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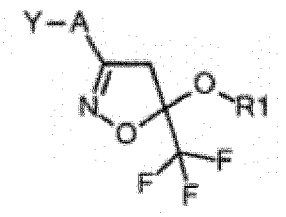
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(54) Title: HYDROXYISOXAZOLINES AND DERIVATIVES THEREOF



(I)

(57) Abstract: The present application relates to the use of hydroxyisoxazolines and derivatives thereof as fungicides. It also relates to new hydroxyisoxazolines derivatives, their use as fungicides and compositions comprising thereof.

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HYDROXYISOXAZOLINES AND DERIVATIVES THEREOF

TECHNICAL FIELD

The present invention relates to the use of hydroxyisoxazolines and derivatives thereof as fungicides. It also relates to new hydroxyisoxazolines derivatives, their use as fungicides and compositions comprising thereof.

BACKGROUND

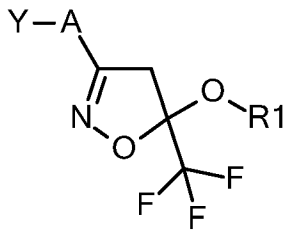
3-phenyl isoxazoline and 3-phenyl isoxazole derivatives having herbicidal activity are already known (WO99/05130, Y. Zhou, J. Heterocyclic Chem. 2010, vol. 47, no. 6, 1310). Isoxazole derivatives are also known to be useful as crop protection agents to combat or prevent microorganisms' infestations. For instance, WO2015/129773 discloses isoxazole derivatives that may be used as fungicides. WO2006/031631 discloses substituted isoxazoles that may be used for the control of microbial pests, particularly fungal pests, on plants. More recently, hydroxyisoxazoles were disclosed as useful for controlling phytopathogenic fungi (WO2018/202487).

Numerous fungicidal agents have been developed until now. However, the need remains for the development of new fungicidal compounds in order to address the ever increasing environmental and economic requirements imposed on modern-day crop protection agents and compositions. This includes, for example, improvement to the spectrum of action, safety profile, selectivity, application rate, formation of residues, and favourable preparation ability. It may also be desired to have new compounds to prevent the emergence of fungicides resistance.

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 the formula (I):



(I)

wherein R1, A and Y are as recited herein as well as their salts, N-oxides and solvates.

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

The present invention relates to a method for controlling phytopathogenic fungi which comprises the step of applying at least one compound of formula (I) as defined herein or a composition as defined herein to the plants, plant parts, seeds, fruits or to the soil in which the plants grow.

DEFINITIONS

The term "alkyl" as used herein in the context of alkyl or alkylsulfonyl, alkylsulfinyl, alkylthio, alkylamino, for example, is to be understood as preferably meaning branched and unbranched alkyl, meaning *e.g.* methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *tert*-butyl, *sec*-butyl, pentyl, *iso*-pentyl, hexyl, heptyl, octyl, nonyl and decyl and the isomers thereof.

The term "haloalkyl" as used herein is to be understood as preferably meaning branched and unbranched alkyl, as defined *supra*, in which one or more of the hydrogen substituents is replaced in the same way or differently with halogen. Particularly preferably, said haloalkyl is, *e.g.* chloromethyl, fluoropropyl, fluoromethyl, difluoromethyl, trichloromethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, bromobutyl, trifluoromethyl, iodoethyl, and isomers thereof.

The term "alkoxy" as used herein is to be understood as preferably meaning branched and unbranched alkoxy, meaning *e.g.* methoxy, ethoxy, propyloxy, *iso*-propyloxy, butyloxy, *iso*-butyloxy, *tert*-butyloxy, *sec*-butyloxy, pentyloxy, *iso*-pentyloxy, hexyloxy, heptyloxy, octyloxy, nonyloxy, decyloxy, undecyloxy and dodecyloxy and the isomers thereof.

The term "haloalkoxy" as used herein is to be understood as preferably meaning branched and unbranched alkoxy, as defined *supra*, in which one or more of the hydrogen substituents is replaced in the same way or differently with halogen, *e.g.* chloromethoxy, fluoromethoxy, pentafluoroethoxy, fluoropropyloxy, difluoromethyloxy, trichloromethoxy, 2,2,2-trifluoroethoxy, bromobutyloxy, trifluoromethoxy, iodoethoxy, and isomers thereof.

The term "carbocyclyl" as used herein refers to a non-aromatic mono- or polycyclic (fused, spiro or bridged) carbon containing ring, which may be saturated or partially unsaturated, having 3 to 10 ring carbon atoms or 3 to 7 carbon atoms. Examples of carbocyclyl include cycloalkyl and cycloalkenyl groups. Examples of saturated carbocyclyl, herein also referred to as "cycloalkyl" include but are not limited to cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl and cyclodecyl group. Examples of partially unsaturated carbocyclyl group include but are not limited to cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, cyclononenyl, or cyclodecenyl group, wherein the linkage of said cycloalkyl group to the rest of the molecule can be provided to the double or single bond.

The term "heterocyclyl" as used herein refers to three- to ten-membered, preferably three- to nine-membered, saturated or partially unsaturated heterocycles (including mono-, bi- or tricyclic heterocycles)

containing one to four heteroatoms independently selected from the group of oxygen, nitrogen and sulfur. If the ring contains more than one oxygen atom, they are not directly adjacent. A polycyclic heterocyclyl may contain fused, spiro or bridged ring junctions. Examples of heterocyclyl group include but are not limited to oxiranyl, aziridinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 1,2,4-oxadiazolidin-3-yl, 1,2,4-oxadiazolidin-5-yl, 1,2,4-thiadiazolidin-3-yl, 1,2,4-thiadiazolidin-5-yl, 1,2,4-triazolidin-3-yl, 1,3,4-oxadiazolidin-2-yl, 1,3,4-thiadiazolidin-2-yl, 1,3,4-triazolidin-2-yl, 2,3-dihydrofur-2-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-2-yl, 2,4-dihydrofur-3-yl, 2,3-dihydrothien-2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-yl, 2,4-dihydrothien-3-yl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-isoxazolin-4-yl, 4-isoxazolin-4-yl, 2-isoxazolin-5-yl, 3-isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2,3-dihydropyrazol-1-yl, 2,3-dihydropyrazol-2-yl, 2,3-dihydropyrazol-3-yl, 2,3-dihydropyrazol-4-yl, 2,3-dihydropyrazol-5-yl, 3,4-dihydropyrazol-1-yl, 3,4-dihydropyrazol-3-yl, 3,4-dihydropyrazol-4-yl, 3,4-dihydropyrazol-5-yl, 4,5-dihydropyrazol-1-yl, 4,5-dihydropyrazol-3-yl, 4,5-dihydropyrazol-4-yl, 4,5-dihydropyrazol-5-yl, 2,3-dihydrooxazol-2-yl, 2,3-dihydrooxazol-3-yl, 2,3-dihydrooxazol-4-yl, 2,3-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 3,4-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1,3-dioxan-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 2-piperazinyl, 1,3,5-hexahydrotriazin-2-yl, 1,2,4-hexahydrotriazin-3-yl, indol-1-yl, indol-2-yl, indol-3-yl, indol-4-yl, indol-5-yl, indol-6-yl, indol-7-yl, benzimidazol-1-yl, benzimidazol-2-yl, benzimidazol-4-yl, benzimidazol-5-yl, indazol-1-yl, indazol-3-yl, indazol-4-yl, indazol-5-yl, indazol-6-yl, indazol-7-yl, indazol-2-yl, 1-benzofuran-2-yl, 1-benzofuran-3-yl, 1-benzofuran-4-yl, 1-benzofuran-5-yl, 1-benzofuran-6-yl, 1-benzofuran-7-yl, 1-benzothiophen-2-yl, 1-benzothiophen-3-yl, 1-benzothiophen-4-yl, 1-benzothiophen-5-yl, 1-benzothiophen-6-yl, 1-benzothiophen-7-yl, 1,3-benzothiazol-2-yl, 1,3-benzothiazol-4-yl, 1,3-benzothiazol-5-yl, 1,3-benzothiazol-6-yl, 1,3-benzothiazol-7-yl, 1,3-benzoxazol-2-yl, 1,3-benzoxazol-4-yl, 1,3-benzoxazol-5-yl, 1,3-benzoxazol-6-yl and 1,3-benzoxazol-7-yl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-5-yl, quinolin-6-yl, quinolin-7-yl, quinolin-8-yl, isoquinolin-1-yl, isoquinolin-3-yl, isoquinolin-4-yl, isoquinolin-5-yl, isoquinolin-6-yl, isoquinolin-7-yl and isoquinolin-8-yl. This definition also applies to heterocyclyl as part of a composite substituent, for example heterocyclylalkyl etc., unless defined elsewhere.

The term “halogen” or “Hal” as used herein is to be understood as meaning fluorine, chlorine, bromine or iodine.

The term “alkenyl” as used herein is to be understood as preferably meaning branched and unbranched alkenyl, *e.g.* a vinyl, propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-2-en-1-yl, but-2-en-2-yl, but-1-en-3-yl, 2-methyl-prop-2-en-1-yl, or 2-methyl-prop-1-en-1-yl group.

The term “alkynyl” as used herein is to be understood as preferably meaning branched and unbranched alkynyl, *e.g.* an ethynyl, prop-1-yn-1-yl, but-1-yn-1-yl, but-2-yn-1-yl,or but-3-yn-1-yl group.

The term “aryl” as used herein refers to an aromatic, hydrocarbon, ring system, comprising from 6 to 15 carbon atoms, or from 6 to 12 carbon atoms, preferably from 6 to 10 carbon atoms. The ring system may be monocyclic or fused polycyclic (e.g. bicyclic or tricyclic) aromatic ring system. Examples of aryl include but are not limited to phenyl, azulenyl, naphthyl and fluorenyl. 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. This definition also applies to aryl as part of a composite substituent (e.g. aryloxy).

The term “heteroaryl” as used herein refers to an aromatic ring system containing from 5 to 15 member atoms, or from 5 to 12 member atoms, of which carbons and one or more heteroatoms which may be identical or different selected from O, N and S. If the ring contains more than one oxygen atom, they are not directly adjacent. Heteroaryl may be monocyclic or polycyclic (e.g. bicyclic or tricyclic). A monocyclic heteroaryl may have 1 to 4 heteroatoms in the ring, while a polycyclic heteroaryl ring may have 1 to 10 heteroatoms. Bicyclic heteroaryl rings may contain from 8 to 15, or from 8 to 12 member atoms (carbon and heteroatoms). Monocyclic heteroaryl may contain from 5 to 8 member atoms. Examples of heteroaryl include but are not limited to thienyl, furanyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, thia-4H-pyrazolyl *etc.*, and benzo derivatives thereof, such as, *e.g.*, benzofuranyl, benzothienyl, benzoxazolyl, benzimidazolyl, benzotriazolyl, indazolyl, indolyl, isoindolyl, *etc.*; or pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, *etc.*, and benzo derivatives thereof, such as, for example, quinolinyl, isoquinolinyl, *etc.*; or azocinyl, indolizinyl, purinyl, *etc.*, and benzo derivatives thereof; or cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthpyridinyl, pteridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl, phenoxazinyl, xanthenyl, or oxepinyl, *etc.* It is further understood that in the case in which said heteroaryl group is substituted with one or more substituents, said substituent(s) may occupy any one or more positions on said heteroaryl ring(s). Particularly, in the case of heteroaryl being a pyridyl group, for example, said substituent(s) may occupy any one or more of positions 2, 3, 4, 5, and/or 6 with respect to the nitrogen atom in the pyridine ring. This definition also applies to heteroaryl as part of a composite substituent (e.g. heteroaryloxy).

As used herein, the term “C_1-C_6”, *e.g.* in the context of the definition of “C_1-C_6-alkyl”, or “C_1-C_6-alkoxy”, is to be understood as meaning a group having a finite number of carbon atoms of 1 to 6, *i.e.* 1, 2, 3, 4, 5, or 6 carbon atoms.

The terms "acyclic radicals" as used herein in the expressions "wherein acyclic radicals may be substituted" designate any of the acyclic groups recited in the paragraph before said expressions, or any acyclic moiety of a composite group (e.g. the C_1-C_8-alkyl moiety of aryl-C_1-C_8-alkyl).

The term "cyclic radicals" as used herein in the expressions "wherein cyclic radicals may be substituted" designate any of the cyclic groups, be it alicyclic or aromatic, recited in the paragraph before said expressions, or any cyclic moiety of a composite group (e.g. the aryl moiety of aryl-C_1-C_6-alkyl).

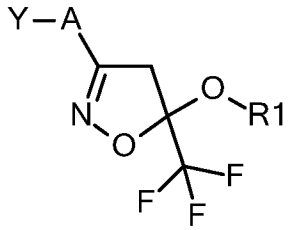
In a group containing an acyclic moiety and a cyclic moiety (e.g. aryl-C_1-C_6-alkyl), each of these moieties may be substituted independently of each other.

