atoms. The ring system may be monocyclic or fused polycyclic (e.g. bicyclic or tricyclic). Examples of aryl include but are not limited to phenyl, azulenyl, naphthyl and fluorenyl. The aryl can be attached to the parent molecular moiety through any carbon atom. It is further understood that when said aryl group is substituted with one or more substituents, said substituent(s) may be at any positions on said aryl ring(s). Particularly, in the case of aryl being a phenyl group, said substituent(s) may occupy one or both ortho positions, one or both meta positions, or the para position, or any combination of these positions.

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The term "non-aromatic 3- to 10-membered heterocycle" or "heterocyclyl" as used herein refers to a non-aromatic, saturated or partially unsaturated non-aromatic ring system comprising 1 to 4, or 1 to 3 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur. If the ring system contains more than one oxygen atoms, they are not directly adjacent. Non aromatic heterocycles include but are not limited to 3- to 7-membered monocyclic non-aromatic heterocycles and 6- to 10-membered polycyclic (e.g. bicyclic or tricyclic) non-aromatic heterocycles. The non-aromatic 3- to 10-membered heterocycle can be connected to the parent molecular moiety through any carbon atom or nitrogen atom contained within the heterocycle.

The term "non-aromatic 3- to 7-membered monocyclic heterocycle" as used herein refers to a 3-, 4-, 5-, 6- or 7-membered monocyclic ring system containing 1, 2 or 3 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur where the ring system is saturated or unsaturated but not aromatic. For instance, the heterocycle may comprise one to three nitrogen atoms, or one or two oxygen atoms, or one or two sulfur atoms, or one to three nitrogen atoms and one oxygen atom, or one to three nitrogen atoms and a sulfur atom or one sulfur atom and one oxygen atom. Examples of saturated non-aromatic heterocycles include but are not limited to 3-membered ring such as oxiranyl, aziridinyl, 4membered ring such as azetidinyl, oxetanyl, thietanyl, 5-membered ring such as tetrahydrofuranyl, 1,3dioxolanyl, tetrahydrothienyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, triazolidinyl, isoxazolidinyl, oxazolidinyl, oxadiazolidinyl, thiazolidinyl, isothiazolidinyl, thiadiazolidinyl, 6-membered ring such as piperidinyl, hexahydropyridazinyl, hexahydropyrimidinyl, piperazinyl, triazinanyl, hexahydrotriazinyl, tetrahydropyranyl, dioxanyl, tetrahydrothiopyranyl, dithianyl, morpholinyl, 1,2-oxazinanyl, oxathianyl, thiomorpholinyl or 7-membered ring such as oxepanyl, azepanyl, 1,4-diazepanyl and 1,4-oxazepanyl. Examples of unsaturated non-aromatic hererocyles include but are not limited to 5-membered ring such as dihydrofuranyl, 1,3-dioxolyl, dihydrothienyl, pyrrolinyl, dihydroimidazolyl, dihydropyrazolyl, isoxazolinyl, dihydrooxazolyl, dihydrothiazolyl or 6-membered ring such as pyranyl, thiopyranyl, thiazinyl and thiadiazinyl.

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The term "non-aromatic 6- to 10-membered polycyclic heterocycle" as used herein refers to a 6-, 7-, 8-, 9-, 10-membered polycyclic (e.g. bicyclic or tricyclic) ring system containing 1, 2 or 3 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur where the ring system is saturated or unsaturated but not aromatic. Non-aromatic bicyclic heterocycles may consist of a monocyclic heteroaryl as defined herein fused to a monocyclic C₃-C₇-cycloalkyl, a monocyclic C₃-C₈-cycloalkenyl or a monocyclic non-aromatic heterocycle or may consist of a monocyclic non-aromatic heterocycle fused either to an aryl (e.g. phenyl), a monocyclic C₃-C₇-cycloalkyl, a monocyclic C₃-C₈-cycloalkenyl or a monocyclic non-aromatic heterocycle. When two monocyclic heterocycles (aromatic or non-aromatic) comprising nitrogen atoms are fused, nitrogen atom may be at the bridgehead (e.g. 4,5,6,7-tetrahydropyrazolo[1,5-a]pyridinyl, 5,6,7,8-tetrahydro-[1,2,4]triazolo[1,5-a]pyridinyl, 5,6,7,8-tetrahydroimidazo[1,2-a]pyridinyl). Non-aromatic tricyclic heterocycles may consist of a monocyclic cycloalkyl connected through one common atom to a non-aromatic bicyclic heterocycle.

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The term "aromatic 5- to 14-membered heterocycle" or "heteroaryl" as used herein refers to an aromatic ring system comprising 1 to 4 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur. If the ring system contains more than one oxygen atom, they are not directly adjacent. Aromatic heterocycles include aromatic 5- or 6-membered monocyclic heterocycles and 6- to 14-membered polycyclic (e.g. bicyclic or tricyclic) aromatic heterocycles. The 5- to 14-membered aromatic heterocycle can be connected to the parent molecular moiety through any carbon atom or nitrogen atom contained within the heterocycle.

The term "aromatic 5- or 6-membered monocyclic heterocycle" or "monocyclic heteroaryl" as used herein refers to a 5- or 6-membered monocyclic ring system containing 1, 2, 3 or 4 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur. Examples of 5-membered monocyclic heteroaryl include but are not limited to furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, oxatriazolyl, isothiazolyl, thiazolyl, thiadiazolyl and thiatriazolyl. Examples of 6-membered monocyclic heteroaryl include but are not limited to pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl.

The term "6- to 14-membered polycyclic aromatic heterocycle" or "polycyclic heteroaryl" as used herein refers to a 6-, 7-, 8-, 9-, 10-, 11-,12-, 13- or 14-membered polycyclic (e.g. bicyclic or tricyclic) ring system containing 1, 2 or 3 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur. Aromatic bicyclic heterocycles may consist of a monocyclic heteroaryl as defined herein fused to an aryl (e.g. phenyl) or to a monocyclic heteroaryl. Examples of bicyclic aromatic heterocycle include but are not limited to 9-membered ring such as indolyl, indolizinyl, isoindolyl, benzothiazolyl, imidazopyridinyl, indazolyl, benzotriazolyl, purinyl, benzofuranyl, benzothiophenyl, benzothiazolyl, benzoxazolyl and benzisoxazolyl or 10-membered ring such as quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, quinoxalinyl, phthalazinyl, naphthyridinyl, pteridinal and benzodioxinyl. In 9- or 10-membered aromatic bicyclic heterocycles comprising two fused 5- or 6-membered monocyclic aromatic

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heterocycles, nitrogen atom may be at the bridgehead (e.g. imidazo[1,2-a]pyridinyl, [1,2,4]triazolo[4,3-a]pyridinyl, imidazo[2,1-b]oxazolyl, furo[2,3-d]isoxazolyl). Examples of tricyclic aromatic heterocycle include but are not limited to carbazolyl, acridinyl and phenazinyl.

The term "C₃-C₇-cycloalkyloxy" as used herein designates a group of formula –O-R wherein R is a C₃-C₇-cycloalkyl as defined herein.

The terms "- C_1 - C_8 -alkyl-aryl", "- C_1 - C_8 -alkyl-heterocyclyl", "- C_1 - C_8 -alkyl-heteroaryl" and "- C_1 - C_8 -alkyl- C_3 - C_7 -cycloalkyl" as used herein designate a group of formula "- C_1 - C_8 -alkyl-R", wherein R is respectively an aryl, heterocyclyl, heteroaryl or a C_3 - C_7 -cycloalkyl as defined herein, " C_1 - C_8 -alkyl" is a saturated, branched or straight hydrocarbon chain having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms and wherein the group "- C_1 - C_8 -alkyl-R" is attached to the parent moiety via the " C_1 - C_8 -alkyl" group.

As used herein, when a group is said to be "substituted", the group may be substituted with one or more substituents. The expression "one or more substituents" refers to a number of substituents that ranges from one to the maximum number of substituents possible based on the number of available bonding sites, provided that the conditions of stability and chemical feasibility are met.

The term "leaving group" as used herein is to be understood as meaning a group which is displaced from a compound in a substitution or an elimination reaction, for example a halogen atom, a trifluoromethanesulfonate ("triflate") group, alkoxy, methanesulfonate, p-toluenesulfonate, etc.

The terms "as described herein" when referring to a variable **xxxx** incorporates by reference the broad definition of the variable as well as preferred, more preferred and even more preferred definitions, if any.

20 **DETAILED DESCRIPTION**

The present invention relates to compounds of formula (I):

(I)

wherein

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X is hydrogen or fluorine;

 $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a C₃-C₅-cycloalkyl wherein said C₃-C₅-cycloalkyl is substituted with one or more $\mathbf{R^{1b}}$ substituents;

 R^3 is aryl or heteroaryl, wherein said aryl and heteroaryl may be substituted with one or more R^{3b} substituents ;

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R^{1b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro- λ^6 -sulfanyl, formyl, carbamoyl, carbamate, C_1 - C_8 -alkyl, C_3 - C_7 -cycloalkyl, C_1 - C_8 halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈alkyl)-N- $(C_3-C_7$ -cycloalkyl)carbamoyl, di- $(C_1-C_8$ -alkyl)carbamoyl, C_1-C_8 -alkoxycarbonyl, halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C₁-C₈alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms. C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C_1 - C_8 halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, arylsulfonylamino, sulfamoyl, C_1 - C_8 -alkylsulfamoyl, C_3-C_7 cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; and/or

two geminal $\mathbf{R^{1b}}$ substituents may form together with the carbon atom to which they are linked, a C_3 - C_7 -cycloalkyl or a 3- to 7-membered heterocyclyl group, or

two geminal R^{1b} substituents may form, together with the carbon atom to which they are linked, a C=O, C=CH₂ or C=N-O-(C₁-C₈-alkyl) group;

 R^{3b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro- λ^6 -sulfanyl, formyl, carbamoyl, carbamate, C_1 - C_8 -alkyl, C_3 - C_7 -cycloalkyl, C_1 - C_8 -halogenoalkyl having 1 to 5 halogen atoms, C_2 - C_8 -alkenyl, C_2 - C_8 -alkynyl, C_1 - C_8 -alkylamino, N- $(C_1$ - C_8 -alkyl)-N- $(C_3$ - C_7 -cycloalkyl)amino, C_3 - C_7 -cycloalkylamino, di- $(C_1$ - C_8 -alkyl)amino, C_1 - C_8 -alkoxy, C_1 - C_8 -halogenoalkoxy having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkyloxy, C_1 - C_8 -alkylsulfanyl, C_1 - C_8 -halogenoalkylsulfanyl having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkylsulfanyl, C_1 - C_8 -alkylsulfanyl, C_1 - C_8 -halogenoalkylsulfanyl having 1 to 5

halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, N-(C₁-C₈-c₈-c₈-c₈ alkyl)-N- $(C_3-C_7$ -cycloalkyl)carbamoyl, di- $(C_1-C_8$ -alkyl)carbamoyl, C_1-C_8 -alkoxycarbonyl, C_1 - C_8 halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C_1 - C_8 alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C_3-C_7 cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 C₃-C₇-cycloalkylcarbonylamino, C_1 - C_8 -alkoxycarbonylamino, halogen atoms, $C_1 - C_8$ halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, arylsulfonylamino, sulfamoyl; C_1 - C_8 -alkylsulfamoyl, C_3-C_7 cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; wherein said C₁-C₈-alkyl may be substituted with one or more $\mathbf{R}^{\mathbf{x}}$ substituents;

 $\mathbf{R}^{\mathbf{x}}$ is independently selected from the group consisting of nitro, hydroxyl, cyano, carboxyl, amino, pentafluoro- λ^6 -sulfanyl, formyl, carbamovl, carbamate, C₃-C₇-cycloalkyl, C₃-C₇halogenocycloalkyl having 1 to 5 halogen atoms, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇cycloalkyl)amino, C₃-C₇-cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇cycloalkoxycarbonyl, C₁-C₈-alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyloxy C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C₁-C₈halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cvcloalkylsulfonylamino, sulfamoyl, C_1 - C_8 -alkylsulfamoyl and di- $(C_1$ - C_8 -alkyl)sulfamoyl;

as well as their salts, N-oxides and solvates.

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Not encompassed herein are compounds resulting from combinations which are against natural laws and which the person skilled in the art would therefore exclude based on his/her expert knowledge. For instance, ring structures having three or more adjacent oxygen atoms are excluded.

According to the invention, the compounds of formula (I) can be used for controlling harmful microorganisms, in particular phytopathogenic fungi, in crop protection. Preferably, the phytopathogenic fungi are selected from the group consisting of the *Puccinia* species, for example *Puccinia recondita*, *Puccinia graminis* or *Puccinia striiformis*; the *Uromyces* species, for example *Uromyces appendiculatus*; and the rust disease pathogens, in particular selected from the group consisting of the *Gymnosporangium* species, for example *Gymnosporangium sabinae*; *Hemileia* species, for example *Hemileia vastatrix*, and *Phakopsora* species, for example *Phakopsora pachyrhizi* or *Phakopsora meibomiae*. Especially preferred are the rust disease pathogens, in particular *Phakopsora pachyrhizi* and *Phakopsora meibomiae*.

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The compounds of formula (I) can suitably be in their free form, salt form, N-oxide form or solvate form (e.g. hydrate).

Depending on the nature of the substituents, the compound of formula (I) may be present in the form of different stereoisomers. These stereoisomers are, for example, enantiomers, diastereomers, atropisomers or geometric isomers. Accordingly, the invention encompasses both pure stereoisomers and any mixture of these isomers. Where a compound can be present in two or more tautomer forms in equilibrium, reference to the compound by means of one tautomeric description is to be considered to include all tautomer forms.

For example, in embodiments in which R^1 and R^2 form, together with the carbon atom to which they are linked, a cyclobutyl ring, which is substituted with one R^{1b} substituent or substituted with two different R^{1b} substituents (for the purpose of differentiation marked here as R^{1b} and $R^{1b'}$), the compound of the formula (I) may be present in the form of the stereoisomer (I'') and/or in the form of the stereoisomer (I''):

Any of the compounds of the present invention can also exist in one or more geometric isomer forms depending on the number of double bonds in the compound. Geometric isomers by nature of substituents about a double bond or a ring may be present in cis (= Z-) or trans (= E-) form. The invention thus relates equally to all geometric isomers and to all possible mixtures, in all proportions.

Depending on the nature of the substituents, the compound of formula (I) may be present in the form of the free compound and/or a salt thereof, such as an agrochemically active salt.

Agrochemically active salts include acid addition salts of inorganic and organic acids well as salts of customary bases. Examples of inorganic acids are hydrohalic acids, such as hydrogen fluoride, hydrogen chloride, hydrogen bromide and hydrogen iodide, sulfuric acid, phosphoric acid and nitric acid, and acidic salts, such as sodium bisulfate and potassium bisulfate. Useful organic acids include, for example, formic acid, carbonic acid and alkanoic acids such as acetic acid, trifluoroacetic acid, trichloroacetic acid and propionic acid, and also glycolic acid, thiocyanic acid, lactic acid, succinic acid, citric acid, benzoic acid, cinnamic acid, oxalic acid, saturated or mono- or diunsaturated fatty acids having 6 to 20 carbon atoms, alkylsulfuric monoesters, alkylsulfonic acids (sulfonic acids having straight-chain or branched alkyl radicals having 1 to 20 carbon atoms), arylsulfonic acids or aryldisulfonic acids (aromatic radicals, such as phenyl and naphthyl, which bear one or two sulfonic acid groups), alkylphosphonic acids (phosphonic acids having straight-chain or branched alkyl radicals having 1 to 20 carbon atoms), arylphosphonic acids or aryldiphosphonic acids (aromatic radicals, such as phenyl and naphthyl, which bear one or two phosphonic acid radicals), where the alkyl and aryl radicals may bear further substituents, for example p-toluenesulfonic acid, salicylic acid, p-aminosalicylic acid, 2-phenoxybenzoic acid, 2-acetoxybenzoic acid, etc.

The compounds of the invention may exist in multiple crystalline and/or amorphous forms. Crystalline forms include unsolvated crystalline forms, solvates and hydrates.

Solvates of the compounds of the invention or their salts are stoichiometric compositions of the compounds with solvents.

20 Compounds of formula (I) are herein referred to as "active ingredient(s)".

In the above formula (I), **X** is preferably hydrogen.

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In the above formula (I), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a C_3 -C₅-cycloalkyl (cyclopropyl, cyclobutyl or cyclopentyl) ring, wherein said C_3 -C₅-cycloalkyl is substituted with one or more, preferably one to three, \mathbf{R}^{1b} substituents. The \mathbf{R}^{1b} substituents are preferably selected from the group consisting of halogen, hydroxy, C_1 -C₄-alkyl, C_1 -C₄-halogenoalkyl, C_1 -C₄-alkoxy, C_1 -C₄-halogenoalkoxy, C_1 -C₄-alkylcarbonyloxy and C_1 -C₄-halogenoalkylcarbonyloxy, and/or two geminal \mathbf{R}^{1b} substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group, or two geminal \mathbf{R}^{1b} substituents form, together with the carbon atom to which they are linked, a C=O group.

In the above formula (I), it is preferred that R^1 and R^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three R^{1b} substituents.

In some embodiments, in the above formula (I), the C_3 - C_5 -cycloalkyl, preferably cyclobutyl or cyclopropyl, is substituted with one to three, \mathbf{R}^{1b} substituents selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -halogen

alkylcarbonyloxy and C₁-C₄-halogenoalkylcarbonyloxy, more preferably selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In some other embodiments, in the above formula (I), the C_3 - C_5 -cycloalkyl, preferably cyclobutyl or cyclopropyl, is substituted with two geminal $\mathbf{R^{1b}}$ substituents, wherein said two geminal $\mathbf{R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or the two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group.

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In some embodiments, \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one or two \mathbf{R}^{1b} substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In the above formula (I), **R**³ is aryl or heteroaryl, wherein **R**³ may be substituted with one or more, preferably one to three, **R**^{3b} substituents. The **R**^{3b} substituents are preferably selected from the group consisting of halogen, nitro, cyano, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-halogenoalkyl having 1 to 5 halogen atoms, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy having 1 to 5 halogen atoms and C₁-C₄-alkoxycarbonyl.

In some embodiments, in above formula (I), \mathbb{R}^3 is aryl, wherein \mathbb{R}^3 may be substituted with one or more \mathbb{R}^{3b} substituents.

In some embodiments, in above formula (I), \mathbf{R}^3 is heteroaryl, wherein \mathbf{R}^3 may be substituted with one or more \mathbf{R}^{3b} substituents. The heteroaryl is preferably selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, pyridinyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl.

In the above formula (I), \mathbf{R}^3 is more preferably aryl selected from phenyl and naphthyl; or a heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl; wherein said aryl and heteroaryl may be substituted with one to three \mathbf{R}^{3b} substituents, preferably independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

In some embodiments, \mathbf{R}^3 is phenyl, wherein the phenyl may be substituted with one to three \mathbf{R}^{3b} substituents. Preferably, the \mathbf{R}^{3b} substituents are independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl. In these embodiments, \mathbf{R}^3 is more preferably

phenyl, which is unsubstituted or substituted with one or two substituents independently selected from fluorine, chlorine, bromine, methyl and methoxy.

In some embodiments, \mathbf{R}^3 is selected from phenyl and pyridine, wherein the phenyl and the pyridine may be substituted with one to three \mathbf{R}^{3b} substituents, which are preferably independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl. In these embodiments, \mathbf{R}^3 is more preferably phenyl, which is unsubstituted or substituted with one to three \mathbf{R}^{3b} substituents independently selected from fluorine, chlorine, bromine, nitro, cyano, nitro, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl, preferably unsubstituted or substituted with one or two substituents independently selected from fluorine, chlorine, bromine, methyl and methoxy.

In some embodiments, \mathbb{R}^3 is phenyl, which is substituted with one or two fluorine atoms.

In some embodiments (referred herein as embodiment Ia), compounds of the present invention are compounds of the formula (I) or salts, N-oxides or solvates thereof:

wherein

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X is hydrogen or fluorine,

 ${\bf R^1}$ and ${\bf R^2}$ form, together with the carbon atom to which they are linked, a C₃-C₅-cycloalkyl wherein said C₃-C₅-cycloalkyl is substituted with one to three ${\bf R^{1b}}$ substituents, wherein the ${\bf R^{1b}}$ substituents are each independently selected from the group consisting of halogen, hydroxy, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkylcarbonyloxy and C₁-C₄-halogenoalkylcarbonyloxy, and/or two geminal ${\bf R^{1b}}$ substituents may form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or two geminal ${\bf R^{1b}}$ substituents may form, together with the carbon atom to which they are linked, a C=O group;

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R³ is phenyl, naphthyl or a heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl;

wherein said phenyl, naphthyl or heteroaryl may be substituted with one to three R^{3b} substituents independently selected from halogen, nitro, cyano, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-halogenoalkyl having 1 to 5 halogen atoms, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy having 1 to 5 halogen atoms and C₁-C₄-alkoxycarbonyl.

Preferably, in accordance with embodiment (Ia), X is hydrogen.

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Preferably, in accordance with embodiment (Ia), $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three $\mathbf{R^{1b}}$ substituents. Preferably, the $\mathbf{R^{1b}}$ substituents are selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy, and/or two geminal $\mathbf{R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group.

In some embodiments in accordance with embodiment (Ia), the R¹b substituents are selected from the group consisting of halogen, hydroxy, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkylcarbonyloxy and C₁-C₄-halogenoalkylcarbonyloxy, more preferably selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In some other embodiments in accordance with embodiment (Ia), two geminal $\mathbf{R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group, or two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked a C=O group.

In some preferred embodiments in accordance with embodiment (Ia), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a cyclopropyl ring, which is substituted with one or two \mathbf{R}^{1b} substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In some other preferred embodiments in accordance with embodiment (Ia), $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a cyclobutyl ring, which is substituted with one or two $\mathbf{R^{1b}}$ substituents, wherein the $\mathbf{R^{1b}}$ substituents are selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy, or two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group or two geminal $\mathbf{R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group.

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In some embodiments in accordance with embodiment (Ia), \mathbb{R}^3 is phenyl or a 5- or 6-membered heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, and pyrazinyl.

- In some embodiments in accordance with embodiment (Ia), the phenyl, naphthyl or heteroaryl may be substituted with one to three **R**^{3b} substituents independently selected from the group consisting of chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.
- In some embodiments in accordance with embodiment (Ia), the phenyl, naphthyl or heteroaryl is substituted with one or two fluorine atoms.

In some preferred embodiments in accordance with embodiment (Ia),

X is hydrogen,

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 R^1 and R^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three R^{1b} substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy, and/or two geminal R^{1b} substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or two geminal R^{1b} substituents form, together with the carbon atom to which they are linked, a C=O group, and

R³ is phenyl, naphthyl or a heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl; wherein the phenyl, naphthyl and heteroaryl may be substituted with one to three R^{3b} substituents independently selected from the group consisting of chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

In some of these preferred embodiments, \mathbb{R}^3 is phenyl or a 5- or 6-membered heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridinyl, pyrimidinyl, and pyrazinyl.

In some of these preferred embodiments, $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one or two $\mathbf{R^{1b}}$ substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In some other of these preferred embodiments, $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked a cyclobutyl ring, which is substituted with two geminal $\mathbf{R^{1b}}$ substituents, wherein the two geminal $\mathbf{R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group, or the two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked a C=O group.

In some embodiments (referred herein as embodiment Ib), compounds of the present invention are compounds of the formula (I) or salts, N-oxides or solvates thereof:

wherein

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X is hydrogen or fluorine,

 $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a C_3 - C_5 -cycloalkyl wherein said C_3 - C_5 -cycloalkyl is substituted with one or more $\mathbf{R^{1b}}$ substituents;

 ${\bf R^3}$ is aryl, preferably naphthyl or phenyl, more preferably phenyl, wherein said aryl may be substituted with one or more ${\bf R^{3b}}$ substituents;

R^{1b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₁-C₈-alkyl, C₃-C₇-cycloalkyl, C₁-C₈halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈alkyl)-N-(C₃-C₇-cycloalkyl)carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, C_1 - C_8 halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C₁-C₈alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms. C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, $C_1 - C_8$ halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈-

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alkylsulfonyl, C_1 - C_8 -halogenoalkylsulfonyl having 1 to 5 halogen atoms; C_3 - C_7 -cycloalkylsulfonyl, C_1 - C_8 -alkylsulfonylamino, C_1 - C_8 -halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkylsulfonylamino, arylsulfonylamino, sulfamoyl, C_1 - C_8 -alkylsulfamoyl, C_3 - C_7 -cycloalkylsulfamoyl and di- $(C_1$ - C_8 -alkyl)sulfamoyl; and/or

two geminal **R**^{1b} substituents may form together with the carbon atom to which they are linked, a C₃-C₇-cycloalkyl or a 3- to 7-membered heterocyclyl group, or

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two geminal $\mathbf{R^{1b}}$ substituents may form, together with the carbon atom to which they are linked, a C=O, C=CH₂ or C=N-O-(C₁-C₈-alkyl) group;

R^{3b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₁-C₈-alkyl, C₃-C₇-cycloalkyl, C₁-C₈halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, C₃-C₇-cycl alkyl)-N-(C_3 - C_7 -cycloalkyl)carbamoyl, di-(C_1 - C_8 -alkyl)carbamoyl, C_1 - C_8 -alkoxycarbonyl, C_1 - C_8 halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C3-C7-cycloalkoxycarbonyl, C1-C8alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C_1 - C_8 halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇-C₃-C₇arylsulfonylamino, sulfamoyl; C_1 - C_8 -alkylsulfamoyl, cycloalkylsulfonylamino, cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; wherein said C₁-C₈-alkyl may be substituted with one or more $\mathbf{R}^{\mathbf{x}}$ substituents;

R^x is independently selected from the group consisting of nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₃-C₇-cycloalkyl, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇-cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-alkylcarbonyl, C₁-C₈-alkylcarbonyl,

C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyloxy C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈-alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈-alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, Sulfamoyl, C₁-C₈-alkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl.

In some preferred embodiments in accordance with embodiment (Ib), X is hydrogen.

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In some preferred embodiments in accordance with embodiment (Ib), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a C_3 - C_5 -cycloalkyl wherein said C_3 - C_5 -cycloalkyl is substituted with one to three \mathbf{R}^{1b} substituents, wherein the \mathbf{R}^{1b} substituents are selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkylcarbonyloxy and C_1 - C_4 -halogenoalkylcarbonyloxy and/or two geminal \mathbf{R}^{1b} substituents form together a -O-($\mathbf{C}\mathbf{H}_2$)₂-O- or -O-($\mathbf{C}\mathbf{H}_2$)₃-O- group or two geminal \mathbf{R}^{1b} substituents form, together with the carbon atom to which they are linked, a \mathbf{C} = \mathbf{O} group.

In some of said preferred embodiments in accordance with embodiment (Ib), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three \mathbf{R}^{1b} substituents selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkylcarbonyloxy and C_1 - C_4 -halogenoalkylcarbonyloxy, more preferably selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

- In some other of said preferred embodiments in accordance with embodiment (Ib), **R**¹ and **R**² form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with two geminal **R**^{1b} substituents, wherein the two geminal **R**^{1b} substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or the two geminal **R**^{1b} substituents form, together with the carbon atom to which they are linked, a C=O group.
- In some preferred embodiments in accordance with embodiment (Ib), **R**³ is naphthyl or phenyl, preferably phenyl; wherein said naphthyl and phenyl may be substituted with one to three **R**^{3b} substituents independently selected from halogen, nitro, cyano, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-halogenoalkyl having 1 to 5 halogen atoms, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy having 1 to 5 halogen atoms and C₁-C₄-alkoxycarbonyl.

In some particularly preferred embodiments in accordance with embodiment (Ib), \mathbf{R}^3 is phenyl, which may be substituted with one to three \mathbf{R}^{3b} substituents independently selected from the group consisting of chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

In some embodiments in accordance with embodiment (Ib),

X is hydrogen,

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 ${\bf R^1}$ and ${\bf R^2}$ form, together with the carbon atom to which they are linked, a C₃-C₅-cycloalkyl, wherein said C₃-C₅-cycloalkyl is substituted with one to three substituents selected from the group consisting of halogen, hydroxy, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkylcarbonyloxy and C₁-C₄-halogenoalkylcarbonyloxy and/or two geminal ${\bf R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or two geminal ${\bf R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group; and

 R^3 is phenyl, which may be substituted with one to three R^{3b} substituents independently selected from the group consisting of halogen, nitro, cyano, C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_1 - C_4 -halogenoalkyl having 1 to 5 halogen atoms, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy having 1 to 5 halogen atoms and C_1 - C_4 -alkoxycarbonyl.

In some embodiments in accordance with embodiment (Ib),

X is hydrogen,

 ${\bf R^1}$ and ${\bf R^2}$ form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three ${\bf R^{1b}}$ substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy, and

R³ is phenyl, which may be substituted with one to three R³b substituents independently selected from the group consisting of chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

In some embodiments (referred herein as embodiment Ic), compounds of the present invention are compounds of the formula (I) or salts, N-oxides or solvates thereof:

30 (I)

wherein

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X is hydrogen or fluorine,

 $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a C₃-C₅-cycloalkyl wherein said C₃-C₅-cycloalkyl is substituted with one or more $\mathbf{R^{1b}}$ substituents;

 \mathbf{R}^3 is heteroaryl, preferably a 5- or 6-membered heteroaryl, wherein said heteroaryl may be substituted with one or more \mathbf{R}^{3b} substituents;

R^{1b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₁-C₈-alkyl, C₃-C₇-cycloalkyl, C₁-C₈halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈alkyl)-N-(C₃-C₇-cycloalkyl)carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C₁-C₈alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C_1-C_8 halogen atoms, halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇- C_1 - C_8 -alkylsulfamoyl, C_3-C_7 cycloalkylsulfonylamino, arylsulfonylamino, sulfamovl, cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; and/or

two geminal \mathbf{R}^{1b} substituents may form together with the carbon atom to which they are linked, a C_3 - C_7 -cycloalkyl or a 3- to 7-membered heterocyclyl group, or

two geminal R^{1b} substituents may form, together with the carbon atom to which they are linked, a C=O, C=CH₂ or C=N-O-(C₁-C₈-alkyl) group;

 ${\bf R^{3b}}$ is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro- λ^6 -sulfanyl, formyl, carbamoyl, carbamate, C_1 - C_8 -alkyl, C_3 - C_7 -cycloalkyl, C_1 - C_8 -halogenoalkyl having 1 to 5 halogen atoms, C_3 - C_7 -halogenocycloalkyl having 1 to 5 halogen atoms, C_2 - C_8 -alkynyl, C_1 - C_8 -alkylamino, N-(C_1 - C_8 -alkyl)-N-(C_3 - C_7 -cycloalkyl)amino, C_3 - C_7 -cycloalkyl)amino, C_3 - C_7 -cycloalkyl)

cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈-alkylcarbamoyl, N-(C₁-C₈-c₈-c₈-c₈ alkyl)-N- $(C_3-C_7$ -cycloalkyl)carbamoyl, di- $(C_1-C_8$ -alkyl)carbamoyl, C_1-C_8 -alkoxycarbonyl, halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C_1 - C_8 alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C_3-C_7 cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, atoms. C_1 - C_8 halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, arylsulfonylamino, sulfamovl; C₁-C₈-alkylsulfamovl, $C_3 - C_7$ cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; wherein said C₁-C₈-alkyl may be substituted with one or more $\mathbf{R}^{\mathbf{x}}$ substituents;

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 $\mathbf{R}^{\mathbf{x}}$ is independently selected from the group consisting of nitro, hydroxyl, cyano, carboxyl, amino, pentafluoro- λ^6 -sulfanyl, formyl, carbamoyl, carbamate, C₃-C₇-cycloalkyl, C₃-C₇halogenocycloalkyl having 1 to 5 halogen atoms, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇cycloalkyl)amino, C₃-C₇-cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, having to C_1 - C_8 halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, $N-(C_1-C_8-alkyl)-N-(C_3-C_7-cycloalkyl)$ carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇cycloalkoxycarbonyl, C₁-C₈-alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyloxy C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C₁-C₈halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, sulfamoyl, C₁-C₈-alkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl.

In some preferred embodiments in accordance with embodiment (Ic), X is hydrogen.

In some preferred embodiments in accordance with embodiment (Ic), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a C_3 - C_5 -cycloalkyl wherein said C_3 - C_5 -cycloalkyl is substituted with one to three \mathbf{R}^{1b} substituents, wherein the \mathbf{R}^{1b} substituents are selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkylcarbonyloxy and C_1 - C_4 -halogenoalkylcarbonyloxy and/or two geminal \mathbf{R}^{1b} substituents form together a -O-($\mathbf{C}\mathbf{H}_2$)₂-O- or -O-($\mathbf{C}\mathbf{H}_2$)₃-O- group or two geminal \mathbf{R}^{1b} substituents form, together with the carbon atom to which they are linked, a \mathbf{C} = \mathbf{O} group.

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In some of said preferred embodiments in accordance with embodiment (Ic), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three \mathbf{R}^{1b} substituents selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkylcarbonyloxy and C_1 - C_4 -halogenoalkylcarbonyloxy, more preferably one or two \mathbf{R}^{1b} substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.

In some other of said preferred embodiments in accordance with embodiment (Ib), \mathbf{R}^1 and \mathbf{R}^2 form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with two geminal \mathbf{R}^{1b} substituents, wherein the two geminal \mathbf{R}^{1b} substituents form together a $-O-(CH_2)_2-O-$ or $-O-(CH_2)_3-O-$ group or the two geminal \mathbf{R}^{1b} substituents form, together with the carbon atom to which they are linked, a C=O group.

In some preferred embodiments in accordance with embodiment (Ic), R^3 is a heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl, wherein said heteroaryl may be substituted with one to three R^{3b} substituents, preferably independently selected from the group consisting of halogen, nitro, cyano, C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_1 - C_4 -halogenoalkyl having 1 to 5 halogen atoms, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy having 1 to 5 halogen atoms and C_1 - C_4 -alkoxycarbonyl.

In some preferred embodiments in accordance with embodiment (Ic), \mathbf{R}^3 is a 5- or 6-membered heteroaryl, preferably selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridiazinyl, pyrimidinyl and pyrazinyl, wherein said heteroaryl may be substituted with one to three \mathbf{R}^{3b} substituents, preferably independently selected from the group consisting of halogen, nitro, cyano, \mathbf{C}_1 - \mathbf{C}_4 -alkyl, \mathbf{C}_3 - \mathbf{C}_6 -cycloalkyl, \mathbf{C}_1 - \mathbf{C}_4 -halogenoalkyl having 1 to 5 halogen atoms, \mathbf{C}_1 - \mathbf{C}_4 -alkoxy, \mathbf{C}_1 - \mathbf{C}_4 -halogenoalkoxy having 1 to 5 halogen atoms and \mathbf{C}_1 - \mathbf{C}_4 -alkoxycarbonyl.

In some particularly preferred embodiments in accordance with embodiment (Ic), \mathbb{R}^3 is pyridinyl, which may be substituted with one to three \mathbb{R}^{3b} substituents independently selected from the group consisting of

chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

In some embodiments in accordance with embodiment (Ic),

X is hydrogen,

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 ${\bf R^1}$ and ${\bf R^2}$ form, together with the carbon atom to which they are linked, a C₃-C₅-cycloalkyl, wherein said C₃-C₅-cycloalkyl is substituted with one to three substituents selected from the group consisting of halogen, hydroxy, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkylcarbonyloxy and/or two geminal ${\bf R^{1b}}$ substituents form together a -O-(CH₂)₂-O- or -O-(CH₂)₃-O- group or two geminal ${\bf R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group; and

R³ is a 5- or 6-membered heteroaryl selected from the group consisting of furyl (furanyl), thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl, especially preferred is pyridinyl,

wherein the heteroaryl may be substituted with one to three R^{3b} substituents independently selected from the group consisting of halogen, nitro, cyano, C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_1 - C_4 -halogenoalkyl having 1 to 5 halogen atoms, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy having 1 to 5 halogen atoms and C_1 - C_4 -alkoxycarbonyl.

In some embodiments in accordance with embodiment (Ic),

X is hydrogen,

 $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a cyclopropyl or cyclobutyl ring, which is substituted with one to three $\mathbf{R^{1b}}$ substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy, and

R³ is pyridinyl, which may be substituted with one to three R^{3b} substituents independently selected from the group consisting of chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

The above mentioned preferences with regard to the substituents of the compounds according to the invention can be combined in various manners. These combinations of preferred features thus provide sub-classes of compounds according to the invention. Examples of such sub-classes of preferred compounds according to the invention are:

- preferred features of X with one or more preferred features of R¹, R², and R³;
- preferred features of R¹ with one or more preferred features of X, R² and R³;
- preferred features of R² with one or more preferred features of X, R¹ and R³;
- preferred features of R^3 with one or more preferred features of X, R^1 and R^2 .

- Most preferred are compounds of the formula (I) selected from the group consisting of
- N-[3,3-difluoro-1-(2-fluorophenyl)cyclobutyl]-5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-amine,
- 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[3-fluoro-1-(2-fluorophenyl)cyclobutyl]pyrimidin-2-
- 5 amine (cis stereoisomer),
 - 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[1-(2-fluorophenyl)-3-methyl-cyclobutyl]pyrimidin-2-amine (cis stereoisomer),
 - 3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]-3-(2-fluorophenyl)-cyclobutanol (anti stereoisomer),
- 10 [3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]-3-(2-fluorophenyl)cyclobutyl] acetate (cis and anti stereoisomers),
 - 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-(3,3-difluoro-1-phenyl-cyclobutyl)pyrimidin-2-amine,
 - 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[1-(2,6-difluorophenyl)-3-fluoro-cyclobutyl]pyrimidin-2-amine (cis and anti stereoisomers).
- N-[1-(4-bromophenyl)-3-fluoro-cyclobutyl]-5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-amine (cis and anti stereoisomers),
 - 3-(2,6-difluorophenyl)-3-[[5-[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]cyclobutanol (cis and anti stereoisomers),
 - 3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]-3-phenyl-cyclobutanol (cis and anti stereoisomers),
 - 3-(4-chlorophenyl)-3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]cyclobutanol amine (cis and anti stereoisomers),
 - 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[1-(2,6-difluorophenyl)-3,3-difluoro-cyclo-butyl]pyrimidin-2-amine,
- 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[rac-(1S,2S)-1-phenyl-2-(trifluoromethyl)cyclopropyl]-pyrimidin-2-amine (mixture of stereoisomers),
 - 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-(3-fluoro-1-phenyl-cyclobutyl)pyrimidin-2-amine (cis and anti stereoisomers),
 - N-[2-(4-bromophenyl)-5,8-dioxaspiro[3.4]octan-2-yl]-5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-
- 30 yl]pyrimidin-2-amine,

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- 3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]-3-(4-fluorophenyl)cyclobutanol (cis and anti stereoisomers),
- 5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-N-[1-(2,6-difluorophenyl)-3-methyl-cyclobutyl]pyrimidin-2-amine (cis and anti stereoisomers) and
- 35 3-[[5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl]amino]-3-(2,6-difluorophenyl)cyclobutanol (cis and anti stereoisomers),
 - as well as their salts, N-oxides and solvates.

The compounds of formula (I) are useful for controlling harmful microorganisms, in particular phytopathogenic fungi, in crop protection (use as fungicide). Thus, the present invention relates to the use of the compounds of formula (I) for controlling harmful microorganisms, preferably phytopathogenic fungi, in crop protection.

5 The present invention also relates to any compounds of formula (I) disclosed in Table 1.

Intermediates for the preparation of the active ingredients

The present invention also relates to intermediates for the preparation of compounds of formula (I).

Unless indicated otherwise, the radicals and indices X, R^1 , R^2 and R^3 have the meanings given above for the compounds of formula (I).

Thus, the present invention relates to compounds of formula (II) as well as their acceptable salts, N-oxides or solvates:

wherein X, R^1 , R^2 and R^3 have the meanings given above for the compounds of formula (I).

Processes for the preparation of compounds of formula (I) and intermediates

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The present invention relates to processes for the preparation of compounds of formula (I) and their intermediates. Unless indicated otherwise, the radicals and indices X, R^1 , R^2 and R^3 have the meanings given above for the compounds of formula (I). These definitions apply not only to the end products of formula (I) but also to all intermediates.

Compounds of formula (I) can be prepared, according to process P1, by reacting intermediates of formula (II) with a dehydrating agent, such as methyl *N*-(triethylammoniumsulfonyl)carbamate (Burgess reagent), in a suitable solvent such as tetrahydrofurane, as previously described in WO2017065473.

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Process P1

Intermediates of formula (II) can be commercially available or can be prepared according to process P2, by reacting carbohydrazides of formula (III) with compounds of the formula (IVa) or (IVb) (which are either commercially available or may be prepared starting from readily available compounds according to known procedures) in a suitable solvent such as tetrahydrofurane optionally in presence of a base such as triethylamine, preferably at room temperature, as previously described in WO2017065473.

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Process P2

10 Carbohydrazides of formula (III) can be commercially available or can be prepared, according to process P3, by reacting a compound of formula (V), wherein LG1 is a leaving group, as for example ethoxy, with hydrazine hydrate in a suitable solvent such as ethanol, as previously described in WO2017065473.

Process P3

15 Compounds of formula (V) can be commercially available or may be prepared starting from readily available compounds according to known procedures.

Alternatively, compounds of formula (V), can be prepared, according to process P4, by reacting a compound of formula (VI), wherein LG1 is an alkoxy like for example ethoxy and wherein LG2 is a leaving group like for example chlorine by nucleophilic substitution with a compound of formula (VII) (as described for example in European Journal of Medicinal Chemistry, 135, 531-543; 2017 or Bioorganic & Medicinal Chemistry, 25(17), 4553-4559; 2017) optionally in presence of a base (like for example N,N-

diisopropylethylamine) or an acid (like for example p-toluenesulfonic acid) in a solvent such as for example dichloromethane or 1,4-dioxane. It may be necessary to activate the leaving group for example by oxidation with 3-chloroperbenzoic acid when LG2 is SMe.

5 Process P4

Compounds of formula (VI) can be commercially available or may be prepared starting from readily available compounds according to known procedures.

Compounds of formula (VII) can be commercially available or may be prepared starting from readily available compounds according to known procedures.

Alternatively, carbohydrazides of formula (III) can be prepared, according to process P5, by reacting a compound of formula (VIII) with an acid such as trifluoroacetic acid in a suitable solvent such as dichloromethane, preferably at room temperature, as previously described in Bioorganic & Medicinal Chemistry, 20(1), 487-497; 2012.

Process P5

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Compounds of formula (VIII) can be commercially available or may be prepared, according to process P6, by reacting an acid of formula (IX) with *tert*-butyl carbazate in presence of a coupling agent like for example (1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxid hexafluorophosphate (HATU) or 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDC·HCl), in a suitable solvent such as dichloromethane, optionally in presence of a base such as N,N-diisopropylethylamine, as previously described in Tetrahedron, 58(27), 5513-5523; 2002.

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Process P6

Compounds of formula (IX) can be commercially available or may be prepared starting from readily available compounds according to known procedures.

Alternatively, intermediates of formula (II) can be prepared according to process P7, by reacting a compound of formula (V), wherein LG1 is a leaving group as for example chlorine with 2,2-difluoroacetohydrazide or 2,2,2-trifluoroacetohydrazide in a suitable solvent such as tetrahydrofuran, acetonitrile or dichloromethane, optionally in presence of a base such as triethylamine, preferably at – 60 °C, 0 °C or at room temperature, as previously described in Russian Journal of Organic Chemistry, 43(11), 1686-1695; 2007 or Yingyong Huaxue, 13(5), 5-9; 1996.

Process P7

2,2-Difluoroacetohydrazide and 2,2,2-trifluoroacetohydrazide are commercially available or may be prepared, according to known processes, as previously described in Synlett, (12), 1939-1941; 2005, Journal of Organic Chemistry, 78(16), 8054-8064; 2013, Chemistry of Heterocyclic Compounds (New York, NY, United States), 52(2), 133-139; 2016 or WO 2018233633.

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Alternatively, compounds of formula (I), can be prepared, according to process P8, from a compound of formula (X), wherein LG3 is a leaving group by nucleophilic substitution with a compound of formula (VII) (as described for example in European Journal of Medicinal Chemistry, 135, 531-543; 2017 or WO2017065473) optionally in presence of a base (like for example triethylamine) or an acid (like for example p-toluenesulfonic acid) in a solvent such as for example dichloromethane or 1,4-dioxane. It may be necessary to activate the leaving group for example by oxidation with 3-chloroperbenzoic acid when LG3 is SMe.

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Process P8

Compounds of formula (X) can be commercially available or may be prepared starting from readily available compounds analogously to process P1 and P2 or P3 and P4 or P7.

Alternatively, compounds of formula (I), can be prepared, according to process P9, by reacting a compound of formula (XI) with C₁-C₃-haloalkylacetic anhydride (which is either commercially available or may be prepared starting from readily available compounds according to known procedures) in a suitable solvent such as dichloromethane, preferably at 0 °C, as previously described in WO2018165520.

Process P9

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Compounds of formula (XI) can be prepared, according to process P10, by reacting a compound of formula (XII) with an azide source such as sodium azide in a suitable solvent such as N,N-dimethylformamide, optionally in presence of a salt such as ammonium chloride and/or lithium chloride preferably at 95 °C, as previously described in WO2018165520.

$$NC \xrightarrow{R^1 \longrightarrow R^2} NC \xrightarrow{N \longrightarrow N} NC \xrightarrow{N \longrightarrow N}$$

Process P10

Compounds of formula (XII) can be prepared, according to process P11, by reacting a compound of formula (XIII) wherein LG4 is a leaving group by nucleophilic substitution such as chlorine with a

compound of formula (VII) (as previously described in WO2018165520) optionally in presence of a base (like for example triethylamine) or an acid (like for example p-toluenesulfonic acid) in a solvent such as for example ethanol, dichloromethane or 1,4-dioxane.

Process P11

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Compounds of formula (XIII) can be commercially available or may be prepared starting from readily available compounds according to known procedures.

According to the invention, processes P1 to P11 can be performed if appropriate in the presence of a solvent and if appropriate in the presence of a base.

Suitable solvents for carrying out processes P1 to P11 according to the invention are customary inert organic solvents. Preference is given to using optionally halogenated aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichloroethane or trichloroethane; ethers, such as diethyl ether, diisopropyl ether, methyl tert-butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or iso-butyronitrile or benzonitrile; amides, such as *N*,*N*-dimethylformamide, *N*,*N*-dimethylacetamide, *N*-methylformanilide, *N*-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate, sulfoxides, such as dimethyl sulfoxide or sulfones, such as sulfolane.

Suitable bases for carrying out processes P1 to P11 according to the invention are inorganic and organic bases which are customary for such reactions. Preference is given to using alkaline earth metal, alkali metal hydride, alkali metal hydroxides or alkali metal alkoxides, such as sodium hydroxide, sodium hydroxide, calcium hydroxide, potassium tert-butoxide or other ammonium hydroxide, alkali metal carbonates, such as sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate, cesium carbonate, alkali metal or alkaline earth metal acetates, such as sodium acetate, potassium acetate, calcium acetate and also tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, tributylamine, *N*,*N*-dimethylamiline, pyridine, *N*-methylpiperidine, *N*,*N*-dimethylaminopyridine, 1,4-diazabicyclo[2.2.2]octane (DABCO), 1,5-diazabicyclo[4.3.0]non-5-ene (DBN) or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

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When carrying out processes P1 to P11, according to the invention, the reaction temperature can independently be varied within a relatively wide range. Generally, processes according to the invention are carried out at temperatures between -20°C and 160°C. A way to control the temperature for the processes is to use microwave technology.

Processes P1 to P11 according to the invention are generally independently carried out under atmospheric pressure. However, it is also possible to operate under elevated or reduced pressure.

Work-up is carried out by customary methods. Generally, the reaction mixture is treated with water and the organic phase is separated off and, after drying, concentrated under reduced pressure. If appropriate, the remaining residue can be freed by customary methods, such as chromatography or recrystallization, from any impurities that can still be present.

Compounds according to the invention can be prepared according to the above described processes. It will nevertheless be understood that, on the basis of his general knowledge and of available publications, the skilled worker will be able to adapt these processes according to the specifics of each of the compounds according to the invention that is desired to be synthesized.

15 <u>Compositions and formulations</u>

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The present invention further relates to compositions, in particular compositions for controlling unwanted microorganisms. The composition may be applied to the microorganisms and/or in their habitat.

<u>The composition</u> comprises at least one compound of the invention and at least one agriculturally suitable auxiliary, e.g. carrier(s) and/or surfactant(s).

A carrier is a solid or liquid, natural or synthetic, organic or inorganic substance that is generally inert. The carrier generally improves the application of the compounds, for instance, to plants, plants parts or seeds. Examples of suitable *solid carriers* include, but are not limited to, ammonium salts, in particular ammonium sulfates, ammonium phosphates and ammonium nitrates, natural rock flours, such as kaolins, clays, tale, chalk, quartz, attapulgite, montmorillonite and diatomaceous earth, silica gel and synthetic rock flours, such as finely divided silica, alumina and silicates. Examples of typically useful solid carriers for preparing granules include, but are not limited to crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, synthetic granules of inorganic and organic flours and granules of organic material such as paper, sawdust, coconut shells, maize cobs and tobacco stalks. Examples of suitable *liquid carriers* include, but are not limited to, water, organic solvents and combinations thereof. Examples of suitable *solvents* include polar and nonpolar organic chemical liquids, for example from the classes of aromatic and nonaromatic hydrocarbons (such as cyclohexane, paraffins, alkylbenzenes, xylene, toluene, tetrahydronaphthalene, alkylnaphthalenes, chlorinated aromatics or chlorinated aliphatic hydrocarbons such as chlorobenzenes, chloroethylenes or methylene chloride), alcohols and polyols (which may optionally also

be substituted, etherified and/or esterified, such as ethanol, propanol, butanol, benzylalcohol, cyclohexanol or glycol), ketones (such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone), esters (including fats and oils) and (poly)ethers, unsubstituted and substituted amines, amides (such as dimethylformamide or fatty acid amides) and esters thereof, lactams (such as N-alkylpyrrolidones, in particular N-methylpyrrolidone) and lactones, sulfones and sulfoxides (such as dimethyl sulfoxide), oils of vegetable or animal origin. The carrier may also be a liquefied gaseous extender, i.e. liquid which is gaseous at standard temperature and under standard pressure, for example aerosol propellants such as halohydrocarbons, butane, propane, nitrogen and carbon dioxide.

Preferred solid carriers are selected from clays, talc and silica.

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Preferred liquid carriers are selected from water, fatty acid amides and esters thereof, aromatic and nonaromatic hydrocarbons, lactams and carbonic acid esters.

The amount of carrier typically ranges from 1 to 99.99%, preferably from 5 to 99.9%, more preferably from 10 to 99.5%, and most preferably from 20 to 99% by weight of the composition.

Liquid carriers are typically present in a range of from 20 to 90%, for example 30 to 80% by weight of the composition.

Solid carriers are typically present in a range of from 0 to 50%, preferably 5 to 45%, for example 10 to 30% by weight of the composition.

If the composition comprises two or more carriers, the outlined ranges refer to the total amount of carriers.

The <u>surfactant</u> can be an ionic (cationic or anionic), amphoteric or non-ionic surfactant, such as ionic or non-ionic emulsifier(s), foam former(s), dispersant(s), wetting agent(s), penetration enhancer(s) and any mixtures thereof. Examples of suitable surfactants include, but are not limited to, salts of polyacrylic acid, salts of lignosulfonic acid (such as sodium lignosulfonate), salts of phenolsulfonic acid or naphthalenesulfonic acid, polycondensates of ethylene oxide and/or propylene oxide with fatty alcohols, fatty acids or fatty amines (for example, polyoxyethylene fatty acid esters such as castor oil ethoxylate, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers), substituted phenols (preferably alkylphenols or arylphenols) and ethoxylates thereof (such as tristyrylphenol ethoxylate), salts of sulfosuccinic esters, taurine derivatives (preferably alkyl taurates), phosphoric esters of polyethoxylated alcohols or phenols, fatty esters of polyols (such a fatty acid esters of glycerol, sorbitol or sucrose), sulfates (such as alkyl sulfates and alkyl ether sulfates), sulfonates (for example, alkylsulfonates, arylsulfonates and alkylbenzene sulfonates), phosphate esters, protein hydrolysates, lignosulfite waste liquors and methylcellulose. Any reference to salts in this paragraph refers preferably to the respective alkali, alkaline earth and ammonium salts.

Preferred surfactants are selected from polyoxyethylene fatty alcohol ethers, polyoxyethylene fatty acid esters, alkylbenzene sulfonates, such as calcium dodecylbenzenesulfonate, castor oil ethoxylate, sodium lignosulfonate and arylphenol ethoxylates, such as tristyrylphenol ethoxylate.

The amount of surfactants typically ranges from 5 to 40%, for example 10 to 20%, by weight of the composition.

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Further examples of <u>suitable auxiliaries</u> include water repellents, siccatives, binders (adhesive, tackifier, fixing agent, such as carboxymethylcellulose, natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, natural phospholipids such as cephalins and lecithins and synthetic phospholipids, polyvinylpyrrolidone and tylose), thickeners and secondary thickeners (such as cellulose ethers, acrylic acid derivatives, xanthan gum, modified clays, e.g. the products available under the name Bentone, and finely divided silica), stabilizers (e.g. cold stabilizers, preservatives (e.g. dichlorophene and benzyl alcohol hemiformal), antioxidants, light stabilizers, in particular UV stabilizers, or other agents which improve chemical and/or physical stability), dyes or pigments (such as inorganic pigments, e.g. iron oxide, titanium oxide and Prussian Blue; organic dyes, e.g. alizarin, azo and metal phthalocyanine dyes), antifoams (e.g. silicone antifoams and magnesium stearate), antifreezes, stickers, gibberellins and processing auxiliaries, mineral and vegetable oils, perfumes, waxes, nutrients (including trace nutrients, such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc), protective colloids, thixotropic substances, penetrants, sequestering agents and complex formers.

The choice of the auxiliaries depends on the intended mode of application of the compound of the invention and/or on the physical properties of the compound(s). Furthermore, the auxiliaries may be chosen to impart particular properties (technical, physical and/or biological properties) to the compositions or use forms prepared therefrom. The choice of auxiliaries may allow customizing the compositions to specific needs.

The composition of the invention may be provided to the end user as ready-for-use formulation, i.e. the compositions may be directly applied to the plants or seeds by a suitable device, such as a spraying or dusting device. Alternatively, the compositions may be provided to the end user in the form of concentrates which have to be diluted, preferably with water, prior to use.

The composition of the invention can be prepared in conventional manners, for example by mixing the compound of the invention with one or more suitable auxiliaries, such as disclosed herein above.

The composition comprises a fungicidally effective amount of the compound(s) of the invention. The term "effective amount" denotes an amount, which is sufficient for controlling harmful fungi on cultivated plants or in the protection of materials and which does not result in a substantial damage to the treated plants. Such an amount can vary in a broad range and is dependent on various factors, such as the fungal species to be controlled, the treated cultivated plant or material, the climatic conditions and the specific

compound of the invention used. Usually, the composition according to the invention contains from 0.01 to 99% by weight, preferably from 0.05 to 98% by weight, more preferred from 0.1 to 95% by weight, even more preferably from 0.5 to 90% by weight, most preferably from 1 to 80% by weight of the compound of the invention. It is possible that a composition comprises two or more compounds of the invention. In such case the outlined ranges refer to the total amount of compounds of the present invention.

The composition of the invention may be in any customary <u>composition type</u>, such as solutions (e.g. aqueous solutions), emulsions, water- and oil-based suspensions, powders (e.g. wettable powders, soluble powders), dusts, pastes, granules (e.g. soluble granules, granules for broadcasting), suspoemulsion concentrates, natural or synthetic products impregnated with the compound of the invention, fertilizers and also microencapsulations in polymeric substances. The compound of the invention may be present in a suspended, emulsified or dissolved form. Examples of particular suitable composition types are solutions, water-soluble concentrates (e.g. SL, LS), dispersible concentrates (DC), suspensions and suspension concentrates (e.g. SC, OD, OF, FS), emulsifiable concentrates (e.g. EC), emulsions (e.g. EW, EO, ES, ME, SE), capsules (e.g. CS, ZC), pastes, pastilles, wettable powders or dusts (e.g. WP, SP, WS, DP, DS), pressings (e.g. BR, TB, DT), granules (e.g. WG, SG, GR, FG, GG, MG), insecticidal articles (e.g. LN), as well as gel formulations for the treatment of plant propagation materials such as seeds (e.g. GW, GF). These and further compositions types are defined by the Food and Agriculture Organization of the United Nations (FAO). An overview is given in the "Catalogue of pesticide formulation types and international coding system", Technical Monograph No. 2, 6th Ed. May 2008, CropLife International.

20 Preferably, the composition of the invention is in form of one of the following types: EC, SC, FS, SE, OD and WG, more preferred EC, SC, OD and WG.

Further details about examples of composition types and their preparation are given below. If two or more compounds of the invention are present, the outlined amount of compound of the invention refers to the total amount of compounds of the present invention. This applies mutatis mutandis for any further component of the composition, if two or more representatives of such component, e.g. wetting agent, binder, are present.

i) Water-soluble concentrates (SL, LS)

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10-60 % by weight of at least one compound of the invention and 5-15 % by weight surfactant (e.g. polyoxyethylene fatty alcohol ether) are dissolved in such amount of water and/or water-soluble solvent (e.g. alcohols such as propylene glycol or carbonates such as propylene carbonate) to result in a total amount of 100 % by weight. Before application the concentrate is diluted with water.

ii) Dispersible concentrates (DC)

5-25 % by weight of at least one compound of the invention and 1-10 % by weight surfactant and/or binder (e.g. polyvinylpyrrolidone) are dissolved in such amount of organic solvent (e.g. cyclohexanone) to result in a total amount of 100 % by weight. Dilution with water gives a dispersion.

iii) Emulsifiable concentrates (EC)

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15-70 % by weight of at least one compound of the invention and 5-10 % by weight surfactant (e.g. a mixture of calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in such amount of water-insoluble organic solvent (e.g. aromatic hydrocarbon or fatty acid amide) and if needed additional water-soluble solvent to result in a total amount of 100 % by weight. Dilution with water gives an emulsion.

10 iv) Emulsions (EW, EO, ES)

5-40 % by weight of at least one compound of the invention and 1-10 % by weight surfactant (e.g. a mixture of calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in 20-40 % by weight water-insoluble organic solvent (e.g. aromatic hydrocarbon). This mixture is added to such amount of water by means of an emulsifying machine to result in a total amount of 100 % by weight. The resulting composition is a homogeneous emulsion. Before application the emulsion may be further diluted with water.

v) Suspensions and suspension concentrates

v-1) Water-based (SC, FS)

In a suitable grinding equipment, e.g. an agitated ball mill, 20-60 % by weight of at least one compound of the invention are comminuted with addition of 2-10 % by weight surfactant (e.g. sodium lignosulfonate and polyoxyethylene fatty alcohol ether), 0.1-2 % by weight thickener (e.g. xanthan gum) and water to give a fine active substance suspension. The water is added in such amount to result in a total amount of 100 % by weight. Dilution with water gives a stable suspension of the active substance. For FS type compositions up to 40 % by weight binder (e.g. polyvinylalcohol) is added.

v-2) Oil-based (OD, OF)

In a suitable grinding equipment, e.g. an agitated ball mill, 20-60 % by weight of at least one compound of the invention are comminuted with addition of 2-10 % by weight surfactant (e.g. sodium lignosulfonate and polyoxyethylene fatty alcohol ether), 0.1-2 % by weight thickener (e.g. modified clay, in particular Bentone, or silica) and an organic carrier to give a fine active substance oil suspension. The organic carrier is added in such amount to result in a total amount of 100 % by weight. Dilution with water gives a stable dispersion of the active substance.

vi) Water-dispersible granules and water-soluble granules (WG, SG)

50-80 % by weight of at least one compound of the invention are ground finely with addition of surfactant (e.g. sodium lignosulfonate and polyoxyethylene fatty alcohol ether) and converted to water-dispersible or water-soluble granules by means of technical appliances (e. g. extrusion, spray tower, fluidized bed). The surfactant is used in such amount to result in a total amount of 100 % by weight. Dilution with water gives a stable dispersion or solution of the active substance.

vii) Water-dispersible powders and water-soluble powders (WP, SP, WS)

50-80 % by weight of at least one compound of the invention are ground in a rotor-stator mill with addition of 1-8 % by weight surfactant (e.g. sodium lignosulfonate, polyoxyethylene fatty alcohol ether) and such amount of solid carrier, e.g. silica gel, to result in a total amount of 100 % by weight. Dilution with water gives a stable dispersion or solution of the active substance.

viii) Gel (GW, GF)

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In an agitated ball mill, 5-25 % by weight of at least one compound of the invention are comminuted with addition of 3-10 % by weight surfactant (e.g. sodium lignosulfonate), 1-5 % by weight binder (e.g. carboxymethylcellulose) and such amount of water to result in a total amount of 100 % by weight. This results in a fine suspension of the active substance. Dilution with water gives a stable suspension of the active substance.

ix) Microemulsion (ME)

5-20 % by weight of at least one compound of the invention are added to 5-30 % by weight organic solvent blend (e.g. fatty acid dimethylamide and cyclohexanone), 10-25 % by weight surfactant blend (e.g. polyoxyethylene fatty alcohol ether and arylphenol ethoxylate), and such amount of water to result in a total amount of 100 % by weight. This mixture is stirred for 1 h to produce spontaneously a thermodynamically stable microemulsion.

x) Microcapsules (CS)

An oil phase comprising 5-50 % by weight of at least one compound of the invention, 0-40 % by weight water-insoluble organic solvent (e.g. aromatic hydrocarbon), 2-15 % by weight acrylic monomers (e.g. methylmethacrylate, methacrylic acid and a di- or triacrylate) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). Radical polymerization initiated by a radical initiator results in the formation of poly(meth)acrylate microcapsules. Alternatively, an oil phase comprising 5-50 % by weight of at least one compound of the invention, 0-40 % by weight water-insoluble organic solvent (e.g. aromatic hydrocarbon), and an isocyanate monomer (e.g. diphenylmethene-4,4'-diisocyanatae) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). The addition of a polyamine (e.g.

hexamethylenediamine) results in the formation of polyurea microcapsules. The monomers amount to 1-10 % by weight of the total CS composition.

xi) Dustable powders (DP, DS)

1-10 % by weight of at least one compound of the invention are ground finely and mixed intimately with such amount of solid carrier, e.g. finely divided kaolin, to result in a total amount of 100 % by weight.

xii) Granules (GR, FG)

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0.5-30 % by weight of at least one compound of the invention are ground finely and associated with such amount of solid carrier (e.g. silicate) to result in a total amount of 100 % by weight. Granulation is achieved by extrusion, spray-drying or the fluidized bed.

10 xiii) Ultra-low volume liquids (UL)

1-50 % by weight of at least one compound of the invention are dissolved in such amount of organic solvent, e.g. aromatic hydrocarbon, to result in a total amount of 100 % by weight.

The compositions types i) to xiii) may optionally comprise further auxiliaries, such as 0.1-1 % by weight preservatives, 0.1-1 % by weight antifoams, 0.1-1 % by weight dyes and/or pigments, and 5-10% by weight antifreezes.

Mixtures/Combinations

The compound and the composition of the invention can be mixed with other active ingredients like fungicides, bactericides, acaricides, nematicides, insecticides, biological control agents or herbicides. Mixtures with fertilizers, growth regulators, safeners, nitrification inhibitors, semiochemicals and/or other agriculturally beneficial agents are also possible. This may allow to broaden the activity spectrum or to prevent development of resistance. Examples of known fungicides, insecticides, acaricides, nematicides and bactericides are disclosed in the Pesticide Manual, 17th Edition.