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..

DETAILED DESCRIPTION

ACTIVE INGREDIENTS

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



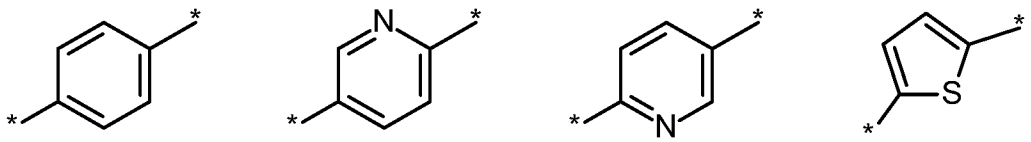
(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=S)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A represents A-1, A-2, A-3 or A-4:



(A-1) (A-2) (A-3) (A-4)

wherein A-1, A-2, A-3 and A-4 are optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2;

wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, COMe, CO_2H, $CONH_2$, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2OH, CH_2NH_2, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5, CH_3 or NH_2;

wherein A-4 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine or CH_3;

and wherein

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl;

provided that compound of formula (I) is not:

3-fluoro-4-[5-hydroxy-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-3-yl]benzoic acid;

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl tert-butyl carbonate;

3-(4-aminophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl pyrrolidine-1-carboxylate;

3-(3-fluoro-4-hydroxyphenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-[3-fluoro-4-(trifluoromethyl)phenyl]-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-(4-chlorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate; and not

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate.

Excluded compounds are disclosed in WO2019/122393.

The invention encompasses pure stereoisomers of the compound of formula (I) and any mixture of these isomers.

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.

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.

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.

The compound of formula (I) can suitably be in its 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 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.

Solvates of the compounds of formula (I) or their salts are stoichiometric compositions of the compounds with solvents.

The compounds of formula (I) may exist in multiple crystalline and/or amorphous forms. Crystalline forms include unsolvated crystalline forms, solvates and hydrates.

Compounds of formula (I) are referred herein as “active ingredients”.

In some embodiments, in the above formula (I), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$, -$C(=O)R^a$, -$C(=O)N(R^a)_2$, -C_1-C_6-alkyl-$OC(=O)R^a$ and -C_1-C_6-alkyl-$C(=O)R^a$, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkoxy, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, 3- to 10-membered heterocyclyl, aryl and heteroaryl. Acyclic or cyclic R1 or R^a radicals may be substituted as described herein.

In some embodiments, in the above formula (I), R1 is selected from the group consisting of hydrogen, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$, -$C(=O)R^a$ and -C_1-C_6-alkyl-$OC(=O)R^a$, with R^a being selected from the group consisting of C_1-C_{10}-alkyl and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl). Acyclic or cyclic R1 or R^a radicals may be substituted as described herein.

In some embodiments, in the above formula (I), R1 is a hydrogen atom.

In some embodiments, in the above formula (I), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$ (e.g. -$SiPhenyl(C_1$-C_6-alkyl$)_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -$C(=S)R^a$, -$C(=O)R^a$ and -C_1-C_6-alkyl-$C(=O)R^a$, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments, in the above formula (I), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$ (e.g. -$SiPhenyl(C_1$-C_6-alkyl$)_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -$C(=O)R^a$ and -C_1-C_6-alkyl-$C(=O)R^a$, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments, in the above formula (I), R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$ (e.g. -$SiPhenyl(C_1$-C_6-alkyl$)_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -$C(=S)R^a$ and -C_1-C_6-alkyl-$C(=O)R^a$, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments, in the above formula (I), R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -$Si(C_1$-C_6-alkyl$)_3$, -$SiAryl(C_1$-C_6-alkyl$)_2$ (e.g. -$SiPhenyl(C_1$-C_6-alkyl$)_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -$C(=O)R^a$ and -C_1-C_6-alkyl-$C(=O)R^a$, with R^a being C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments, in the above formula (I), Y is independently selected from the group consisting of bromine, formyl, C(=NOH)H, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, $OC(=O)CH_3$, CCH, $OS(O)_2CH_3$ and $S(O)CH_3$.

Suitable examples of Y include any Y disclosed in table 1 below.

In some embodiments, in the above formula (I), Y is selected from the group consisting of chlorine, bromine, iodine, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, NH_2, isocyano and NO_2.

In some embodiments, in the above formula (I), Y is selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2.

In some embodiments, in the above formula (I), Y is selected from the group consisting of CN, formyl, $COCH_3$, $C(=NOH)H$, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SH, CH_2SCH_3, CH_2SCF_3, CF_3, CHF_2, CF_2Cl and CCH.

In some embodiments, in the above formula (I), Y is selected from the group consisting of CN, formyl, $COCH_3$, $C(=NOH)H$, $C(=NOCH_3)H$, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments, in the above formula (I), Y is selected from the group consisting of CN, formyl, $COCH_3$, $C(=NOH)H$, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments, in the above formula (I), A is selected from A-1, A-2 and A-3, which are optionally substituted by one or two fluorine; and wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, COMe, CO_2H, $CONH_2$, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2OH, CH_2NH_2, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5, CH_3 or NH_2.

In some embodiments, in the above formula (I), A is A-1.
In some embodiments, in the above formula (I), A is A-2 or A-3.
In some embodiments, in the above formula (I), A is A-2.
In some embodiments, in the above formula (I), A is A-3.
In some embodiments, in the above formula (I), A is A-4.

In some embodiments, in the above formula (I), R1 and R^a radicals are unsubstituted.

In some embodiments, int the above formula (I),

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-

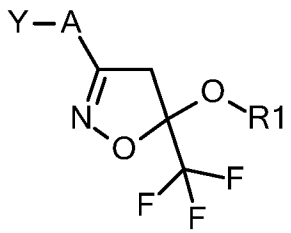
alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy, and

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2.

The above specified definitions of R1, Y and A can be combined in various manners to provide sub-classes of compounds according to the invention.

Non-limiting examples of sub-classes of compounds include the sub-classes described herein below.

In some embodiments (referred herein as embodiment I-a), the present invention relates to compounds of formula (I)



(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=S)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A is A-1,

wherein A-1 is optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)H$,

$C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2;

wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, COMe, CO_2H, $CONH_2$, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2OH, CH_2NH_2, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5, CH_3 or NH_2;

and wherein

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl;

provided that compound of formula (I) is not:

3-fluoro-4-[5-hydroxy-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-3-yl]benzoic acid;

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl tert-butyl carbonate;

3-(4-aminophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl pyrrolidine-1-carboxylate;

3-(3-fluoro-4-hydroxyphenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-[3-fluoro-4-(trifluoromethyl)phenyl]-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-(4-chlorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate; and not

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate.

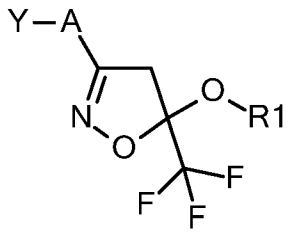
In some embodiments in accordance with embodiment 1-a,

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy, and

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3,

$S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2.

In some embodiments (referred herein as embodiment I-b), the present invention relates to compounds of formula (I):



(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A is A-2,

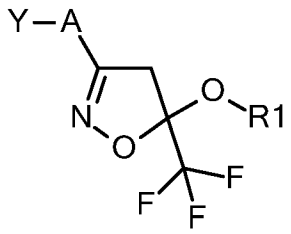
wherein A-2 is optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2;

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-

(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl.

In some embodiments (referred herein as embodiment I-c), the present invention relates to compounds of formula (I):



(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A is A-3,

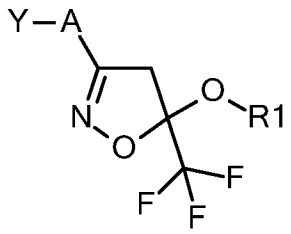
wherein A-3 is optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2;

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-

(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl.

In some embodiments (referred herein as embodiment I-d), the present invention relates to compounds of formula (I):



(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A is A-4,

wherein A-4 is optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2.

wherein A-4 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine or CH_3; and wherein

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl,

di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkoxy, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, 3- to 10-membered heterocyclyl, aryl and heteroaryl. Acyclic or cyclic R1 or R^a radicals may be substituted as described herein.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, R1 is selected from the group consisting of hydrogen, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$, -C(=O)R^a and -C_1-C_6-alkyl-OC(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl). Acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents as described herein and cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents as described herein.

In some embodiments in accordance with embodiment I-a, R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment I-a, R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-

alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, Y is independently selected from the group consisting of bromine, formyl, C(=NOH)H, CH_2OH, CH_2OCH_3, CCH, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, $OC(=O)CH_3$, $OS(O)_2CH_3$ and $S(O)CH_3$.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, Y is selected from the group consisting of chlorine, bromine, iodine, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, NH_2, isocyano and NO_2.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, Y is selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2.

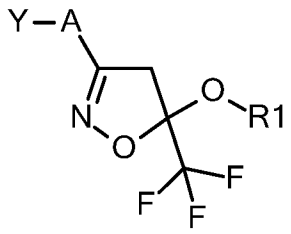
In some embodiments in accordance with embodiment I-a, Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SH, CH_2SCH_3, CH_2SCF_3, CF_3, CHF_2, CF_2Cl and CCH.

In some embodiments in accordance with embodiment I-a, Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, $C(=NOCH_3)H$, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments in accordance with embodiments I-a, I-b, I-c or I-d, R1 and R^a radicals are unsubstituted.

In some embodiments (referred herein as embodiment I-e), the present invention relates to compounds of formula (I):



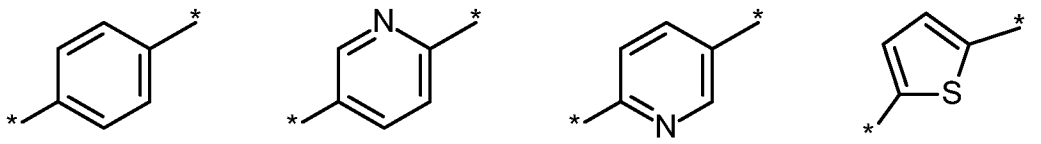
(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=S)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A represents A-1, A-2, A-3 or A-4:



(A-1) (A-2) (A-3) (A-4)

wherein A-1, A-2, A-3 and A-4 are optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, NH_2, isocyano and NO_2;

wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5 or NH_2;

wherein A-4 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine or bromine;

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl;

provided that compound of formula (I) is not:

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl tert-butyl carbonate;

3-(4-aminophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl pyrrolidine-1-carboxylate;

3-(3-fluoro-4-hydroxyphenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-(4-chlorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate; and not

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate.

In some embodiments in accordance with embodiment (Ie), Y is selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2.

In some embodiments in accordance with embodiment (Ie), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment (Ie), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment (Ie), R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment (Ie), R1 is selected from the group consisting of C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment (Ie), R1 is a hydrogen atom.

In some embodiments in accordance with embodiment (Ie), A is selected from A-1, A-2 and A-3, which are optionally substituted by one or two fluorine; and wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5 or NH_2.

In some embodiments in accordance with embodiment (Ie), A is selected from A-1, A-2 and A-3, which are substituted by one or two fluorine.

In some embodiments in accordance with embodiment (Ie), A is selected from A-2 and A-3.

In some embodiments in accordance with embodiment (Ie), A is A-1, which is optionally substituted by one or two fluorine; and which is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5 or NH_2. In some of these embodiments, A-1 is substituted by one or two fluorine.

In some embodiments in accordance with embodiment (Ie), R1 and R^a radicals are unsubstituted.

In some embodiments in accordance with embodiment (Ie), compounds are excluded in which A is A-1, Y is Cl or Br, R1 is -C(=O)R^a and R^a is methyl or tert-butyloxy.

In some embodiments in accordance with embodiment (Ie), compounds are excluded in which A is A-1, Y is Cl or Br and R1 is -C(=O)R^a and R^a is C_1-C_{10}-alkyl or C_1-C_6-alkoxy.

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

R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl (e.g. cyclopropylmethyl), -C(=O)R^a, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl);

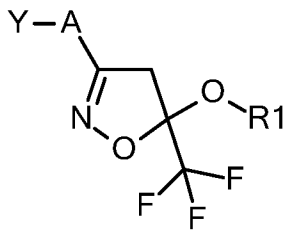
Y is selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2; and

A is selected from A-1, A-2 and A-3, which are optionally substituted by one or two fluorine; and wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, OCH_3, $S(O)_2Me$ or NH_2.

In some of these preferred embodiments in accordance with embodiment (Ie), compounds are excluded in which Y is Cl or Br and R1 is -C(=O)R^a, with R^a being selected from methyl and tert-butyloxy. In some other of these preferred embodiments in accordance with embodiment (Ie), compounds are excluded in which A is A-1, Y is Cl or Br, R1 is -C(=O)R^a and R^a is C_1-C_{10}-alkyl or C_1-C_6-alkoxy.