Examples of fungicides which could be mixed with the compound and the composition of the invention are:

1) Inhibitors of the ergosterol biosynthesis, for example (1.001) cyproconazole, (1.002) difenoconazole, (1.003) epoxiconazole, (1.004) fenhexamid, (1.005) fenpropidin, (1.006) fenpropimorph, (1.007) fenpyrazamine, (1.008) fluquinconazole, (1.009) flutriafol, (1.010) imazalil, (1.011) imazalil sulfate, (1.012) ipconazole, (1.013) metconazole, (1.014) myclobutanil, (1.015) paclobutrazol, (1.016) prochloraz, (1.017) propiconazole, (1.018) prothioconazole, (1.019) pyrisoxazole, (1.020) spiroxamine, (1.021) tebuconazole, (1.022) tetraconazole, (1.023) triadimenol, (1.024) tridemorph, (1.025) triticonazole,

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(1.026)(1R,2S,5S)-5-(4-chlorobenzyl)-2-(chloromethyl)-2-methyl-1-(1H-1,2,4-triazol-1vlmethyl)cyclopentanol, (1.027) (1S,2R,5R)-5-(4-chlorobenzyl)-2-(chloromethyl)-2-methyl-1-(1H-1,2,4triazol-1-ylmethyl)cyclopentanol, (1.028)(2R)-2-(1-chlorocyclopropyl)-4-[(1R)-2,2dichlorocyclopropyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.029) (2R)-2-(1-chlorocyclopropyl)-4-[(1S)-2,2-dichlorocyclopropyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.030) (2R)-2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)propan-2-ol, (1.031) (2S)-2-(1-chlorocyclopropyl)-4-[(1R)-2,2-dichlorocyclopropyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.032)(2S)-2-(1-chlorocyclopropyl)-4-[(1S)-2,2-dichlorocyclopropyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.033) (2S)-2-[4-(4-1)]-1-(4-1)-[4-1 chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)propan-2-ol, (1.034) chloro-2-fluorophenyl)-5-(2,4-difluorophenyl)-1,2-oxazol-4-yll(pyridin-3-yl)methanol, (1.035) (S)-[3-(4-chloro-2-fluorophenyl)-5-(2,4-difluorophenyl)-1,2-oxazol-4-yl](pyridin-3-yl)methanol, (1.036) [3-(4-chloro-2-fluorophenyl)-5-(2,4-difluorophenyl)-1,2-oxazol-4-yl](pyridin-3-yl)methanol, (1.036) chloro-2-fluorophenyl)-5-(2,4-difluorophenyl)-1,2-oxazol-4-yl](pyridin-3-yl)methanol, (1.037)1- $({(2R,4S)-2-[2-chloro-4-(4-chlorophenoxy)phenyl]-4-methyl-1,3-dioxolan-2-yl}methyl)-1H-1,2,4$ triazole. (1.038)1-({(2S,4S)-2-[2-chloro-4-(4-chlorophenoxy)phenyl]-4-methyl-1,3-dioxolan-2-(1.039)1-{[3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2yl}methyl)-1H-1,2,4-triazole, yl]methyl}-1H-1,2,4-triazol-5-yl 1-{[rel(2R,3R)-3-(2-chlorophenyl)-2-(2,4thiocyanate, (1.040)difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-triazol-5-yl thiocyanate, (1.041) 1-{[rel(2R,3S)-3-(2chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-triazol-5-yl thiocyanate, (1.042) 2-[(2R,4R,5R)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4triazole-3-thione, (1.043) 2-[(2R,4R,5S)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.044) 2-[(2R,4S,5R)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.045) 2-[(2R,4S,5S)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.046)2-[(2S,4R,5R)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4triazole-3-thione, (1.047) 2-[(2S.4R.5S)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl] 2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.048) 2-[(2S,4S,5R)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.049)2-[(2S,4S,5S)-1-(2,4dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.050) 2-[1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3thione. (1.051)2-[2-chloro-4-(2,4-dichlorophenoxy)phenyl]-1-(1H-1,2,4-triazol-1-yl)propan-2-ol, (1.052) 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.053) 2-[4-(4-chlorophenoxy)phenyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.053) 2-[4-(4-chlorophenoxy)phenyl]-1-(4-chlorophenoxy) chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.054)2-[4-(4chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)pentan-2-ol, (1.055)mefentrifluconazole, (1.056) 2-{[3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-2,4dihydro-3H-1,2,4-triazole-3-thione, (1.057)2-{[rel(2R,3R)-3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.058)2-{[rel(2R,3S)-3-(2-

chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-2,4-dihydro-3H-1,2,4-triazole-3-thione,

(1.059) 5-(4-chlorobenzyl)-2-(chloromethyl)-2-methyl-1-(1H-1,2,4-triazol-1-ylmethyl)cyclopentanol,

 $(1.060) \qquad 5-(allyl sulfanyl)-1-\{[3-(2-chlor ophenyl)-2-(2,4-difluor ophenyl) oxiran-2-yl]methyl\}-1H-1,2,4-difluor ophenyl) oxiran-2-yl]methyl\}-1H-1,2,4-difluor ophenyl) oxiran-2-yl]methyl\}-1H-1,2,4-difluor ophenyl) oxiran-2-yl]methyl\}-1H-1,2,4-difluor ophenyl) oxiran-2-yl]methyl\}-1H-1,2,4-difluor ophenyl) oxiran-2-yl]methyl]-1H-1,2,4-difluor ophenyl) oxiran-2-yl]-1H-1,2,4-difluor ophenyl) oxiran-2-yl]-1H-1,2-yl]-1H-1,2-yl]-1H-1,2-yl]-1H-1,2-yl]-1H-1,2-yl]-1H-1,2-yl]-1$

triazole, (1.061) 5-(allylsulfanyl)-1-{[rel(2R,3R)-3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-

yl]methyl}-1H-1,2,4-triazole, (1.062) 5-(allylsulfanyl)-1-{[rel(2R,3S)-3-(2-chlorophenyl)-2-(2,4-

difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-triazole, (1.063) N'-(2,5-dimethyl-4-{[3-(1,1,2,2-

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tetrafluoroethoxy)phenyl]sulfanyl}phenyl)-N-ethyl-N-methylimidoformamide, (1.064) N'-(2,5-dimethyl-

4-{[3-(2,2,2-trifluoroethoxy)phenyl]sulfanyl}phenyl)-N-ethyl-N-methylimidoformamide, (1.065) N'-

(2,5-dimethyl-4-{[3-(2,2,3,3-tetrafluoropropoxy)phenyl]sulfanyl}phenyl)-N-ethyl-N-methylimido-

formamide, (1.066) N'-(2,5-dimethyl-4-{[3-(pentafluoroethoxy)phenyl]sulfanyl}phenyl)-N-ethyl-N-

methylimidoformamide, (1.067) N'-(2,5-dimethyl-4-{3-[(1,1,2,2-tetrafluoroethyl)sulfanyl]-

phenoxy}phenyl)-N-ethyl-N-methylimidoformamide, (1.068) N'-(2,5-dimethyl-4-{3-[(2,2,2-trifluoro-

ethyl)sulfanyl]phenoxy}phenyl)-N-ethyl-N-methylimidoformamide, (1.069) N'-(2,5-dimethyl-4-{3-

[(2,2,3,3-tetrafluoropropyl)sulfanyl]phenoxy}phenyl)-N-ethyl-N-methylimidoformamide, (1.070) N'-

(2,5-dimethyl-4-{3-[(pentafluoroethyl)sulfanyl]phenoxy}phenyl)-N-ethyl-N-methylimidoformamide,

(1.071) N'-(2,5-dimethyl-4-phenoxyphenyl)-N-ethyl-N-methylimidoformamide, (1.072) N'-(4-{[3-

(difluoromethoxy)phenyl]sulfanyl}-2,5-dimethylphenyl)-N-ethyl-N-methylimidoformamide, (1.073) N'-

 $(4-\{3-[(difluoromethyl)sulfanyl]phenoxy\}-2,5-dimethylphenyl)-N-ethyl-N-methylimidoformamide,\\$

(1.074) N'-[5-bromo-6-(2,3-dihydro-1H-inden-2-yloxy)-2-methylpyridin-3-yl]-N-ethyl-N-methylimido-

formamide, (1.075) N'-{4-[(4,5-dichloro-1,3-thiazol-2-yl)oxy]-2,5-dimethylphenyl}-N-ethyl-N

methylimidoformamide, (1.076) N'-{5-bromo-6-[(1R)-1-(3,5-difluorophenyl)ethoxy]-2-methylpyridin-3-

yl}-N-ethyl-N-methylimidoformamide, (1.077) N'-{5-bromo-6-[(1S)-1-(3,5-difluorophenyl)ethoxy]-2-

methylpyridin-3-yl}-N-ethyl-N-methylimidoformamide, (1.078) N'-{5-bromo-6-[(cis-4-isopropyl-

cyclohexyl)oxy]-2-methylpyridin-3-yl}-N-ethyl-N-methylimidoformamide, (1.079) N'-{5-bromo-6-

[(trans-4-isopropylcyclohexyl)oxy]-2-methylpyridin-3-yl}-N-ethyl-N-methylimidoformamide, (1.080)

25 N'-{5-bromo-6-[1-(3,5-difluorophenyl)ethoxy]-2-methylpyridin-3-yl}-N-ethyl-N-methylimido-

formamide, (1.081) ipfentrifluconazole, (1.082) 2-[4-(4-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-

(1H-1,2,4-triazol-1-yl)propan-2-ol, (1.083) 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-

(1,2,4-triazol-1-yl)propan-2-ol, (1.084) 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol, (1.084) 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3

triazol-1-yl)propan-2-ol, (1.085) 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-

propyllimidazole-4-carbonitrile, (1.086) fluoxytioconazole (4-[[6-[rac-(2R)-2-(2,4-difluorophenyl)-1,1-

difluoro-2-hydroxy-3-(5-thioxo-4H-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile), (1.087) N-

isopropyl-N'-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenylethyl)phenyl]-N-

methylimidoformamide, (1.088) N'-{5-bromo-2-methyl-6-[(1-propoxypropan-2-yl)oxy|pyridin-3-yl}-N-

ethyl-N-methylimidoformamide, (1.089) hexaconazole, (1.090) penconazole, (1.091) fenbuconazole, and

(1.092) methyl 2-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-hydroxy-3-(1,2,4-triazol-1-yl)propanoate.

2) Inhibitors of the respiratory chain at complex I or II, for example (2.001) benzovindiflupyr, (2.002) bixafen, (2.003) boscalid, (2.004) carboxin, (2.005) fluopyram, (2.006) flutolanil, (2.007) fluxapyroxad,

(2.008) furametpyr, (2.009) Isofetamid, (2.010) isopyrazam (anti-epimeric enantiomer 1R,4S,9S), (2.011) isopyrazam (anti-epimeric enantiomer 1S,4R,9R), (2.012) isopyrazam (anti-epimeric racemate 1RS,4SR,9SR), (2.013) isopyrazam (mixture of syn-epimeric racemate 1RS,4SR,9RS and anti-epimeric racemate 1RS,4SR,9SR), (2.014) isopyrazam (syn-epimeric enantiomer 1R,4S,9R), (2.015) isopyrazam 5 (syn-epimeric enantiomer 1S.4R.9S), (2.016) isopyrazam (syn-epimeric racemate 1RS.4SR.9RS), (2.017) penflufen, (2.018) penthiopyrad, (2.019) pydiflumetofen, (2.020) Pyraziflumid, (2.021) sedaxane, (2.022) 1,3-dimethyl-N-(1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl)-1H-pyrazole-4-carboxamide, (2.023) 1,3dimethyl-N-[(3R)-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1H-pyrazole-4-carboxamide, (2.024) 1,3dimethyl-N-[(3S)-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1H-pyrazole-4-carboxamide, (2.025) 1methyl-3-(trifluoromethyl)-N-[2'-(trifluoromethyl)biphenyl-2-yl]-1H-pyrazole-4-carboxamide, (2.026) 10 2-fluoro-6-(trifluoromethyl)-N-(1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl)benzamide, (2.027)3-(difluoromethyl)-1-methyl-N-(1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl)-1H-pyrazole-4-carboxamide, (2.028) inpyrfluxam, (2.029) 3-(difluoromethyl)-1-methyl-N-[(3S)-1,1,3-trimethyl-2,3-dihydro-1Hinden-4-yl]-1H-pyrazole-4-carboxamide, (2.030) fluindapyr, (2.031) 3-(difluoromethyl)-N-[(3R)-7fluoro-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1-methyl-1H-pyrazole-4-carboxamide, (2.032) 15 (difluoromethyl)-N-[(3S)-7-fluoro-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1-methyl-1H-pyrazole-4carboxamide, (2.033)5,8-difluoro-N-[2-(2-fluoro-4-{[4-(trifluoromethyl)pyridin-2vlloxy\phenyl)ethyl\quinazolin-4-amine, (2.034) N-(2-cyclopentyl-5-fluorobenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.035)N-(2-tert-butyl-5-20 methylbenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, N-(2-tert-butylbenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4carboxamide, (2.037) N-(5-chloro-2-ethylbenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.038) isoflucypram, (2.039) N-[(1R,4S)-9-(dichloromethylene)-1,2,3,4tetrahydro-1,4-methanonaphthalen-5-yl]-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.040)N-[(1S,4R)-9-(dichloromethylene)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-5-yl]-3-25 (difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.041)N-[1-(2,4-dichlorophenyl)-1methoxypropan-2-yl]-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.042) N-[2-chloro-6-(trifluoromethyl)benzyl]-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4carboxamide, (2.043)N-[3-chloro-2-fluoro-6-(trifluoromethyl)benzyl]-N-cyclopropyl-3-30 (difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.044)N-[5-chloro-2-(trifluoromethyl)benzyl]-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-N-[5-methyl-2carboxamide, (2.045)(trifluoromethyl)benzyl]-1H-pyrazole-4-carboxamide, (2.046) N-cyclopropyl-3-(difluoromethyl)-5-

fluoro-N-(2-fluoro-6-isopropylbenzyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.047) N-cyclopropyl-3-(difluoromethyl)-5-fluoro-N-(2-isopropyl-5-methylbenzyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.048) N-cyclopropyl-3-(difluoromethyl)-5-fluoro-N-(2-isopropylbenzyl)-1-methyl-1H-pyrazole-4-carbothioamide, (2.049) N-cyclopropyl-3-(difluoromethyl)-5-fluoro-N-(2-isopropylbenzyl)-1-methyl-1-methy

1H-pyrazole-4-carboxamide, (2.050)N-cyclopropyl-3-(difluoromethyl)-5-fluoro-N-(5-fluoro-2isopropylbenzyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.051) N-cyclopropyl-3-(difluoromethyl)-N-(2-ethyl-4,5-dimethylbenzyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.052) N-cyclopropyl-3-(difluoromethyl)-N-(2-ethyl-5-fluorobenzyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.053) Ncvclopropyl-3-(difluoromethyl)-N-(2-ethyl-5-methylbenzyl)-5-fluoro-1-methyl-1H-pyrazole-4carboxamide, (2.054) N-cyclopropyl-N-(2-cyclopropyl-5-fluorobenzyl)-3-(difluoromethyl)-5-fluoro-1-(2.055)methyl-1H-pyrazole-4-carboxamide, N-cyclopropyl-N-(2-cyclopropyl-5-methylbenzyl)-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.056)N-cyclopropyl-N-(2cyclopropylbenzyl)-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.057)pyrapropovne, (2.058)N-[rac-(1S,2S)-2-(2,4-dichlorophenyl)cyclobutyl]-2-(trifluoromethyl)nicotinamide, (2.059) N-[(1S,2S)-2-(2,4-dichlorophenyl)cyclobutyl]-2-(trifluoromethyl)nicotinamide, (2.060) flubeneteram and (2.061) thifluxamide.

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- 3) Inhibitors of the respiratory chain at complex III, for example (3.001) ametoctradin, (3.002) amisulbrom, (3.003) azoxystrobin, (3.004) coumethoxystrobin, (3.005) coumoxystrobin, (3.006) cyazofamid, (3.007) dimoxystrobin, (3.008) enoxastrobin, (3.009) famoxadone, (3.010) fenamidone, (3.011) flufenoxystrobin, (3.012) fluoxastrobin, (3.013) kresoxim-methyl, (3.014) metominostrobin, (3.015) orysastrobin, (3.016) picoxystrobin, (3.017) pyraclostrobin, (3.018) pyrametostrobin, (3.019) pyraoxystrobin. (3.020)trifloxystrobin, (3.021) $(2E)-2-{2-[({[(1E)-1-(3-{[(E)-1-fluoro-2$ phenylvinyl]oxy}phenyl)ethylidene]amino}oxy)methyl]phenyl}-2-(methoxyimino)-N-methylacetamide, (3.022) (2E,3Z)-5-{[1-(4-chlorophenyl)-1H-pyrazol-3-yl]oxy}-2-(methoxyimino)-N,3-dimethylpent-3enamide, (3.023) (2R)-2-{2-[(2,5-dimethylphenoxy)methyl]phenyl}-2-methoxy-N-methylacetamide, (3.024)(2S)-2-{2-[(2,5-dimethylphenoxy)methyl]phenyl}-2-methoxy-N-methylacetamide, (3.025)fenpicoxamid, (3.026) mandestrobin, (3.027) N-(3-ethyl-3.5,5-trimethylcyclohexyl)-3-formamido-2- $(2E,3Z)-5-\{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-ylloxy\}-2-(2E,3Z)-5-\{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-ylloxy\}-2-(2E,3Z)-5-\{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-ylloxy\}-2-(2E,3Z)-5-\{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-ylloxy\}-2-(2E,3Z)-5-\{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-ylloxy]-2-(2E,3Z)-3$ hydroxybenzamide, (3.028)(methoxyimino)-N,3-dimethylpent-3-enamide, (3.029) methyl {5-[3-(2,4-dimethylphenyl)-1H-pyrazol-1-yl]-2-methylbenzyl}carbamate, (3.030) metyltetraprole, (3.031) florylpicoxamid and (3.032) metarylpicoxamid.
 - 4) Inhibitors of the mitosis and cell division, for example (4.001) carbendazim, (4.002) diethofencarb, (4.003) ethaboxam, (4.004) fluopicolide, (4.005) pencycuron, (4.006) thiabendazole, (4.007) thiophanatemethyl, (4.008) zoxamide, (4.009) pyridachlometyl, (4.010) 3-chloro-5-(4-chlorophenyl)-4-(2,6-difluorophenyl)-6-methylpyridazine, (4.011) 3-chloro-5-(6-chloropyridin-3-yl)-6-methyl-4-(2,4,6-trifluorophenyl)pyridazine, (4.012) 4-(2-bromo-4-fluorophenyl)-N-(2,6-difluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.013) 4-(2-bromo-4-fluorophenyl)-N-(2-bromo-6-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.015) 4-(2-bromo-4-fluorophenyl)-N-(2-chloro-6-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.016) 4-(2-bromo-4-fluorophenyl)-N-(2-chlorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.016) 4-(2-bromo-4-fluorophenyl)-N-(2-chlorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine,

- (4.017) 4-(2-bromo-4-fluorophenyl)-N-(2-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.018) 4-(2-chloro-4-fluorophenyl)-N-(2,6-difluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.019) 4-(2-chloro-4-fluorophenyl)-N-(2-chloro-6-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.020) 4-(2-chloro-4-fluorophenyl)-N-(2-chlorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.021) 4-(2-chloro-4-fluorophenyl)-3,6-dimethyl-pyridazine, (4.023) N-(2-bromo-6-fluorophenyl)-4-(2-chloro-4-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.024) N-(2-bromophenyl)-4-(2-chloro-4-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.025) N-(4-chloro-2,6-difluorophenyl)-4-(2-chloro-4-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.026) fluopimomide.
- 5) Compounds capable to have a multisite action, for example (5.001) bordeaux mixture, (5.002) captafol, (5.003) captan, (5.004) chlorothalonil, (5.005) copper hydroxide, (5.006) copper naphthenate, (5.007) copper oxide, (5.008) copper oxychloride, (5.009) copper(2+) sulfate, (5.010) dithianon, (5.011) dodine, (5.012) folpet, (5.013) mancozeb, (5.014) maneb, (5.015) metiram, (5.016) metiram zinc, (5.017) oxine-copper, (5.018) propineb, (5.019) sulfur and sulfur preparations including calcium polysulfide, (5.020) thiram, (5.021) zineb, (5.022) ziram, (5.023) 6-ethyl-5,7-dioxo-6,7-dihydro-5H-pyrrolo[3',4':5,6][1,4]dithiino[2,3-c][1,2]thiazole-3-carbonitrile.
 - 6) Compounds capable to induce a host defence, for example (6.001) acibenzolar-S-methyl, (6.002) isotianil, (6.003) probenazole, (6.004) tiadinil.
- 7) Inhibitors of the amino acid and/or protein biosynthesis, for example (7.001) cyprodinil, (7.002) kasugamycin, (7.003) kasugamycin hydrochloride hydrate, (7.004) oxytetracycline, (7.005) pyrimethanil, (7.006) 3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline.
 - 8) Inhibitors of the ATP production, for example (8.001) silthiofam.

- 9) Inhibitors of the cell wall synthesis, for example (9.001) benthiavalicarb, (9.002) dimethomorph, (9.003) flumorph, (9.004) iprovalicarb, (9.005) mandipropamid, (9.006) pyrimorph, (9.007) valifenalate, (9.008) (2E)-3-(4-tert-butylphenyl)-3-(2-chloropyridin-4-yl)-1-(morpholin-4-yl)prop-2-en-1-one, (9.009) (2Z)-3-(4-tert-butylphenyl)-3-(2-chloropyridin-4-yl)-1-(morpholin-4-yl)prop-2-en-1-one.
- 10) Inhibitors of the lipid and membrane synthesis, for example (10.001) propamocarb, (10.002) propamocarb hydrochloride, (10.003) tolclofos-methyl.
- 11) Inhibitors of the melanin biosynthesis, for example (11.001) tricyclazole, (11.002) tolprocarb.
- 12) Inhibitors of the nucleic acid synthesis, for example (12.001) benalaxyl, (12.002) benalaxyl-M (kiralaxyl), (12.003) metalaxyl, (12.004) metalaxyl-M (mefenoxam).

- 13) Inhibitors of the signal transduction, for example (13.001) fludioxonil, (13.002) iprodione, (13.003) procymidone, (13.004) proquinazid, (13.005) quinoxyfen, (13.006) vinclozolin.
- 14) Compounds capable to act as an uncoupler, for example (14.001) fluazinam, (14.002) meptyldinocap.

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15) Further fungicides selected from the group consisting of (15.001) abscisic acid, (15.002) benthiazole, (15.003) bethoxazin, (15.004) capsimycin, (15.005) carvone, (15.006) chinomethionat, (15.007) cufraneb, (15.008) cyflufenamid, (15.009) cymoxanil, (15.010) cyprosulfamide, (15.011) flutianil, (15.012) fosetylaluminium, (15.013) fosetyl-calcium, (15.014) fosetyl-sodium, (15.015) methyl isothiocyanate, (15.016) metrafenone, (15.017) mildiomycin, (15.018) natamycin, (15.019) nickel dimethyldithiocarbamate, (15.020) nitrothal-isopropyl, (15.021) oxamocarb, (15.022) oxathiapiprolin, (15.023) oxyfenthiin, (15.024) pentachlorophenol and salts, (15.025) phosphorous acid and its salts, (15.026) propamocarbfosetylate, (15.027) pyriofenone (chlazafenone), (15.028) tebufloquin, (15.029) tecloftalam, (15.030) tolnifanide, (15.031) 1-(4-{4-[(5R)-5-(2,6-difluorophenyl)-4,5-dihydro-1,2-oxazol-3-yl]-1,3-thiazol-2yl}piperidin-1-yl)-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone, (15.032) 1-(4-{4-[(5S)-5-(2,6-difluorophenyl)-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, (15.033) 2-(6-benzylpyridin-2-yl)quinazoline, (15.034) dipymetitrone, (15.035)2-[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-(prop-2-yn-1yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone, (15.036) 2-[3,5bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-chloro-6-(prop-2-yn-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yllethanone, (15.037)2-[3,5-bis(difluoromethyl)-1Hpyrazol-1-yl]-1-[4-(4-{5-[2-fluoro-6-(prop-2-yn-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3thiazol-2-yl)piperidin-1-yl]ethanone, (15.038) 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2yl]quinazoline, (15.039) 2-{(5R)-3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4yl)-1,3-thiazol-4-yl]-4,5-dihydro-1,2-oxazol-5-yl}-3-chlorophenyl methanesulfonate, (15.040) 2-{(5S)-3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-4,5-dihydro-1,2-oxazol-5-yl}-3-chlorophenyl methanesulfonate, (15.041) ipflufenoquin, (15.042) 2-{2-fluoro-6-[(8fluoro-2-methylquinolin-3-yl)oxy|phenyl|propan-2-ol, (15.043) fluoxapiprolin, (15.044) 2-{3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-4,5-dihydro-1,2oxazol-5-yl}phenyl methanesulfonate, (15.045) 2-phenylphenol and salts, (15.046) 3-(4,4,5-trifluoro-3,3dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline, (15.047)quinofumelin, (15.048)4-amino-5fluoropyrimidin-2-ol (tautomeric form: 4-amino-5-fluoropyrimidin-2(1H)-one), (15.049) 4-oxo-4-[(2phenylethyl)amino]butanoic acid, (15.050) 5-amino-1,3,4-thiadiazole-2-thiol, (15.051) 5-chloro-N'phenyl-N'-(prop-2-yn-1-yl)thiophene-2-sulfonohydrazide, (15.052) 5-fluoro-2-[(4-fluorobenzyl)oxy]pyrimidin-4-amine, (15.053) 5-fluoro-2-[(4-methylbenzyl)oxy]pyrimidin-4-amine, (15.054) 9-fluoro-2,2dimethyl-5-(quinolin-3-yl)-2,3-dihydro-1,4-benzoxazepine, (15.055) but-3-yn-1-yl {6-[({[(Z)-(1-methyl-

1H-tetrazol-5-yl)(phenyl)methylene]amino}oxy)methyl]pyridin-2-yl}carbamate, (15.056) ethyl (2Z)-3-amino-2-cyano-3-phenylacrylate, (15.057) phenazine-1-carboxylic acid, (15.058) propyl 3,4,5-

trihydroxybenzoate, (15.059) quinolin-8-ol, (15.060) quinolin-8-ol sulfate (2:1), (15.061) tert-butyl {6-[({[(1-methyl-1H-tetrazol-5-vl)(phenyl)methylene]amino}oxy)methylpyridin-2-vl}carbamate. (15.062) 5-fluoro-4-imino-3-methyl-1-[(4-methylphenyl)sulfonyl]-3,4-dihydropyrimidin-2(1H)-one, (15.063)aminopyrifen, (15.064) (N'-[2-chloro-4-(2-fluorophenoxy)-5-methylphenyl]-N-ethyl-N-methylimido-5 formamide), (15.065) (N'-(2-chloro-5-methyl-4-phenoxyphenyl)-N-ethyl-N-methylimidoformamide), (15.066) (2-{2-[(7,8-difluoro-2-methylquinolin-3-yl)oxyl-6-fluorophenyl}propan-2-ol), (15.067) (5bromo-1-(5,6-dimethylpyridin-3-yl)-3,3-dimethyl-3,4-dihydroisoquinoline), (15.068) (3-(4,4-difluoro-5,5-dimethyl-4,5-dihydrothieno[2,3-c]pyridin-7-yl)quinoline), (15.069)(1-(4,5-dimethyl-1Hbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline), (15.070) 8-fluoro-3-(5-fluoro-10 3,3-dimethyl-3,4-dihydroisoguinolin-1-yl)guinolone, (15.071) 8-fluoro-3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinolone, (15.072) 3-(4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1yl)-8-fluoroquinoline, (15.073)(N-methyl-N-phenyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3vl]benzamide), (15.074)methyl {4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-vl]phenyl}carbamate, (15.075) (N-{4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}cyclopropanecarboxamide), (15.076) N-methyl-4-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.077)N-[(E)-methoxyimino-15 methyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.078) N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.079)N-[4-[5-(trifluoromethyl)-1,2,4oxadiazol-3-yl]phenyl]cyclopropanecarboxamide, (15.080) flufenoxadiazam (N-(2-fluorophenyl)-4-[5-(15.081)(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide), 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide, (15.082) N-allyl-N-[[4-[5-(trifluoromethyl)-20 1,2,4-oxadiazol-3-yl)phenyl]methyl]acetamide, (15.083) N-[(E)-N-methoxy-C-methyl-carbonimidoyl]-4-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.084)N-I(Z)-N-methoxy-C-methylcarbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.085)N-allyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, (15.086) 4,4-dimethyl-1-[[4-[5-25 (trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrrolidin-2-one, (15.087)N-methyl-4-[5-(15.088)(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide, 5-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrrolidin-2-one, (15.089) N-((2,3-difluoro-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]-3,3,3-trifluoro-propanamide, (15.090)methoxy-1-methyl-3-[[4-[5-(trifluoromethyl]-1,2,4-oxadiazol-3-vl]phenyl]methyl]urea, (15.091) 1,1-30 diethyl-3-[[4-[5-(trifluoromethyl}-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.092)N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, (15.093) N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]cyclopropanecarboxamide, (15.094) 1-methoxy-3methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.095) N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl)cyclopropanecarboxamide, (15.096)N.2-35 dimethoxy-N-[[4-[5-(trifluoromethyl]-1,2,4-oxadiazol-3-vl]phenyl]methyl]propanamide, (15.097) Nethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl)phenyl]methyl]propanamide, (15.098) 1methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.099) 1,3-49-

dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.100)3-ethyl-1methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-vl]phenyl]methyl]urea, (15.101)1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]piperidin-2-one, (15.102) 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isooxazolidin-3-one, (15.103) 5,5-dimethyl-2-[[4-5 [5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, (15.104) 3,3-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]piperidin-2-one, (15.105) 1-[[3-fluoro-4-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]azepan-2-one, (15.106) 4,4-dimethyl-2-[[4-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, (15.107) 5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, (15.108) ethyl 1-{4-[5-10 (trifluoromethyl)-1,2,4-oxadiazol-3-vllbenzyl}-1H-pyrazole-4-carboxylate, (15,109) N,N-dimethyl-1-{4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}-1H-1,2,4-triazol-3-amine, (15.110)N-{2,3difluoro-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}butanamide, (15.111)N-(1methylcyclopropyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide. (15.112)N-(2,4difluorophenyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.113)1-(5,6dimethylpyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, 1-(6-15 (15.114)(difluoromethyl)-5-methyl-pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, (15.115) 1-(5-(fluoromethyl)-6-methyl-pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, (15.116) 1-(6-(difluoromethyl)-5-methoxy-pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, (15.117) 4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl dimethylcarbamate, (15.118) N-{4-[5-(15.119)20 (trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl}propanamide, 3-[2-(1-{[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-1,5-dihydro-2,4benzodioxepin-6-yl methanesulfonate, (15.120) 9-fluoro-3-[2-(1-{[5-methyl-3-(trifluoromethyl)-1Hpyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-1,5-dihydro-2,4-benzodioxepin-6-yl methanesulfonate, (15.121) 3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-25 1,3-thiazol-4-yl]-1,5-dihydro-2,4-benzodioxepin-6-yl methanesulfonate, (15.122)3-[2-(1-{[3,5bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-9-fluoro-1,5-dihydro-2,4benzodioxepin-6-yl methanesulfonate, (15.123)1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4difluoro-3,3-dimethyl-3,4-dihydroisoguinoline, (15.124)8-fluoro-N-(4,4,4-trifluoro-2-methyl-1phenylbutan-2-yl)quinoline-3-carboxamide, (15.125)8-fluoro-N-[(2S)-4,4,4-trifluoro-2-methyl-1-30 phenylbutan-2-yl]quinoline-3-carboxamide, (15.126)N-(2,4-dimethyl-1-phenylpentan-2-yl)-8fluoroquinoline-3-carboxamide, (15.127) N-[(2S)-2,4-dimethyl-1-phenylpentan-2-yl]-8-fluoroquinoline-3-carboxamide, (15.128) picarbutrazox, (15.129) metyltretrapole, (15.130) cyclobutrifluram and (15.131) chloroinconazide.

All named mixing partners of the classes (1) to (15) as described here above can be present in the form of the free compound or, if their functional groups enable this, an agrochemically active salt thereof.

The compound and the composition of the invention may also be combined with one or more biological control agents.

As used herein, the term "biological control" is defined as control of harmful organisms such as a phytopathogenic fungi and/or insects and/or acarids and/or nematodes by the use or employment of a biological control agent.

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As used herein, the term "biological control agent" is defined as an organism other than the harmful organisms and / or proteins or secondary metabolites produced by such an organism for the purpose of biological control. Mutants of the second organism shall be included within the definition of the biological control agent. The term "mutant" refers to a variant of the parental strain as well as methods for obtaining a mutant or variant in which the pesticidal activity is greater than that expressed by the parental strain. The "parent strain" is defined herein as the original strain before mutagenesis. To obtain such mutants the parental strain may be treated with a chemical such as N-methyl-N'-nitro-N-nitrosoguanidine, ethylmethanesulfone, or by irradiation using gamma, x-ray, or UV-irradiation, or by other means well known to those skilled in the art. Known mechanisms of biological control agents comprise enteric bacteria that control root rot by out-competing fungi for space on the surface of the root. Bacterial toxins, such as antibiotics, have been used to control pathogens. The toxin can be isolated and applied directly to the plant or the bacterial species may be administered so it produces the toxin *in situ*.

A "variant" is a strain having all the identifying characteristics of the NRRL or ATCC Accession Numbers as indicated in this text and can be identified as having a genome that hybridizes under conditions of high stringency to the genome of the NRRL or ATCC Accession Numbers.

"Hybridization" refers to a reaction in which one or more polynucleotides react to form a complex that is stabilized via hydrogen bonding between the bases of the nucleotide residues. The hydrogen bonding may occur by Watson-Crick base pairing, Hoogstein binding, or in any other sequence-specific manner. The complex may comprise two strands forming a duplex structure, three or more strands forming a multi-stranded complex, a single self-hybridizing strand, or any combination of these. Hybridization reactions can be performed under conditions of different "stringency". In general, a low stringency hybridization reaction is carried out at about 40 °C in 10 X SSC or a solution of equivalent ionic strength/temperature. A moderate stringency hybridization is typically performed at about 50 °C in 6 X SSC, and a high stringency hybridization reaction is generally performed at about 60 °C in 1 X SSC.

A variant of the indicated NRRL or ATCC Accession Number may also be defined as a strain having a genomic sequence that is greater than 85%, more preferably greater than 90% or more preferably greater than 95% sequence identity to the genome of the indicated NRRL or ATCC Accession Number. A polynucleotide or polynucleotide region (or a polypeptide or polypeptide region) has a certain percentage (for example, 80%, 85%, 90%, or 95%) of "sequence identity" to another sequence means that, when

aligned, that percentage of bases (or amino acids) are the same in comparing the two sequences. This alignment and the percent homology or sequence identity can be determined using software programs known in the art, for example, those described in Current Protocols in Molecular Biology (F. M. Ausubel et al., eds., 1987).

- NRRL is the abbreviation for the Agricultural Research Service Culture Collection, an international depositary authority for the purposes of deposing microorganism strains under the Budapest treaty on the international recognition of the deposit of microorganisms for the purposes of patent procedure, having the address National Center for Agricultural Utilization Research, Agricultural Research service, U.S. Department of Agriculture, 1815 North university Street, Peroira, Illinois 61604 USA.
- ATCC is the abbreviation for the American Type Culture Collection, an international depositary authority for the purposes of deposing microorganism strains under the Budapest treaty on the international recognition of the deposit of microorganisms for the purposes of patent procedure, having the address ATCC Patent Depository, 10801 University Blvd., Manassas, VA 10110 USA.

Examples of biological control agents which may be combined with the compound and the composition of the invention are:

(A) Antibacterial agents selected from the group of:

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(A1) bacteria, such as (A1.1) Bacillus subtilis, in particular strain QST713/AQ713 (available as SERENADE OPTI or SERENADE ASO from Bayer CropScience LP, US, having NRRL Accession No. B21661, U.S. Patent No. 6,060,051); (A1.2) Bacillus sp., in particular strain D747 (available as DOUBLE NICKEL® from Kumiai Chemical Industry Co., Ltd.), having Accession No. FERM BP-8234, U.S. Patent No. 7,094,592; (A1.3) Bacillus pumilus, in particular strain BU F-33, having NRRL Accession No. 50185 (available as part of the CARTISSA® product from BASF, EPA Reg. No. 71840-19); (A1.4) Bacillus subtilis var. amyloliquefaciens strain FZB24 having Accession No. DSM 10271 (available from Novozymes as TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5)); (A1.5) a Paenibacillus sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129, WO 2016/154297; (A1.6) Bacillus subtilis strain BU1814, (available as VELONDIS® PLUS, VELONDIS® FLEX and VELONDIS® EXTRA from BASF SE); (A1.7) Bacillus mojavensis strain R3B (Accession No. NCAIM (P) B001389) (WO 2013/034938) from Certis USA LLC, a subsidiary of Mitsui & Co.; (A1.8) Bacillus subtilis CX-9060 from Certis USA LLC, a subsidiary of Mitsui & Co.; (A1.9) Paenibacillus polymyxa, in particular strain AC-1 (e.g. TOPSEED® from Green Biotech Company Ltd.); (A1.10) Pseudomonas proradix (e.g. PRORADIX® from Sourcon Padena); (A1.11) Pantoea agglomerans, in particular strain E325 (Accession No. NRRL B-21856) (available as BLOOMTIME BIOLOGICALTM FD BIOPESTICIDE from Northwest Agri Products); and

(A2) fungi, such as (A2.1) *Aureobasidium pullulans*, in particular blastospores of strain DSM14940, blastospores of strain DSM 14941 ormixtures of blastospores of strains DSM14940 and DSM14941 (e.g., BOTECTOR® and BLOSSOM PROTECT® from bio-ferm, CH); (A2.2) *Pseudozyma aphidis* (as disclosed in WO2011/151819 by Yissum Research Development Company of the Hebrew University of Jerusalem); (A2.3) *Saccharomyces cerevisiae*, in particular strains CNCM No. I-3936, CNCM No. I-3937, CNCM No. I-3938 or CNCM No. I-3939 (WO 2010/086790) from Lesaffre et Compagnie, FR:

(B) biological fungicides selected from the group of:

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(B1) bacteria, for example (B1.1) Bacillus subtilis, in particular strain QST713/AQ713 (available as SERENADE OPTI or SERENADE ASO from Bayer CropScience LP, US, having NRRL Accession No. B21661 and described in U.S. Patent No. 6,060,051); (B1.2) Bacillus pumilus, in particular strain QST2808 (available as SONATA® from Bayer CropScience LP, US, having Accession No. NRRL B-30087 and described in U.S. Patent No. 6,245,551); (B1.3) Bacillus pumilus, in particular strain GB34 (available as Yield Shield® from Bayer AG, DE); (B1.4) Bacillus pumilus, in particular strain BU F-33, having NRRL Accession No. 50185 (available as part of the CARTISSA product from BASF, EPA Reg. No. 71840-19); (B1.5) Bacillus amyloliquefaciens, in particular strain D747 (available as Double Nickel™ from Kumiai Chemical Industry Co., Ltd., having accession number FERM BP-8234, US Patent No. 7,094,592); (B1.6) Bacillus subtilis Y1336 (available as BIOBAC® WP from Bion-Tech, Taiwan, registered as a biological fungicide in Taiwan under Registration Nos. 4764, 5454, 5096 and 5277); (B1.7) Bacillus subtilis strain MBI 600 (available as SUBTILEX from BASF SE), having Accession Number NRRL B-50595, U.S. Patent No. 5,061,495; (B1.8) Bacillus subtilis strain GB03 (available as Kodiak® from Bayer AG, DE); (B1.9) Bacillus subtilis var. amyloliquefaciens strain FZB24 having Accession No. DSM 10271 (available from Novozymes as TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5)); (B1.10) Bacillus mycoides, isolate J, having Accession No. B-30890 (available as BMJ TGAI® or WG and LifeGardTM from Certis USA LLC, a subsidiary of Mitsui & Co.); (B1.11) Bacillus licheniformis, in particular strain SB3086, having Accession No. ATCC 55406, WO 2003/000051 (available as ECOGUARD® Biofungicide and GREEN RELEAFTM from Novozymes); (B1.12) a Paenibacillus sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129, WO 2016/154297; (B1.13) Bacillus subtilis strain BU1814, (available as VELONDIS® PLUS, VELONDIS® FLEX and VELONDIS® EXTRA from BASF SE); (B1.14) Bacillus subtilis CX-9060 from Certis USA LLC, a subsidiary of Mitsui & Co.; (B1.15) Bacillus amyloliquefaciens strain F727 (also known as strain MBI110) (NRRL Accession No. B-50768; WO 2014/028521) (STARGUS® from Marrone Bio Innovations); (B1.16) Bacillus amyloliquefaciens strain FZB42, Accession No. DSM 23117 (available as RHIZOVITAL® from ABiTEP, DE); (B1.17) Bacillus licheniformis FMCH001 and Bacillus subtilis FMCH002 (QUARTZO® (WG) and PRESENCE® (WP) from FMC Corporation); (B1.18) Bacillus mojavensis strain R3B (Accession No. NCAIM (P) B001389) (WO 2013/034938) from Certis USA LLC,

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a subsidiary of Mitsui & Co.; (B1.19) Paenibacillus polymyxa ssp. plantarum (WO 2016/020371) from BASF SE; (B1.20) Paenibacillus epiphyticus (WO 2016/020371) from BASF SE; (B.1.21) Pseudomonas chlororaphis strain AFS009, having Accession No. NRRL B-50897, WO 2017/019448 (e.g., HOWLER™ and ZIO[®] from AgBiome Innovations, US); (B1.22) Pseudomonas chlororaphis, in particular strain MA342 (e.g. CEDOMON®, CERALL®, and CEDRESS® by Bioagri and Koppert); (B1.23) Streptomyces lydicus strain WYEC108 (also known as Streptomyces lydicus strain WYCD108US) (ACTINO-IRON® and ACTINOVATE® from Novozymes); (B1.24) Agrobacterium radiobacter strain K84 (e.g. GALLTROL-A® from AgBioChem, CA); (B1.25) Agrobacterium radiobacter strain K1026 (e.g. NOGALLTM from BASF SE); (B1.26) *Bacillus subtilis* KTSB strain (FOLIACTIVE® from Donaghys); (B1.27) Bacillus subtilis IAB/BS03 (AVIVTM from STK Bio-Ag Technologies); (B1.28) Bacillus subtilis strain Y1336 (available as BIOBAC® WP from Bion-Tech, Taiwan, registered as a biological fungicide in Taiwan under Registration Nos. 4764, 5454, 5096 and 5277); (B1.29) Bacillus amyloliquefaciens isolate B246 (e.g. AVOGREENTM from University of Pretoria); (B1.30) Bacillus methylotrophicus strain BAC-9912 (from Chinese Academy of Sciences' Institute of Applied Ecology); (B1.31) Pseudomonas proradix (e.g. PRORADIX® from Sourcon Padena); (B1.32) Streptomyces griseoviridis strain K61 (also known as Streptomyces galbus strain K61) (Accession No. DSM 7206) (MYCOSTOP® from Verdera; PREFENCE® from BioWorks; cf. Crop Protection 2006, 25, 468-475); (B1.33) Pseudomonas fluorescens strain A506 (e.g. BLIGHTBAN® A506 by NuFarm); and

(B2) fungi, for example: (B2.1) Coniothyrium minitans, in particular strain CON/M/91-8 (Accession No. DSM-9660; e.g. Contans ® from Bayer CropScience Biologics GmbH); (B2.2) Metschnikowia fructicola, in particular strain NRRL Y-30752; (B2.3) Microsphaeropsis ochracea; (B2.5) Trichoderma atroviride, in particular strain SC1 (having Accession No. CBS 122089, WO 2009/116106 and U.S. Patent No. 8,431,120 (from Bi-PA)), strain 77B (T77 from Andermatt Biocontrol) or strain LU132 (e.g. Sentinel from Agrimm Technologies Limited); (B2.6) Trichoderma harzianum strain T-22 (e.g. Trianum-P from Andermatt Biocontrol or Koppert) or strain Cepa Simb-T5 (from Simbiose Agro); (B2.14) Gliocladium roseum (also known as Clonostachys rosea f. rosea), in particular strain 321U from Adjuvants Plus, strain ACM941 as disclosed in Xue (Efficacy of Clonostachys rosea strain ACM941 and fungicide seed treatments for controlling the root tot complex of field pea, Can Jour Plant Sci 83(3): 519-524), or strain IK726 (Jensen DF, et al. Development of a biocontrol agent for plant disease control with special emphasis on the near commercial fungal antagonist Clonostachys rosea strain 'IK726'; Australas Plant Pathol. 2007;36:95–101); (B2.35) Talaromyces flavus, strain V117b; (B2.36) Trichoderma viride, in particular strain B35 (Pietr et al., 1993, Zesz. Nauk. A R w Szczecinie 161: 125-137); (B2.37) Trichoderma asperellum, in particular strain SKT-1, having Accession No. FERM P-16510 (e.g. ECO-HOPE® from Kumiai Chemical Industry), strain T34 (e.g. T34 Biocontrol by Biocontrol Technologies S.L., ES) or strain ICC 012 from Isagro; (B2.38) Trichoderma atroviride, strain CNCM I-1237 (e.g. Esquive® WP from Agrauxine, FR); (B2.39) Trichoderma atroviride, strain no. V08/002387; (B2.40) Trichoderma atroviride, strain NMI no. V08/002388; (B2.41) Trichoderma atroviride, strain NMI no. V08/002389;

(B2.42) Trichoderma atroviride, strain NMI no. V08/002390; (B2.43) Trichoderma atroviride, strain LC52 (e.g. Tenet by Agrimm Technologies Limited); (B2.44) Trichoderma atroviride, strain ATCC 20476 (IMI 206040); (B2.45) Trichoderma atroviride, strain T11 (IMI352941/ CECT20498); (B2.46) Trichoderma harmatum; (B2.47) Trichoderma harzianum; (B2.48) Trichoderma harzianum rifai T39 (e.g. Trichodex® from Makhteshim, US); (B2.49) Trichoderma asperellum, in particular, strain kd (e.g. T-Gro 5 from Andermatt Biocontrol); (B2.50) Trichoderma harzianum, strain ITEM 908 (e.g. Trianum-P from Koppert); (B2.51) Trichoderma harzianum, strain TH35 (e.g. Root-Pro by Mycontrol); (B2.52) Trichoderma virens (also known as Gliocladium virens), in particular strain GL-21 (e.g. SoilGard by Certis, US); (B2.53) Trichoderma viride, strain TV1(e.g. Trianum-P by Koppert); (B2.54) Ampelomyces quisqualis, in particular strain AO 10 (e.g. AO 10® by IntrachemBio Italia); (B2.56) Aureobasidium 10 pullulans, in particular blastospores of strain DSM14940; (B2.57) Aureobasidium pullulans, in particular blastospores of strain DSM 14941; (B2.58) Aureobasidium pullulans, in particular mixtures of blastospores of strains DSM14940 and DSM 14941 (e.g. Botector® by bio-ferm, CH); (B2.64) Cladosporium cladosporioides, strain H39, having Accession No. CBS122244, US 2010/0291039 (by Stichting Dienst Landbouwkundig Onderzoek); (B2.69) Gliocladium catenulatum (Synonym: 15 Clonostachys rosea f. catenulate) strain J1446 (e.g. Prestop ® by Lallemand); (B2.70) Lecanicillium lecanii (formerly known as Verticillium lecanii) conidia of strain KV01 (e.g. Vertalec® by Koppert/Arysta); (B2.71) Penicillium vermiculatum; (B2.72) Pichia anomala, strain WRL-076 (NRRL Y-30842), U.S. Patent No. 7,579,183; (B2.75) Trichoderma atroviride, strain SKT-1 (FERM P-16510), 20 JP Patent Publication (Kokai) 11-253151 A; (B2.76) Trichoderma atroviride, strain SKT-2 (FERM P-16511), JP Patent Publication (Kokai) 11-253151 A; (B2.77) Trichoderma atroviride, strain SKT-3 (FERM P-17021), JP Patent Publication (Kokai) 11-253151 A; (B2.78) Trichoderma gamsii (formerly T. viride), strain ICC080 (IMI CC 392151 CABI, e.g. BioDerma by AGROBIOSOL DE MEXICO, S.A. DE C.V.); (B2.79) Trichoderma harzianum, strain DB 103 (available as T-GRO® 7456 by Dagutat Biolab); (B2.80) Trichoderma polysporum, strain IMI 206039 (e.g. Binab TF WP by BINAB Bio-Innovation AB, 25 Sweden); (B2.81) Trichoderma stromaticum, having Accession No. Ts3550 (e.g. Tricovab by CEPLAC, Brazil); (B2.83) Ulocladium oudemansii strain U3, having Accession No. NM 99/06216 (e.g., BOTRY-ZEN® by Botry-Zen Ltd, New Zealand and BOTRYSTOP® from BioWorks, Inc.); (B2.84) Verticillium albo-atrum (formerly V. dahliae), strain WCS850 having Accession No. WCS850, deposited at the 30 Central Bureau for Fungi Cultures (e.g., DUTCH TRIG® by Tree Care Innovations); (B2.86) Verticillium chlamydosporium; (B2.87) mixtures of Trichoderma asperellum strain ICC 012 (also known as Trichoderma harzianum ICC012), having Accession No. CABI CC IMI 392716 and Trichoderma gamsii (formerly *T. viride*) strain ICC 080, having Accession No. IMI 392151 (e.g., BIO-TAMTM from Isagro USA, Inc. and BIODERMA® by Agrobiosol de Mexico, S.A. de C.V.); (B2.88) Trichoderma asperelloides JM41R (Accession No. NRRL B-50759) (TRICHO PLUS® from BASF SE): (B2.89) 35 Aspergillus flavus strain NRRL 21882 (products known as AFLA-GUARD® from Syngenta/ChemChina); (B2.90) Chaetomium cupreum (Accession No. CABI 353812) (e.g. BIOKUPRUMTM by AgriLife);

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(B2.91) Saccharomyces cerevisiae, in particular strain LASO2 (from Agro-Levures et Dérivés), strain LAS117 cell walls (CEREVISANE® from Lesaffre; ROMEO® from BASF SE), strains CNCM No. I-3936, CNCM No. I-3937, CNCM No. I-3938, CNCM No. I-3939 (WO 2010/086790) from Lesaffre et Compagnie, FR; (B2.92) Trichoderma virens strain G-41, formerly known as Gliocladium virens (Accession No. ATCC 20906) (e.g., ROOTSHIELD® PLUS WP and TURFSHIELD® PLUS WP from BioWorks, US); (B2.93) Trichoderma hamatum, having Accession No. ATCC 28012; (B2.94) Ampelomyces quisqualis strain AQ10, having Accession No. CNCM I-807 (e.g., AQ 10[®] by IntrachemBio Italia); (B2.95) *Phlebiopsis gigantea* strain VRA 1992 (ROTSTOP® C from Danstar Ferment); (B2.96) Penicillium steckii (DSM 27859; WO 2015/067800) from BASF SE; (B2.97) Chaetomium globosum (available as RIVADIOM® by Rivale); (B2.98) Cryptococcus flavescens, strain 3C (NRRL Y-50378); (B2.99) Dactylaria candida; (B2.100) Dilophosphora alopecuri (available as TWIST FUNGUS®); (B2.101) Fusarium oxysporum, strain Fo47 (available as FUSACLEAN® by Natural Plant Protection); (B2.102) Pseudozyma flocculosa, strain PF-A22 UL (available as SPORODEX® L by Plant Products Co., CA); (B2.103) Trichoderma gamsii (formerly T. viride), strain ICC 080 (IMI CC 392151 CABI) (available as BIODERMA® by AGROBIOSOL DE MEXICO, S.A. DE C.V.); (B2.104) Trichoderma fertile (e.g. product TrichoPlus from BASF); (B2.105) Muscodor roseus, in particular strain A3-5 (Accession No. NRRL 30548); (B2.106) Simplicillium lanosoniveum;

biological control agents having an effect for improving plant growth and/or plant health which may be combined in the compound combinations according to the invention including

20 (C1) bacteria selected from the group consisting of *Bacillus pumilus*, in particular strain QST2808 (having Accession No. NRRL No. B-30087); Bacillus subtilis, in particular strain QST713/AQ713 (having NRRL Accession No. B-21661and described in U.S. Patent No. 6,060,051; available as SERENADE® OPTI or SERENADE® ASO from Bayer CropScience LP, US); Bacillus subtilis, in particular strain AQ30002 (having Accession Nos. NRRL B-50421 and described in U.S. Patent Application No. 13/330,576); Bacillus subtilis, in particular strain AQ30004 (and NRRL B-50455 and described in U.S. Patent 25 Application No. 13/330,576); Sinorhizobium meliloti strain NRG-185-1 (NITRAGIN® GOLD from Bayer CropScience); Bacillus subtilis strain BU1814, (available as TEQUALIS® from BASF SE); Bacillus subtilis rm303 (RHIZOMAX® from Biofilm Crop Protection); Bacillus amyloliquefaciens pm414 (LOLI-PEPTA® from Biofilm Crop Protection); Bacillus mycoides BT155 (NRRL No. B-50921), Bacillus mycoides EE118 (NRRL No. B-50918), Bacillus mycoides EE141 (NRRL No. B-50916), Bacillus 30 mycoides BT46-3 (NRRL No. B-50922), Bacillus cereus family member EE128 (NRRL No. B-50917), Bacillus thuringiensis BT013A (NRRL No. B-50924) also known as Bacillus thuringiensis 4Q7, Bacillus cereus family member EE349 (NRRL No. B-50928), Bacillus amyloliquefaciens SB3281 (ATCC # PTA-7542; WO 2017/205258), Bacillus amyloliquefaciens TJ1000 (available as QUIKROOTS® from Novozymes); Bacillus firmus, in particular strain CNMC I-1582 (e.g. VOTIVO® from BASF SE); Bacillus 35 pumilus, in particular strain GB34 (e.g. YIELD SHIELD® from Bayer Crop Science, DE); Bacillus

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amyloliquefaciens, in particular strain IN937a; Bacillus amyloliquefaciens, in particular strain FZB42 (e.g. RHIZOVITAL® from ABiTEP, DE); Bacillus amyloliquefaciens BS27 (Accession No. NRRL B-5015); a mixture of Bacillus licheniformis FMCH001 and Bacillus subtilis FMCH002 (available as QUARTZO® (WG), PRESENCE® (WP) from FMC Corporation); Bacillus cereus, in particular strain BP01 (ATCC 55675; e.g. MEPICHLOR® from Arysta Lifescience, US); Bacillus subtilis, in particular strain MBI 600 (e.g. SUBTILEX® from BASF SE); Bradyrhizobium japonicum (e.g. OPTIMIZE® from Novozymes); Mesorhizobium cicer (e.g., NODULATOR from BASF SE); Rhizobium leguminosarium biovar viciae (e.g., NODULATOR from BASF SE); Delftia acidovorans, in particular strain RAY209 (e.g. BIOBOOST® from Brett Young Seeds); Lactobacillus sp. (e.g. LACTOPLANT® from LactoPAFI); Paenibacillus polymyxa, in particular strain AC-1 (e.g. TOPSEED® from Green Biotech Company Ltd.); Pseudomonas proradix (e.g. PRORADIX® from Sourcon Padena); Azospirillum brasilense (e.g., VIGOR® from KALO, Inc.); Azospirillum lipoferum (e.g., VERTEX-IFTM from TerraMax, Inc.); a mixture of Azotobacter vinelandii and Clostridium pasteurianum (available as INVIGORATE® from Agrinos); Pseudomonas aeruginosa, in particular strain PN1; Rhizobium leguminosarum, in particular bv. viceae strain Z25 (Accession No. CECT 4585); Azorhizobium caulinodans, in particular strain ZB-SK-5; Azotobacter chroococcum, in particular strain H23; Azotobacter vinelandii, in particular strain ATCC 12837; Bacillus siamensis, in particular strain KCTC 13613T; Bacillus tequilensis, in particular strain NII-0943; Serratia marcescens, in particular strain SRM (Accession No. MTCC 8708); Thiobacillus sp. (e.g. CROPAID® from Cropaid Ltd UK); and

(C2) fungi selected from the group consisting of Purpureocillium lilacinum (previously known as 20 Paecilomyces lilacinus) strain 251 (AGAL 89/030550; e.g. BioAct from Bayer CropScience Biologics GmbH)Penicillium bilaii, strain ATCC 22348 (e.g. JumpStart® from Acceleron BioAg), Talaromyces flavus, strain V117b; Trichoderma atroviride strain CNCM I-1237 (e.g. Esquive® WP from Agrauxine, FR), Trichoderma viride, e.g. strain B35 (Pietr et al., 1993, Zesz. Nauk. A R w Szczecinie 161: 125-137); 25 Trichoderma atroviride strain LC52 (also known as Trichoderma atroviride strain LU132; e.g. Sentinel from Agrimm Technologies Limited); Trichoderma atroviride strain SC1 described in International Application No. PCT/IT2008/000196); Trichoderma asperellum strain kd (e.g. T-Gro from Andermatt Biocontrol); Trichoderma asperellum strain Eco-T (Plant Health Products, ZA); Trichoderma harzianum strain T-22 (e.g. Trianum-P from Andermatt Biocontrol or Koppert); Myrothecium verrucaria strain AARC-0255 (e.g. DiTeraTM from Valent Biosciences); *Penicillium bilaii* strain ATCC ATCC20851; 30 Pythium oligandrum strain M1 (ATCC 38472; e.g. Polyversum from Bioprepraty, CZ); Trichoderma virens strain GL-21 (e.g. SoilGard® from Certis, USA); Verticillium albo-atrum (formerly V. dahliae) strain WCS850 (CBS 276.92; e.g. Dutch Trig from Tree Care Innovations); Trichoderma atroviride, in particular strain no. V08/002387, strain no. NMI No. V08/002388, strain no. NMI No. V08/002389, strain no. NMI No. V08/002390; Trichoderma harzianum strain ITEM 908; Trichoderma harzianum, strain 35 TSTh20; Trichoderma harzianum strain 1295-22; Pythium oligandrum strain DV74; Rhizopogon *amylopogon* (e.g. comprised in Myco-Sol from Helena Chemical Company); *Rhizopogon fulvigleba* (e.g. comprised in Myco-Sol from Helena Chemical Company); and *Trichoderma virens* strain GI-3;

insecticidally active biological control agents selected from

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(D1) bacteria selected from the group consisting of *Bacillus thuringiensis subsp. aizawai*, in particular strain ABTS-1857 (SD-1372; e.g. XENTARI® from Valent BioSciences); Bacillus mycoides, isolate J. (e.g. BmJ from Certis USA LLC, a subsidiary of Mitsui & Co.); Bacillus sphaericus, in particular Serotype H5a5b strain 2362 (strain ABTS-1743) (e.g. VECTOLEX® from Valent BioSciences, US); Bacillus thuringiensis subsp. kurstaki strain BMP 123 from Becker Microbial Products, IL; Bacillus thuringiensis subsp. aizawai, in particular serotype H-7 (e.g. FLORBAC® WG from Valent BioSciences, US); Bacillus thuringiensis subsp. kurstaki strain HD-1 (e.g. DIPEL® ES from Valent BioSciences, US); Bacillus thuringiensis subsp. kurstaki strain BMP 123 by Becker Microbial Products, IL; Bacillus thuringiensis israelensis strain BMP 144 (e.g. AQUABAC® by Becker Microbial Products IL); Burkholderia spp., in particular Burkholderia rinojensis strain A396 (also known as Burkholderia rinojensis strain MBI 305) (Accession No. NRRL B-50319; WO 2011/106491 and WO 2013/032693; e.g. MBI-206 TGAI and ZELTO® from Marrone Bio Innovations); Chromobacterium subtsugae, in particular strain PRAA4-1T (MBI-203; e.g. GRANDEVO® from Marrone Bio Innovations); Paenibacillus popilliae (formerly Bacillus popilliae; e.g. MILKY SPORE POWDERTM and MILKY SPORE GRANULARTM from St. Gabriel Laboratories); Bacillus thuringiensis subsp. israelensis (serotype H-14) strain AM65-52 (Accession No. ATCC 1276) (e.g. VECTOBAC® by Valent BioSciences, US); Bacillus thuringiensis var. kurstaki strain EVB-113-19 (e.g., BIOPROTEC® from AEF Global); Bacillus thuringiensis subsp. tenebrionis strain NB 176 (SD-5428; e.g. NOVODOR® FC from BioFa DE); Bacillus thuringiensis var. japonensis strain Buibui; Bacillus thuringiensis subsp. kurstaki strain ABTS 351; Bacillus thuringiensis subsp. kurstaki strain PB 54; Bacillus thuringiensis subsp. kurstaki strain SA 11; Bacillus thuringiensis subsp. kurstaki strain SA 12; Bacillus thuringiensis subsp. kurstaki strain EG 2348; Bacillus thuringiensis var. Colmeri (e.g. TIANBAOBTC by Changzhou Jianghai Chemical Factory); Bacillus thuringiensis subsp. aizawai strain GC-91; Serratia entomophila (e.g. INVADE® by Wrightson Seeds); Serratia marcescens, in particular strain SRM (Accession No. MTCC 8708); and Wolbachia pipientis ZAP strain (e.g., ZAP MALES® from MosquitoMate); and

(D2) fungi selected from the group consisting of *Isaria fumosorosea* (previously known as *Paecilomyces fumosoroseus*) strain apopka 97; *Beauveria bassiana* strain ATCC 74040 (e.g. NATURALIS® from Intrachem Bio Italia); *Beauveria bassiana* strain GHA (Accession No. ATCC74250; e.g. BOTANIGUARD® ES and MYCONTROL-O® from Laverlam International Corporation); *Zoophtora radicans*; *Metarhizium robertsii* 15013-1 (deposited under NRRL accession number 67073), *Metarhizium robertsii* 23013-3 (deposited under NRRL accession number 67075), and *Metarhizium anisopliae* 3213-1 (deposited under NRRL accession number 67074) (WO 2017/066094; Pioneer Hi-Bred International);

Beauveria bassiana strain ATP02 (Accession No. DSM 24665). Among these, *Isaria fumosorosea* (previously known as *Paecilomyces fumosoroseus*) strain apopka 97 is particularly preferred;

(E) viruses selected from the group consisting of *Adoxophyes orana* (summer fruit tortrix) granulosis virus (GV), *Cydia pomonella* (codling moth) granulosis virus (GV), *Helicoverpa armigera* (cotton bollworm) nuclear polyhedrosis virus (NPV), *Spodoptera exigua* (beet armyworm) mNPV, *Spodoptera frugiperda* (fall armyworm) mNPV, and *Spodoptera littoralis* (African cotton leafworm) NPV;

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- (F) bacteria and fungi which can be added as 'inoculant' to plants or plant parts or plant organs and which, by virtue of their particular properties, promote plant growth and plant health. Examples are: Agrobacterium spp., Azorhizobium caulinodans, Azospirillum spp., Azotobacter spp., Bradyrhizobium spp., Burkholderia spp., in particular Burkholderia cepacia (formerly known as Pseudomonas cepacia), Gigaspora spp., or Gigaspora monosporum, Glomus spp., Laccaria spp., Lactobacillus buchneri, Paraglomus spp., Pisolithus tinctorus, Pseudomonas spp., Rhizobium spp., in particular Rhizobium trifolii, Rhizopogon spp., Scleroderma spp., Suillus spp., and Streptomyces spp.; and
- (G) plant extracts and products formed by microorganisms including proteins and secondary metabolites which can be used as biological control agents, such as *Allium sativum*, *Artemisia absinthium*, azadirachtin, Biokeeper WP, *Cassia nigricans*, *Celastrus angulatus*, *Chenopodium anthelminticum*, chitin, Armour-Zen, *Dryopteris filix-mas*, *Equisetum arvense*, Fortune Aza, Fungastop, Heads Up (*Chenopodium quinoa* saponin extract), *Pyrethrum/Pyrethrins*, *Quassia amara*, *Quercus*, *Quillaja*, Regalia, "Requiem ™ Insecticide", rotenone, *ryania*/ryanodine, *Symphytum officinale*, *Tanacetum vulgare*, thymol, Triact 70, TriCon, *Tropaeulum majus*, *Urtica dioica*, Veratrin, *Viscum album*, *Brassicaceae* extract, in particular oilseed rape powder or mustard powder, as well as bioinsecticidal / acaricidal active substances obtained from olive oil, in particular unsaturated fatty/carboxylic acids having carbon chain lengths C₁₆-C₂₀ as active ingredients, such as, for example, contained in the product with the trade name FLiPPER®.
- The compound and the composition of the invention may be combined with one or more active ingredients selected from insecticides, acaricides and nematicides.
 - "Insecticides" as well as the term "insecticidal" refers to the ability of a substance to increase mortality or inhibit growth rate of insects. As used herein, the term "insects" comprises all organisms in the class "Insecta".
- "Nematicide" and "nematicidal" refers to the ability of a substance to increase mortality or inhibit the growth rate of nematodes. In general, the term "nematode" comprises eggs, larvae, juvenile and mature forms of said organism.

"Acaricide" and "acaricidal" refers to the ability of a substance to increase mortality or inhibit growth rate of ectoparasites belonging to the class Arachnida, sub-class Acari.

Examples of insecticides, acaricides and nematicides, respectively, which could be mixed with the compound and the composition of the invention are:

- (1) Acetylcholinesterase (AChE) inhibitors, such as, for example, carbamates, for example alanycarb, 5 aldicarb, bendiocarb, benfuracarb, butocarboxim, butoxycarboxim, carbaryl, carbofuran, carbosulfan, ethiofencarb, fenobucarb, formetanate, furathiocarb, isoprocarb, methiocarb, methodyl, metolcarb, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, triazamate, trimethacarb, XMC and xylylcarb; or organophosphates, for example acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, cadusafos, 10 chlorethoxyfos, chlorfenvinphos, chlormephos, chlorpyrifos-methyl, coumaphos, cyanophos, demeton-Smethyl, diazinon, dichlorvos/DDVP, dicrotophos, dimethoate, dimethylvinphos, disulfoton, EPN, ethion, ethoprophos, famphur, fenamiphos, fenitrothion, fosthiazate, heptenophos, imicyafos, isofenphos, isopropyl O-(methoxyaminothiophosphoryl) salicylate, isoxathion, malathion, mecarbam, methamidophos, methidathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, 15 parathion-methyl, phenthoate, phorate, phosalone, phosmet, phosphamidon, phoxim, pirimiphos-methyl, profenofos, propetamphos, prothiofos, pyriaclofos, pyridaphenthion, quinalphos, sulfotep, tebupirimfos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, triclorfon and vamidothion.
 - (2) GABA-gated chloride channel blockers, such as, for example, cyclodiene-organochlorines, for example chlordane and endosulfan or phenylpyrazoles (fiproles), for example ethiprole and fipronil.
- (3) Sodium channel modulators, such as, for example, pyrethroids, e.g. acrinathrin, allethrin, d-cis-trans 20 allethrin, d-trans allethrin, bioallethrin, bioallethrin, bioallethrin s-cyclopentenyl isomer, bioresmethrin, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, gamma-cyhalothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, theta-cypermethrin, zeta-cypermethrin, [(1R)-trans-isomer], deltamethrin, empenthrin [(EZ)-(1R)-isomer], esfenvalerate, cyphenothrin etofenprox, fenpropathrin, fenvalerate, flucythrinate, flumethrin, tau-fluvalinate, halfenprox, imiprothrin, 25 kadethrin, momfluorothrin, permethrin, phenothrin [(1R)-trans-isomer], prallethrin, pyrethrins (pyrethrum), resmethrin, silafluofen, tefluthrin, tetramethrin, tetramethrin [(1R)- isomer)], tralomethrin and transfluthrin or DDT or methoxychlor.
 - (4) Nicotinic acetylcholine receptor (nAChR) competitive modulators, such as, for example, neonicotinoids, e.g. acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, thiacloprid and thiamethoxam or nicotine or sulfoxaflor or flupyradifurone.

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(5) Nicotinic acetylcholine receptor (nAChR) allosteric modulators, such as, for example, spinosyns, e.g. spinetoram and spinosad.

- (6) Glutamate-gated chloride channel (GluCl) allosteric modulators, such as, for example, avermectins/milbemycins, for example abamectin, emamectin benzoate, lepimectin and milbemectin.
- (7) Juvenile hormone mimics, such as, for example, juvenile hormone analogues, e.g. hydroprene, kinoprene and methoprene or fenoxycarb or pyriproxyfen.
- 5 (8) Miscellaneous non-specific (multi-site) inhibitors, such as, for example, alkyl halides, e.g. methyl bromide and other alkyl halides; or chloropicrine or sulphuryl fluoride or borax or tartar emetic or methyl isocyanate generators, e.g. diazomet and metam.
 - (9) Modulators of Chordotonal Organs, such as, for example pymetrozine or flonicamid.
 - (10) Mite growth inhibitors, such as, for example clofentezine, hexythiazox and diflovidazin or etoxazole.
- (11) Microbial disruptors of the insect gut membrane, such as, for example *Bacillus thuringiensis* subspecies *israelensis*, *Bacillus sphaericus*, *Bacillus thuringiensis* subspecies *aizawai*, *Bacillus thuringiensis* subspecies *kurstaki*, *Bacillus thuringiensis* subspecies *tenebrionis*, and *B.t.* plant proteins: Cry1Ab, Cry1Ac, Cry1Fa, Cry1A.105, Cry2Ab, Vip3A, mCry3A, Cry3Ab, Cry3Bb, Cry34Ab1/35Ab1.
- (12) Inhibitors of mitochondrial ATP synthase, such as, ATP disruptors such as, for example,
 diafenthiuron or organotin compounds, for example azocyclotin, cyhexatin and fenbutatin oxide or propargite or tetradifon.
 - (13) Uncouplers of oxidative phosphorylation via disruption of the proton gradient, such as, for example, chlorfenapyr, DNOC and sulfluramid.
- (14) Nicotinic acetylcholine receptor channel blockers, such as, for example, bensultap, cartap hydrochloride, thiocylam, and thiosultap-sodium.
 - (15) Inhibitors of chitin biosynthesis, type 0, such as, for example, bistrifluron, chlorfluazuron, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, teflubenzuron and triflumuron.
 - (16) Inhibitors of chitin biosynthesis, type 1, for example buprofezin.
- 25 (17) Moulting disruptor (in particular for Diptera, i.e. dipterans), such as, for example, cyromazine.
 - (18) Ecdysone receptor agonists, such as, for example, chromafenozide, halofenozide, methoxyfenozide and tebufenozide.
 - (19) Octopamine receptor agonists, such as, for example, amitraz.