In some of these preferred embodiments in accordance with embodiment (Ie), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl (e.g. cyclopropylmethyl), -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl);

In some embodiments (referred herein as embodiment I-f), the present invention relates to compounds of formula (I):



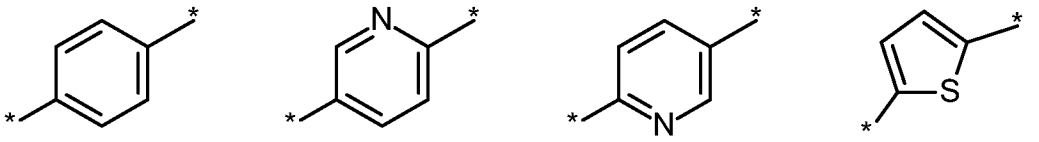
(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A represents A-1, A-2, A-3 or A-4:



(A-1) (A-2) (A-3) (A-4)

wherein A-1, A-2, A-3 and A-4 are optionally substituted by one or two fluorine;

Y is selected from the group consisting of CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, CH_3, CF_3, CHF_2, CF_2Br, CF_2I, CF_2Cl and CCH;

wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is COMe, CO_2H, $CONH_2$, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2OH, CH_2NH_2 or CH_3;

wherein A-4 is substituted by one or two fluorine when R1 is hydrogen and Y is CH_3;

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl;

provided that compound of formula (I) is not:

3-fluoro-4-[5-hydroxy-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-3-yl]benzoic acid; and not

3-[3-fluoro-4-(trifluoromethyl)phenyl]-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol.

In some embodiments in accordance with embodiment (If), R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl (e.g. benzyl), -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$ (e.g. -SiPhenyl(C_1-C_6-alkyl)$_2$), -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl (e.g. cyclopropyl).

In some embodiments in accordance with embodiment (If), R1 is selected from the group consisting of hydrogen, -Si(C_1-C_6-alkyl)$_3$ and -C(=O)R^a, with R^a being C_1-C_6-alkyl.

In some embodiments in accordance with embodiment (If), R1 is -Si(C_1-C_6-alkyl)$_3$ or -C(=O)R^a, with R^a being C_1-C_6-alkyl.

In some embodiments in accordance with embodiment (If), A is A-1, which is optionally substituted by one or two fluorine; and which is substituted by one or two fluorine when R1 is hydrogen and Y is COMe, CO_2H, $CONH_2$, C(=NOH)CH_3, C(=NOCH_3)CH_3, CH_2Cl, CH_2OH, CH_2NH_2 or CH_3. In some of these embodiments, A-1 is substituted by one or two fluorine.

In some embodiments in accordance with embodiment (If), Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, C(=NOH)CH_3, C(=NOCH_3)H, C(=NOCH_3)CH_3, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SH, CH_2SCH_3, CH_2SCF_3, CF_3, CHF_2, CF_2Cl and CCH.

In some embodiments in accordance with embodiment (If), Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, C(=NOCH_3)H, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments in accordance with embodiment (If), Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some embodiments in accordance with embodiment (If), R1 and R^a radicals are unsubstituted.

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

R1 is selected from the group consisting of hydrogen, -Si(C_1-C_6-alkyl)$_3$ and -C(=O)R^a, with R^a being C_1-C_6-alkyl;

Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, C(=NOCH_3)H, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH; and

A is is A-1, which is optionally substituted by one or two fluorine; and which is substituted by one or two fluorine when R1 is hydrogen and Y is $COCH_3$ or CH_2OH.

In some of these prerred embodiments (If), Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH.

In some of these preferred embodiments (If), R1 and R^a radicals are unsubstituted.

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

The present invention relates to compounds of formula (I) selected from the group consisting of3-(3-fluoro-4-methoxyphenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(2-fluoro-4-methoxyphenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(4-chloro-2-fluorophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(4-chloro-3-fluorophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
ethyl 2-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]acetate,
3-(4-bromophenyl)-5-prop-2-ynoxy-5-(trifluoromethyl)-4H-1,2-oxazole,
3-(4-bromophenyl)-5-(methoxymethoxy)-5-(trifluoromethyl)-4H-1,2-oxazole,
1-cyclopropyl-2-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]ethanone,
1-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]propan-2-one,
3-(4-bromophenyl)-5-phenylmethoxy-5-(trifluoromethyl)-4H-1,2-oxazole,
methyl [3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] carbonate,
3-(4-bromophenyl)-5-(cyclopropylmethoxy)-5-(trifluoromethyl)-4H-1,2-oxazole,
4-[5-hydroxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]benzonitrile,
3-(4-bromophenyl)-5-methoxy-5-(trifluoromethyl)-4H-1,2-oxazole,
3-[4-[methoxyiminomethyl]phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(4-iodophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
1-[4-[5-[tert-butyl(dimethyl)silyl]oxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]ethanone,
methoxy-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]methanethione,
[4-[5-hydroxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl] methanesulfonate,
tert-butyl-dimethyl-[[3-[4-(bromomethyl)phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]silane,
tert-butyl-dimethyl-[[3-[4-(methylsulfanylmethyl)phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]silane,
[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] cyclopropanecarboxylate,
trimethyl-[2-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxymethoxy]ethyl]silane,
[3-(4-bromo-2-fluorophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] acetate,
[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] 2-methylpropanoate,
[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] nonanoate,
[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl] 2,2-dimethylpropanoate,
5-(trifluoromethyl)-3-[4-(trifluoromethyl)phenyl]-4H-1,2-oxazol-5-ol,
trimethyl-[[3-(4-bromophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]silane,
3-(4-bromophenyl)-5-prop-2-enoxy-5-(trifluoromethyl)-4H-1,2-oxazole,
5-(trifluoromethyl)-3-[4-(trifluoromethylsulfanylmethyl)phenyl]-4H-1,2-oxazol-5-ol,
3-(4-bromo-2-fluorophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-[4-[hydroxyiminomethyl]phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(4-bromo-3-fluorophenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
4-[5-[(4-chlorophenyl)methoxy]-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]aniline,
[4-[5-hydroxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]methyl acetate,
[4-[5-acetyloxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]methyl acetate,
4-[5-hydroxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]benzaldehyde,
3-[4-(methylsulfanylmethyl)phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,

3-(6-bromopyridin-3-yl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(6-chloropyridin-3-yl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(5-bromopyridin-2-yl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
3-(4-ethynylphenyl)-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
4-[5-[tert-butyl(dimethyl)silyl]oxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]benzaldehyde,
[4-[5-[tert-butyl(dimethyl)silyl]oxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]methanol,
tert-butyl-dimethyl-[[3-[4-(methoxymethyl)phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-yl]oxy]silane,
[4-[5-[tert-butyl(dimethyl)silyl]oxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]methyl acetate,
3-[4-(methoxymethyl)phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol,
[4-[5-hydroxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl] acetate,
N-methoxy-1-[4-[5-[tert-butyl(dimethyl)silyl]oxy-5-(trifluoromethyl)-4H-1,2-oxazol-3-yl]phenyl]methanimine and
3-[4-[methylsulfinyl]phenyl]-5-(trifluoromethyl)-4H-1,2-oxazol-5-ol

The compounds of formula (I) according to the present invention may be used as fungicides (i.e. for controlling phytopathogenic fungi, in particular fungi causing rust diseases, or Oomyctes in crop protection).

PROCESSES FOR PREPARING COMPOUNDS OF FORMULA I

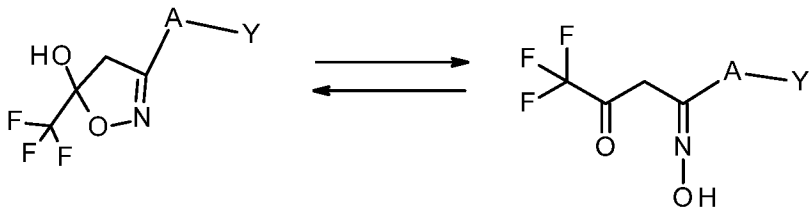
Compounds of formula (I) may be prepared in accordance with processes described in WO2008/006561 and in WO2019/122393.

The following table lists the abbreviations used in this paragraph and in the Examples section as far as they are not explained within the text body.

Abbreviation	Meaning
Ac	Acetyl
AIBN	α,α'-azobisisobutyronitrile
Boc	*tert*-butyloxycarbonyl
c-	cyclo-
CI	chemical ionisation
DCM	Dichloromethane
DIPEA	N,N-diisopropylethyl amine
DMAP	N,N-dimethylaminopyridine
DMF	N,N-dimethylformamide
DMSO	dimethyl sulfoxide
EDCI	1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride
eq.	Equivalent
ESI	electrospray ionisation
h	Hours

HATU	O-(7-Azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate
HPLC	high performance liquid chromatography
LC-MS	liquid chromatography mass spectrometry
MS	mass spectrometry
NMR	nuclear magnetic resonance spectroscopy : chemical shifts (δ) are given in ppm.
OTf	trifluoromethylsulfonyl-
PG	protecting group
ppm	parts per million
Rf	at reflux
r.t. or rt	room temperature
T3P	1-propanephosphonic acid cyclic anhydride
TEA	Triethylamine
TFA	trifluoroacetic acid
THF	Tetrahydrofuran

It is understood that when in aqueous media, the compounds of formula (I') wherein R1 is hydrogen and A and Y are as defined hereinabove, may be present in a reversible equilibrium with the corresponding opened form (i.e. the compounds of formula (I'-I)).



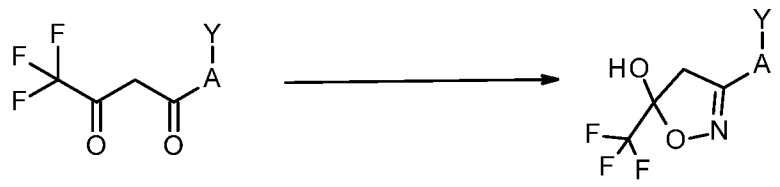
I' I'-I

General synthetic routes to the compounds of general formula I

The present invention also relates to processes for the preparation of compounds of formula (I). Unless indicated otherwise, the radicals R1, A and Y have the meanings given above for the compounds of formula (I). These definitions apply not only to the end products of the formula (I) but likewise to all intermediates.

Compounds of formula (I'), wherein R1 = H, can be prepared, according to process P1, by reacting diketones of formula (II) with hydroxylamine (or its hydrochloride salt) in the presence of a base such as sodium hydroxide or sodium acetate in water and/or in a solvent such as ethanol (as previously described in J. Org. Chem., 1995, 60, 3907-3909) or in presence of an acid such as acetic acid

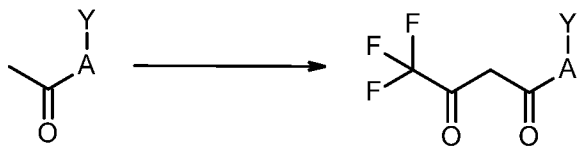
optionally in a solvent like ethanol (as described for example in Journal of Heterocyclic Chemistry, 2010, 47(6), 1310-1316).



(II) (I')

Process P1

Diketones of formula (II) can be prepared according to known procedures (see for examples WO2008006561), as shown in process P2 by treating ketones of formula (III) with a suitable base, preferably in a solvent, (for example sodium ethoxide in ethanol or sodium hydride in THF), followed by the addition of a trifluoroacetyl electrophile, for example ethyl trifluoroacetate.



(III) (II)

Process P2

It is understood that, the intermediates of formula (II) according to the invention, wherein A and Y are as defined hereinabove, may be present as the diketone (as represented in Process P1 and P2) or as the ketoenol form or a mixture of both forms. Both forms or mixture of both forms are suitable to run process P2.

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

Compounds of formula (I) can be prepared, according to process P3, by reacting compounds of formula (I'), wherein R1 = H, with an electrophile of formula (IV), wherein LG1 is a leaving group, as for example chlorine, optionally in presence of a suitable base, like for example triethylamine, in a suitable solvent like for example DCM or THF (see for example Journal of Heterocyclic Chemistry, 2005, 42(7), 1253-1255).



(I') (I)

Process P3

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

According to the invention, processes P1 to P3 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 P3 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, dichlorethane or trichlorethane ; 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*-methyl-pyrrolidone 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 P3 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 hydride, calcium hydroxide, potassium 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*-dimethylaniline, 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).

When carrying out processes P1 to P3, 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.

Processes P1 to P3 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.

Compositions and formulations

The present invention further relates to a composition, in particular a composition for controlling unwanted microorganisms, comprising one or more compounds of formula (I). The composition is preferably is a fungicidal composition.

The composition typically comprises one or more compounds of formula (I) and one or more acceptable carriers, in particular one or more agriculturally acceptable carriers.

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, natural rock flours, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite and diatomaceous earth, 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 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 butanol 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), lactams (such as N-alkylpyrrolidones) and lactones, sulfones and sulfoxides (such as dimethyl sulfoxide). 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. 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.