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- (20) Mitochondrial complex III electron transport inhibitors, such as, for example, hydramethylnone or acequinocyl or fluacrypyrim.
- (21) Mitochondrial complex I electron transport inhibitors, such as, for example from the group of the METI acaricides, e.g. fenazaquin, fenpyroximate, pyrimidifen, pyridaben, tebufenpyrad and tolfenpyrad or rotenone (Derris).
- (22) Voltage-dependent sodium channel blockers, such as, for example indoxacarb or metaflumizone.

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- (23) Inhibitors of acetyl CoA carboxylase, such as, for example, tetronic and tetramic acid derivatives, e.g. spirodiclofen, spiromesifen and spirotetramat.
- (24) Mitochondrial complex IV electron transport inhibitors, such as, for example, phosphines, e.g. aluminium phosphide, calcium phosphide, phosphine and zinc phosphide or cyanides, e.g. calcium cyanide, potassium cyanide and sodium cyanide.
 - (25) Mitochondrial complex II electron transport inhibitors, such as, for example, *beta*-ketonitrile derivatives, e.g. cyenopyrafen and cyflumetofen and carboxanilides, such as, for example, pyflubumide.
- (28) Ryanodine receptor modulators, such as, for example, diamides, e.g. chlorantraniliprole, cyantraniliprole and flubendiamide,

further active compounds such as, for example, Afidopyropen, Afoxolaner, Azadirachtin, Benclothiaz, Benzoximate, Bifenazate, Broflanilide, Bromopropylate, Chinomethionat, Chloroprallethrin, Cryolite, Cyclaniliprole, Cycloxaprid, Cyhalodiamide, Dicloromezotiaz, Dicofol, epsilon-Metofluthrin, epsilon-Momfluthrin, Flometoquin, Fluazaindolizine, Fluensulfone, Flufenerim, Flufenoxystrobin, Flufiprole, Fluhexafon, Fluopyram, Fluralaner, Fluxametamide, Fufenozide, Guadipyr, Heptafluthrin, Imidaclothiz, Iprodione, kappa-Bifenthrin, kappa-Tefluthrin, Lotilaner, Meperfluthrin, Paichongding, Pyridalyl, Pyrifluquinazon, Pyriminostrobin, Spirobudiclofen, Tetramethylfluthrin, Tetraniliprole, Tetrachlorantraniliprole, Tigolaner, Tioxazafen, Thiofluoximate, Triflumezopyrim and iodomethane; furthermore preparations based on Bacillus firmus (I-1582, BioNeem, Votivo), and also the following 1-{2-fluoro-4-methyl-5-[(2,2,2-trifluoroethyl)sulphinyl]phenyl}-3-(trifluoromethyl)-1Hcompounds: 1,2,4-triazole-5-amine (known from WO2006/043635) (CAS 885026-50-6), {1'-[(2E)-3-(4chlorophenyl)prop-2-en-1-yl]-5-fluorospiro[indol-3,4'-piperidin]-1(2H)-yl}(2-chloropyridin-4yl)methanone (known from WO2003/106457) (CAS 637360-23-7), 2-chloro-N-[2-{1-[(2E)-3-(4chlorophenyl)prop-2-en-1-yl]piperidin-4-yl}-4-(trifluoromethyl)phenyl]isonicotinamide (known from WO2006/003494) (CAS 872999-66-1), 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-methoxy-1,8diazaspiro[4.5]dec-3-en-2-one (known from WO 2010052161) (CAS 1225292-17-0), 3-(4-chloro-2,6dimethylphenyl)-8-methoxy-2-oxo-1,8-diazaspiro[4.5]dec-3-en-4-yl ethyl carbonate (known from EP2647626) 1440516-42-6) 4-(but-2-yn-1-yloxy)-6-(3,5-dimethylpiperidin-1-yl)-5-(CAS

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fluoropyrimidine (known from WO2004/099160) (CAS 792914-58-0), PF1364 (known from JP2010/018586) (CAS 1204776-60-2), N-[(2E)-1-[(6-chloropyridin-3-yl)methyl]pyridin-2(1H)ylidene]-2,2,2-trifluoroacetamide (known from WO2012/029672) (CAS 1363400-41-2), (3E)-3-[1-[(6chloro-3-pyridyl)methyl]-2-pyridylidene]-1,1,1-trifluoro-propan-2-one (known from WO2013/144213) (CAS 1461743-15-6), N-[3-(benzylcarbamoyl)-4-chlorophenyl]-1-methyl-3-(pentafluoroethyl)-4-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide (known from WO2010/051926) (CAS 1226889-14-0), 5bromo-4-chloro-N-[4-chloro-2-methyl-6-(methylcarbamoyl)phenyl]-2-(3-chloro-2-pyridyl)pyrazole-3carboxamide (known from CN103232431) (CAS 1449220-44-3), 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl-*N*-(*cis*-1-oxido-3-thietanyl)-benzamide. 4-[5-(3,5dichlorophenyl)-4.5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl-*N*-(*trans*-1-oxido-3-thietanyl)benzamide and 4-[(5S)-5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl-N-(cis-1-oxido-3-thietanyl)benzamide (known from WO 2013/050317 A1) (CAS 1332628-83-7), N-[3chloro-1-(3-pyridinyl)-1*H*-pyrazol-4-yl]-*N*-ethyl-3-[(3,3,3-trifluoropropyl)sulfinyl]-propanamide, (+)-*N*-[3-chloro-1-(3-pyridinyl)-1*H*-pyrazol-4-vl]-*N*-ethyl-3-[(3,3,3-trifluoropropyl)sulfinyl]-propanamide and (-)-*N*-[3-chloro-1-(3-pyridinyl)-1*H*-pyrazol-4-yl]-*N*-ethyl-3-[(3,3,3-trifluoropropyl)sulfinyl]propanamide (known from WO 2013/162715 A2, WO 2013/162716 A2, US 2014/0213448 A1) (CAS 1477923-37-7), 5-[[(2E)-3-chloro-2-propen-1-yl]amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)sulfinyl]-1H-pyrazole-3-carbonitrile (known from CN 101337937 A) (CAS 1105672-77-2), 3-bromo-*N*-[4-chloro-2-methyl-6-[(methylamino)thioxomethyl]phenyl]-1-(3-chloro-2-pyridinyl)-1*H*-pyrazole-5-carboxamide, (Liudaibenjiaxuanan, known from CN 103109816 A) (CAS 1232543-85-9); N-[4-chloro-2-[[(1,1-dimethylethyl)amino]carbonyl]-6-methylphenyl]-1-(3-chloro-2-pyridinyl)-3-(fluoromethoxy)-1H-Pyrazole-5-carboxamide (known from WO 2012/034403 A1) (CAS 1268277-22-0), N-[2-(5-amino-1,3,4-thiadiazol-2-yl)-4-chloro-6-methylphenyl]-3-bromo-1-(3-chloro-2-pyridinyl)-1Hpyrazole-5-carboxamide (known from WO 2011/085575 A1) (CAS 1233882-22-8), 4-[3-[2,6-dichloro-4-[(3,3-dichloro-2-propen-1-yl)oxy]phenoxy]propoxy]-2-methoxy-6-(trifluoromethyl)-pyrimidine (known CN 101337940 A) (CAS 1108184-52-6); (2E)- and 2(Z)-2-[2-(4-cyanophenyl)-1-[3-(trifluoromethyl)phenyl]ethylidene]-N-[4-(difluoromethoxy)phenyl]-hydrazinecarboxamide (known CN 101715774 A) (CAS 1232543-85-9); 3-(2,2-dichloroethenyl)-2,2-dimethyl-4-(1*H*benzimidazol-2-yl)phenyl-cyclopropanecarboxylic acid ester (known from CN 103524422 A) (CAS 1542271-46-4); (4aS)-7-chloro-2,5-dihydro-2-[[(methoxycarbonyl)[4-[(trifluoromethyl)thio]phenyl] amino|carbonyl|-indeno[1,2-e][1,3,4|oxadiazine-4a(3H)-carboxylic acid methyl ester (known from CN 102391261 A) (CAS 1370358-69-2); 6-deoxy-3-O-ethyl-2,4-di-O-methyl-, 1-[N-[4-[1-[4-(1,1,2,2,2pentafluoroethoxy)phenyl]-1H-1,2,4-triazol-3-yl]phenyl]carbamate]- α -L-mannopyranose (known from US 2014/0275503 A1) (CAS 1181213-14-8); 8-(2-cyclopropylmethoxy-4-trifluoromethyl-phenoxy)-3-(6-trifluoromethyl-pyridazin-3-yl)-3-aza-bicyclo[3.2.1]octane (CAS 1253850-56-4), (8-anti)-8-(2cyclopropylmethoxy-4-trifluoromethyl-phenoxy)-3-(6-trifluoromethyl-pyridazin-3-yl)-3-azabicyclo[3.2.1] octane (CAS 933798-27-7), (8-syn)-8-(2-cyclopropylmethoxy-4-trifluoromethyl-phenoxy)

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-3-(6-trifluoromethyl-pyridazin-3-yl)-3-aza-bicyclo[3.2.1]octane (known from WO 2007040280 A1, WO 2007040282 A1) (CAS 934001-66-8), N-[3-chloro-1-(3-pyridinyl)-1H-pyrazol-4-yl]-N-ethyl-3-[(3,3,3-trifluoropropyl)thio]-propanamide (known from WO 2015/058021 A1, WO 2015/058028 A1) (CAS 1477919-27-9) and N-[4-(aminothioxomethyl)-2-methyl-6-[(methylamino)carbonyl]phenyl]-3bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxamide (known from CN 103265527 A) (CAS 1452877-50-7), 5-(1,3-dioxan-2-yl)-4-[[4-(trifluoromethyl)phenyl]methoxyl-pyrimidine (known from WO 2013/115391 A1) (CAS 1449021-97-9), 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-methoxy-1methyl-1,8-diazaspiro[4.5]dec-3-en-2-one (known from WO 2010/066780 A1, WO 2011/151146 A1) (CAS 1229023-34-0), 3-(4-chloro-2,6-dimethylphenyl)-8-methoxy-1-methyl-1,8-diazaspiro[4.5]decane-2.4-dione (known from WO 2014/187846 A1) (CAS 1638765-58-8), 3-(4-chloro-2.6-dimethylphenyl)-8methoxy-1-methyl-2-oxo-1,8-diazaspiro[4.5]dec-3-en-4-yl-carbonic acid ethyl ester (known from WO 2010/066780 A1, WO 2011151146 A1) (CAS 1229023-00-0), N-[1-[(6-chloro-3-pyridinyl)methyl]-2(1H)-pyridinylidene]-2.2,2-trifluoro-acetamide (known from DE 3639877 A1, WO 2012029672 A1) (CAS 1363400-41-2), [N(E)]-N-[1-[(6-chloro-3-pyridinyl)methyl]-2(1H)-pyridinylidene]-2,2,2-trifluoro-(known from WO 2016005276 A1) (CAS 1689566-03-7), [N(Z)]-N-[1-[(6-chloro-3pyridinyl)methyl]-2(1H)-pyridinylidene]-2,2,2-trifluoro-acetamide, (CAS 1702305-40-5), 3-endo-3-[2propoxy-4-(trifluoromethyl)phenoxy]-9-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-9azabicyclo[3.3.1]nonane (known from WO 2011/105506 A1, WO 2016/133011 A1) (CAS 1332838-17-1).

Examples of herbicides which could be mixed with the compound and the composition of the invention are:

Acetochlor, acifluorfen, acifluorfen-sodium, aclonifen, alachlor, allidochlor, alloxydim, alloxydimsodium, ametryn, amicarbazone, amidochlor, amidosulfuron, 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1Hindol-6-yl)pyridine-2-carboxylic acid, aminocyclopyrachlor, aminocyclopyrachlor-potassium, aminocyclopyrachlor-methyl, aminopyralid, amitrole, ammoniumsulfamate, anilofos, asulam, atrazine, azafenidin, azimsulfuron, beflubutamid, benazolin, benazolin-ethyl, benfluralin, benfuresate, bensulfuron, bensulfuron-methyl, bensulide, bentazone, benzobicyclon, benzofenap, bicyclopyron, bifenox, bilanafos, bilanafos-sodium, bispyribac, bispyribac-sodium, bixlozone, bromacil, bromobutide, bromofenoxim, bromoxynil, bromoxynil-butyrate, -potassium, -heptanoate, and -octanoate, busoxinone, butachlor, butafenacil, butamifos, butenachlor, butralin, butroxydim, butylate, cafenstrole, carbetamide, carfentrazone, carfentrazone-ethyl, chloramben, chlorbromuron, 1-{2-chloro-3-[(3-cyclopropyl-5hydroxy-1-methyl-1H-pyrazol-4-yl)carbonyl]-6-(trifluormethyl)phenyl}piperidin-2-on, 4-{2-chloro-3-[(3,5-dimethyl-1H-pyrazol-1-yl)methyl]-4-(methylsulfonyl)benzoyl}-1,3-dimethyl-1H-pyrazol-5-yl-1,3dimethyl-1H-pyrazol-4-carboxylat, chlorfenac, chlorfenac-sodium, chlorfenprop, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, 2-[2-chloro-4-(methylsulfonyl)-3-(morpholin-4-ylmethyl)benzoyl]-3-hydroxycyclohex-2-en-1-on, 4-{2-chloro-4-(methylsulfonyl)-3-

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[(2,2,2-trifluorethoxy)methyl]benzoyl}-1-ethyl-1H-pyrazol-5-yl-1,3-dimethyl-1H-pyrazol-4-carboxylat, chlorotoluron, chlorthal-dimethyl, 3-[5-chloro-4-(trifluormethyl)pyridine-2-yl]-4chlorophthalim, hydroxy-1-methylimidazolidine-2-on, chlorsulfuron, cinidon, cinidon-ethyl, cinmethylin, cinosulfuron, clacyfos, clethodim, clodinafop, clodinafop-propargyl, clomazone, clomeprop, clopyralid, cloransulam, cloransulam-methyl, cumyluron, cyanamide, cyanazine, cycloate, cyclopyranil, cyclopyrimorate, cyclosulfamuron, cycloxydim, cyhalofop, cyhalofop-butyl, cyprazine, 2.4-D, 2.4-D-butotyl, -butyl, dimethylammonium, -diolamin, -ethyl, -2-ethylhexyl, -isobutyl, -isopropylammonium, potassium, -triisopropanolammonium, and -trolamine, 2,4-DB, 2,4-DB-butyl, -dimethylammonium, isooctyl, -potassium, and -sodium, daimuron (dymron), dalapon, dazomet, n-decanol, desmedipham, detosyl-pyrazolate (DTP), dicamba, dichlobenil, dichlorprop, dichlorprop-P, diclofop, diclofop, methyl, diclofop-P-methyl, diclosulam, difenzoquat, diflufenican, diflufenzopyr, diflufenzopyr-sodium, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, 3-(2,6dimethylphenyl)-6-[(2-hydroxy-6-oxocyclohex-1-en-1-yl)carbonyl]-1-methylchinazolin-2,4(1H,3H)dion, 1,3-dimethyl-4-[2-(methylsulfonyl)-4-(trifluormethyl)benzoyl]-1H-pyrazol-5-yl-1,3-dimethyl-1Hpyrazol-4-carboxylat, dimetrasulfuron, dinitramine, dinoterb, diphenamid, diquat, diquat-dibromid, dithiopyr, diuron, DMPA, DNOC, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethiozin, ethofumesate, ethoxyfen, ethoxyfen-ethyl, ethoxysulfuron, etobenzanid, ethyl-[(3-{2-chloro-4-fluoro-5-[3-methyl-2,6-dioxo-4-(trifluormethyl)-3,6-dihydropyrimidin-1(2H)yl]phenoxy}pyridin-2-yl)oxy]acetat, F-9960, F-5231, i.e. N-{2-chloro-4-fluoro-5-[4-(3-fluoropropyl)-5oxo-4,5-dihydro-1H-tetrazol-1-yl]phenyl}ethanesulfonamide, F-7967, i. e. 3-[7-chloro-5-fluoro-2-(trifluoromethyl)-1H-benzimidazol-4-yl]-1-methyl-6-(trifluoromethyl)pyrimidine-2,4(1H,3H)-dione, fenoxaprop, fenoxaprop-P, fenoxaprop-ethyl, fenoxaprop-P-ethyl, fenoxasulfone, fenquinotrione, fentrazamide, flamprop, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, florasulam, fluazifop, fluazifop-P, fluazifop-butyl, fluazifop-P-butyl, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flufenacet, flufenpyr, flufenpyr-ethyl, flumetsulam, flumiclorac, flumiclorac-pentyl, flumioxazin, fluometuron, flurenol, flurenol-butyl, -dimethylammonium and -methyl, fluoroglycofen, fluoroglycofen-ethyl, flupropanate, flupyrsulfuron, flupyrsulfuron-methyl-sodium, fluridone, flurochloridone, fluroxypyr, fluroxypyr-meptyl, flurtamone, fluthiacet, fluthiacet-methyl, fomesafen, fomesafen-sodium, foramsulfuron, fosamine, glufosinate, glufosinate-ammonium, glufosinate-P-sodium, glufosinate-P-ammonium, glufosinate-P-sodium, glyphosate, glyphosate-ammonium, -isopropylammonium, -diammonium, -dimethylammonium, -potassium, -sodium, and -trimesium, H-9201, i.e. O-(2,4-dimethyl-6-nitrophenyl) O-ethyl isopropylphosphoramidothioate, halauxifen, halauxifen-methyl , halosafen, halosulfuron, halosulfuron-methyl, haloxyfop, haloxyfop-P, haloxyfop-ethoxyethyl, haloxyfop-P-ethoxyethyl, haloxyfop-methyl, haloxyfop-P-methyl, hexazinone, HW-02, i.e. (dimethoxyphosphoryl) ethyl-(2,4-dichlorophenoxy)acetate, 4-hydroxy-1-methoxy-5-methyl-3-[4-(trifluormethyl)pyridine-2-yl]imidazolidine-2-on, 4-hydroxy-1-methyl-3-[4-(trifluormethyl)pyridine-2yl]imidazolidine-2-on, (5-hydroxy-1-methyl-1H-pyrazol-4-yl)(3,3,4-trimethyl-1,1-dioxido-2,3-dihydro-

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1-benzothiophen-5-yl)methanon, 6-[(2-hydroxy-6-oxocyclohex-1-en-1-yl)carbonyl]-1,5-dimethyl-3-(2methylphenyl)chinazolin-2.4(1H,3H)-dion, imazamethabenz, imazamethabenz-methyl, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazethapyr, imazethapyr-immonium, imazosulfuron, indanofan, iodosulfuron-methyl-sodium, ioxynil, ioxynil-octanoate, indaziflam, iodosulfuron, -potassium and -sodium, ipfencarbazone, isoproturon, isouron, isoxaben, isoxaflutole, karbutilate, KUH-043, i.e. 3-({[5-(difluoromethyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]methyl}sulfonyl)-5,5-dimethyl-4,5lenacil, dihydro-1,2-oxazole, ketospiradox, lactofen, linuron, MCPA, MCPA-butotyl, dimethylammonium, -2-ethylhexyl, -isopropylammonium, -potassium, and -sodium, MCPB, MCPBmethyl, -ethyl and -sodium, mecoprop, mecoprop-sodium, and -butotyl, mecoprop-P, mecoprop-Pbutotyl, -dimethylammonium, -2-ethylhexyl, and -potassium, mefenacet, mefluidide, mesosulfuron, mesosulfuron-methyl, mesotrione, methabenzthiazuron, metam, metamifop, metamitron, metazachlor, metazosulfuron, methabenzthiazuron, methiopyrsulfuron, methiozolin, 2-({2-[(2methoxyethoxy)methyl]-6-(trifluormethyl)pyridin-3-yl}carbonyl)cyclohexan-1,3-dion, methyl isothiocyanate, 1-methyl-4-[(3,3,4-trimethyl-1,1-dioxido-2,3-dihydro-1-benzothiophen-5-yl)carbonyl]-1H-pyrazol-5-ylpropan-1-sulfonat, metobromuron, metolachlor, S-metolachlor, metosulam, metoxuron, metribuzin, metsulfuron, metsulfuron-methyl, molinat, monolinuron, monosulfuron, monosulfuron-ester, MT-5950, i.e. N-(3-chloro-4-isopropylphenyl)-2-methylpentan amide, NGGC-011, napropamide, NC-[5-(benzyloxy)-1-methyl-1H-pyrazol-4-yl](2,4-dichlorophenyl)methanone, 310, i.e. neburon, nicosulfuron, nonanoic acid (pelargonic acid), norflurazon, oleic acid (fatty acids), orbencarb, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefon, oxyfluorfen, paraquat, paraquat dichloride, pebulate, pendimethalin, penoxsulam, pentachlorphenol, pentoxazone, pethoxamid, petroleum oils, phenmedipham, picloram, picolinafen, pinoxaden, piperophos, pretilachlor, primisulfuron, primisulfuron-methyl, prodiamine, profoxydim, prometon, prometryn, propachlor, propanil, propaquizafop, propazine, propisochlor, propoxycarbazone, propoxycarbazone-sodium, propyrisulfuron, propyzamide, prosulfocarb, prosulfuron, pyraflufen, pyraflufe pyrazolynate (pyrazolate), pyrazosulfuron, pyrazosulfuron-ethyl, pyrazoxyfen, pyrasulfotole, pyribambenz, pyribambenz-isopropyl, pyribambenz-propyl, pyribenzoxim, pyributicarb, pyridafol, pyridate, pyriftalid, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrithiobac, pyrithiobac-sodium, pyroxasulfone, pyroxsulam, quinclorae, quinmerae, quinoclamine, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, QYM-201, QYR-301, rimsulfuron, saflufenacil, sethoxydim, siduron, simazine, simetryn, SL-261, sulcotrion, sulfentrazone, sulfometuron, sulfometuronmethyl, sulfosulfuron, SYN-523, SYP-249, i.e. 1-ethoxy-3-methyl-1-oxobut-3-en-2-yl 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate, SYP-300, i.e. 1-[7-fluoro-3-oxo-4-(prop-2-yn-1-yl)-3,4dihydro-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxoimidazolidine-4,5-dione, 2,3,6-TBA, (trichloroacetic acid), TCA-sodium, tebuthiuron, tefuryltrione, tembotrione, tepraloxydim, terbacil, terbucarb, terbumeton, terbuthylazin, terbutryn, tetflupyrolimet, thenylchlor, thiazopyr, thiencarbazone,

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thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thiobencarb, tiafenacil, tolpyralate, topramezone, tralkoxydim, triafamone, tri-allate, triasulfuron, triaziflam, tribenuron, tribenuron-methyl, triclopyr, trietazine, trifloxysulfuron, trifloxysulfuron-sodium, trifludimoxazin, trifluralin, triflusulfuron, triflusulfuron-methyl, tritosulfuron, urea sulfate, vernolate, ZJ-0862, i.e. 3,4-dichloro-N-{2-[(4,6-dimethoxypyrimidin-2-yl)oxy]benzyl}aniline.

Examples for plant growth regulators are:

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Acibenzolar, acibenzolar-S-methyl, 5-aminolevulinic acid, ancymidol, 6-benzylaminopurine, Brassinolid, catechine, chlormequat chloride, cloprop, cyclanilide, 3-(cycloprop-1-enyl) propionic acid, daminozide, dazomet, n-decanol, dikegulac, dikegulac-sodium, endothal, endothal-dipotassium, -disodium, and -mono(N,N-dimethylalkylammonium), ethephon, flumetralin, flurenol, flurenol-butyl, flurprimidol, forchlorfenuron, gibberellic acid, inabenfide, indol-3-acetic acid (IAA), 4-indol-3-ylbutyric acid, isoprothiolane, probenazole, jasmonic acid, maleic hydrazide, mepiquat chloride, 1-methylcyclopropene, methyl jasmonate, 2-(1-naphthyl)acetamide, 1-naphthylacetic acid, 2- naphthyloxyacetic acid, nitrophenolate-mixture, paclobutrazol, N-(2-phenylethyl)-beta-alanine, N-phenylphthalamic acid, prohexadione, prohexadione-calcium, prohydrojasmone, salicylic acid, strigolactone, tecnazene, thidiazuron, triacontanol, trinexapac, trinexapac-ethyl, tsitodef, uniconazole, uniconazole-P.

Examples of safeners which could be mixed with the compound and the composition of the invention are, for example, benoxacor, cloquintocet (-mexyl), cyometrinil, cyprosulfamide, dichlormid, fenchlorazole (-ethyl), fenclorim, flurazole, fluxofenim, furilazole, isoxadifen (-ethyl), mefenpyr (-diethyl), naphthalic anhydride, oxabetrinil, 2-methoxy-N-({4-[(methylcarbamoyl)amino]phenyl}-sulphonyl)benzamide (CAS 129531-12-0), 4-(dichloroacetyl)-1-oxa-4-azaspiro[4.5]decane (CAS 71526-07-3), 2,2,5-trimethyl-3-(dichloroacetyl)-1,3-oxazolidine (CAS 52836-31-4).

Examples of nitrification inhibitors which can be mixed with the compound and the composition of the invention are selected from the group consisting of 2-(3,4-dimethyl-1 H-pyrazol-1 -yl)succinic acid, 2-(4,5-dimethyl-1 H-pyrazol-1 -yl)succinic acid, 3,4-dimethyl pyrazolium glycolate, 3,4-dimethyl pyrazolium citrate, 3,4-dimethyl pyrazolium lactate, 3,4-dimethyl pyrazolium mandelate, 1,2,4-triazole, 4-Chloro-3-methylpyrazole, N-((3(5)-methyl-1H-pyrazole-1-yl)methyl)acetamide, N-((3(5)-methyl-1 H-pyrazole-1-yl)methyl)formamide, N-((4-chloro-3(5)-methyl-pyrazole-1-yl)methyl)formamide; reaction adducts of dicyandiamide, urea and formaldehyde, triazonyl- formaldehyde-dicyandiamide adducts, 2-cyano-1-((4-oxo-1,3,5-triazinan-1-yl)methyl)guanidine, 1-((2-cyanoguanidino)methyl)urea, 2-cyano-1-((2-cyanoguanidino)methyl)guanidine, 2-chloro-6-(trichloromethyl)-pyridine (nitrapyrin or N-serve), dicyandiamide, 3,4-dimethyl pyrazole phosphate, 4,5-dimethyl pyrazole phosphate, 3,4-dimethylpyrazole, 4,5-dimethyl pyrazole, ammoniumthiosulfate, neem, products based on ingredients of neem, linoleic acid, alpha-linolenic acid, methyl p-coumarate, methyl ferulate, methyl 3-(4-hydroxyphenyl) propionate, karanjin, brachialacton, p-

benzoquinone sorgoleone, 4-amino-1,2,4-triazole hydrochloride, 1-amido-2-thiourea, 2-amino-4-chloro-6-methylpyrimidine, 2-mercapto-benzothiazole, 5-ethoxy-3-trichloromethyl-1,2,4-thiodiazole (terrazole, etridiazole), 2-sulfanilamidothiazole, 3-methylpyrazol, 1,2,4-triazol thiourea, cyan amide, melamine, zeolite powder, catechol, benzoquinone, sodium tetraborate, allylthiourea, chlorate salts, and zinc sulfate.

The compound and the composition of the invention may be combined with one or more agriculturally beneficial agents.

Examples of agriculturally beneficial agents include biostimulants, plant growth regulators, plant signal molecules, growth enhancers, microbial stimulating molecules, biomolecules, soil amendments, nutrients, plant nutrient enhancers, etc., such as lipo-chitooligosaccharides (LCO), chitooligosaccharides (CO), chitinous compounds, flavonoids, jasmonic acid or derivatives thereof (e.g., jasmonates), cytokinins, auxins, gibberellins, absiscic acid, ethylene, brassinosteroids, salicylates, macro- and micro-nutrients, linoleic acid or derivatives thereof, linolenic acid or derivatives thereof, karrikins, and beneficial microorganisms (e.g., *Rhizobium* spp., *Bradyrhizobium* spp., *Sinorhizobium* spp., *Azorhizobium* spp., *Glomus* spp., *Gigaspora* spp., *Hymenoscyphous* spp., *Oidiodendron* spp., *Laccaria* spp., *Pisolithus* spp., *Rhizopogon* spp., *Scleroderma* spp., *Rhizoctonia* spp., *Acinetobacter* spp., *Arthrobacter* spp., *Arthrobatrys* spp., *Aspergillus* spp., *Azospirillum* spp., *Bacillus* spp., *Burkholderia* spp., *Candida* spp., *Chryseomonas* spp., *Enterobacter* spp., *Eupenicillium* spp., *Exiguobacterium* spp., *Klebsiella* spp., *Kluyvera* spp., *Microbacterium* spp., *Mucor* spp., *Paecilomyces* spp., *Paenibacillus* spp., *Penicillium* spp., *Pseudomonas* spp., *Serratia* spp., *Stenotrophomonas* spp., *Streptomyces* spp., *Streptosporangium* spp., *Swaminathania* spp., *Thiobacillus* spp., *Torulospora* spp., *Vibrio* spp., *Xanthobacter* spp., *Xanthomonas* spp., etc.), and combinations thereof.

Methods and uses

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The compound and the composition of the invention have potent microbicidal activity and/or plant defense modulating potential. They can be used for controlling unwanted microorganisms, such as unwanted fungi and bacteria, on plants. They can be particularly useful in crop protection (they control microorganisms that cause plants diseases) or for protecting materials (e.g. industrial materials, timber, storage goods) as described in more details herein below. More specifically, the compound and the composition of the invention can be used to protect seeds, germinating seeds, emerged seedlings, plants, plant parts, fruits, harvest goods and/or the soil in which the plants grow from unwanted microorganisms.

<u>Control or controlling</u> as used herein encompasses protective, curative and eradicative treatment of unwanted microorganisms. <u>Unwanted microorganisms</u> may be pathogenic bacteria, pathogenic virus, pathogenic oomycetes or pathogenic fungi, more specifically phytopathogenic bacteria, phytopathogenic virus, phytopathogenic oomycetes or phytopathogenic fungi. As detailed herein below, these phytopathogenic microorganims are the causal agents of a broad spectrum of plants diseases.

More specifically, the compound and the composition of the invention can be used as fungicides. For the purpose of the specification, the term "fungicide" refers to a compound or composition that can be used in crop protection for the control of unwanted fungi, such as Plasmodiophoromycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes and/or for the control of Oomycetes.

The compound and the composition of the invention may also be used as antibacterial agent. In particular, they may be used in crop protection, for example for the control of unwanted bacteria, such as Pseudomonadaceae, Rhizobiaceae, Xanthomonadaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae.

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The compound and the composition of the invention may also be used as antiviral agent in crop protection. For example the compound and the composition of the invention may have effects on diseases from plant viruses, such as the tobacco mosaic virus (TMV), tobacco rattle virus, tobacco stunt virus (TStuV), tobacco leaf curl virus (VLCV), tobacco nervilia mosaic virus (TVBMV), tobacco necrotic dwarf virus (TNDV), tobacco streak virus (TSV), potato virus X (PVX), potato viruses Y, S, M, and A, potato acuba mosaic virus (PAMV), potato mop-top virus (PMTV), potato leaf-roll virus (PLRV), alfalfa mosaic virus (AMV), cucumber mosaic virus (CMV), cucumber green mottlemosaic virus (CGMMV), cucumber yellows virus (CuYV), watermelon mosaic virus (WMV), tomato spotted wilt virus (TSWV), tomato ringspot virus (TomRSV), sugarcane mosaic virus (SCMV), rice drawf virus, rice stripe virus, rice black-streaked drawf virus, strawberry mottle virus (SMoV), strawberry vein banding virus (SVBV), strawberry mild yellow edge virus (SMYEV), strawberry crinkle virus (SCrV), broad beanwilt virus (BBWV), and melon necrotic spot virus (MNSV).

The present invention also relates to a method for controlling unwanted microorganisms, such as unwanted fungi, oomycetes and bacteria, on plants comprising the step of applying at least one compound of the invention or at least one composition of the invention to the microorganisms and/or their habitat (to the plants, plant parts, seeds, fruits or to the soil in which the plants grow).

Typically, when the compound and the composition of the invention are used in curative or protective methods for controlling phytopathogenic fungi and/or phytopathogenic oomycetes, an effective and plant-compatible amount thereof is applied to the plants, plant parts, fruits, seeds or to the soil or substrates in which the plants grow. Suitable substrates that may be used for cultivating plants include inorganic based substrates, such as mineral wool, in particular stone wool, perlite, sand or gravel; organic substrates, such as peat, pine bark or sawdust; and petroleum based substrates such as polymeric foams or plastic beads. Effective and plant-compatible amount means an amount that is sufficient to control or destroy the fungi present or liable to appear on the cropland and that does not entail any appreciable symptom of phytotoxicity for said crops. Such an amount can vary within a wide range depending on the fungus to be controlled, the type of crop, the crop growth stage, the climatic conditions and the respective compound

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or composition of the invention used. This amount can be determined by systematic field trials that are within the capabilities of a person skilled in the art.

Plants and plant parts

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The compound and the composition of the invention may be applied to any plants or plant parts.

- Plants mean all plants and plant populations, such as desired and undesired wild plants or crop plants (including naturally occurring crop plants). Crop plants may be plants which can be obtained by conventional breeding and optimization methods or by biotechnological and genetic engineering methods or combinations of these methods, including the genetically modified plants (GMO or transgenic plants) and the plant cultivars which are protectable and non-protectable by plant breeders' rights.
- Plant cultivars are understood to mean plants which have new properties ("traits") and have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques. They can be cultivars, varieties, bio- or genotypes.

<u>Plant parts</u> are understood to mean all parts and organs of plants above and below the ground, such as shoots, leaves, needles, stalks, stems, flowers, fruit bodies, fruits, seeds, roots, tubers and rhizomes. The plant parts also include harvested material and vegetative and generative propagation material, for example cuttings, tubers, rhizomes, slips and seeds.