The composition may further comprise one or more acceptable auxiliaries which are customary for formulating compositions (e.g. agrochemical compositions), such as one or more surfactants.

The surfactant can be an ionic (cationic or anionic) or non-ionic surfactant, such as ionic or non-ionic emulsifier(s), foam former(s), dispersant(s), wetting agent(s) and any mixtures thereof. Examples of suitable surfactants include, but are not limited to, salts of polyacrylic acid, salts of lignosulfonic acid, salts of phenolsulfonic acid or naphthalenesulfonic acid, polycondensates of ethylene and/or propylene oxide with fatty alcohols, fatty acids or fatty amines (polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers), substituted phenols (preferably alkylphenols or arylphenols), salts of sulfosuccinic esters, taurine derivatives (preferably alkyl taurates), phosphoric esters of polyethoxylated alcohols or phenols, fatty esters of polyols and derivatives of compounds containing sulfates, sulfonates, phosphates (for example, alkylsulfonates, alkyl sulfates, arylsulfonates) and

protein hydrolysates, lignosulfite waste liquors and methylcellulose. A surfactant is typically used when the compoundof the formula (I) and/or the carrier is insoluble in water and the application is made with water. Then, the amount of surfactants typically ranges from 5 to 40 % by weight of the composition.

Further examples of auxiliaries which are customary for formulating agrochemical compositions 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, polyvinyl acetate, polyvinyl alcohol and tylose), thickeners, stabilizers (e.g. cold stabilizers, preservatives, antioxidants, light 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), preservatives (e.g. dichlorophene and benzyl alcohol hemiformal), secondary thickeners (cellulose derivatives, acrylic acid derivatives, xanthan, modified clays and finely divided silica), 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 is related to the intended mode of application of the compound of the formula (I) and/or on the physical properties. 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 may be in any customary form, such as solutions (e.g aqueous solutions), emulsions, wettable powders, water- and oil-based suspensions, powders, dusts, pastes, soluble powders, soluble granules, granules for broadcasting, suspoemulsion concentrates, natural or synthetic products impregnated with the compoundof theinvention, fertilizers and also microencapsulations in polymeric substances. The compound of formula (I) may be present in a suspended, emulsified or dissolved form.

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

The composition contains generally from 0.01 to 99% by weight, from 0.05 to 98% by weight, preferably from 0.1 to 95% by weight, more preferably from 0.5 to 90% by weight, most preferably from 1 to 80 % by weight of the compound of formula (I).

The compound(s) and composition(s) comprising thereof can be mixed with other active ingredients like fungicides, bactericides, acaricides, nematicides, insecticides, herbicides, fertilizers, growth regulators, safeners or semiochemicals. 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(s) of formula (I) 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, (1.026) (1R,2S,5S)-5-(4-chlorobenzyl)-2-(chloromethyl)-2-methyl-1-(1H-1,2,4-triazol-1-ylmethyl)cyclopentanol, (1.027) (1S,2R,5R)-5-(4-chlorobenzyl)-2-(chloromethyl)-2-methyl-1-(1H-1,2,4-triazol-1-ylmethyl)cyclopentanol, (1.028) (2R)-2-(1-chlorocyclopropyl)-4-[(1R)-2,2-dichlorocyclopropyl]-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-chlorophenoxy)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)propan-2-ol, (1.034) (R)-[3-(4-chloro-2-fluorophenyl)-5-(2,4-difluorophenyl)-1,2-oxazol-4-yl](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, (1.037) 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-yl}methyl)-1H-1,2,4-triazole, (1.039) 1-{[3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-triazol-5-yl thiocyanate, (1.040) 1-{[rel(2R,3R)-3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-triazol-5-yl thiocyanate, (1.041) 1-{[rel(2R,3S)-3-(2-chlorophenyl)-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-1,2,4-triazole-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-2,6,6-trimethylheptan-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-1,2,4-triazole-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-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.049) 2-[(2S,4S,5S)-1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (1.050) 2-[1-(2,4-dichlorophenyl)-5-hydroxy-2,6,6-trimethylheptan-4-yl]-2,4-dihydro-3H-1,2,4-triazole-3-thione, (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)-2-(trifluoromethyl)phenyl]-1-(1H-1,2,4-triazol-1-yl)butan-2-ol, (1.054) 2-[4-(4-chlorophenoxy)-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}-2,4-dihydro-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) 5-(allylsulfanyl)-1-{[3-(2-chlorophenyl)-2-(2,4-difluorophenyl)oxiran-2-yl]methyl}-1H-1,2,4-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-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-methylimidoformamide, (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-trifluoroethyl)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-methylimidoformamide, (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-isopropylcyclohexyl)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) N'-{5-bromo-6-[1-(3,5-difluorophenyl)ethoxy]-2-methylpyridin-3-yl}-N-ethyl-N-methylimidoformamide, (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, (1.085) 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile, (1.086) 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 (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) 1,3-dimethyl-N-[(3R)-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1H-pyrazole-4-carboxamide, (2.024) 1,3-dimethyl-N-[(3S)-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1H-pyrazole-4-carboxamide, (2.025) 1-methyl-3-(trifluoromethyl)-N-[2'-(trifluoromethyl)biphenyl-2-yl]-1H-pyrazole-4-carboxamide, (2.026) 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-1H-inden-4-yl]-1H-pyrazole-4-carboxamide, (2.030) fluindapyr, (2.031) 3-(difluoromethyl)-N-[(3R)-7-fluoro-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1-methyl-1H-pyrazole-4-carboxamide, (2.032) 3-(difluoromethyl)-N-[(3S)-7-fluoro-1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl]-1-methyl-1H-pyrazole-4-carboxamide, (2.033) 5,8-difluoro-N-[2-(2-fluoro-4-{[4-(trifluoromethyl)pyridin-2-yl]oxy}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-methylbenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.036) N-(2-tert-butylbenzyl)-N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (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)-1,2,3,4-tetrahydro-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-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, (2.041) N-[1-(2,4-dichlorophenyl)-1-methoxypropan-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-4-carboxamide, (2.043) N-[3-chloro-2-fluoro-6-(trifluoromethyl)benzyl]-N-cyclopropyl-3-(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-carboxamide, (2.045) N-cyclopropyl-3-(difluoromethyl)-5-fluoro-1-methyl-N-[5-methyl-2-(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-1H-pyrazole-4-carboxamide, (2.050) N-cyclopropyl-3-(difluoromethyl)-5-fluoro-N-(5-fluoro-2-isopropylbenzyl)-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) N-cyclopropyl-3-(difluoromethyl)-N-(2-ethyl-5-methylbenzyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.054) N-cyclopropyl-N-(2-cyclopropyl-5-fluorobenzyl)-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.055) N-cyclopropyl-N-(2-cyclopropyl-5-methylbenzyl)-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.056) N-cyclopropyl-N-(2-cyclopropylbenzyl)-3-(difluoromethyl)-5-fluoro-1-methyl-1H-pyrazole-4-carboxamide, (2.057)

pyrapropoyne, (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.

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-3-enamide, (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-hydroxybenzamide, (3.028) (2E,3Z)-5-{[1-(4-chloro-2-fluorophenyl)-1H-pyrazol-3-yl]oxy}-2-(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.

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) thiophanate-methyl, (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, (4.014) 4-(2-bromo-4-fluorophenyl)-N-(2-bromophenyl)-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, (4.017) 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)-N-(2-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine, (4.022) 4-(4-chlorophenyl)-5-(2,6-difluorophenyl)-3,6-dimethylpyridazine, (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.

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) fosetyl-aluminium, (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) propamocarb-fosetylate, (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-2-yl}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-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone, (15.036) 2-[3,5-bis(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-yl]ethanone, (15.037) 2-[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]-1-[4-(4-{5-[2-fluoro-6-(prop-2-yn-1-yloxy)phenyl]-4,5-dihydro-1,2-oxazol-3-yl}-1,3-thiazol-2-yl)piperidin-1-yl]ethanone, (15.038) 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]quinazoline, (15.039) 2-{(5R)-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, (15.040) 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-[(8-fluoro-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-1,2-oxazol-5-yl}phenyl methanesulfonate, (15.045) 2-phenylphenol and salts, (15.046) 3-(4,4,5-trifluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline, (15.047) quinofumelin, (15.048) 4-amino-5-fluoropyrimidin-2-ol (tautomeric form: 4-amino-5-fluoropyrimidin-2(1H)-one), (15.049) 4-oxo-4-[(2-phenylethyl)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-2,2-dimethyl-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-yl)(phenyl)methylene]amino}oxy)methyl]pyridin-2-yl}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-methylimidoformamide), (15.065) (N'-(2-chloro-5-methyl-4-phenoxyphenyl)-N-ethyl-N-methylimidoformamide), (15.066) (2-{2-[(7,8-difluoro-2-methylquinolin-3-yl)oxy]-6-fluorophenyl}propan-2-ol), (15.067) (5-bromo-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-1H-benzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline), (15.070) 8-fluoro-3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinolone, (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-1-yl)-8-fluoroquinoline, (15.073) (N-methyl-N-phenyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide), (15.074) methyl {4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl}carbamate, (15.075) (N-{4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}cyclopropane-carboxamide), (15.076) N-methyl-4-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.077) N-[(E)-methoxyiminomethyl]-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)-1,2,4-oxadiazol-3-yl]phenyl]cyclopropanecarboxamide, (15.080) N-(2-fluorophenyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.081) 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)-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-[(Z)-N-methoxy-C-methyl-carbonimidoyl]-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-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrrolidin-2-one, (15.087) N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide, (15.088) 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) 1-methoxy-1-methyl-3-[[4-[5-(trifluoromethyl}-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.091) 1,1-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-3-methyl-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-dimethoxy-N-[[4-[5-(trifluoromethyl}-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, (15.097) N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl)phenyl]methyl]propanamide, (15.098) 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.099) 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, (15.100) 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]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-(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-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}-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-{2,3-difluoro-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzyl}butanamide, (15.111) N-(1-methylcyclopropyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.112) N-(2,4-difluorophenyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (15.113) 1-(5,6-dimethylpyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, (15.114) 1-(6-(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 dimethyl-carbamate, (15.118) N-{4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl}propanamide, (15.119) 3-[2-(1-{[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-1,5-dihydro-2,4-benzodioxepin-6-yl methanesulfonate, (15.120) 9-fluoro-3-[2-(1-{[5-methyl-3-(trifluoromethyl)-1H-pyrazol-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)-1,3-thiazol-4-yl]-1,5-dihydro-2,4-benzodioxepin-6-yl methanesulfonate, (15.122) 3-[2-(1-{[3,5-bis(difluoromethyl)-1H-pyrazol-1-yl]acetyl}piperidin-4-yl)-1,3-thiazol-4-yl]-9-fluoro-1,5-dihydro-2,4-benzodioxepin-6-yl methanesulfonate, (15.123) 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinoline, (15.124) 8-fluoro-N-(4,4,4-trifluoro-2-methyl-1-phenylbutan-2-yl)quinoline-3-carboxamide, (15.125) 8-fluoro-N-[(2S)-4,4,4-trifluoro-2-methyl-1-phenylbutan-2-yl]quinoline-3-carboxamide, (15.126) N-(2,4-dimethyl-1-phenylpentan-2-yl)-8-fluoroquinoline-3-carboxamide and (15.127) N-[(2S)-2,4-dimethyl-1-phenylpentan-2-yl]-8-fluoroquinoline-3-carboxamide.

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

The compounds of formula (I) and compositions comprising thereof may be combined with one or more biological control agents.

Examples of biological control agents which may be combined with the compounds of formula (I) and compositions comprising thereof are:

(A) Antibacterial agents selected from the group of:

(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. B21661and described in U.S. Patent No. 6,060,051); (A1.2) *Bacillus amyloliquefaciens*, in particular strain D747 (available as Double Nickel™ from Certis, US, having accession number FERM BP-8234 and disclosed in US Patent No. 7,094,592); (A1.3) *Bacillus pumilus*, in particular strain BU F-33 (having NRRL Accession No. 50185); (A1.4) *Bacillus subtilis var. amyloliquefaciens* strain FZB24 (available as Taegro® from Novozymes, US); (A1.5) a *Paenibacillus* sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129 and described in International Patent Publication No. WO 2016/154297; and

(A2) fungi, such as (A2.1) *Aureobasidium pullulans*, in particular blastospores of strain DSM14940; (A2.2) *Aureobasidium pullulans* blastospores of strain DSM 14941; (A2.3) *Aureobasidium pullulans*, in particular mixtures of blastospores of strains DSM14940 and DSM14941;

(B) Fungicides selected from the group of:

(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. B21661and 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); (B1.5) *Bacillus amyloliquefaciens*, in particular strain D747 (available as Double Nickel™ from Certis, US, having accession number FERM BP-8234 and disclosed in 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 amyloliquefaciens* strain MBI 600 (available as SUBTILEX from BASF SE); (B1.8) *Bacillus subtilis* strain GB03 (available as Kodiak® from Bayer AG, DE); (B1.9) *Bacillus subtilis var. amyloliquefaciens* strain FZB24 (available from Novozymes Biologicals Inc., Salem, Virginia or Syngenta Crop Protection, LLC, Greensboro, North Carolina as the fungicide TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5); (B1.10) *Bacillus mycoides*, isolate J (available as BmJ TGAI or WG from Certis USA); (B1.11) *Bacillus licheniformis*, in particular strain SB3086 (available as EcoGuard TM Biofungicide and Green Releaf from Novozymes); (B1.12) a *Paenibacillus* sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129 and described in International Patent Publication No. WO 2016/154297.