Plants which may be treated in accordance with the methods of the invention include the following: cotton, flax, grapevine, fruit, vegetables, such as *Rosaceae sp.* (for example pome fruits such as apples and pears, but also stone fruits such as apricots, cherries, almonds and peaches, and soft fruits such as strawberries), *Ribesioidae sp.*, *Juglandaceae sp.*, *Betulaceae sp.*, *Anacardiaceae sp.*, *Fagaceae sp.*, *Moraceae sp.*, *Oleaceae sp.*, *Actinidaceae sp.*, *Lauraceae sp.*, *Musaceae sp.* (for example banana trees and plantations), *Rubiaceae sp.* (for example coffee), *Theaceae sp.*, *Sterculiceae sp.*, *Rutaceae sp.* (for example lemons, oranges and grapefruit); *Solanaceae sp.* (for example tomatoes), *Liliaceae sp.*, *Asteraceae sp.* (for example lettuce), *Umbelliferae sp.*, *Cruciferae sp.*, *Chenopodiaceae sp.*, *Cucurbitaceae sp.* (for example cucumber), *Alliaceae sp.* (for example leek, onion), *Papilionaceae sp.* (for example peas); major crop plants, such as *Gramineae sp.* (for example maize, turf, cereals such as wheat, rye, rice, barley, oats, millet and triticale), *Asteraceae sp.* (for example sunflower), *Brassicaceae sp.* (for example white cabbage, red cabbage, broccoli, cauliflower, Brussels sprouts, pak choi, kohlrabi, radishes, and oilseed rape, mustard, horseradish and cress), *Fabacae sp.* (for example bean, peanuts), *Papilionaceae sp.* (for example soya bean), *Solanaceae sp.* (for example potatoes), *Chenopodiaceae sp.* (for example sugar beet, fodder beet, swiss chard, beetroot); useful plants and omamental plants for gardens and wooded areas; and genetically modified varieties of each of these plants.

Plants and plant cultivars which may be treated by the above disclosed methods include plants and plant cultivars which are resistant against one or more biotic stresses, i.e. said plants show a better defense

against animal and microbial pests, such as against nematodes, insects, mites, phytopathogenic fungi, bacteria, viruses and/or viroids.

Plants and plant cultivars which may be treated by the above disclosed methods include those plants which are resistant to one or more abiotic stresses. Abiotic stress conditions may include, for example, drought, cold temperature exposure, heat exposure, osmotic stress, flooding, increased soil salinity, increased mineral exposure, ozone exposure, high light exposure, limited availability of nitrogen nutrients, limited availability of phosphorus nutrients, shade avoidance.

Plants and plant cultivars which may be treated by the above disclosed methods include those plants characterized by enhanced yield characteristics. Increased yield in said plants may be the result of, for example, improved plant physiology, growth and development, such as water use efficiency, water retention efficiency, improved nitrogen use, enhanced carbon assimilation, improved photosynthesis, increased germination efficiency and accelerated maturation. Yield may furthermore be affected by improved plant architecture (under stress and non-stress conditions), including but not limited to, early flowering, flowering control for hybrid seed production, seedling vigor, plant size, intermode number and distance, root growth, seed size, fruit size, pod size, pod or ear number, seed number per pod or ear, seed mass, enhanced seed filling, reduced seed dispersal, reduced pod dehiscence and lodging resistance. Further yield traits include seed composition, such as carbohydrate content and composition for example cotton or starch, protein content, oil content and composition, nutritional value, reduction in anti-nutritional compounds, improved processability and better storage stability.

Plants and plant cultivars which may be treated by the above disclosed methods include plants and plant cultivars which are hybrid plants that already express the characteristic of heterosis or hybrid vigor which results in generally higher yield, vigor, health and resistance towards biotic and abiotic stresses.

Transgenic plants, seed treatment and integration events

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The compound according to the invention can be advantageously used to treat transgenic plants, plant cultivars or plant parts that received genetic material which imparts advantageous and/or useful properties (traits) to these plants, plant cultivars or plant parts. Therefore, it is contemplated that the present invention may be combined with one or more recombinant traits or transgenic event(s) or a combination thereof. For the purposes of this application, a transgenic event is created by the insertion of a specific recombinant DNA molecule into a specific position (locus) within the chromosome of the plant genome. The insertion creates a novel DNA sequence referred to as an "event" and is characterized by the inserted recombinant DNA molecule and some amount of genomic DNA immediately adjacent to/flanking both ends of the inserted DNA. Such trait(s) or transgenic event(s) include, but are not limited to, pest resistance, water use efficiency, yield performance, drought tolerance, seed quality, improved nutritional quality, hybrid seed production, and herbicide tolerance, in which the trait is measured with respect to a plant lacking

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such trait or transgenic event. Concrete examples of such advantageous and/or useful properties (traits) are better plant growth, vigor, stress tolerance, standability, lodging resistance, nutrient uptake, plant nutrition, and/or yield, in particular improved growth, increased tolerance to high or low temperatures, increased tolerance to drought or to levels of water or soil salinity, enhanced flowering performance, easier harvesting, accelerated ripening, higher yields, higher quality and/or a higher nutritional value of the harvested products, better storage life and/or processability of the harvested products, and increased resistance against animal and microbial pests, such as against insects, arachnids, nematodes, mites, slugs and snails.

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Among DNA sequences encoding proteins which confer properties of tolerance to such animal and microbial pests, in particular insects, mention will particularly be made of the genetic material from Bacillus thuringiensis encoding the Bt proteins widely described in the literature and well known to those skilled in the art. Mention will also be made of proteins extracted from bacteria such as Photorhabdus (WO97/17432 and WO98/08932). In particular, mention will be made of the Bt Cry or VIP proteins which include the CrylA, CrylAb, CrylAc, CrylIA, CrylIIA, CrylIIB2, Cry9c Cry2Ab, Cry3Bb and CryIF proteins or toxic fragments thereof and also hybrids or combinations thereof, especially the CrylF protein or hybrids derived from a CrylF protein (e.g. hybrid CrylA-CrylF proteins or toxic fragments thereof), the CrylA-type proteins or toxic fragments thereof, preferably the CrylAc protein or hybrids derived from the CrylAc protein (e.g. hybrid CrylAb-CrylAc proteins) or the CrylAb or Bt2 protein or toxic fragments thereof, the Cry2Ae, Cry2Af or Cry2Ag proteins or toxic fragments thereof, the CrylA.105 protein or a toxic fragment thereof, the VIP3Aa19 protein, the VIP3Aa20 protein, the VIP3A proteins produced in the COT202 or COT203 cotton events, the VIP3Aa protein or a toxic fragment thereof as described in Estruch et al. (1996), Proc Natl Acad Sci US A. 28;93(11):5389-94, the Cry proteins as described in WO2001/47952, the insecticidal proteins from Xenorhabdus (as described in WO98/50427), Serratia (particularly from S. entomophila) or Photorhabdus species strains, such as Tc-proteins from Photorhabdus as described in WO98/08932. Also any variants or mutants of any one of these proteins differing in some amino acids (1-10, preferably 1-5) from any of the above named sequences, particularly the sequence of their toxic fragment, or which are fused to a transit peptide, such as a plastid transit peptide, or another protein or peptide, is included herein.

Another and particularly emphasized example of such properties is conferred tolerance to one or more herbicides, for example imidazolinones, sulphonylureas, glyphosate or phosphinothricin. Among DNA sequences encoding proteins which confer properties of tolerance to certain herbicides on the transformed plant cells and plants, mention will be particularly be made to the bar or PAT gene or the Streptomyces coelicolor gene described in WO2009/152359 which confers tolerance to glufosinate herbicides, a gene encoding a suitable EPSPS (5-Enolpyruvylshikimat-3-phosphat-synthase) which confers tolerance to herbicides having EPSPS as a target, especially herbicides such as glyphosate and its salts, a gene encoding

glyphosate-n-acetyltransferase, or a gene encoding glyphosate oxidoreductase. Further suitable herbicide tolerance traits include at least one ALS (acetolactate synthase) inhibitor (e.g. WO2007/024782), a mutated Arabidopsis ALS/AHAS gene (e.g. U.S. Patent 6,855,533), genes encoding 2,4-D-monooxygenases conferring tolerance to 2,4-D (2,4- dichlorophenoxyacetic acid) and genes encoding Dicamba monooxygenases conferring tolerance to dicamba (3,6-dichloro-2- methoxybenzoic acid).

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Yet another example of such properties is resistance to one or more phytopathogenic fungi, for example Asian Soybean Rust. Among DNA sequences encoding proteins which confer properties of resistance to such diseases, mention will particularly be made of the genetic material from *glycine tomentella*, for example from any one of publically available accession lines PI441001, PI483224, PI583970, PI446958, PI499939, PI505220, PI499933, PI441008, PI505256 or PI446961 as described in WO2019/103918.

Further and particularly emphasized examples of such properties are increased resistance against bacteria and/or viruses owing, for example, to systemic acquired resistance (SAR), systemin, phytoalexins, elicitors and also resistance genes and correspondingly expressed proteins and toxins.

Particularly useful transgenic events in transgenic plants or plant cultivars which can be treated with preference in accordance with the invention include Event 531/ PV-GHBK04 (cotton, insect control, described in WO2002/040677), Event 1143-14A (cotton, insect control, not deposited, described in WO2006/128569); Event 1143-51B (cotton, insect control, not deposited, described in WO2006/128570); Event 1445 (cotton, herbicide tolerance, not deposited, described in US-A 2002-120964 or WO2002/034946); Event 17053 (rice, herbicide tolerance, deposited as PTA-9843, described in WO2010/117737); Event 17314 (rice, herbicide tolerance, deposited as PTA-9844, described in WO2010/117735); Event 281-24-236 (cotton, insect control - herbicide tolerance, deposited as PTA-6233, described in WO2005/103266 or US-A 2005-216969); Event 3006-210-23 (cotton, insect control - herbicide tolerance, deposited as PTA-6233, described in US-A 2007-143876 orWO2005/103266); Event 3272 (corn, quality trait, deposited as PTA-9972, described in WO2006/098952 or US-A 2006-230473); Event 33391 (wheat, herbicide tolerance, deposited as PTA-2347, described in WO2002/027004), Event 40416 (corn, insect control - herbicide tolerance, deposited as ATCC PTA-11508, described in WO 11/075593); Event 43A47 (corn, insect control herbicide tolerance, deposited as ATCC PTA-11509, described in WO2011/075595); Event 5307 (corn, insect control, deposited as ATCC PTA-9561, described in WO2010/077816); Event ASR-368 (bent grass, herbicide tolerance, deposited as ATCC PTA-4816, described in US-A 2006-162007 or WO2004/053062); Event B16 (corn, herbicide tolerance, not deposited, described in US-A 2003-126634); Event BPS-CV127- 9 (soybean, herbicide tolerance, deposited as NCIMB No. 41603, described in WO2010/080829); Event BLRI (oilseed rape, restoration of male sterility, deposited as NCIMB 41193, described in WO2005/074671), Event CE43-67B (cotton, insect control, deposited as DSM ACC2724, described in US-A 2009-217423 or WO2006/128573); Event CE44-69D (cotton, insect control, not deposited, described in US-A 2010- 0024077); Event CE44-69D (cotton, insect control, not deposited, described in WO2006/128571); Event CE46-02A (cotton, insect control, not deposited, described in WO2006/128572); Event COT102 (cotton, insect control, not deposited, described in US-A 2006-130175 or WO2004/039986); Event COT202 (cotton, insect control, not deposited, described in US-A 2007-067868 or WO2005/054479); Event COT203 (cotton, insect control, not deposited, described in WO2005/054480);); Event DAS21606-3 / 1606 (soybean, herbicide tolerance, deposited as PTA-11028, described in WO2012/033794), Event DAS40278 (corn, herbicide tolerance, deposited as ATCC PTA-10244, described in WO2011/022469); Event DAS-44406-6 / pDAB8264.44.06.1 (soybean, herbicide tolerance, deposited as PTA-11336, described in WO2012/075426), Event DAS-14536-7 /pDAB8291.45.36.2 (soybean, herbicide tolerance, deposited as PTA-11335, described in WO2012/075429), Event DAS-59122-7 (corn, insect control - herbicide tolerance, deposited as ATCC PTA 11384, described in US-A 2006-070139); Event DAS-59132 (corn, insect control - herbicide tolerance, not deposited, described in WO2009/100188); Event DAS68416 (soybean, herbicide tolerance, deposited as ATCC PTA-10442, described in WO2011/066384 or WO2011/066360); Event DP-098140-6 (corn, herbicide tolerance, deposited as ATCC PTA-8296, described in US-A 2009- 137395 orWO 08/112019); Event DP-305423-1 (soybean, quality trait, not deposited, described in US-A 2008-312082 WO2008/054747); Event DP-32138-1 (corn, hybridization system, deposited as ATCC PTA-9158, described in US-A 2009-0210970 or WO2009/103049); Event DP-356043-5 (soybean, herbicide tolerance, deposited as ATCC PTA-8287, described in US-A 2010-0184079 or WO2008/002872); EventEE-I (brinjal, insect control, not deposited, described in WO 07/091277); Event Fil 17 (corn, herbicide tolerance, deposited as ATCC 209031, described in US-A 2006-059581 or WO 98/044140); Event FG72 (soybean, herbicide tolerance, deposited as PTA-11041, described in WO2011/063413), Event GA21 (corn, herbicide tolerance, deposited as ATCC 209033, described in US-A 2005-086719 or WO 98/044140); Event GG25 (corn, herbicide tolerance, deposited as ATCC 209032, described in US-A 2005-188434 or WO98/044140); Event GHB119 (cotton, insect control - herbicide tolerance, deposited as ATCC PTA-8398, described in WO2008/151780); Event GHB614 (cotton, herbicide tolerance, deposited as ATCC PTA-6878, described in US-A 2010-050282 or W02007/017186); Event GJ11 (corn, herbicide tolerance, deposited as ATCC 209030, described in US-A 2005-188434 or WO98/044140); Event GM RZ13 (sugar beet, virus resistance, deposited as NCIMB-41601, described in WO2010/076212); Event H7-l (sugar beet, herbicide tolerance, deposited as NCIMB 41158 or NCIMB 41159, described in US-A 2004-172669 or WO 2004/074492); Event JOPLINI (wheat, disease tolerance, not deposited, described in US-A 2008-064032); Event LL27 (soybean, herbicide tolerance, deposited as NCIMB41658, described in WO2006/108674 or US-A 2008-320616); Event LL55 (soybean, herbicide tolerance, deposited as NCIMB 41660, described in WO 2006/108675 or US-A 2008-196127); Event LLcotton25 (cotton, herbicide tolerance, deposited as ATCC PTA-3343, described in WO2003/013224 or US- A 2003-097687); Event LLRICE06 (rice, herbicide tolerance, deposited as ATCC 203353, described in US 6,468,747 or WO2000/026345);

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Event LLRice62 (rice, herbicide tolerance, deposited as ATCC 203352, described in WO2000/026345), Event LLRICE601 (rice, herbicide tolerance, deposited as ATCC PTA-2600, described in US-A 2008-2289060 or WO2000/026356); Event LY038 (corn, quality trait, deposited as ATCC PTA-5623, described in US-A 2007-028322 or WO2005/061720); Event MIR162 (corn, insect control, deposited as PTA-8166, described in US-A 2009-300784 or WO2007/142840); Event MIR604 (corn, insect control, not deposited, described in US-A 2008-167456 or WO2005/103301); Event MON15985 (cotton, insect control, deposited as ATCC PTA-2516, described in US-A 2004-250317 or WO2002/100163); Event MON810 (corn, insect control, not deposited, described in US-A 2002-102582); Event MON863 (corn, insect control, deposited as ATCC PTA-2605, described in WO2004/011601 or US-A 2006-095986); Event MON87427 (corn, pollination control, deposited as ATCC PTA-7899, described in WO2011/062904); Event MON87460 (corn, stress tolerance, deposited as ATCC PTA-8910, described in WO2009/111263 or US-A 2011-0138504); Event MON87701 (soybean, insect control, deposited as ATCC PTA- 8194, described in US-A 2009-130071 or WO2009/064652); Event MON87705 (soybean, quality trait - herbicide tolerance, deposited as ATCC PTA-9241, described in US-A 2010-0080887 or WO2010/037016); Event MON87708 (soybean, herbicide tolerance, deposited as ATCC PTA-9670, described in WO2011/034704); Event MON87712 (soybean, yield, deposited as PTA-10296, described in WO2012/051199), Event MON87754 (soybean, quality trait, deposited as ATCC PTA-9385, described in WO2010/024976); Event MON87769 (soybean, quality trait, deposited as ATCC PTA-8911, described in US-A 2011-0067141 or WO2009/102873); Event MON88017 (corn, insect control - herbicide tolerance, deposited as ATCC PTA-5582, described in US-A 2008-028482 or WO2005/059103); Event MON88913 (cotton, herbicide tolerance, deposited as ATCC PTA-4854, described in WO2004/072235 or US-A 2006-059590); Event MON88302 (oilseed rape, herbicide tolerance, deposited as PTA-10955, described in WO2011/153186), Event MON88701 (cotton, herbicide tolerance, deposited as PTA-11754, described in WO2012/134808), Event MON89034 (corn, insect control, deposited as ATCC PTA-7455, described in WO 07/140256 or US-A 2008-260932); Event MON89788 (soybean, herbicide tolerance, deposited as ATCC PTA-6708, described in US-A 2006-282915 or WO2006/130436); Event MSl 1 (oilseed rape, pollination control - herbicide tolerance, deposited as ATCC PTA-850 or PTA-2485, described in WO2001/031042); Event MS8 (oilseed rape, pollination control - herbicide tolerance, deposited as ATCC PTA-730, described in WO2001/041558 or US-A 2003-188347); Event NK603 (corn, herbicide tolerance, deposited as ATCC PTA-2478, described in US-A 2007-292854); Event PE-7 (rice, insect control, not deposited, described in WO2008/114282); Event RF3 (oilseed rape, pollination control - herbicide tolerance, deposited as ATCC PTA-730, described in WO2001/041558 or US-A 2003-188347); Event RT73 (oilseed rape, herbicide tolerance, not deposited, described in WO2002/036831 or US-A 2008-070260); Event SYHT0H2 / SYN-000H2-5 (soybean, herbicide tolerance, deposited as PTA-11226, described in WO2012/082548), Event T227-1 (sugar beet, herbicide tolerance, not deposited,

described in WO2002/44407 or US-A 2009-265817); Event T25 (corn, herbicide tolerance, not deposited, described in US-A 2001-029014 or WO2001/051654); Event T304-40 (cotton, insect control - herbicide tolerance, deposited as ATCC PTA-8171, described in US-A 2010-077501 or WO2008/122406); Event T342-142 (cotton, insect control, not deposited, described in WO2006/128568); Event TC1507 (corn, insect control - herbicide tolerance, not deposited, described in US-A 2005-039226 or WO2004/099447); Event VIP1034 (corn, insect control - herbicide tolerance, deposited as ATCC PTA-3925, described in WO2003/052073), Event 32316 (corn, insect control-herbicide tolerance, deposited as PTA-11507, described in WO2011/084632), Event 4114 (corn, insect control-herbicide tolerance, deposited as PTA-11506, described in W02011/084621), event EE-GM3 / FG72 (soybean, herbicide tolerance, ATCC Accession N° PTA-11041) optionally stacked with event EE-GM1/LL27 or event EE-GM2/LL55 (WO2011/063413A2), event DAS-68416-4 (soybean, herbicide tolerance, ATCC Accession N° PTA-10442, WO2011/066360Al), event DAS-68416-4 (soybean, herbicide tolerance, ATCC Accession N° PTA-10442, WO2011/066384Al), event DP-040416-8 (corn, insect control, ATCC Accession N° PTA-11508, WO2011/075593Al), event DP-043A47-3 (corn, insect control, ATCC Accession N° PTA-11509, WO2011/075595Al), event DP- 004114-3 (corn, insect control, ATCC Accession N° PTA-11506, WO2011/084621Al), event DP-032316-8 (corn, insect control, ATCC Accession N° PTA-11507, WO2011/084632Al), event MON-88302-9 (oilseed rape, herbicide tolerance, ATCC Accession N° PTA-10955, WO2011/153186Al), event DAS-21606-3 (soybean, herbicide tolerance, ATCC Accession No. PTA-11028, WO2012/033794A2), event MON-87712-4 (soybean, quality trait, ATCC Accession N°. PTA-10296, WO2012/051199A2), event DAS-44406-6 (soybean, stacked herbicide tolerance, ATCC Accession N°. PTA-11336, WO2012/075426Al), event DAS-14536-7 (soybean, stacked herbicide tolerance, ATCC Accession N°. PTA-11335, WO2012/075429Al), event SYN-000H2-5 (soybean, herbicide tolerance, ATCC Accession N°. PTA-11226, WO2012/082548A2), event DP-061061-7 (oilseed rape, herbicide tolerance, no deposit N° available, WO2012071039A1), event DP-073496-4 (oilseed rape, herbicide tolerance, no deposit N° available, US2012131692), event 8264.44.06.1 (soybean, stacked herbicide tolerance, Accession N° PTA-11336, WO2012075426A2), event 8291.45.36.2 (soybean, stacked herbicide tolerance, Accession N°. PTA-11335, WO2012075429A2), event SYHT0H2 (soybean, ATCC Accession N°. PTA-11226, WO2012/082548A2), event MON88701 (cotton, **ATCC** Accession N° PTA-11754, WO2012/134808A1), KK179-2 (alfalfa, **ATCC** Accession N° event PTA-11833, WO2013/003558Al), event pDAB8264.42.32.1 (soybean, stacked herbicide tolerance, ATCC Accession N° PTA-11993, WO2013/010094Al), event MZDT09Y (corn, ATCC Accession N° PTA-13025, WO2013/012775Al).

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Further, a list of such transgenic event(s) is provided by the United States Department of Agriculture's (USDA) Animal and Plant Health Inspection Service (APHIS) and can be found on their website on the

world wide web at <u>aphis.usda.gov</u>. For this application, the status of such list as it is/was on the filing date of this application, is relevant.

The genes/events which impart the desired traits in question may also be present in combinations with one another in the transgenic plants. Examples of transgenic plants which may be mentioned are the important crop plants, such as cereals (wheat, rice, triticale, barley, rye, oats), maize, soya beans, potatoes, sugar beet, sugar cane, tomatoes, peas and other types of vegetable, cotton, tobacco, oilseed rape and also fruit plants (with the fruits apples, pears, citrus fruits and grapes), with particular emphasis being given to maize, soya beans, wheat, rice, potatoes, cotton, sugar cane, tobacco and oilseed rape. Traits which are particularly emphasized are the increased resistance of the plants to insects, arachnids, nematodes and slugs and snails, as well as the increased resistance of the plants to one or more herbicides.

Commercially available examples of such plants, plant parts or plant seeds that may be treated with preference in accordance with the invention include commercial products, such as plant seeds, sold or distributed under the GENUITY®, DROUGHTGARD®, SMARTSTAX®, RIB COMPLETE®, ROUNDUP READY®, VT DOUBLE PRO®, VT TRIPLE PRO®, BOLLGARD II®, ROUNDUP READY 2 YIELD®, YIELDGARD®, ROUNDUP READY® 2 XTENDTM, INTACTA RR2 PRO®, VISTIVE GOLD®, and/or XTENDFLEXTM trade names.

<u>Pathogens</u>

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Non-limiting examples of pathogens of fungal diseases which may be treated in accordance with the invention include:

diseases caused by powdery mildew pathogens, for example *Blumeria* species, for example *Blumeria* graminis; *Podosphaera* species, for example *Podosphaera leucotricha*; *Sphaerotheca* species, for example *Sphaerotheca fuliginea*; *Uncinula* species, for example *Uncinula necator*;

diseases caused by rust disease pathogens, for example *Gymnosporangium* species, for example *Gymnosporangium sabinae*; *Hemileia* species, for example *Hemileia vastatrix*; *Phakopsora* species, for example *Phakopsora pachyrhizi* or *Phakopsora meibomiae*; *Puccinia* species, for example *Puccinia recondita*, *Puccinia graminis* oder *Puccinia striiformis*; *Uromyces* species, for example *Uromyces appendiculatus*;

diseases caused by pathogens from the group of the Oomycetes, for example *Albugo* species, for example *Albugo* candida; *Bremia* species, for example *Bremia lactucae*; *Peronospora* species, for example *Peronospora pisi* or *P. brassicae*; *Phytophthora* species, for example *Phytophthora infestans*; *Plasmopara* species, for example *Plasmopara viticola*; *Pseudoperonospora* species, for example *Pseudoperonospora humuli* or *Pseudoperonospora cubensis*; *Pythium* species, for example *Pythium ultimum*;

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leaf blotch diseases and leaf wilt diseases caused, for example, by Alternaria species, for example Alternaria solani; Cercospora species, for example Cercospora beticola; Cladiosporium species, for example Cladiosporium cucumerinum; Cochliobolus species, for example Cochliobolus sativus (conidial form: Drechslera, syn: Helminthosporium) or Cochliobolus miyabeanus; Colletotrichum species, for example Colletotrichum lindemuthanium; Corynespora species, for example Corynespora cassiicola; Cycloconium species, for example Cycloconium oleaginum; Diaporthe species, for example Diaporthe citri; Elsinoe species, for example Elsinoe fawcettii; Gloeosporium species, for example Gloeosporium laeticolor; Glomerella species, for example Glomerella cingulata; Guignardia species, for example Guignardia bidwelli; Leptosphaeria species, for example Leptosphaeria maculans; Magnaporthe species, for example Magnaporthe grisea; Microdochium species, for example Microdochium nivale; Mycosphaerella species, for example Mycosphaerella graminicola, Mycosphaerella arachidicola or Mycosphaerella fijiensis; Phaeosphaeria species, for example Phaeosphaeria nodorum; Pyrenophora species, for example Pyrenophora teres or Pyrenophora tritici repentis; Ramularia species, for example Ramularia collo-cygni or Ramularia areola; Rhynchosporium species, for example Rhynchosporium secalis; Septoria species, for example Septoria apii or Septoria lycopersici; Stagonospora species, for example Stagonospora nodorum; Typhula species, for example Typhula incarnata; Venturia species, for example Venturia inaequalis;

root and stem diseases caused, for example, by Corticium species, for example Corticium graminearum; Fusarium species, for example Fusarium oxysporum; Gaeumannomyces species, for example Gaeumannomyces graminis; Plasmodiophora species, for example Plasmodiophora brassicae; Rhizoctonia species, for example Rhizoctonia solani; Sarocladium species, for example Sarocladium oryzae; Sclerotium species, for example Sclerotium oryzae; Tapesia species, for example Tapesia acuformis; Thielaviopsis species, for example Thielaviopsis basicola;

ear and panicle diseases (including corn cobs) caused, for example, by *Alternaria* species, for example *Alternaria spp.*; *Aspergillus* species, for example *Aspergillus flavus*; *Cladosporium* species, for example *Cladosporium cladosporioides*; *Claviceps* species, for example *Claviceps purpurea*; *Fusarium* species, for example *Fusarium culmorum*; *Gibberella* species, for example *Gibberella zeae*; *Monographella* species, for example *Stagnospora nodorum*;

diseases caused by smut fungi, for example *Sphacelotheca* species, for example *Sphacelotheca reiliana*; *Tilletia* species, for example *Tilletia caries* or *Tilletia controversa*; *Urocystis* species, for example *Urocystis occulta*; *Ustilago* species, for example *Ustilago nuda*;

fruit rot caused, for example, by *Aspergillus* species, for example *Aspergillus flavus*; *Botrytis* species, for example *Botrytis cinerea*; *Monilinia* species, for example *Monilinia laxa*; *Penicillium* species, for example *Penicillium expansum* or *Penicillium purpurogenum*; *Rhizopus* species, for example *Rhizopus stolonifer*;

Sclerotinia species, for example Sclerotinia sclerotiorum; Verticilium species, for example Verticilium alboatrum;

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seed- and soil-borne rot and wilt diseases, and also diseases of seedlings, caused, for example, by Alternaria species, for example Alternaria brassicicola; Aphanomyces species, for example Aphanomyces euteiches; Ascochyta species, for example Ascochyta lentis; Aspergillus species, for example Aspergillus flavus; Cladosporium species, for example Cladosporium herbarum; Cochliobolus species, for example Cochliobolus sativus (conidial form: Drechslera, Bipolaris Syn: Helminthosporium); Colletotrichum species, for example Colletotrichum coccodes; Fusarium species, for example Fusarium culmorum; Gibberella species, for example Gibberella zeae; Macrophomina species, for example Macrophomina phaseolina; Microdochium species, for example Microdochium nivale; Monographella species, for example Monographella nivalis; Penicillium species, for example Penicillium expansum; Phoma species, for example Phoma lingam; Phomopsis species, for example Phomopsis sojae; Phytophthora species, for example Phytophthora cactorum; Pyrenophora species, for example Pyrenophora graminea; Pyricularia species, for example Pyricularia oryzae; Pythium species, for example Pythium ultimum; Rhizoctonia species, for example Rhizoctonia solani; Rhizopus species, for example Rhizopus oryzae; Sclerotium species, for example Sclerotium rolfsii; Septoria species, for example Septoria nodorum; Typhula species, for example Typhula incarnata; Verticillium species, for example Verticillium dahliae;

cancers, galls and witches' broom caused, for example, by Nectria species, for example Nectria galligena;

wilt diseases caused, for example, by *Verticillium* species, for example *Verticillium longisporum*;

20 Fusarium species, for example Fusarium oxysporum;

deformations of leaves, flowers and fruits caused, for example, by *Exobasidium* species, for example *Exobasidium vexans*; *Taphrina* species, for example *Taphrina deformans*;

degenerative diseases in woody plants, caused, for example, by *Esca* species, for example *Phaeomoniella* chlamydospora, *Phaeoacremonium* aleophilum or *Fomitiporia* mediterranea; Ganoderma species, for example Ganoderma boninense;

diseases of plant tubers caused, for example, by *Rhizoctonia* species, for example *Rhizoctonia solani*; *Helminthosporium* species, for example *Helminthosporium solani*;

diseases caused by bacterial pathogens, for example *Xanthomonas* species, for example *Xanthomonas* campestris pv. oryzae; Pseudomonas species, for example Pseudomonas syringae pv. lachrymans; Erwinia species, for example Erwinia amylovora; Liberibacter species, for example Liberibacter asiaticus; Xyella species, for example Xylella fastidiosa; Ralstonia species, for example Ralstonia solanacearum; Dickeya species, for example Dickeya solani; Clavibacter species, for example Clavibacter michiganensis; Streptomyces species, for example Streptomyces scabies.

diseases of soya beans:

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Fungal diseases on leaves, stems, pods and seeds caused, for example, by Alternaria leaf spot (Alternaria spec. atrans tenuissima), Anthracnose (Colletotrichum gloeosporoides dematium var. truncatum), brown spot (Septoria glycines), cercospora leaf spot and blight (Cercospora kikuchii), choanephora leaf blight (Choanephora infundibulifera trispora (Syn.)), dactuliophora leaf spot (Dactuliophora glycines), downy mildew (Peronospora manshurica), drechslera blight (Drechslera glycini), frogeye leaf spot (Cercospora sojina), leptosphaerulina leaf spot (Leptosphaerulina trifolii), phyllostica leaf spot (Phyllosticta sojaecola), pod and stem blight (Phomopsis sojae), powdery mildew (Microsphaera diffusa), pyrenochaeta leaf spot (Pyrenochaeta glycines), rhizoctonia aerial, foliage, and web blight (Rhizoctonia solani), rust (Phakopsora pachyrhizi, Phakopsora meibomiae), scab (Sphaceloma glycines), stemphylium leaf blight (Stemphylium botryosum), sudden death syndrome (Fusarium virguliforme), target spot (Corynespora cassiicola).

Fungal diseases on roots and the stem base caused, for example, by black root rot (*Calonectria crotalariae*), charcoal rot (*Macrophomina phaseolina*), fusarium blight or wilt, root rot, and pod and collar rot (*Fusarium oxysporum*, *Fusarium orthoceras*, *Fusarium semitectum*, *Fusarium equiseti*), mycoleptodiscus root rot (*Mycoleptodiscus terrestris*), neocosmospora (*Neocosmospora vasinfecta*), pod and stem blight (*Diaporthe phaseolorum*), stem canker (*Diaporthe phaseolorum var. caulivora*), phytophthora rot (*Phytophthora megasperma*), brown stem rot (*Phialophora gregata*), pythium rot (*Pythium aphanidermatum*, *Pythium irregulare*, *Pythium debaryanum*, *Pythium myriotylum*, *Pythium ultimum*), rhizoctonia root rot, stem decay, and damping-off (*Rhizoctonia solani*), sclerotinia stem decay (*Sclerotinia sclerotiorum*), sclerotinia southern blight (*Sclerotinia rolfsii*), thielaviopsis root rot (*Thielaviopsis basicola*).

Mycotoxins

In addition, the compound and the composition of the invention may reduce the mycotoxin content in the harvested material and the foods and feeds prepared therefrom. Mycotoxins include particularly, but not exclusively, the following: deoxynivalenol (DON), nivalenol, 15-Ac-DON, 3-Ac-DON, T2- and HT2-toxin, fumonisins, zearalenon, moniliformin, fusarin, diaceotoxyscirpenol (DAS), beauvericin, enniatin, fusaroproliferin, fusarenol, ochratoxins, patulin, ergot alkaloids and aflatoxins which can be produced, for example, by the following fungi: Fusarium spec., such as F. acuminatum, F. asiaticum, F. avenaceum, F. crookwellense, F. culmorum, F. graminearum (Gibberella zeae), F. equiseti, F. fujikoroi, F. musarum, F. oxysporum, F. proliferatum, F. poae, F. pseudograminearum, F. sambucinum, F. scirpi, F. semitectum, F. solani, F. sporotrichoides, F. langsethiae, F. subglutinans, F. tricinctum, F. verticillioides, and also by Aspergillus spec., such as A. flavus, A. parasiticus, A. nomius, A. ochraceus, A. clavatus, A. terreus, A. versicolor, Penicillium spec., such as P. verrucosum, P. viridicatum, P. citrinum, P. expansum, P. claviforme, P. roqueforti, Claviceps spec., such as C. purpurea, C. fusiformis, C. paspali, C. africana, Stachybotrys spec. and others.