In some embodiments, the biological control agent is a *Bacillus subtilis* or *Bacillus amyloliquefaciens* strain that produces a fengycin or plipastatin-type compound, an iturin-type compound, and/or a surfactin-type compound. For background, see the following review article: Ongena, M., et al., "*Bacillus* Lipopeptides: Versatile Weapons for Plant Disease Biocontrol," Trends in Microbiology, Vol 16, No. 3,

March 2008, pp. 115-125. *Bacillus* strains capable of producing lipopeptides include *Bacillus subtilis* QST713 (available as SERENADE OPTI or SERENADE ASO from Bayer CropScience LP, US, having NRRL Accession No. B21661and described in U.S. Patent No. 6,060,051), *Bacillus amyloliquefaciens* strain D747 (available as Double Nickel™ from Certis, US, having accession number FERM BP-8234 and disclosed in US Patent No. 7,094,592); *Bacillus subtilis* MBI600 (available as SUBTILEX® from Becker Underwood, US EPA Reg. No. 71840-8); *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); *Bacillus amyloliquefaciens*, in particular strain FZB42 (available as RHIZOVITAL® from ABiTEP, DE); and *Bacillus subtilis* var. *amyloliquefaciens* FZB24 (available from Novozymes Biologicals Inc., Salem, Virginia or Syngenta Crop Protection, LLC, Greensboro, North Carolina as the fungicide TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5); 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); (B2.2) *Metschnikowia fructicola*, in particular strain NRRL Y-30752 (e.g. Shemer®); (B2.3) *Microsphaeropsis ochracea* (e.g. Microx® from Prophyta); (B2.5) *Trichoderma spp.*, including *Trichoderma atroviride*, strain SC1 described in International Application No. PCT/IT2008/000196); (B2.6) *Trichoderma harzianum rifai* strain KRL-AG2 (also known as strain T-22, /ATCC 208479, e.g. PLANTSHIELD T-22G, Rootshield®, and TurfShield from BioWorks, US); (B2.14) *Gliocladium roseum*, strain 321U from W.F. Stoneman Company LLC; (B2.35) *Talaromyces flavus*, strain V117b; (B2.36) *Trichoderma asperellum*, strain ICC 012 from Isagro; (B2.37) *Trichoderma asperellum*, strain SKT-1 (e.g. ECO-HOPE® from Kumiai Chemical Industry); (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 harzianum*, in particular, strain KD (e.g. Trichoplus from Biological Control Products, SA (acquired by Becker Underwood)); (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 12G by Certis, US); (B2.53) *Trichoderma viride*, strain TV1(e.g. Trianum-P by Koppert); (B2.54) *Ampelomyces quisqualis*, in particular strain AQ 10 (e.g. AQ 10® by IntrachemBio Italia); (B2.56) *Aureobasidium 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 (by Stichting Dienst Landbouwkundig Onderzoek); (B2.69) *Gliocladium catenulatum* (Synonym: *Clonostachys rosea f. catenulate*) strain J1446 (e.g. Prestop ® by AgBio Inc. and also e.g. Primastop® by Kemira Agro Oy); (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); (B2.75) *Trichoderma atroviride*, strain SKT-1 (FERM

P-16510); (B2.76) *Trichoderma atroviride*, strain SKT-2 (FERM P-16511); (B2.77) *Trichoderma atroviride*, strain SKT-3 (FERM P-17021); (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 (e.g., T-Gro 7456 by Dagutat Biolab); (B2.80) *Trichoderma polysporum*, strain IMI 206039 (e.g. Binab TF WP by BINAB Bio-Innovation AB, Sweden); (B2.81) *Trichoderma stromaticum* (e.g. Tricovab by Ceplac, Brazil); (B2.83) *Ulocladium oudemansii*, in particular strain HRU3 (e.g. Botry-Zen® by Botry-Zen Ltd, NZ); (B2.84) *Verticillium albo-atrum* (formerly *V. dahliae*), strain WCS850 (CBS 276.92; e.g. Dutch Trig by Tree Care Innovations); (B2.86) *Verticillium chlamydosporium*; (B2.87) mixtures of *Trichoderma asperellum* strain ICC 012 and *Trichoderma gamsii* strain ICC 080 (product known as e.g. BIO-TAM™ from Bayer CropScience LP, US).

Further examples of biological control agents which may be combined with the compounds of formula (I) and compositions comprising thereof are:

bacteria selected from the group consisting of *Bacillus cereus,* in particular *B. cereus* strain CNCM I-1562 and *Bacillus firmus*, strain I-1582 (Accession number CNCM I-1582), *Bacillus subtilis strain* OST 30002 (Accession No. NRRL B-50421), *Bacillus thuringiensis*, in particular *B. thuringiensis* subspecies *israelensis* (serotype H-14), strain AM65-52 (Accession No. ATCC 1276), *B. thuringiensis subsp. aizawai*, in particular strain ABTS-1857 (SD-1372), *B. thuringiensis subsp. kurstaki* strain HD-1, *B. thuringiensis subsp. tenebrionis* strain NB 176 (SD-5428), *Pasteuria penetrans*, *Pasteuria spp.* (Rotylenchulus reniformis nematode)-PR3 (Accession Number ATCC SD-5834), *Streptomyces microflavus* strain AQ6121 (= QRD 31.013, NRRL B-50550), and *Streptomyces galbus* strain AQ 6047 (Acession Number NRRL 30232);

fungi and yeasts selected from the group consisting of *Beauveria bassiana*, in particular strain ATCC 74040, *Lecanicillium spp.*, in particular strain HRO LEC 12, *Metarhizium anisopliae*, in particular strain F52 (DSM3884 or ATCC 90448), *Paecilomyces fumosoroseus (*now: *Isaria fumosorosea)*, in particular strain IFPC 200613, or strain Apopka 97 (Accesion No. ATCC 20874), and *Paecilomyces lilacinus*, in particular *P. lilacinus* strain 251 (AGAL 89/030550);

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.

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.*

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.

Examples of insecticides, acaricides and nematicides, respectively, which could be mixed with the compounds of formula (I) and compositions comprising thereof are:

(1) Acetylcholinesterase (AChE) inhibitors, such as, for example, carbamates, for example alanycarb, aldicarb, bendiocarb, benfuracarb, butocarboxim, butoxycarboxim, carbaryl, carbofuran, carbosulfan, ethiofencarb, fenobucarb, formetanate, furathiocarb, isoprocarb, methiocarb, methomyl, metolcarb, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, triazamate, trimethacarb, XMC and xylylcarb; or organophosphates, for example acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, cadusafos, chlorethoxyfos, chlorfenvinphos, chlormephos, chlorpyrifos-methyl, coumaphos, cyanophos, demeton-S-methyl, diazinon, dichlorvos/DDVP, dicrotophos, dimethoate, dimethylvinphos, disulfoton, EPN, ethion, ethoprophos, famphur, fenamiphos, fenitrothion, fenthion, fosthiazate, heptenophos, imicyafos, isofenphos, isopropyl O-(methoxyaminothiophosphoryl) salicylate, isoxathion, malathion, mecarbam, methamidophos, methidathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion-methyl, phenthoate, phorate, phosalone, phosmet, phosphamidon, phoxim, pirimiphos-methyl, profenofos, propetamphos, prothiofos, pyraclofos, 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 allethrin, d-trans allethrin, bifenthrin, bioallethrin, bioallethrin s-cyclopentenyl isomer, bioresmethrin, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, gamma-cyhalothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, theta-cypermethrin, zeta-cypermethrin, cyphenothrin [(1R)-trans-isomer], deltamethrin, empenthrin [(EZ)-(1R)-isomer], esfenvalerate, etofenprox, fenpropathrin, fenvalerate, flucythrinate, flumethrin, tau-fluvalinate, halfenprox, imiprothrin, 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.

(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.

(8) Miscellaneous non-specific (multi-site) inhibitors, such as, for example, alkyl halides, e.g. methyl bromide and other alkyl halides; or chloropicrine or sulfuryl 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.

(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.

(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.

(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 compounds: 1-{2-fluoro-4-methyl-5-[(2,2,2-trifluoroethyl)sulfinyl]phenyl}-3-(trifluoromethyl)-1H-1,2,4-triazole-5-amine (known from WO2006/043635) (CAS 885026-50-6), {1'-[(2E)-3-(4-chlorophenyl)prop-2-en-1-yl]-5-fluorospiro[indol-3,4'-piperidin]-1(2H)-yl}(2-chloropyridin-4-yl)methanone (known from WO2003/106457) (CAS 637360-23-7), 2-chloro-N-[2-{1-[(2E)-3-(4-chlorophenyl)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-1,8-diazaspiro[4.5]dec-3-en-2-one (known from WO 2010052161) (CAS 1225292-17-0), 3-(4-chloro-2,6-dimethylphenyl)-8-methoxy-2-oxo-1,8-diazaspiro[4.5]dec-3-en-4-yl ethyl carbonate (known from EP2647626) (CAS 1440516-42-6) , 4-(but-2-yn-1-yloxy)-6-(3,5-dimethylpiperidin-1-yl)-5-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), (3*E*)-3-[1-[(6-chloro-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), 5-bromo-4-chloro-*N*-[4-chloro-2-methyl-6-(methylcarbamoyl)phenyl]-2-(3-chloro-2-pyridyl)pyrazole-3-carboxamide (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-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl-*N*-(*trans*-1-oxido-3-thietanyl)-benzamide and 4-[(5*S*)-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*-[3-chloro-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-yl]-*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-[[(2*E*)-3-chloro-2-propen-1-yl]amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)sulfinyl]-1*H*-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)-1*H*-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)-1*H*-pyrazole-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 from CN 101337940 A) (CAS

1108184-52-6); (2*E*)- and 2(*Z*)-2-[2-(4-cyanophenyl)-1-[3-(trifluoromethyl)phenyl]ethylidene]-*N*-[4-(difluoromethoxy)phenyl]-hydrazinecarboxamide (known from 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); (4a*S*)-7-chloro-2,5-dihydro-2-[[(methoxycarbonyl)[4-[(trifluoromethyl)thio]phenyl]amino]carbonyl]-indeno[1,2-*e*][1,3,4]oxadiazine-4a(3*H*)-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-(1,1,2,2,2-pentafluoroethoxy)phenyl]-1*H*-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-(2-cyclopropylmethoxy-4-trifluoromethyl-phenoxy)-3-(6-trifluoromethyl-pyridazin-3-yl)-3-aza-bicyclo[3.2.1]octane (CAS 933798-27-7), (8-*syn*)-8-(2-cyclopropylmethoxy-4-trifluoromethyl-phenoxy)-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]-3-bromo-1-(3-chloro-2-pyridinyl)-1*H*-pyrazole-5-carboxamide (known from CN 103265527 A) (CAS 1452877-50-7), 5-(1,3-dioxan-2-yl)-4-[[4-(trifluoromethyl)phenyl]methoxy]-pyrimidine (known from WO 2013/115391 A1) (CAS 1449021-97-9), 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-methoxy-1-methyl-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)-8-methoxy-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(1*H*)-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-acetamide, (known from WO 2016005276 A1) (CAS 1689566-03-7), [N(*Z*)]-N-[1-[(6-chloro-3-pyridinyl)methyl]-2(1H)-pyridinylidene]-2,2,2-trifluoro-acetamide, (CAS 1702305-40-5), 3-*endo*-3-[2-propoxy-4-(trifluoromethyl)phenoxy]-9-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-9-azabicyclo[3.3.1]nonane (known from WO 2011/105506 A1, WO 2016/133011 A1) (CAS 1332838-17-1).

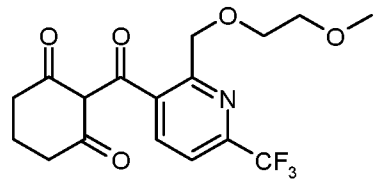
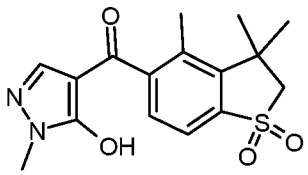
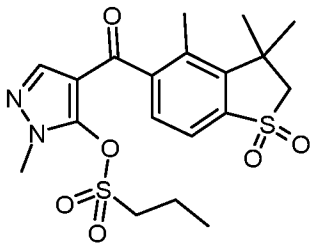
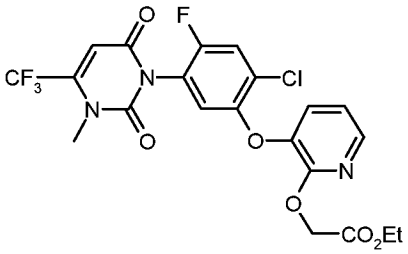
Examples of safeners which could be mixed with the compounds of formula (I) and compositions comprising thereof are, for example, benoxacor, cloquintocet (-mexyl), cyometrinil, cyprosulfamide, dichlormid, fenchlorazole (-ethyl), fenclorim, flurazole, fluxofenim, furilazole, isoxadifen (-ethyl), mefenpyr (-diethyl), naphthalic anhydride, oxabetrinil, 2-methoxy-N-({4-[(methylcarbamoyl)amino]phenyl}-sulfonyl)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 herbicides which could be mixed with the compounds of formula (I) and compositions comprising thereof are:

Acetochlor, acifluorfen, acifluorfen-sodium, aclonifen, alachlor, allidochlor, alloxydim, alloxydim-sodium, ametryn, amicarbazone, amidochlor, amidosulfuron, 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-

methylphenyl)-5-fluoropyridine-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, 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, chlorfenac, chlorfenac-sodium, chlorfenprop, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlorophthalim, chlorotoluron, chlorthal-dimethyl, chlorsulfuron, cinidon, cinidon-ethyl, cinmethylin, cinosulfuron, clacyfos, clethodim, clodinafop, clodinafop-propargyl, clomazone, clomeprop, clopyralid, cloransulam, cloransulam-methyl, cumyluron, cyanamide, cyanazine, cycloate, cyclopyrimorate, cyclosulfamuron, cycloxydim, cyhalofop, cyhalofop-butyl, cyprazine, 2,4-D, 2,4-D-butotyl, -butyl, -dimethylammonium, -diolamin, -ethyl, -2-ethylhexyl, -isobutyl, -isooctyl, -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, 2-(2,4-dichlorobenzyl)-4,4-dimethyl-1,2-oxazolidin-3-one, 2-(2,5-dichlorobenzyl)-4,4-dimethyl-1,2-oxazolidin-3-one, dichlorprop, dichlorprop-P, diclofop, diclofop-methyl, diclofop-P-methyl, diclosulam, difenzoquat, diflufenican, diflufenzopyr, diflufenzopyr-sodium, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimetrasulfuron, dinitramine, dinoterb, diphenamid, diquat, diquat-dibromid, dithiopyr, diuron, DNOC, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethiozin, ethofumesate, ethoxyfen, ethoxyfen-ethyl, ethoxysulfuron, etobenzanid, F-9600, F-5231, i.e. N-{2-chloro-4-fluoro-5-[4-(3-fluoropropyl)-5-oxo-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. 1-(dimethoxyphosphoryl) ethyl-(2,4-dichlorophenoxy)acetate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazethapyr, imazethapyr-immonium,

imazosulfuron, indanofan, indaziflam, iodosulfuron, iodosulfuron-methyl-sodium, ioxynil, ioxynil-octanoate, -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-4,5-dihydro-1,2-oxazole, ketospiradox, lactofen, lenacil, linuron, MCPA, MCPA-butotyl, -dimethylammonium, -2-ethylhexyl, -isopropylammonium, -potassium, and -sodium, MCPB, MCPB-methyl, -ethy,l and -sodium, mecoprop, mecoprop-sodium, and -butotyl, mecoprop-P, mecoprop-P-butotyl, -dimethylammonium, -2-ethylhexyl, and -potassium, mefenacet, mefluidide, mesosulfuron, mesosulfuron-methyl, mesotrione, methabenzthiazuron, metam, metamifop, metamitron, metazachlor, metazosulfuron, methabenzthiazuron, methiopyrsulfuron, methiozolin, methyl isothiocyanate, 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-310, i.e. [5-(benzyloxy)-1-methyl-1H-pyrazol-4-yl](2,4-dichlorophenyl)methanone, 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, propham, propisochlor, propoxycarbazone, propoxycarbazone-sodium, propyrisulfuron, propyzamide, prosulfocarb, prosulfuron, pyraclonil, pyraflufen, pyraflufen-ethyl, pyrasulfotole, pyrazolynate (pyrazolate), pyrazosulfuron, pyrazosulfuron-ethyl, pyrazoxyfen, pyribambenz, pyribambenz-isopropyl, pyribambenz-propyl, pyribenzoxim, pyributicarb, pyridafol, pyridate, pyriftalid, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrithiobac, pyrithiobac-sodium, pyroxasulfone, pyroxsulam, quinclorac, quinmerac, quinoclamine, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, rimsulfuron, saflufenacil, sethoxydim, siduron, simazine, simetryn, SL-261, sulcotrion, sulfentrazone, sulfometuron, sulfometuron-methyl, 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)-3,4-dihydro-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxoimidazolidine-4,5-dione, 2,3,6-TBA, TCA (trichloroacetic acid), TCA-sodium, tebuthiuron, tefuryltrione, tembotrione, tepraloxydim, terbacil, terbucarb, terbumeton, terbuthylazin, terbutryn, thenylchlor, thiazopyr, thien-carbazone, 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, XDE-848, ZJ-0862, i.e. 3,4-dichloro-N-{2-[(4,6-dimethoxypyrimidin-2-yl)oxy]benzyl}aniline, and the following compounds:

Examples for plant growth regulators are:

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.

Methods and uses

The compounds of formula (I) and the compositions comprising thereof have potent microbicidal activity. They can be used for controlling unwanted microorganisms, such as unwanted fungi and bacteria. 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 compounds of formula (I) and the compositions comprising thereof 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.

Control or controlling as used herein encompasses protective, curative and eradicative treatment of unwanted microorganisms. Unwanted microorganisms 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 compounds of formula (I) and compositions comprising thereof 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, more preferably for the control of Basidiomycetes (causing rust diseases).

The present invention also relates to a method for controlling unwanted microorganisms, such as phytopathogenic fungi, oomycetes and bacteria, comprising the step of applying at least one compound of formula (I) or at least one composition comprising thereof 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 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

The compounds of formula (I) and compositions comprising thereof 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 parts are understood to mean all parts and organs of plants above and below the ground, such as shoot, leaf, flower and root, examples of which include leaves, needles, stalks, stems, flowers, fruit bodies, fruits and seeds, and also 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 ornamental plants for gardens and wooded areas; and genetically modified varieties of each of these plants.

In some preferred embodiments, wild plant species and plant cultivars, or those obtained by conventional biological breeding methods, such as crossing or protoplast fusion, and also parts thereof, are treated in accordance with the methods of the invention.

In some other preferred embodiments, transgenic plants and plant cultivars obtained by genetic engineering methods, if appropriate in combination with conventional methods (Genetically Modified Organisms), and parts thereof are treated in accordance with the methods of the invention. More preferably, plants of the plant cultivars which are commercially available or are in use are treated in accordance with the invention. 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.

The methods according to the invention can be used in the treatment of genetically modified organisms (GMOs), e.g. plants or seeds. Genetically modified plants (or transgenic plants) are plants of which a heterologous gene has been stably integrated into genome. The expression “heterologous gene” essentially means a gene which is provided or assembled outside the plant and when introduced in the nuclear, chloroplastic or mitochondrial genome gives the transformed plant new or improved agronomic or other properties by expressing a protein or polypeptide of interest or by downregulating or silencing other gene(s) which are present in the plant (using for example, antisense technology, cosuppression technology, RNA interference – RNAi – technology or microRNA – miRNA - technology). A heterologous gene that is located in the genome is also called a transgene. A transgene that is defined by its particular location in the plant genome is called a transformation or transgenic event.

Plants and plant cultivars which can be treated by the above disclosed methods include all plants which have genetic material which impart particularly advantageous, useful traits to these plants (whether obtained by breeding and/or biotechnological means).

Plants and plant cultivars which can 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 can 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 can be treated by the above disclosed methods include those plants characterized by enhanced yield characteristics. Increased yield in said plants can 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 can 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, internode 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 can 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.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars which are herbicide-tolerant plants, i.e. plants made tolerant to one or more given herbicides. Such plants can be obtained either by genetic transformation, or by selection of plants containing a mutation imparting such herbicide tolerance.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars which are insect-resistant transgenic plants, i.e. plants made resistant to attack by certain target insects. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such insect resistance.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars which are tolerant to abiotic stresses. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such stress resistance.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars which show altered quantity, quality and/or storage-stability of the harvested product and/or altered properties of specific ingredients of the harvested product.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars, such as cotton plants, with altered fiber characteristics. Such plants can be obtained by genetic transformation, or by selection of plants contain a mutation imparting such altered fiber characteristics.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars, such as oilseed rape or related Brassica plants, with altered oil profile characteristics. Such plants can be obtained by genetic

transformation, or by selection of plants contain a mutation imparting such altered oil profile characteristics.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars, such as oilseed rape or related Brassica plants, with altered seed shattering characteristics. Such plants can be obtained by genetic transformation, or by selection of plants contain a mutation imparting such altered seed shattering characteristics and include plants such as oilseed rape plants with delayed or reduced seed shattering.

Plants and plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which can be treated by the above disclosed methods include plants and plant cultivars, such as Tobacco plants, with altered post-translational protein modification patterns.

Pathogens and diseases

The methods disclosed above can be used to control microorganisms, in particular phytopathogenic microorganisms such as phytopathogenic fungi, causing diseases, such as:

diseases caused by powdery mildew pathogens, such as Blumeria species (e.g. Blumeria graminis), Podosphaera species (e.g. Podosphaera leucotricha), Sphaerotheca species (e.g.Sphaerotheca fuliginea), Uncinula species (e.g. Uncinula necator);

diseases caused by rust disease pathogens, such as Gymnosporangium species (e.g. Gymnosporangium sabinae), Hemileia species (e.g. Hemileia vastatrix), Phakopsora species (e.g. Phakopsora pachyrhizi or Phakopsora meibomiae), Puccinia species (e.g. Puccinia recondita, Puccinia graminis or Puccinia striiformis), Uromyces species (e.g. Uromyces appendiculatus) ;

diseases caused by pathogens from the group of the Oomycetes, such as Albugo species (e.g. Albugo candida), Bremia species (e.g. Bremia lactucae), Peronospora species (e.g. Peronospora pisi or P. brassicae), Phytophthora species (e.g. Phytophthora infestans), Plasmopara species (e.g. Plasmopara viticola), Pseudoperonospora species (e.g. Pseudoperonospora humuli or Pseudoperonospora cubensis), Pythium species (e.g. Pythium ultimum) ;

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

Stagonospora species (e.g. Stagonospora nodorum), Typhula species (e.g. Typhula incarnate), Venturia species (e.g. Venturia inaequalis),

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

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

diseases caused by smut fungi, for example Sphacelotheca species (e.g. Sphacelotheca reiliana), Tilletia species (e.g. Tilletia caries or Tilletia controversa), Urocystis species (e.g. Urocystis occulta), Ustilago species (e.g. Ustilago nuda);

fruit rot caused, for example, by Aspergillus species (e.g. Aspergillus flavus), Botrytis species (e.g. Botrytis cinerea), Penicillium species (e.g. Penicillium expansum or Penicillium purpurogenum), Rhizopus species (e.g. Rhizopus stolonifer), Sclerotinia species (e.g. Sclerotinia sclerotiorum), Verticilium species (e.g. Verticilium alboatrum) ;

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

cancers, galls and witches' broom caused, for example, by Nectria species (e.g. Nectria galligena);

wilt diseases caused, for example, by Monilinia species (e.g. Monilinia laxa);

deformations of leaves, flowers and fruits caused, for example, by Exobasidium species (e.g. Exobasidium vexans), Taphrina species (e.g. Taphrina deformans);

degenerative diseases in woody plants, caused, for example, by Esca species (e.g. Phaeomoniella chlamydospora, Phaeoacremonium aleophilum or Fomitiporia mediterranea), Ganoderma species (e.g. Ganoderma boninense);

diseases of flowers and seeds caused, for example, by Botrytis species (e.g. Botrytis cinerea);
diseases of plant tubers caused, for example, by Rhizoctonia species (e.g. Rhizoctonia solani), Helminthosporium species (e.g. Helminthosporium solani);
diseases caused by bacterial pathogens, for example Xanthomonas species (e.g. Xanthomonas campestris pv. Oryzae), Pseudomonas species (e.g. Pseudomonas syringae pv. Lachrymans), Erwinia species (e.g. Erwinia amylovora).
In particular, the compounds of formula (I) and compositions comprising thereof are efficient in controlling pythopathogenic fungi causing rust diseases.