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Material Protection

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The compound and the composition of the invention may also be used in the protection of materials, especially for the protection of industrial materials against attack and destruction by phytopathogenic fungi.

In addition, the compound and the composition of the invention may be used as antifouling compositions, alone or in combinations with other active ingredients.

<u>Industrial materials</u> in the present context are understood to mean inanimate materials which have been prepared for use in industry. For example, industrial materials which are to be protected from microbial alteration or destruction may be adhesives, glues, paper, wallpaper and board/cardboard, textiles, carpets, leather, wood, fibers and tissues, paints and plastic articles, cooling lubricants and other materials which can be infected with or destroyed by microorganisms. Parts of production plants and buildings, for example cooling-water circuits, cooling and heating systems and ventilation and air-conditioning units, which may be impaired by the proliferation of microorganisms may also be mentioned within the scope of the materials to be protected. Industrial materials within the scope of the present invention preferably include adhesives, sizes, paper and card, leather, wood, paints, cooling lubricants and heat transfer fluids, more preferably wood.

The compound and the composition of the invention may prevent adverse effects, such as rotting, decay, discoloration, decoloration or formation of mould.

In the case of treatment of wood the compound and the composition of the invention may also be used against fungal diseases liable to grow on or inside timber.

<u>Timber</u> means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. In addition, the compound and the composition of the invention may be used to protect objects which come into contact with saltwater or brackish water, especially hulls, screens, nets, buildings, moorings and signalling systems, from fouling.

The compound and the composition of the invention may also be employed for protecting storage goods. Storage goods are understood to mean natural substances of vegetable or animal origin or processed products thereof which are of natural origin, and for which long-term protection is desired. Storage goods of vegetable origin, for example plants or plant parts, such as stems, leaves, tubers, seeds, fruits, grains, may be protected freshly harvested or after processing by (pre)drying, moistening, comminuting, grinding, pressing or roasting. Storage goods also include timber, both unprocessed, such as construction timber, electricity poles and barriers, or in the form of finished products, such as furniture. Storage goods of animal origin are, for example, hides, leather, furs and hairs. The compound and the composition of the invention may prevent adverse effects, such as rotting, decay, discoloration, decoloration or formation of mould.

Microorganisms capable of degrading or altering industrial materials include, for example, bacteria, fungi, yeasts, algae and slime organisms. The compound and the composition of the invention preferably act against fungi, especially moulds, wood-discoloring and wood-destroying fungi (Ascomycetes, Basidiomycetes, Deuteromycetes and Zygomycetes), and against slime organisms and algae. Examples include microorganisms of the following genera: Alternaria, such as Alternaria tenuis; Aspergillus, such as Aspergillus niger, Chaetomium, such as Chaetomium globosum; Coniophora, such as Coniophora puetana; Lentinus, such as Lentinus tigrinus; Penicillium, such as Penicillium glaucum; Polyporus, such as Polyporus versicolor; Aureobasidium, such as Aureobasidium pullulans; Sclerophoma, such as Sclerophoma pityophila; Trichoderma, such as Trichoderma viride; Ophiostoma spp., Ceratocystis spp., Humicola spp., Petriella spp., Trichurus spp., Coriolus spp., Gloeophyllum spp., Pleurotus spp., Poria spp., Serpula spp. and Tyromyces spp., Cladosporium spp., Paecilomyces spp. Mucor spp., Escherichia, such as Escherichia coli; Pseudomonas, such as Pseudomonas aeruginosa; Staphylococcus, such as Staphylococcus aureus, Candida spp. and Saccharomyces spp., such as Saccharomyces cerevisae.

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Seed Treatment

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The compound and the composition of the invention may also be used to protect seeds from unwanted microorganisms, such as phytopathogenic microorganisms, for instance phytopathogenic fungi or phytopathogenic oomycetes. The term seed(s) as used herein include dormant seeds, primed seeds, pregerminated seeds and seeds with emerged roots and leaves.

Thus, the present invention also relates to a method for protecting seeds from unwanted microorganisms which comprises the step of treating the seeds with the compound or the composition of the invention.

The treatment of seeds with the compound or the composition of the invention protects the seeds from phytopathogenic microorganisms, but also protects the germinating seeds, the emerging seedlings and the plants after emergence from the treated seeds. Therefore, the present invention also relates to a method for protecting seeds, germinating seeds and emerging seedlings.

25 The seeds treatment may be performed prior to sowing, at the time of sowing or shortly thereafter.

When the seeds treatment is performed prior to sowing (e.g. so-called on-seed applications), the seeds treatment may be performed as follows: the seeds may be placed into a mixer with a desired amount of the compound or the composition of the invention, the seeds and the compound or the composition of the invention are mixed until an homogeneous distribution on seeds is achieved. If appropriate, the seeds may then be dried.

The invention also relates to seeds coated with the compound or the composition of the invention.

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Preferably, the seeds are treated in a state in which it is sufficiently stable for no damage to occur in the course of treatment. In general, seeds can be treated at any time between harvest and shortly after sowing. It is customary to use seeds which have been separated from the plant and freed from cobs, shells, stalks, coats, hairs or the flesh of the fruits. For example, it is possible to use seeds which have been harvested, cleaned and dried down to a moisture content of less than 15% by weight. Alternatively, it is also possible to use seeds which, after drying, for example, have been treated with water and then dried again, or seeds just after priming, or seeds stored in primed conditions or pre-germinated seeds, or seeds sown on nursery trays, tapes or paper.

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The amount of the compound or the composition of the invention applied to the seeds is typically such that the germination of the seed is not impaired, or that the resulting plant is not damaged. This must be ensured particularly in case the compound of the invention would exhibit phytotoxic effects at certain application rates. The intrinsic phenotypes of transgenic plants should also be taken into consideration when determining the amount of the compound of the invention to be applied to the seed in order to achieve optimum seed and germinating plant protection with a minimum amount of compound being employed.

The compound of the invention can be applied as such, directly to the seeds, i.e. without the use of any other components and without having been diluted. Also the composition of the invention can be applied to the seeds.

The compound and the composition of the invention are suitable for protecting seeds of any plant variety. Preferred seeds are that of cereals (such as wheat, barley, rye, millet, triticale, and oats), oilseed rape, maize, cotton, soybean, rice, potatoes, sunflower, beans, coffee, peas, beet (e.g. sugar beet and fodder beet), peanut, vegetables (such as tomato, cucumber, onions and lettuce), lawns and ornamental plants. More preferred are seeds of wheat, soybean, oilseed rape, maize and rice.

The compound and the composition of the invention may be used for treating transgenic seeds, in particular seeds of plants capable of expressing a polypeptide or protein which acts against pests, herbicidal damage or abiotic stress, thereby increasing the protective effect. Seeds of plants capable of expressing a polypeptide or protein which acts against pests, herbicidal damage or abiotic stress may contain at least one heterologous gene which allows the expression of said polypeptide or protein. These heterologous genes in transgenic seeds may originate, for example, from microorganisms of the species Bacillus, Rhizobium, Pseudomonas, Serratia, Trichoderma, Clavibacter, Glomus or Gliocladium. These heterologous genes preferably originate from Bacillus sp., in which case the gene product is effective against the European corn borer and/or the Western corn rootworm. Particularly preferably, the heterologous genes originate from Bacillus thuringiensis.

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Application

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The compound of the invention can be applied as such, or for example in the form of as ready-to-use solutions, emulsions, water- or oil-based suspensions, powders, wettable powders, pastes, soluble powders, dusts, soluble granules, granules for broadcasting, suspoemulsion concentrates, natural products impregnated with the compound of the invention, synthetic substances impregnated with the compound of the invention, fertilizers or microencapsulations in polymeric substances.

Application is accomplished in a customary manner, for example by watering, spraying, atomizing, broadcasting, dusting, foaming or spreading-on. It is also possible to deploy the compound of the invention by the ultra-low volume method, via a drip irrigation system or drench application, to apply it in-furrow or to inject it into the soil stem or trunk. It is further possible to apply the compound of the invention by means of a wound seal, paint or other wound dressing.

The effective and plant-compatible amount of the compound of the invention which is applied to the plants, plant parts, fruits, seeds or soil will depend on various factors, such as the compound/composition employed, the subject of the treatment (plant, plant part, fruit, seed or soil), the type of treatment (dusting, spraying, seed dressing), the purpose of the treatment (curative and protective), the type of microorganisms, the development stage of the microorganisms, the sensitivity of the microorganisms, the crop growth stage and the environmental conditions.

When the compound of the invention is used as a fungicide, the application rates can vary within a relatively wide range, depending on the kind of application. For the treatment of plant parts, such as leaves, the application rate may range from 0.1 to 10 000 g/ha, preferably from 10 to 1000 g/ha, more preferably from 50 to 300 g/ha (in the case of application by watering or dripping, it is even possible to reduce the application rate, especially when inert substrates such as rockwool or perlite are used). For the treatment of seeds, the application rate may range from 0.1 to 200 g per 100 kg of seeds, preferably from 1 to 150 g per 100 kg of seeds, more preferably from 2.5 to 25 g per 100 kg of seeds, even more preferably from 2.5 to 12.5 g per 100 kg of seeds. For the treatment of soil, the application rate may range from 0.1 to 10 000 g/ha, preferably from 1 to 5000 g/ha.

These application rates are merely examples and are not intended to limit the scope of the present invention.

The compound and the composition of the invention can be used in combination with models e.g. embedded in computer programs for site specific crop management, satellite farming, precision farming or precision agriculture. Such models support the site specific management of agricultural sites with data from various sources such as soils, weather, crops (e.g. type, growth stage, plant health), weeds (e.g. type, growth stage), diseases, pests, nutrients, water, moisture, biomass, satellite data, yield etc. with the purpose to optimize profitability, sustainability and protection of the environment. In particular, such models can

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help to optimize agronomical decisions, control the precision of pesticide applications and record the work performed.

As an example, the compound of the invention can be applied to a crop plant according to appropriate dose regime if a model models the development of a fungal disease and calculates that a threshold has been reached for which it is recommendable to apply the compound of the invention to the crop plant.

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Commercially available systems which include agronomic models are e.g. FieldScriptsTM from The Climate Corporation, XarvioTM from BASF, AGLogicTM from John Deere, etc.

The compound of the invention can also be used in combination with smart spraying equipment such as e.g. spot spraying or precision spraying equipment attached to or housed within a farm vehicle such as a tractor, robot, helicopter, airplane, unmanned aerial vehicle (UAV) such as a drone, etc. Such an equipment usually includes input sensors (such as e.g. a camera) and a processing unit configured to analyze the input data and configured to provide a decision based on the analysis of the input data to apply the compound of the invention to the crop plants (respectively the weeds) in a specific and precise manner. The use of such smart spraying equipment usually also requires positions systems (e.g. GPS receivers) to localize recorded data and to guide or to control farm vehicles; geographic information systems (GIS) to represent the information on intelligible maps, and appropriate farm vehicles to perform the required farm action such as the spraying.

In an example, fungal diseases can be detected from imagery acquired by a camera. In an example, fungal diseases can be identified and/or classified based on that imagery. Such identification and/ classification can make use of image processing algorithms. Such image processing algorithms can utilize machine learning algorithms, such as trained neutral networks, decision trees and utilize artificial intelligence algorithms. In this manner, the compounds described herein can be applied only where needed.

Aspects of the present teaching may be further understood in light of the following examples, which should not be construed as limiting the scope of the present teaching in any way.

EXAMPLES

Generality

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Measurement of LogP values

Measurement of LogP values as provided herein was performed according to EEC directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) on reversed phase columns with the following methods:

- [a] LogP value is determined by measurement of LC-UV, in an acidic range, with 0.1% formic acid in water and acetonitrile as eluent (linear gradient from 10% acetonitrile to 95% acetonitrile).
- [b] LogP value is determined by measurement of LC-UV, in a neutral range, with 0.001 molar ammonium acetate solution in water and acetonitrile as eluent (linear gradient from 10% acetonitrile to 95% acetonitrile).
 - ^[c] LogP value is determined by measurement of LC-UV, in an acidic range, with 0.1% phosphoric acid and acetonitrile as eluent (linear gradient from 10% acetonitrile to 95% acetonitrile).

If more than one LogP value is available within the same method, all the values are given and separated by "+".

Calibration was done with straight-chain alkan2-ones (with 3 to 16 carbon atoms) with known LogP values (measurement of LogP values using retention times with linear interpolation between successive alkanones). Lambda-max-values were determined using UV-spectra from 200 nm to 400 nm and the peak values of the chromatographic signals

20 H-NMR data

 1 H-NMR data of selected examples as provided herein are written in form of 1 H-NMR-peak lists. To each signal peak are listed the δ-value in ppm and the signal intensity in round brackets. Between the δ-value – signal intensity pairs are semicolons as delimiters.

The peak list of an example has therefore the form:

 δ_1 (intensity₁); δ_2 (intensity₂);; δ_i (intensity_i);; δ_n (intensity_n)

Intensity of sharp signals correlates with the height of the signals in a printed example of a NMR spectrum in cm and shows the real relations of signal intensities. From broad signals several peaks or the middle of the signal and their relative intensity in comparison to the most intensive signal in the spectrum can be shown.

For calibrating chemical shift for ¹H spectra, we use tetramethylsilane and/or the chemical shift of the solvent used, especially in the case of spectra measured in dimethyl sulfoxide (DMSO). Therefore in NMR peak lists, tetramethylsilane peak can occur but not necessarily.

The ¹H-NMR peak lists are similar to classical ¹H-NMR prints and contains therefore usually all peaks, which are listed at classical NMR-interpretation.

Additionally they can show like classical ¹H-NMR prints signals of solvents, stereoisomers of the target compounds, which are also object of the invention, and/or peaks of impurities.

To show compound signals in the delta-range of solvents and/or water the usual peaks of solvents, for example peaks of DMSO in DMSO-D₆ and the peak of water are shown in our ¹H-NMR peak lists and have usually on average a high intensity.

The peaks of stereoisomers of the target compounds and/or peaks of impurities have usually on average a lower intensity than the peaks of target compounds (for example with a purity >90%).

Such stereoisomers and/or impurities can be typical for the specific preparation process. Therefore their peaks can help to recognize the reproduction of our preparation process via "side-products-fingerprints".

An expert, who calculates the peaks of the target compounds with known methods (MestreC, ACD-simulation, but also with empirically evaluated expectation values) can isolate the peaks of the target compounds as needed optionally using additional intensity filters. This isolation would be similar to relevant peak picking at classical ¹H-NMR interpretation.

Further details of NMR-data description with peak lists you find in the publication "Citation of NMR Peaklist Data within Patent Applications" of the Research Disclosure Database Number 564025.

The following examples illustrate in a non-limiting manner the preparation and biological activity of the compounds of formula (I) according to the invention.

SYNTHESIS OF COMPOUNDS OF FORMULA (I)

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Table 1 illustrates in a non-limiting manner examples of compounds of formula (I) according to the invention:

The compounds of formula (I) which are mentioned in table 1 herein below were prepared in accordance with the procedures detailed herein below in connection with specific examples and with the general description of the processes herein disclosed.

In table 1, the logP values were determined according to method [a].

Table 1:

Ex Nº	X	\mathbb{R}^1	\mathbb{R}^2	R ³	LogP	Remark
I.001	Н	-CH ₂ -Cl	F ₂ -CH ₂ -	phenyl	3.13 ^[a]	
I.002	Н	-CH ₂ -CH(OC(=0)CH ₃)-CH ₂ -		2-fluorophenyl	2.94 ^[a]	Single Stereoisomer (cis)
I.003	Н	-CH ₂ -CH(OH)-CH ₂ -	2-fluorophenyl	2.11 ^[a]	Single Stereoisomer (cis)
I.004	Н	-CH ₂ -CHF-CH ₂ -		2-fluorophenyl	3.15 ^[a]	Single Stereoisomer (trans)
I.005	Н	-CH ₂ -CH(CH ₃)-CH ₂ -	2-fluorophenyl	3.83 ^[a]	2 Stereoisomers present
I.006	Н	-CH ₂ -Cl	F ₂ -CH ₂ -	2-fluorophenyl	3.28 ^[a]	
I.007	Н	-CH ₂ -CH	HF-CH ₂ -	2,6-difluorophenyl	3.22 ^[a]	2 Stereoisomers present
I.008	Н	-CH ₂ -CHF-CH ₂ -		4-bromophenyl	3.75 ^[a]	2 Stereoisomers present
I.009	F	-CH ₂ -CH(OH)-CH ₂ -	2,6-difluorophenyl	2.75 ^[a]	2 Stereoisomers present
I.010	Н	-CH ₂ -CH(OH)-CH ₂ -		phenyl	2.05 ^[a]	2 Stereoisomers present
I.011	Н	-CH ₂ -CH(OH)-CH ₂ -		4-chlorophenyl	2.46 ^[a]	2 Stereoisomers present
I.012	Н	-CH ₂ -Cl	F ₂ -CH ₂ -	2,6-difluorophenyl	3.27 ^[a]	
I.013	Н	-CH(CF	3)-CH ₂ -	phenyl	3.31 ^[a]	Mixture of stereoisomers
I.014	Н	-CH ₂ -CH	HF-CH ₂ -	phenyl	3.06 ^[a]	2 Stereoisomers present
I.015	Н	-CH ₂ -C(O-CH	₂ -CH ₂ -O)-CH ₂	4-bromophenyl	3.26 ^[a]	
I.016	Н	-CH ₂ -CH(OH)-CH ₂ -	4-fluorophenyl	2.16 ^[a]	2 Stereoisomers present
I.017	Н	-CH ₂ -CH(CH ₃)-CH ₂ -	2,6-difluorophenyl	3.89 ^[a]	2 Stereoisomers present
I.018	Н	-CH ₂ -CH(OH)-CH ₂ -	2,6-difluorophenyl	2.19 ^[a]	2 Stereoisomers present

Table 2 provides the NMR data (¹H) of a selected number of compounds from table 1.

Table 2: NMR peak lists

I.001: ¹H-NMR(400.1 MHz, d₆-DMSO):

 δ = 9.3076 (6.2); 8.9124 (1.9); 8.7706 (1.9); 7.9599 (2.8); 7.6434 (2.1); 7.5149 (4.8); 7.4892 (5.9); 7.4706 (8.0); 7.3869 (2.5); 7.3583 (4.0); 7.3395 (7.6); 7.3200 (4.3); 7.2470 (2.7); 7.2289 (3.8); 7.2108 (1.6); 3.3677 (0.5); 3.3250 (45.8); 3.2988 (4.5); 3.2845 (5.5); 3.2520 (3.2); 3.2176 (1.0); 2.8973 (16.0); 2.7382 (14.7); 2.5082 (20.1)

I.002: ¹H-NMR(400.1 MHz, d₆-DMSO):

 $\delta = 9.2446\ (3.9);\ 8.8342\ (1.1);\ 8.7256\ (1.1);\ 7.6545\ (1.1);\ 7.6344\ (2.2);\ 7.6276\ (2.0);\ 7.6167\ (1.3);\ 7.4987\ (2.8);\\ 7.3705\ (1.4);\ 7.2954\ (1.3);\ 7.2791\ (1.4);\ 7.2632\ (0.9);\ 7.1636\ (2.6);\ 7.1455\ (3.0);\ 7.1304\ (2.4);\ 7.1117\ (1.2);\ 4.8240\ (1.2);\ 4.8067\ (1.7);\ 4.7896\ (1.2);\ 4.7725\ (0.4);\ 3.3145\ (24.6);\ 3.2878\ (2.1);\ 3.2565\ (2.2);\ 3.2372\ (1.8);\ 2.6959\ (1.9);\\ 2.6803\ (2.2);\ 2.6621\ (2.0);\ 2.6459\ (1.7);\ 2.5015\ (20.7);\ 2.2478\ (0.3);\ 2.1586\ (0.3);\ 2.0114\ (16.0);\ 1.9929\ (1.4);\\ 1.5069\ (0.8);\ 1.2438\ (0.4);\ 1.1739\ (0.3);\ 0.8580\ (0.3);\ -0.0002\ (9.3)$

I.003: ¹H-NMR(500.1 MHz, d₆-DMSO):

 $\delta = 9.1398 \ (3.4); \ 8.7954 \ (0.9); \ 8.6843 \ (0.9); \ 7.6795 \ (0.8); \ 7.6636 \ (1.5); \ 7.6475 \ (0.8); \ 7.5928 \ (1.1); \ 7.4901 \ (2.4); \\ 7.3875 \ (1.2); \ 7.2700 \ (0.4); \ 7.2558 \ (0.9); \ 7.2434 \ (1.0); \ 7.2302 \ (0.5); \ 7.1427 \ (1.2); \ 7.1276 \ (2.1); \ 7.1109 \ (1.6); \ 7.0927 \ (1.0); \ 7.0854 \ (1.1); \ 7.0692 \ (0.9); \ 5.1916 \ (2.5); \ 5.1792 \ (2.6); \ 3.9255 \ (0.5); \ 3.9114 \ (1.0); \ 3.8974 \ (1.0); \ 3.8833 \ (0.6); \\ 3.3125 \ (16.0); \ 3.1601 \ (1.0); \ 3.1409 \ (1.4); \ 3.1237 \ (1.1); \ 2.5020 \ (7.5); \ 2.4281 \ (1.2); \ 2.4119 \ (1.5); \ 2.4078 \ (1.6); \ 2.4034 \ (1.4); \ 2.3873 \ (1.2); \ 1.9884 \ (1.3); \ 1.1891 \ (0.3); \ 1.1750 \ (0.6); \ 1.1607 \ (0.3); \ -0.0002 \ (2.4)$

I.004: ¹H-NMR(500.1 MHz, d₆-DMSO):

 δ = 9.0063 (1.3); 7.9525 (0.3); 7.6033 (0.4); 7.5601 (0.6); 7.5006 (0.9); 7.3981 (0.5); 7.2590 (0.3); 7.2449 (0.4); 7.1448 (0.5); 7.1297 (0.8); 7.1160 (0.7); 7.1008 (0.4); 7.0942 (0.4); 7.0778 (0.3); 3.3106 (16.0); 3.2882 (0.5); 2.8905 (2.0); 2.7487 (0.3); 2.7313 (2.1); 2.5012 (5.2); -0.0002 (1.4)

I.005: ¹H-NMR(500.1 MHz, d₆-DMSO):

 $\delta = 9.0755\ (2.1);\ 8.9682\ (0.6);\ 8.7931\ (0.6);\ 8.6822\ (0.5);\ 7.6874\ (0.5);\ 7.6717\ (1.0);\ 7.6575\ (0.5);\ 7.6550\ (0.5);\ 7.5934\ (0.8);\ 7.4964\ (0.7);\ 7.4908\ (2.0);\ 7.3881\ (0.9);\ 7.2566\ (0.6);\ 7.2540\ (0.6);\ 7.2423\ (0.6);\ 7.2310\ (0.4);\ 7.2282\ (0.4);\ 7.1483\ (0.9);\ 7.1331\ (1.4);\ 7.1180\ (0.7);\ 7.1122\ (0.9);\ 7.0962\ (1.0);\ 7.0887\ (0.8);\ 7.0723\ (0.6);\ 3.3116\ (16.0);\ 2.9686\ (0.7);\ 2.9539\ (1.0);\ 2.9475\ (1.0);\ 2.9329\ (0.9);\ 2.9174\ (0.4);\ 2.9138\ (0.3);\ 2.8909\ (1.1);\ 2.7317\ (0.8);\ 2.5055\ (4.6);\ 2.5020\ (6.5);\ 2.4987\ (5.1);\ 2.2161\ (0.6);\ 2.1992\ (1.2);\ 2.1877\ (0.6);\ 2.1769\ (1.6);\ 2.1658\ (0.8);\ 2.1508\ (0.6);\ 2.1367\ (0.5);\ 2.0736\ (0.4);\ 1.1406\ (4.2);\ 1.1282\ (4.4);\ 1.0723\ (1.3);\ 1.0590\ (1.3);\ -0.0002\ (3.1)$

I.006: ¹H-NMR(500.1 MHz, d₆-DMSO):

 $\delta = 9.3068\ (1.5);\ 7.6283\ (0.3);\ 7.6152\ (0.6);\ 7.6097\ (0.8);\ 7.5987\ (0.4);\ 7.5957\ (0.4);\ 7.5067\ (1.5);\ 7.4042\ (0.7);$ $7.3093\ (0.4);\ 7.3058\ (0.4);\ 7.2979\ (0.4);\ 7.2931\ (0.4);\ 7.1731\ (0.5);\ 7.1597\ (0.8);\ 7.1569\ (0.5);\ 7.1466\ (1.1);\ 7.1321\ (0.8);\ 7.1297\ (0.4);\ 3.3919\ (1.0);\ 3.3706\ (1.4);\ 3.3654\ (1.3);\ 3.3436\ (1.1);\ 3.3088\ (16.0);\ 2.5081\ (3.0);\ 2.5045\ (6.4);$ $2.5009\ (9.0);\ 2.4972\ (6.6);\ 2.4937\ (3.1);\ 2.0727\ (1.1);\ -0.0002\ (7.4)$

I.007: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.9180\ (16.0);\ 8.8785\ (0.6);\ 8.8673\ (0.4);\ 7.2602\ (10.0);\ 7.2103\ (0.8);\ 7.1946\ (1.4);\ 7.1895\ (1.6);\ 7.1739\ (2.9);\\ 7.1582\ (1.6);\ 7.1533\ (1.8);\ 7.1375\ (0.9);\ 6.9996\ (2.7);\ 6.8707\ (5.7);\ 6.8603\ (1.0);\ 6.8492\ (4.4);\ 6.8397\ (1.1);\ 6.8281\ (8.1);\ 6.8182\ (1.4);\ 6.8070\ (4.0);\ 6.7967\ (0.9);\ 6.7413\ (2.9);\ 6.2563\ (3.6);\ 5.3894\ (0.3);\ 5.3724\ (1.1);\ 5.3554\ (1.7);\\ 5.3385\ (1.2);\ 5.3214\ (0.4);\ 5.2321\ (1.1);\ 5.2151\ (1.7);\ 5.1982\ (1.2);\ 5.1815\ (0.4);\ 3.2856\ (2.2);\ 3.2704\ (2.4);\ 3.2623\ (2.5);\ 3.0086\ (1.1);\ 3.0023\ (1.1);\ 2.9925\ (1.3);\ 2.9847\ (1.5);\ 2.9576\ (2.0);\ 2.9389\ (1.4);\ 2.9310\ (1.5);\ 2.9122\ (1.1);\\ 2.9052\ (1.1);\ 1.5659\ (8.9);\ 0.0699\ (0.3);\ 0.0062\ (0.6);\ -0.0002\ (14.2);\ -0.0011\ (13.3)$

I.008: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.8920\ (4.8);\ 7.4547\ (11.7);\ 7.4333\ (16.0);\ 7.3184\ (15.8);\ 7.2971\ (12.0);\ 7.2608\ (12.9);\ 7.0088\ (3.9);\ 6.8797\ (8.4);\ 6.7504\ (4.2);\ 6.1687\ (7.0);\ 5.4310\ (0.5);\ 5.4152\ (1.8);\ 5.3987\ (2.7);\ 5.3823\ (1.9);\ 5.3657\ (0.6);\ 5.2920\ (0.5);\ 5.2757\ (1.8);\ 5.2592\ (2.7);\ 5.2427\ (1.9);\ 5.2266\ (0.5);\ 3.1706\ (2.0);\ 3.1631\ (1.9);\ 3.1429\ (4.1);\ 3.1346\ (4.3);\ 3.1247\ (4.4);\ 3.1187\ (4.4);\ 3.1155\ (4.4);\ 3.1059\ (2.5);\ 3.0979\ (2.6);\ 2.8912\ (2.4);\ 2.8839\ (2.1);\ 2.8754\ (2.7);\ 2.8660\ (2.3);\ 2.8564\ (2.3);\ 2.8471\ (2.2);\ 2.8370\ (3.7);\ 2.8301\ (2.6);\ 2.8208\ (2.8);\ 2.8112\ (2.4);\ 2.8018\ (2.4);\ 2.7935\ (1.9);\ 2.7861\ (2.1);\ 2.0050\ (5.0);\ 1.5951\ (15.3);\ -0.0002\ (14.5)$

I.009: ¹H-NMR(400.1 MHz, d₆-DMSO):

 δ = 9.2672 (5.8); 8.8344 (1.3); 8.7305 (1.3); 7.9524 (2.8); 7.3027 (0.4); 7.2868 (1.2); 7.2821 (1.2); 7.2663 (2.2); 7.2504 (1.4); 7.2459 (1.5); 7.2302 (0.6); 6.9852 (3.5); 6.9630 (5.8); 6.9413 (3.1); 5.1882 (4.6); 5.1740 (4.8); 4.0572 (1.1); 4.0406 (2.0); 4.0245 (2.0); 4.0075 (1.1); 3.3032 (48.3); 3.2645 (2.9); 3.2451 (2.3); 2.8906 (16.0); 2.7315 (14.5); 2.5008 (22.6); 2.4700 (3.0); 2.4637 (3.0); 2.4389 (2.3); -0.0002 (6.7)

I.010: ¹H-NMR(500.1 MHz, CDCl3):

 $\delta = 8.8807 \ (16.0); \ 7.4924 \ (3.9); \ 7.4897 \ (5.3); \ 7.4860 \ (1.6); \ 7.4753 \ (6.0); \ 7.4734 \ (5.9); \ 7.4693 \ (0.9); \ 7.3685 \ (3.5); \\ 7.3647 \ (1.3); \ 7.3537 \ (6.4); \ 7.3408 \ (1.7); \ 7.3377 \ (4.0); \ 7.3340 \ (0.7); \ 7.2778 \ (1.3); \ 7.2756 \ (2.4); \ 7.2733 \ (1.4); \ 7.2610 \\ (10.8); \ 7.2485 \ (0.8); \ 7.2462 \ (1.3); \ 7.2440 \ (0.7); \ 6.9815 \ (2.3); \ 6.8781 \ (5.5); \ 6.7747 \ (2.6); \ 6.5160 \ (2.9); \ 4.3411 \ (0.8); \\ 4.3274 \ (1.6); \ 4.3136 \ (1.6); \ 4.2998 \ (0.8); \ 4.1284 \ (0.4); \ 4.1141 \ (0.4); \ 3.2649 \ (2.6); \ 3.2599 \ (1.4); \ 3.2503 \ (2.7); \ 3.2440 \\ (2.4); \ 3.2375 \ (3.1); \ 3.2290 \ (1.4); \ 3.2278 \ (1.4); \ 3.2229 \ (2.8); \ 2.8115 \ (2.1); \ 2.7973 \ (2.1); \ 2.7676 \ (2.9); \ 2.7612 \ (1.6); \\ 2.7547 \ (2.9); \ 2.7473 \ (1.8); \ 2.7402 \ (2.7); \ 2.7334 \ (1.4); \ 2.7273 \ (2.6); \ 2.0437 \ (1.9); \ 1.6358 \ (8.8); \ 1.2724 \ (0.6); \ 1.2581 \\ (1.1); \ 1.2438 \ (0.5); \ 0.0063 \ (0.3); \ -0.0002 \ (9.6); \ -0.0068 \ (0.3)$

I.011: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.8805\ (12.6);\ 7.4318\ (7.0);\ 7.4105\ (10.0);\ 7.3133\ (9.9);\ 7.2920\ (7.1);\ 7.2636\ (6.7);\ 7.0112\ (2.4);\ 6.8820\ (5.0);\\ 6.7528\ (2.5);\ 6.5303\ (4.8);\ 4.3531\ (1.2);\ 4.3362\ (2.4);\ 4.3192\ (2.5);\ 4.3021\ (1.4);\ 4.2850\ (0.3);\ 4.1487\ (0.9);\ 4.1308\ (2.8);\ 4.1130\ (2.8);\ 4.0952\ (1.0);\ 3.2291\ (2.8);\ 3.2232\ (2.2);\ 3.2110\ (3.1);\ 3.2033\ (3.4);\ 3.1955\ (3.6);\ 3.1839\ (2.3);\\ 3.1774\ (3.2);\ 2.6806\ (3.2);\ 2.6737\ (2.4);\ 2.6639\ (3.5);\ 2.6555\ (3.2);\ 2.6469\ (3.2);\ 2.6371\ (2.3);\ 2.6302\ (3.0);\ 2.5933\ (3.9);\ 2.5769\ (3.9);\ 2.0458\ (11.6);\ 1.6494\ (16.0);\ 1.3210\ (0.7);\ 1.3031\ (1.5);\ 1.2769\ (5.7);\ 1.2596\ (11.4);\ 1.2415\ (4.1);\ 0.8978\ (3.0);\ 0.8817\ (7.0);\ 0.8641\ (3.4);\ -0.0002\ (4.8)$

I.012: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 9.2214\ (0.5);\ 8.9355\ (16.0);\ 8.9004\ (0.3);\ 8.0190\ (1.9);\ 7.2609\ (20.5);\ 7.2330\ (1.5);\ 7.2160\ (2.4);\ 7.1953\ (1.5);\ 7.1795\ (0.7);\ 7.0185\ (0.3);\ 7.0032\ (2.1);\ 6.8891\ (1.5);\ 6.8805\ (4.1);\ 6.8741\ (5.5);\ 6.8593\ (6.6);\ 6.8379\ (3.3);\ 6.7596\ (0.4);\ 6.7448\ (2.2);\ 6.4595\ (3.5);\ 3.5925\ (0.8);\ 3.5756\ (0.8);\ 3.5571\ (0.3);\ 3.4904\ (0.9);\ 3.4529\ (2.3);\ 3.4229\ (2.4);\ 3.4145\ (2.2);\ 3.3848\ (1.6);\ 3.3356\ (1.6);\ 3.3251\ (1.9);\ 3.2940\ (2.8);\ 3.2648\ (1.2);\ 3.2555\ (1.0);\ 2.9567\ (11.0);\ 2.8847\ (10.4);\ 1.5658\ (38.6);\ 1.2721\ (3.8);\ 1.2548\ (4.2);\ 1.2349\ (0.9);\ -0.0002\ (24.4)$