Seed Treatment

The method for controlling unwanted microorganisms may be used to protect seeds from phytopathogenic microorganisms, such as fungi.
The term “seed(s)” as used herein include dormant seed, primed seed, pregerminated seed and seed with emerged roots and leaves.
Thus, the present invention also relates to a method for protecting seeds and/or crops from unwanted microorganisms, such as bacteria or fungi, which comprises the step of treating the seeds with one or more compounds of formula (I) or a composition comprising thereof. The treatment of seeds with the compound(s) of formula (I) or or a composition comprising thereof not only protects the seeds from phytopathogenic microorganisms, but also the germinating plants, the emerged seedlings and the plants after emergence.
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 compound(s) of formula (I) or a composition comprising thereof (either as such or after dilution), the seeds and the compound(s) of formula (I) or the composition comprising thereof are mixed until a homogeneous distribution on seeds is achieved. If appropriate, the seeds may then be dried.
The invention also relates to seeds treated with one or more compounds of formula (I) or a composition comprising thereof. As said before, the use of treated seeds allows not only protecting the seeds before and after sowing from unwanted microorganisms, such as phytopathogenic fungi, but also allows protecting the germinating plants and young seedlings emerging from said treated seeds. A large part of the damage to crop plants caused by harmful organisms is triggered by the infection of the seeds before sowing or after germination of the plant. This phase is particularly critical since the roots and shoots of the growing plant are particularly sensitive, and even small damage may result in the death of the plant.
Therefore, the present invention also relates to a method for protecting seeds, germinating plants and emerged seedlings, more generally to a method for protecting crop from phytopathogenic microorganisms, which comprises the step of using seeds treated by one or more compounds of formula (I) or a composition comprising thereof.
Preferably, the seed is 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.

The amount of compound(s) of formula (I) or composition comprising thereof applied to the seed 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 active ingredients 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 compound(s) of formula (I) or composition comprising thereof to be applied to the seed in order to achieve optimum seed and germinating plant protection with a minimum amount of compound(s) of formula (I) or composition comprising thereof being employed.

As indicated above, the compounds of the formula (I) can be applied, as such, directly to the seeds, i.e. without the use of any other components and without having been diluted, or a composition comprising the compounds of formula (I) can be applied. Preferably, the compositions are applied to the seed in any suitable form. Examples of suitable formulations include solutions, emulsions, suspensions, powders, foams, slurries or combined with other coating compositions for seed, such as film forming materials, pelleting materials, fine iron or other metal powders, granules, coating material for inactivated seeds, and also ULV formulations. The formulations may be ready-to-use formulations or may be concentrates that need to be diluted prior to use.

These formulations are prepared in a known manner, for instance by mixing the active ingredient or mixture thereof with customary additives, for example customary extenders and solvents or diluents, dyes, wetting agents, dispersants, emulsifiers, antifoams, preservatives, secondary thickeners, adhesives, gibberellins, and also water.

These formulations are prepared in a known manner, by mixing the active ingredients or active ingredient combinations with customary additives, for example customary extenders and solvents or diluents, dyes, wetting agents, dispersants, emulsifiers, antifoams, preservatives, secondary thickeners, adhesives, gibberellins, and also water.

Useful dyes which may be present in the seed dressing formulations are all dyes which are customary for such purposes. It is possible to use either pigments, which are sparingly soluble in water, or dyes, which are soluble in water. Examples include the dyes known by the names Rhodamine B, C.I. Pigment Red 112 and C.I. Solvent Red 1. Useful wetting agents which may be present in the seed dressing formulations are all substances which promote wetting and which are conventionally used for the formulation of active agrochemical ingredients. Usable with preference are alkylnaphthalenesulfonates, such as diisopropyl- or diisobutylnaphthalenesulfonates. Useful dispersants and/or emulsifiers which may be present in the seed dressing formulations are all nonionic, anionic and cationic dispersants conventionally used for the formulation of active agrochemical ingredients. Usable with preference are nonionic or anionic dispersants or mixtures of nonionic or anionic dispersants. Useful nonionic dispersants include especially ethylene oxide/propylene oxide block polymers, alkylphenol polyglycol ethers and tristryrylphenol polyglycol ether, and the phosphated or sulfated derivatives thereof. Suitable anionic dispersants are especially lignosulfonates, polyacrylic acid salts and arylsulfonate/formaldehyde condensates. Antifoams which may be present in the seed dressing formulations are all foam-inhibiting

substances conventionally used for the formulation of active agrochemical ingredients. Silicone antifoams and magnesium stearate can be used with preference. Preservatives which may be present in the seed dressing formulations are all substances usable for such purposes in agrochemical compositions. Examples include dichlorophene and benzyl alcohol hemiformal. Secondary thickeners which may be present in the seed dressing formulations are all substances usable for such purposes in agrochemical compositions. Preferred examples include cellulose derivatives, acrylic acid derivatives, xanthan, modified clays and finely divided silica. Adhesives which may be present in the seed dressing formulations are all customary binders usable in seed dressing products. Preferred examples include polyvinylpyrrolidone, polyvinyl acetate, polyvinyl alcohol and tylose.

The compounds of the formula (I) and the compositions comprising thereof are suitable for protecting seeds of any plant variety which is used in agriculture, in greenhouses, in forests or in horticulture. More particularly, the seed is 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. Of particular significance is the treatment of the seed of wheat, soybean, oilseed rape, maize and rice.

The compounds of formula (I) or the compositions comprising thereof can be used for treating transgenic seeds, in particular seeds of plants capable of expressing a protein which acts against pests, herbicidal damage or abiotic stress, thereby increasing the protective effect. Synergistic effects may also occur in interaction with the substances formed by expression.

Application

The compound of formula (I) 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 formula (I), synthetic substances impregnated with the compound of of formula (I), fertilizers or microencapsulations in polymeric substances.

Application is accomplished in a customary manner, for example by watering, spraying, atomizing, broadcasting, dusting, foaming, spreading-on and the like. It is also possible to deploy the compound of formula (I) 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 formula (I) by means of a wound seal, paint or other wound dressing.

The effective and plant-compatible amount of the compound of formula (I) 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 formula (I) 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.

Material Protection

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 unwanted microorganisms.

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

Industrial materials 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.

Timber 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.*

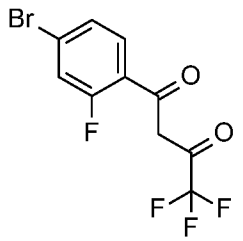
Aspects of the present teaching may be further understood in light of the following examples, which should not be construed as limiting the scope of the present teaching in any way.

EXAMPLES

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

Synthesis of intermediates of formula (II)

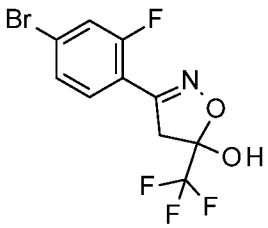
1-(4-Bromo-2-fluorophenyl)-4,4,4-trifluorobutane-1,3-dione



A solution of 4-bromo-2-fluoroacetophenone (25 g, 1 eq) and sodium hydride (5.99 g, 1.3 eq, 60%) in degassed THF (200 mL) was stirred at 0°C for 30 min. Ethyl 2,2,2-trifluoroacetate (21.3 g, 1.3 eq) was added in portions over 5 min at 0°C. The reaction mixture was then stirred at r.t for 3 h. Water and ice were (400 ml) were then added. The aqueous layer was extracted three times with ethyl acetate. The combined organic layers were dried (Na_2SO_4), filtered and concentrated under reduced pressure to afford the title compound (25g) which was used in the next step without further purification.

Synthesis of compounds of formula (I)

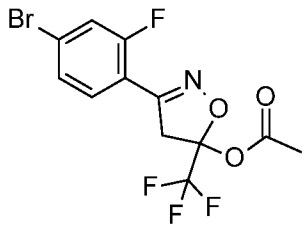
3-(4-Bromo-2-fluorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol (Compound I-006)



Hydroxylamine hydrochloride (3.68 g, 1.2 eq) was added to a solution of 1-(4-bromo-2-fluorophenyl)-4,4,4-trifluorobutane-1,3-dione (20 g, 1 eq) in acetic acid (100 mL). The reaction mixture was stirred for 3 h at 120 °C. At r.t, a ice-water mixture (300 mL) was added. The aqueous layer was extracted three times with ethyl acetate. The combined organic layers were dried (Na_2SO_4), filtered and concentrated under reduced pressure. The residue was purified over reverse phase chromatography to afford the title compound (10.4 g, 50% yield) as an off-white solid.

MS (ESI): 328 ($[M+H]^+$)

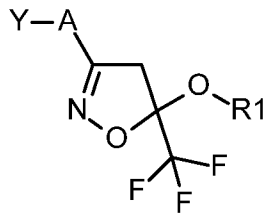
3-(4-Bromo-2-fluorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate (Compound I-021)



To a suspension of 3-(4-bromo-2-fluorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol (100 mg, 0.30 mmol) in dry acetonitrile was added pyridine (0.049 ml, 0.61 mmol) followed by acetyl chloride (0.043 ml, 0.61 mmol). The reaction mixture was stirred for 2 h at 70 °C. Pyridine (0.025 ml, 0.30 mmol) and acetyl chloride (0.022 ml, 0.30 mmol) were then added and the reaction mixture was stirred for 3 h at 70 °C. At r.t, water was added. The aqueous layer was extracted three times with ethyl acetate. The combined organic layers were washed with a saturated sodium hydrogen carbonate solution and then with brine, dried over $MgSO_4$, filtered and concentrated under reduced pressure. The residue was purified over flash chromatography (eluent: heptane:ethyl acetate) to afford the title compound (71 mg, 60% yield) as a white solid.

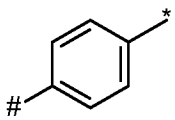
The compounds in table 1 were prepared in analogy with the examples provided above.

Table 1: Compounds according to formula (I)

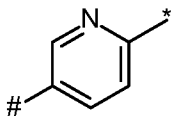


(I)

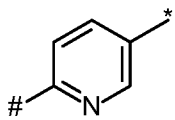
Where A can be :



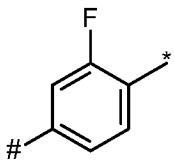
ring1



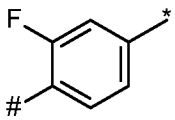
ring2



ring3



ring4



ring5

#: link to Y

Ex N°	Y	A	R1	LogP
I.001	Bromo	ring2	H	2.57[a]
I.002	Formyl	ring1	H	2.07[a]
I.003	acetyloxymethyl	ring1	H	2.30[a]
I.004	acetyloxymethyl	ring1	acetyl	3.63[a]
I.005	Bromo	ring5	H	3.07[a]

Ex N°	Y	A	R1	LogP
I.006	Bromo	ring4	H	3.07[a]
I.007	hydroxyiminomethyl	ring1	H	1.92[a]
I.008	hydroxymethyl	ring1	tert-butyl(dimethyl)silyl	4.49[a]
I.009	methoxymethyl	ring1	tert-butyl(dimethyl)silyl	5.67[a]
I.010	Formyl	ring1	tert-butyl(dimethyl)silyl	5.44[a]
I.011	acetyloxymethyl	ring1	tert-butyl(dimethyl)silyl	5.42[a]
I.012	methoxymethyl	ring1	H	2.25[a]
I.013	ethynyl	ring1	H	2.66[a]
I.014	methylsulfinyl	ring1	H	1.46[a]
I.015	acetyloxy	ring1	H	2.28[a]
I.016	methylsulfanylmethyl	ring1	H	2.77[a]
I.017	Bromo	ring3	H	2.21[a]
I.018	Chloro	ring3	H	2.09[a]
I.019	(trifluoromethylthio)methyl	ring1	H	3.44[a]
I.020	methylsulfonyloxy	ring1	H	2.23[a]
I.021	Bromo	ring4	acetyl	4.81[a]
I.022	Bromo	ring1	nonanoyl	6.47[a]
I.023	Bromo	ring1	2-methylpropanoyl	4.51[a]
I.024	Bromo	ring1	2-trimethylsilylethoxymethyl	5.92[a]
I.025	Bromo	ring1	2,2-dimethylpropanoyl	4.85[a]
I.026	Bromo	ring1	cyclopropylcarbonyl	4.19[a]
I.027	Bromo	ring1	methyl	3.84[a]
I.028	Bromo	ring1	methoxycarbonyl	3.81[a]
I.029	Cyano	ring1	H	2.36[a]
I.030	Bromo	ring1	cyclopropylmethyl	4.51[a]
I.031	Bromo	ring1	2-oxopropyl	3.47[a]
I.032	Bromo	ring1	2-ethoxy-2-oxoethyl	4.02[a]
I.033	Bromo	ring1	prop-2-yn-1-yl	3.95[a]
I.034	Bromo	ring1	benzyl	4.88[a]
I.035	Bromo	ring1	methoxymethyl	3.89[a]
I.036	Bromo	ring1	2-cyclopropyl-2-oxoethyl	3.89[a]
I.037	Bromo	ring1	methoxycarbonothioyl	3.81[a]
I.038	Acetyl	ring1	tert-butyl(dimethyl)silyl	5.51[a]
I.039	Iodo	ring1	H	3.08[a]
I.040	bromomethyl	ring1	tert-butyl(dimethyl)silyl	6.20[a]
I.041	methylsulfanylmethyl	ring1	tert-butyl(dimethyl)silyl	6.12[a]
I.042	trifluoromethyl	ring1	H	3.14[a]
I.043	methoxy	ring5	H	2.51[a]

Ex N°	Y	A	R1	LogP
I.044	methoxy	ring4	H	2.59[a]
I.046	Chloro	ring4	H	2.91[a]
I.047	Chloro	ring5	H	3.04[a]
I.048	Bromo	ring1	trimethylsilyl	5.45[a]
I.049	(methoxyimino)methyl	ring1	H	2.72[a]
I.050	Bromo	ring1	allyl	4.34[a]
I.051	Amino	ring1	(4-chlorophenyl)methyl	3.83[a]
I.052	(methoxyimino)methyl	ring1	tert-butyl(dimethyl)silyl	6.07[a]

Measurement of LogP values 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.