I.013: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 9.1215\ (0.4);\ 9.0361\ (2.2);\ 8.9281\ (2.2);\ 7.6435\ (13.6);\ 7.6265\ (16.0);\ 7.3275\ (5.1);\ 7.3116\ (15.6);\ 7.2929\ (19.5);$ $7.2721\ (7.1);\ 7.2572\ (8.3);\ 7.0168\ (5.3);\ 6.8875\ (13.8);\ 6.8775\ (11.7);\ 6.7584\ (5.7);\ 2.3765\ (0.6);\ 2.3587\ (2.4);$ $2.3410\ (4.1);\ 2.3346\ (3.6);\ 2.3230\ (3.7);\ 2.3166\ (4.7);\ 2.2988\ (3.1);\ 2.2812\ (1.1);\ 2.0206\ (5.1);\ 2.0042\ (9.8);\ 1.9877\ (5.5);\ 1.7142\ (4.9);\ 1.6976\ (5.5);\ 1.6902\ (6.1);\ 1.6736\ (5.5);\ 1.3008\ (0.4);\ 1.2631\ (1.8);\ 0.8969\ (0.6);\ 0.8814\ (1.4);$ $0.8639\ (0.8);\ -0.0002\ (7.3)$

I.014: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.8812\ (14.1);\ 7.4402\ (11.5);\ 7.4209\ (16.0);\ 7.3414\ (7.8);\ 7.3229\ (14.7);\ 7.3033\ (8.3);\ 7.2575\ (3.1);\ 7.2459\ (5.5);\ 7.2276\ (7.2);\ 7.2095\ (2.6);\ 7.0094\ (4.6);\ 6.8803\ (9.8);\ 6.7511\ (4.9);\ 6.4289\ (8.2);\ 5.4504\ (0.6);\ 5.4339\ (2.2);\ 5.4174\ (3.2);\ 5.4009\ (2.2);\ 5.3844\ (0.6);\ 5.3105\ (0.6);\ 5.2939\ (2.1);\ 5.2774\ (3.2);\ 5.2609\ (2.2);\ 5.2445\ (0.6);\ 4.1307\ (0.7);\ 4.1129\ (0.7);\ 3.2113\ (2.4);\ 3.2037\ (2.3);\ 3.1904\ (4.3);\ 3.1842\ (4.9);\ 3.1756\ (5.0);\ 3.1654\ (5.2);\ 3.1595\ (5.2);\ 3.1569\ (5.2);\ 3.1470\ (2.8);\ 3.1388\ (3.0);\ 2.9392\ (2.9);\ 2.9317\ (2.6);\ 2.9234\ (3.2);\ 2.9141\ (2.8);\ 2.9046\ (2.7);\ 2.8952\ (2.6);\ 2.8847\ (4.3);\ 2.8771\ (2.9);\ 2.8682\ (3.2);\ 2.8587\ (2.8);\ 2.8494\ (2.7);\ 2.8410\ (2.2);\ 2.8336\ (2.4);\ 2.0428\ (3.0);\ 1.7707\ (11.0);\ 1.3208\ (0.6);\ 1.3022\ (1.3);\ 1.2643\ (6.2);\ 1.2385\ (1.4);\ 0.8967\ (2.5);\ 0.8806\ (6.0);\ 0.8630\ (2.8);\ -0.0002\ (2.7)$

I.015: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.8804\ (2.5);\ 7.8782\ (0.7);\ 7.5074\ (1.0);\ 7.4864\ (1.2);\ 7.4352\ (5.1);\ 7.4136\ (12.7);\ 7.3860\ (12.7);\ 7.3644\ (5.2);$ $7.2640\ (4.0);\ 7.2208\ (1.1);\ 7.1997\ (0.9);\ 7.0078\ (2.4);\ 6.8786\ (5.2);\ 6.7494\ (2.6);\ 6.6300\ (5.7);\ 4.1312\ (0.7);\ 4.1133\ (0.7);\ 3.9811\ (0.8);\ 3.9714\ (1.7);\ 3.9566\ (8.8);\ 3.9470\ (15.7);\ 3.9445\ (16.0);\ 3.9351\ (9.9);\ 3.9220\ (3.3);\ 3.0906\ (5.3);$ $3.0551\ (8.8);\ 3.0189\ (1.0);\ 2.9483\ (8.5);\ 2.9129\ (5.3);\ 2.0457\ (2.9);\ 2.0064\ (0.4);\ 1.6828\ (8.8);\ 1.3212\ (0.5);\ 1.3030\ (1.2);\ 1.2626\ (6.2);\ 1.2414\ (1.6);\ 0.8974\ (2.4);\ 0.8813\ (5.6);\ 0.8637\ (2.7);\ -0.0002\ (4.1)$

I.016: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.8905\ (13.4);\ 7.4792\ (2.8);\ 7.4660\ (3.3);\ 7.4575\ (3.6);\ 7.4444\ (3.2);\ 7.2638\ (5.2);\ 7.0456\ (3.0);\ 7.0241\ (5.6);$ $7.0124\ (2.4);\ 7.0026\ (2.9);\ 6.8831\ (3.4);\ 6.7539\ (1.7);\ 6.4607\ (3.1);\ 4.3325\ (0.8);\ 4.3152\ (1.7);\ 4.2982\ (1.8);\ 4.2809\ (1.0);\ 4.1488\ (0.6);\ 4.1310\ (1.8);\ 4.1132\ (1.8);\ 4.0953\ (0.6);\ 3.2419\ (2.0);\ 3.2362\ (1.5);\ 3.2237\ (2.2);\ 3.2159\ (2.4);$ $3.2082\ (2.5);\ 3.1901\ (2.2);\ 2.7206\ (2.2);\ 2.7137\ (1.7);\ 2.7041\ (2.4);\ 2.6956\ (2.1);\ 2.6868\ (2.3);\ 2.6717\ (4.5);\ 2.6553\ (2.9);\ 2.0459\ (7.6);\ 1.6407\ (16.0);\ 1.2772\ (2.2);\ 1.2595\ (4.4);\ 1.2416\ (2.1);\ 0.8818\ (0.7);\ 0.8642\ (0.3);\ -0.0002\ (4.1)$

I.017: ¹H-NMR(400.1 MHz, d₆-DMSO):

 $\delta = 9.1832\ (8.0);\ 9.0618\ (4.1);\ 8.8119\ (2.5);\ 8.7111\ (2.6);\ 7.9538\ (3.0);\ 7.6267\ (4.2);\ 7.4984\ (9.3);\ 7.3702\ (4.6);$ $7.2942\ (0.6);\ 7.2743\ (2.1);\ 7.2581\ (4.0);\ 7.2391\ (3.7);\ 7.2223\ (2.0);\ 7.2041\ (0.6);\ 6.9794\ (5.0);\ 6.9572\ (10.7);\ 6.9351\ (8.6);\ 6.9134\ (2.6);\ 3.3185\ (78.4);\ 3.0884\ (2.8);\ 3.0603\ (4.8);\ 3.0415\ (3.1);\ 2.9938\ (0.3);\ 2.9367\ (2.4);\ 2.9136\ (1.8);$ $2.8915\ (16.0);\ 2.7323\ (14.5);\ 2.6717\ (0.4);\ 2.5917\ (0.6);\ 2.5720\ (0.9);\ 2.5548\ (0.9);\ 2.5025\ (47.2);\ 2.3950\ (0.4);$ $2.3416\ (3.1);\ 2.3253\ (5.4);\ 2.2981\ (7.8);\ 2.2818\ (2.8);\ 2.2645\ (2.2);\ 2.2478\ (1.3);\ 2.2088\ (1.8);\ 2.1825\ (2.7);\ 2.1585\ (1.5);\ 1.1898\ (14.8);\ 1.1742\ (15.0);\ 1.1194\ (0.7);\ 1.1033\ (0.7);\ 1.0692\ (7.9);\ 1.0529\ (7.9);\ -0.0002\ (16.2)$

I.018: ¹H-NMR(400.1 MHz, CDCl3):

 $\delta = 8.9174\ (14.4);\ 8.0183\ (2.7);\ 7.2606\ (40.8);\ 7.2278\ (0.7);\ 7.2071\ (1.2);\ 7.1907\ (2.1);\ 7.1705\ (1.3);\ 7.1538\ (0.6);\\ 7.0009\ (2.0);\ 6.8715\ (4.5);\ 6.8630\ (3.5);\ 6.8413\ (5.6);\ 6.8196\ (2.9);\ 6.7423\ (1.9);\ 6.5167\ (3.1);\ 4.4046\ (0.9);\ 4.3928\ (1.6);\ 4.3768\ (1.6);\ 4.3646\ (0.9);\ 4.3489\ (0.4);\ 3.4618\ (2.2);\ 3.4454\ (2.3);\ 3.4279\ (2.5);\ 3.4110\ (2.3);\ 2.9565\ (16.0);\\ 2.8843\ (15.1);\ 2.7133\ (2.8);\ 2.7024\ (3.0);\ 2.6790\ (2.8);\ 2.6677\ (2.5);\ 2.5921\ (2.9);\ 2.5760\ (2.8);\ 1.5576\ (75.8);\\ 1.2554\ (0.4);\ -0.0002\ (48.0);\ -0.0248\ (0.6)$

PREPARATION EXAMPLES

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<u>Preparation example 1:</u> *cis*-3-({5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl}amino)-3-(2-fluorophenyl)cyclobutanol (compound I.003)

5 <u>Step 1</u>: preparation of 2-chloro-N'-(difluoroacetyl)pyrimidine-5-carbohydrazide

To a solution of 33.0 g of 2-chloropyrimidine-5-carbonyl chloride (187 mmol) in 300 mL of dichloromethane at -60 °C under nitrogen was slowly added a solution of 41.0 g of 2,2-difluoroacetohydrazide (373 mmol) in 30 mL of tetrahydrofurane. The reaction mixture was warmed up to room temperature and stirred for 16 hours. The reaction mixture was concentrated under reduced pressure to afford 233 g (quantitative yield) of the title compound as a white solid. The product was used in the next step without further purification.

Step 2: preparation of 2-chloro-5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-pyrimidine

To a solution of 46.0 g of 2-chloro-N'-(difluoroacetyl)pyrimidine-5-carbohydrazide (184 mmol) in 400 mL of acetonitrile and 50 mL of *N*,*N*-dimethylformamide at -20 °C under nitrogen was slowly added 87.5 g of *p*-toluenesulfonyl chloride (459 mmol) followed by 128 mL of triethylamine (918 mmol). The reaction mixture was stirred at -20 °C for 3 hours. The reaction mixture was filtered and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel (gradient petroleum ether/ethyl acetate) followed by a trituration with *tert*-butyl methyl ether at 20 °C for 3 hours to yield 100 g (100% purity, 47% yield) of the title compound as an off-white solid.

20 <u>Step 3</u>: preparation of *cis*-3-({5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl}amino)-3-(2-fluorophenyl)cyclobutanol (compound I.003)

To a solution of 393 mg (1.80 mmol) of *cis*-3-amino-3-(2-fluorophenyl)cyclobutanol and 400 mg (1.72 mmol) of 2-chloro-5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]-pyrimidine in 5 mL of 1,4-dioxane was added 1.20 mL (6.88 mmol) of N,N-diisopropylethylamine under argon. The reaction mixture was stirred at 105 °C for 18 hours. The resulting mixture was cooled to room temperature, diluted by water

and extracted with ethyl acetate. The combined organic extracts were dried over anhydrous magnesium sulfate, filtered and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel (n-heptane/ethyl acetate) to yield 620 mg (98% purity, 94% yield) of the title compound as a yellow solid. LogP = 2.11 [Method A]. Mass (M+H) = 378.

5 <u>Preparation example 2</u>: *cis*-3-({5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl}amino)-3-(2-fluorophenyl)cyclobutyl acetate (compound I.002)

To a solution of 100 mg (0.26 mmol) of 1 *cis*-3-({5-[5-(difluoromethyl)-1,3,4-oxadiazol-2-yl]pyrimidin-2-yl}amino)-3-(2-fluorophenyl)cyclobutanol (compound I.003) and 69 μ L (0.39 mmol) of N,N-diisopropylethylamine in 1.5 mL of dry tetrahydrofurane was added at 0 °C dropwise 25 mg (0.31 mmol) of acetyl chloride. The reaction mixture was stirred at room temperature for 4.5 hours. An additional 25 mg (0.31 mmol) of acetyl chloride was added dropwise and the reaction mixture was stirred at room temperature for 18 hours. The resulting mixture was diluted by water and extracted 3 times with ethyl acetate. The combined organic extracts were washed with a saturated aqueous solution of sodium bicarbonate, brine, dried over anhydrous magnesium sulfate, filtered and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel (*n*-heptane/ethyl acetate) to yield 44 mg (97% purity, 38% yield) of title compound as a white solid. LogP = 2.94 [Method A]. Mass (M+H) = 420.

PHOTOSTABILITY DATA

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- Measurement of the UV stability reported with the half-life time of the photo degradation was performed by irradiating the samples for 24h with the full UV/VIS Spectrum as available on a SUNTEST XLS+ going from 250nm to 800nm, followed by an analysis of the analyte and its possible degradation products via reversed phase liquid chromatography with UV-detection coupled to a single quadrupole mass spectrometer using the following method:
- The analyte is determined by measurement of LC-UV-MS, with 0.085% (v/v) formic acid in water and 0.1% (v/v) formic acid acetonitrile as eluent (linear gradient from 5% acetonitrile to 95% acetonitrile).

The analyte is identified and determined via UV and MS-spectrum. The half-life time is determined over the course of 5 time points at 0h, 2h, 4h, 6h and 24h in triplicates each time point. All time points are normalized on detector responses received at 0h. The half-life time is determined fitting the results to a 1st order degradation function and is returned with the unit [h].

Table 3 provides the half-life times of a selected number of compounds from table 1 and some reference compounds known from WO2019/122323. The following compounds were used as reference examples:

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ref. 1: N-[1-(2-pyridyl)cyclobutyl]-5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]pyrimidin-2-amine ref. 2: N-(1-phenylcyclopropyl)-5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]pyrimidin-2-amine

Table 3:

Example No	half-life time [h]
	(mean)
I.002	117.00
I.003	21.00
I.004	128.00
I.005	>200.00
I.006	120.00
1.007	113.00
I.012	105.00
I.017	44.00
I.018	11.00
ref. 1	7.00
ref. 2	7.00

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BIOLOGICAL EXAMPLES

Example: in vivo preventive test on Puccinia recondita (brown rust on wheat)

10 Solvent: 5% by volume of Dimethyl sulfoxide

10% by volume of Acetone

Emulsifier: 1 µl of polyoxyethylene sorbitan monooleate (Tween® 80) per mg of active

ingredient

The active ingredients were made soluble and homogenized in a mixture of Dimethyl sulfoxide/Acetone/

/Tween® 80 and then diluted in water to the desired concentration.

The young plants of wheat were treated by spraying the active ingredient prepared as described above. Control plants were treated only with an aqueous solution of Acetone/Dimethyl sulfoxide/ Tween[®] 80.

After 24 hours, the plants were contaminated by spraying the leaves with an aqueous suspension of *Puccinia recondita* spores. The contaminated wheat plants were incubated for 24 hours at 20°C and at 100% relative humidity and then for 9 days at 20°C and at 70-80% relative humidity.

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The test was evaluated 10 days after the inoculation. 0% means an efficacy which corresponds to that of the control plants while an efficacy of 100% means that no disease was observed.

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 500 ppm of active ingredient: I.003; I.006

In this test the following compounds according to the invention showed efficacy between 70% and 79% at a concentration of 250 ppm of active ingredient: I.004; I.011

In this test the following compounds according to the invention showed efficacy between 80% and 89% at a concentration of 250 ppm of active ingredient: I.001; I.013; I.014; I.016

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 250 ppm of active ingredient: I.007; I.010; I.012; I.018

Example: in vivo preventive test on Phakospora pachyrhizi (soybean rust)

Solvent: 5% by volume of Dimethyl sulfoxide

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10% by volume of Acetone

15 Emulsifier: 1 μl of polyoxyethylene sorbitan monooleate (Tween[®] 80) per mg of active

ingredient

The active ingredients were made soluble and homogenized in a mixture of Dimethyl sulfoxide/Acetone/ /Tween® 80 and then diluted in water to the desired concentration.

The young plants of soybean were treated by spraying the active ingredient prepared as described above. Control plants were treated only with an aqueous solution of Acetone/Dimethyl sulfoxide/ Tween® 80.

After 24 hours, the plants were contaminated by spraying the leaves with an aqueous suspension of Phakospora pachyrhizi spores. The contaminated soybean plants were incubated for 24 hours at 24°C and at 100% relative humidity and then for 10 days at 24°C and at 70-80% relative humidity.

25 The test was evaluated 11 days after the inoculation. 0% means an efficacy which corresponds to that of the control plants while an efficacy of 100% means that no disease was observed.

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 500 ppm of active ingredient: I.003; I.006

In this test the following compounds according to the invention showed efficacy between 80% and 89% at a concentration of 250 ppm of active ingredient: I.005; I.008; I.009; I.011

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In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 250 ppm of active ingredient: I.001; I.002; I.004; I.007; I.012; I.013; I.014; I.016; I.017; I.018

PCT/EP2021/066409

5 Example: *in vivo* preventive test on *Phakopsora pachyrhizi* (soybeans)

Solvent: 24.5 parts by weight of acetone

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24.5 parts by weight of dimethylacetamide

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound was mixed with the stated amounts of solvent and emulsifier, and the concentrate was diluted with water to the desired concentration.

To test for preventive activity, young plants were sprayed with the preparation of active compound at the stated rate of application. After the spray coating had dried on, the plants were inoculated with an aqueous spore suspension of the causal agent of soybean rust (Phakopsora pachyrhizi) and stay for 24 hours without light in an incubation cabinet at approximately 24 °C and a relative atmospheric humidity of 95%.

The plants remained in the incubation cabinet at approximately 24 °C and a relative atmospheric humidity of approximately 80% and a day / night interval of 12 hours.

The test was evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

Example: in vivo curative test on Phakopsora test (soybeans)

Solvent: 24.5 parts by weight of acetone

24.5 parts by weight of dimethyl sulfoxide

Emulsifier: 1 part by weight of polyoxyethylene sorbitan monooleate

To produce a suitable preparation of active compound, 1 part by weight of active compound was mixed with the stated amounts of solvent and emulsifier, and the concentrate was diluted with water to the desired concentration.

To test for curative activity, young plants were inoculated with an aqueous spore suspension of the causal agent of soybean rust (Phakopsora pachyrhizi) and stay for 24h without light in an incubation cabinet at approximately 24°C and a relative atmospheric humidity of 95 %

The plants remained in the incubation cabinet at approximately 24°C and a relative atmospheric humidity of approximately 80 % and a day / night interval of 12h.

2 days after inoculation the plants were sprayed with the preparation of active compound at the stated rate of application and remained furthermore in the incubation cabinet.

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The test was evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of

the untreated control, while an efficacy of 100% means that no disease is observed.

Example: in vivo long-lasting activity test on Phakopsora test (soybeans)

5 Solvent: 24.5 parts by weight of acetone

24.5 parts by weight of dimethyl sulfoxide

Emulsifier: 1 part by weight of polyoxyethylene sorbitan monooleate

To produce a suitable preparation of active compound, 1 part by weight of active compound was mixed

with the stated amounts of solvent and emulsifier, and the concentrate was diluted with water to the desired

concentration.

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To test for long-lasting activity, young plants were sprayed with the preparation of active compound at

the stated rate of application. After the spray coating had dried on, the plants were placed in an incubation

cabinet at approximately 24°C and a relative atmospheric humidity of approximately 80 % and a day /

night interval of 12h.

8 days after the application the plant were inoculated with an aqueous spore suspension of the causal agent

of soybean rust (Phakopsora pachyrhizi) and stay for 24h without light in the incubation cabinet at

approximately 24°C and a relative atmospheric humidity of 95 %.

The plants remained in the incubation cabinet at approximately 24°C and a relative atmospheric humidity

of approximately 80 % and a day / night interval of 12h.

The test was evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of

the untreated control, while an efficacy of 100% means that no disease is observed.

Example: in vivo preventive Puccinia triticina test (wheat)

Solvent: 24.5 parts by weight of acetone

24.5 parts by weight of dimethyl sulfoxide

Emulsifier: 1 part by weight of polyoxyethylene sorbitan monooleate

To produce a suitable preparation of active compound, 1 part by weight of active compound or active

compound combination was mixed with the stated amounts of solvent and emulsifier, and the concentrate

was diluted with water to the desired concentration.

30 To test for preventive activity, young plants were sprayed with the preparation of active compound or

active compound combination at the stated rate of application.

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After the spray coating had been dried, the plants were sprayed with a spore suspension of *Puccinia triticina*. The plants remained for 48 hours in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of approximately 100%.

The plants were placed in the greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of approximately 80%.

The test was evaluated 8 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

Claims

1. A compound of formula (I):

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X is hydrogen or fluorine;

 $\mathbf{R^1}$ and $\mathbf{R^2}$ form, together with the carbon atom to which they are linked, a C_3 - C_5 -cycloalkyl wherein said C_3 - C_5 -cycloalkyl is substituted with one or more $\mathbf{R^{1b}}$ substituents;

 \mathbf{R}^{3} is aryl or heteroaryl, wherein said aryl and heteroaryl may be substituted with one or more \mathbf{R}^{3b} substituents;

R^{1b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro- λ^6 -sulfanyl, formyl, carbamoyl, carbamate, C_1 - C_8 -alkyl, C_3 - C_7 -cycloalkyl, C_1 - C_8 halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈alkyl)-N-(C₃-C₇-cycloalkyl)carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C₁-C₈alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C_3-C_7 cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, C_1 - C_8 halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, arylsulfonylamino, sulfamovl, C_1 - C_8 -alkylsulfamoyl, $C_3 - C_7$ cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; and/or

two geminal \mathbf{R}^{1b} substituents may form together with the carbon atom to which they are linked, a C_3 - C_7 -cycloalkyl or a 3- to 7-membered heterocyclyl group, or

two geminal R^{1b} substituents may form, together with the carbon atom to which they are linked, a C=O, C=CH₂ or C=N-O-(C₁-C₈-alkyl) group;

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R^{3b} is selected from the group consisting of halogen nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₁-C₈-alkyl, C₃-C₇-cycloalkyl, C₁-C₈halogenoalkyl having 1 to 5 halogen atoms, C₃-C₇-halogenocycloalkyl having 1 to 5 halogen atoms, C₂-C₈-alkenyl, C₂-C₈-alkynyl, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇-cycloalkyl)amino, C₃-C₇cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, N-(C₁-C₈alkyl)-N- $(C_3-C_7$ -cycloalkyl)carbamoyl, di- $(C_1-C_8$ -alkyl)carbamoyl, C_1-C_8 -alkoxycarbonyl, halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonyl, C₁-C₈alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇cycloalkylcarbonyloxy, C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms. C₃-C₇-cycloalkylcarbonylamino, C₁-C₈-alkoxycarbonylamino, $C_1 - C_8$ halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, C₃-C₇-cycloalkoxycarbonylamino, C₁-C₈alkylsulfinyl, C₁-C₈-halogenoalkylsulfinyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈-halogenoalkylsulfonyl having 1 to 5 halogen atoms; C₃-C₇-cycloalkylsulfonyl, C₁-C₈-alkylsulfonylamino, C₁-C₈-halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C₃-C₇cycloalkylsulfonylamino, arylsulfonylamino, sulfamoyl; C_1 - C_8 -alkylsulfamoyl, cycloalkylsulfamoyl and di-(C₁-C₈-alkyl)sulfamoyl; wherein said C₁-C₈-alkyl may be substituted with one or more $\mathbf{R}^{\mathbf{x}}$ substituents;

 $\mathbf{R}^{\mathbf{x}}$ is independently selected from the group consisting of nitro, hydroxyl, cyano, carboxyl, amino, pentafluoro-λ⁶-sulfanyl, formyl, carbamoyl, carbamate, C₃-C₇-cycloalkyl, C₃-C₇halogenocycloalkyl having 1 to 5 halogen atoms, C₁-C₈-alkylamino, N-(C₁-C₈-alkyl)-N-(C₃-C₇cycloalkyl)amino, C₃-C₇-cycloalkylamino, di-(C₁-C₈-alkyl)amino, C₁-C₈-alkoxy, C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkyloxy, C₁-C₈-alkylsulfanyl, C₁-C₈-halogenoalkylsulfanyl C₃-C₇-cycloalkylsulfanyl, C₁-C₈-alkylcarbonyl, having 5 halogen atoms, C_1 - C_8 halogenoalkylcarbonyl having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyl, C₁-C₈-alkylcarbamoyl, C₃-C₇-cycloalkylcarbamoyl, $N-(C_1-C_8-alkyl)-N-(C_3-C_7-cycloalkyl)$ carbamoyl, di-(C₁-C₈-alkyl)carbamoyl, C₁-C₈-alkoxycarbonyl, C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, C₃-C₇cycloalkoxycarbonyl, C₁-C₈-alkylcarbonyloxy, C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, C₃-C₇-cycloalkylcarbonyloxy C₁-C₈-alkylcarbonylamino, C₁-C₈-halogenoalkylcarbonylamino

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having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkylcarbonylamino, C_1 - C_8 -alkoxycarbonylamino having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkoxycarbonylamino, C_1 - C_8 -alkylsulfinyl, C_1 - C_8 -halogenoalkylsulfinyl having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkylsulfinyl, C_1 - C_8 -alkylsulfonyl, C_1 - C_8 -halogenoalkylsulfonyl having 1 to 5 halogen atoms; C_3 - C_7 -cycloalkylsulfonyl, C_1 - C_8 -alkylsulfonylamino, C_1 - C_8 -halogenoalkylsulfonylamino having 1 to 5 halogen atoms, C_3 - C_7 -cycloalkylsulfonylamino, sulfamoyl, C_1 - C_8 -alkylsulfamoyl and di- $(C_1$ - C_8 -alkylsulfamoyl;

or a salt, N-oxide or solvate thereof.

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- 2. The compound of formula (I) according to claim 1 or a salt, N-oxide or solvate thereof, wherein the $\mathbf{R^{1b}}$ substituents are selected from the group consisting of halogen, hydroxy, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkylcarbonyloxy and C_1 - C_4 -halogenoalkylcarbonyloxy, and/or two geminal $\mathbf{R^{1b}}$ substituents form together a -O-($\mathbf{CH_2}$)₂-O- or -O-($\mathbf{CH_2}$)₃-O- group or two geminal $\mathbf{R^{1b}}$ substituents form, together with the carbon atom to which they are linked, a C=O group.
- 3. The compound of formula (I) according to claim 1 or 2 or a salt, N-oxide or solvate thereof, wherein the C_3 - C_5 -cycloalkyl ring is a cyclopropyl or cyclobutyl ring, which is substituted with one to three \mathbf{R}^{1b} substituents.
 - 4. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide or solvate thereof, wherein the C_3 - C_5 -cycloalkyl ring is substituted with one or two $\mathbf{R^{1b}}$ substituents selected from the group consisting of fluorine, hydroxy, methyl, ethyl, trifluoromethyl, methoxy and acetyloxy.
- 5. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide, or solvate thereof, wherein **X** is hydrogen.
 - 6. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide or solvate thereof, wherein the aryl or heteroaryl may be substituted with one to three R^{3b} substituents independently selected from halogen, nitro, cyano, C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_1 - C_4 -halogenoalkyl having 1 to 5 halogen atoms, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy having 1 to 5 halogen atoms and C_1 - C_4 -alkoxycarbonyl.
 - 7. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide or solvate thereof, wherein the aryl or heteroaryl may be substituted with one to three R^{3b} substituents independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.

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- 8. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide or solvate thereof, wherein \mathbb{R}^3 is phenyl, naphthyl or a heteroaryl selected from the group consisting of furanyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, pyridinyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, isoindolyl, quinolinyl and isoquinolinyl.
- 5 9. The compound of formula (I) according to any of the preceding claims or a salt, N-oxide or solvate thereof, wherein **R**³ is unsubstituted or substituted phenyl.
 - The compound of formula (I) according to claim 1 or a salt, N-oxide or solvate thereof, whereinX is hydrogen or fluorine;
- R¹ and R² form, together with the carbon atom to which they are linked, a cyclobutyl or cyclopropyl ring, wherein said cyclobutyl or cyclopropyl ring is substituted with one to three R¹b substituents independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl; and
 - R³ is phenyl, which may be substituted with one to three R^{3b} substituents independently selected from the group consisting of fluorine, chlorine, bromine, nitro, cyano, methyl, ethyl, iso-propyl, n-propyl, n-butyl, iso-butyl, tert-butyl, cyclopropyl, trifluoromethyl, difluoromethyl, methoxy, ethoxy, trifluoromethoxy, difluoromethoxy, methoxycarbonyl, ethoxycarbonyl and tert-butoxycarbonyl.
- 11. Composition for controlling harmful microorganisms in crop protection, comprising at least one compound of formula (I) according to any one of claims 1 to 10 and at least one carrier and/or surfactant.
 - 12. Use of one or more compounds of formula (I) according to any one of claims 1 to 10 and/or a composition according to claim 11 for controlling harmful microorganisms in crop protection.
 - 13. Method for controlling harmful microorganisms in crop protection, characterized in that at least one compound of formula (I) according to any one of claims 1 to 10 or a composition according to claim 11 is applied to the harmful microorganisms and/or their habitat.
 - 14. Process for the preparation of a compound of formula (I) according to any of claims 1 to 10 comprising steps of
 - (a) preparing a compound of formula (II)

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$$X \xrightarrow{F} O O \longrightarrow N \xrightarrow{R^1 \longrightarrow R^2} N \xrightarrow{N-N} H$$

wherein X, R¹, R² and R³ are as defined as in the compound of formula (I)

(a-1) by reacting a carbohydrazide of formula (III)

wherein R^1 , R^2 and R^3 are as defined as in the compounds of formulae (I) and (II), with a compound of formula (IV-a) or (IV-b),

$$F = \begin{cases} F & O & F \\ X & CI & X & F \end{cases}$$

$$(IV-a) \qquad (IV-b)$$

wherein X is as defined in the compounds of formulae (I) and (II),

in presence of an organic solvent and optionally an organic base to give the compound of the formula (II),

10 or

(a-2) reacting a compound of formula (V),

$$\begin{array}{c|c}
O & & & R^1 \\
N & & & N \\
LG1 & & N & H
\end{array}$$
(V)

wherein LG1 is a leaving group and R1 and R2 are as defined in the compounds of formulae (I) and (II),

with a compound of formula (IVc)

$$X \xrightarrow{\mathsf{F}} \overset{\mathsf{O}}{\underset{\mathsf{N}-\mathsf{NH}_2}{\bigvee}}$$

wherein X is as defined in the compounds of formulae (I) and (II),

in presence of an organic solvent and optionally in presence of an organic base to give the compound of the formula (II),

and

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- (b) reacting the compound of the formula (II) obtained in step (a-1) or (a-2) with a dehydrating agent in presence of an organic solvent to give the compound of the formula (I).
- 15. A compound of formula (II) or a salt, N-oxide or solvate thereof,

wherein R^1 , R^2 , R^3 and X are as defined for the compound of formula (I) in any of claims 1 to 10.

INTERNATIONAL SEARCH REPORT

International application No PCT/EP2021/066409

A. CLASSIFICATION OF SUBJECT MATTER A01P3/00 INV. C07D413/04 A01N43/824 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) C07D 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. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Category' WO 2019/122323 A1 (BAYER AG [DE]; BAYER 15 Υ CROPSCIENCE AG [DE]) 27 June 2019 (2019-06-27) Α page 60 - page 67; examples; table I 1-14 WO 00/15637 A1 (DOW AGROSCIENCES LLC [US]) 15 23 March 2000 (2000-03-23) page 34 - page 46; claims; examples 1 - 14Α WO 2018/165520 A1 (VPS 3 INC [US]) 13 September 2018 (2018-09-13) 1-15 cited in the application claims; examples X,P WO 2020/127974 A1 (BAYER AG [DE]) 1-11,14,25 June 2020 (2020-06-25) claims; examples X See patent family annex. Further documents are listed in the continuation of Box C. Special categories of cited documents "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 "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 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive 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 step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be special reason (as specified) considered to involve an inventive step when the document is combined with one or more other such documents, such combination "O" document referring to an oral disclosure, use, exhibition or other being obvious to a person skilled in the art "P" document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 21 July 2021 29/07/2021 Name and mailing address of the ISA/ Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016 Gavriliu, Daniela

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2021/066409

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2019122323 A1	27-06-2019	AR 114049 A1 AU 2018386567 A1 BR 112020012530 A2 CA 3086790 A1 CN 111683944 A CR 20200274 A EP 3728244 A1 TW 201927775 A US 2021007359 A1 UY 38032 A WO 2019122323 A1	15-07-2020 02-07-2020 24-11-2020 27-06-2019 18-09-2020 13-08-2020 28-10-2020 16-07-2019 14-01-2021 31-07-2019 27-06-2019
WO 0015637 A1	23-03-2000	AU 6251699 A BR 9915968 A EP 1114045 A1 JP 2002524562 A KR 20010079852 A US 6133294 A WO 0015637 A1	03-04-2000 28-08-2001 11-07-2001 06-08-2002 22-08-2001 17-10-2000 23-03-2000
WO 2018165520 A1	13-09-2018	TW 201840556 A US 2018256572 A1 US 2020171028 A1 WO 2018165520 A1	16-11-2018 13-09-2018 04-06-2020 13-09-2018
WO 2020127974 A1	25-06-2020	TW 202039477 A UY 38525 A WO 2020127974 A1	01-11-2020 31-07-2020 25-06-2020