NMR-Peak lists

1H-NMR data of selected examples are written in form of 1H-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 (intensity$_1$); δ_2 (intensity$_2$);……..; 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 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-D_6 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.

I.001: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.8642 (3.8); 8.8555 (5.2); 8.8533 (4.9); 8.8477 (4.9); 8.8454 (4.1); 8.2355 (3.4); 8.2276 (3.3); 8.2072 (4.1); 8.1993 (4.0); 7.9429 (5.0); 7.9408 (4.7); 7.9146 (4.2); 7.9125 (3.9); 3.9152 (3.1); 3.8521 (4.6); 3.6190 (2.7); 3.6150 (2.6); 3.5557 (1.8); 3.5516 (1.8); 3.3485 (16.0); 2.5339 (2.2); 2.5280 (4.6); 2.5219 (6.2); 2.5159 (4.5); 2.5100 (2.1); 1.9288 (0.7); 0.0180 (7.0)
I.002: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 10.0669 (16.0); 10.0357 (0.5); 8.7820 (12.3); 8.0218 (7.8); 8.0006 (15.7); 7.9564 (14.7); 7.9357 (7.7); 7.8920 (0.4); 4.0411 (4.3); 3.9944 (5.4); 3.6409 (3.4); 3.5919 (2.7); 3.5476 (0.3); 3.4489 (0.4); 3.4356 (0.4); 3.3270 (252.6); 2.6753 (1.3); 2.6709 (1.9); 2.6661 (1.5); 2.5107 (112.2); 2.5063 (231.2); 2.5018 (311.5); 2.4972 (222.7); 2.4928 (104.2); 2.3331 (1.5); 2.3286 (1.8); 2.3240 (1.3); 1.9093 (0.3); 1.1473 (0.6); 0.0081 (1.2); -0.0001 (32.3); -0.0083 (1.2)
I.003: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 8.6570 (3.8); 7.7347 (2.8); 7.7140 (3.4); 7.4785 (2.9); 7.4578 (2.5); 5.1248 (6.0); 3.9633 (1.4); 3.9169 (1.7); 3.5750 (1.0); 3.5283 (0.8); 3.3333 (3.8); 2.5111 (1.9); 2.5068 (4.0); 2.5023 (5.3); 2.4978 (3.8); 2.4935 (1.8); 2.0886 (16.0); 1.9895 (0.5); -0.0001 (2.5)
I.004: ^{1}H-NMR(499.9 MHz, d_6-DMSO): δ= 7.7226 (2.8); 7.7060 (3.4); 7.5008 (2.9); 7.4842 (2.5); 5.1381 (6.2); 4.2910 (0.6); 4.2524 (1.2); 4.1588 (2.0); 4.1204 (1.2); 3.3158 (7.7); 2.5118 (1.5); 2.5083 (3.2); 2.5047 (4.5); 2.5011 (3.4); 2.4976 (1.7); 2.1496 (14.0); 2.1059 (0.5); 2.0927 (16.0)
I.005: ^{1}H-NMR(499.9 MHz, d_6-DMSO): δ= 8.7637 (16.0); 7.8623 (8.2); 7.8460 (12.4); 7.8311 (9.1); 7.7268 (9.0); 7.7231 (10.0); 7.7074 (9.1); 7.7036 (10.0); 7.5441 (9.4); 7.5406 (9.9); 7.5275 (8.5); 7.5239 (8.9); 3.9769 (12.0); 3.9395 (14.5); 3.5957 (9.3); 3.5582 (7.7); 3.3232 (3.1); 2.5079 (6.7); 2.5044 (9.4); 2.5010 (7.4)
I.006: ^{1}H-NMR(499.9 MHz, d_6-DMSO): δ= 8.7366 (16.0); 7.7656 (8.4); 7.7619 (8.6); 7.7510 (7.6); 7.7441 (8.9); 7.7403 (9.2); 7.7345 (13.0); 7.7182 (7.7); 7.5882 (0.4); 7.5623 (8.6); 7.5587 (8.5); 7.5454 (7.0); 7.5419 (6.9); 3.9455 (7.7); 3.9082 (9.1); 3.5710 (6.5); 3.5336 (5.2); 3.3262 (2.9); 2.5105 (2.1); 2.5071 (3.8); 2.5035 (5.0); 2.5000 (3.6)
I.007: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 8.1980 (15.4); 8.0534 (0.4); 7.7986 (0.4); 7.7773 (0.4); 7.7587 (7.0); 7.7420 (4.3); 7.7375 (16.0); 7.7018 (15.6); 7.6806 (7.0); 7.5063 (0.5); 3.9607 (4.6); 3.9144 (5.9); 3.5826 (3.7); 3.5359 (3.0); 3.4504 (0.4); 3.4084 (0.4); 3.3631 (0.4); 2.5141 (5.8); 2.5097 (12.5); 2.5051 (17.3); 2.5006 (12.4); 2.4961 (5.8); 0.0000 (1.5)

I.008: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 7.7203 (1.4); 7.6999 (1.5); 7.4403 (1.4); 7.4200 (1.2); 5.3409 (0.5); 5.3266 (1.1); 5.3124 (0.5); 4.5585 (1.4); 4.5442 (1.4); 4.1336 (0.6); 4.0864 (0.8); 3.6692 (0.5); 3.6218 (0.4); 3.3274 (1.5); 2.5065 (3.2); 2.5023 (4.1); 2.4981 (3.0); 0.8626 (16.0); 0.1665 (5.2); 0.0667 (5.6)
I.009: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 7.7479 (1.3); 7.7273 (1.5); 7.4435 (1.3); 7.4230 (1.2); 4.4691 (2.7); 4.1484 (0.6); 4.1010 (0.7); 3.6858 (0.4); 3.6381 (0.4); 3.3287 (1.6); 3.3144 (7.0); 2.5115 (1.0); 2.5073 (2.0); 2.5029 (2.8); 2.4985 (2.1); 2.4943 (1.1); 0.8636 (16.0); 0.1681 (4.9); 0.0702 (5.4); 0.0000 (1.0)
I.010: ^{1}H-NMR(400.2 MHz, CDCl3): δ= 7.9706 (1.2); 7.9498 (1.6); 7.8434 (1.5); 7.8227 (1.1); 7.2604 (3.3); 3.8077 (0.7); 3.7625 (0.8); 3.3763 (0.5); 3.3734 (0.4); 3.3312 (0.4); 3.3281 (0.4); 0.8887 (16.0); 0.2579 (4.1); 0.1034 (5.2); -0.0014 (2.8)
I.011: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 7.7660 (1.2); 7.7453 (1.4); 7.4931 (1.3); 7.4723 (1.1); 5.1285 (2.6); 4.1553 (0.6); 4.1080 (0.7); 3.6909 (0.4); 3.6450 (0.3); 3.3297 (2.4); 2.5115 (1.5); 2.5071 (3.1); 2.5027 (4.1); 2.4982 (2.9); 2.4938 (1.4); 2.0877 (7.0); 0.8627 (16.0); 0.1664 (4.9); 0.0674 (5.4); 0.0001 (1.9)
I.012: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 8.6299 (7.3); 7.7163 (7.3); 7.6958 (8.5); 7.4300 (7.4); 7.4096 (6.4); 4.4628 (16.0); 3.9601 (3.5); 3.9138 (4.4); 3.5682 (2.7); 3.5231 (2.1); 3.3282 (10.2); 3.3093 (38.7); 2.5063 (22.8); 2.5019 (29.8); 2.4976 (21.5); 0.0077 (0.5); 0.0000 (9.0); -0.0080 (0.4)
I.013: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.7129 (6.9); 7.7662 (4.6); 7.7379 (6.7); 7.6157 (6.6); 7.5877 (4.4); 4.4208 (7.2); 4.0039 (2.3); 3.9419 (3.0); 3.6067 (1.8); 3.6039 (1.8); 3.5445 (1.4); 3.5413 (1.4); 3.3509 (16.0); 2.5339 (1.5); 2.5281 (3.0); 2.5221 (4.0); 2.5161 (2.9); 2.5104 (1.4); 0.0190 (4.5)
I.014: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.7586 (0.7); 7.9500 (2.3); 7.9216 (3.5); 7.8231 (3.4); 7.7950 (2.1); 4.0443 (1.0); 3.9821 (1.4); 3.6476 (0.9); 3.5841 (0.7); 3.3469 (16.0); 2.8039 (12.0); 2.5339 (2.6); 2.5280 (5.4); 2.5220 (7.4); 2.5159 (5.3); 2.5102 (2.5); 0.0307 (0.4); 0.0199 (12.1); 0.0090 (0.5)
I.015: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.6805 (0.4); 7.8029 (1.7); 7.7962 (0.6); 7.7804 (0.7); 7.7739 (1.9); 7.2935 (2.0); 7.2868 (0.6); 7.2709 (0.6); 7.2644 (1.7); 4.0019 (0.6); 3.9400 (0.9); 3.6068 (0.5); 3.5414 (0.4); 3.3448 (16.0); 2.5337 (2.4); 2.5279 (4.8); 2.5218 (6.3); 2.5158 (4.5); 2.5099 (2.2); 2.3122 (6.7); 0.0312 (0.4); 0.0203 (9.9); 0.0093 (0.4)
I.016: ^{1}H-NMR(400.2 MHz, CDCl3): δ= 7.6354 (4.6); 7.6149 (5.5); 7.3928 (5.1); 7.3724 (4.4); 7.2621 (42.3); 7.2359 (0.3); 3.7422 (2.3); 3.6970 (12.0); 3.5443 (2.3); 3.4998 (1.6); 3.4615 (0.5); 2.0111 (1.1); 1.9938 (16.0); 1.6032 (1.4); 0.0081 (1.0); 0.0001 (22.9)
I.017: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 8.6063 (0.7); 8.5982 (0.9); 8.5838 (11.7); 8.5818 (11.6); 8.5756 (12.4); 8.5736 (11.3); 8.1175 (0.5); 8.1093 (0.4); 8.0895 (0.5); 8.0813 (0.5); 8.0012 (9.2); 7.9929 (8.9); 7.9733 (10.7); 7.9650 (10.4); 7.6475 (13.8); 7.6454 (13.1); 7.6196 (11.9); 7.6175 (11.1); 7.4878 (0.6); 7.4620 (0.6); 7.2986 (44.6); 5.3380 (1.5); 3.9684 (1.4); 3.7834 (8.8); 3.7238 (16.0); 3.5899 (10.2); 3.5859 (9.9); 3.5302 (5.6); 3.5262 (5.4); 1.6567 (2.1); 1.3596 (0.4); 1.3212 (0.6); 1.2912 (1.2); 0.8878 (0.5); 0.8646 (0.5); 0.0469 (1.8); 0.0361 (41.8); 0.0252 (1.5)
I.018: ^{1}H-NMR(499.9 MHz, d_6-DMSO): δ= 8.7771 (0.4); 8.7308 (4.7); 8.7268 (4.8); 8.7260 (4.8); 8.2039 (3.2); 8.1990 (3.3); 8.1872 (3.5); 8.1822 (3.6); 8.1343 (1.0); 7.6648 (4.8); 7.6480 (4.6); 4.0497 (1.2); 4.0355 (3.7); 4.0211 (4.9); 4.0191 (4.3); 4.0070 (1.4); 3.9814 (4.4); 3.6472 (2.5); 3.6097 (2.1); 2.5081 (0.7); 2.5045 (0.9); 2.5009 (0.7); 2.4974 (0.4); 1.9865 (16.0); 1.1881 (4.3); 1.1739 (8.6); 1.1596 (4.3)
I.019: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 8.6432 (3.4); 7.7270 (8.1); 7.7064 (9.7); 7.5254 (9.1); 7.5049 (7.4); 4.3632 (16.0); 3.9645 (3.9); 3.9181 (4.9); 3.5701 (3.2); 3.5238 (2.6); 3.3315 (18.4); 2.6711 (0.6); 2.5065 (62.3); 2.5023 (78.4); 2.4982 (56.5); 2.4032 (0.3); 2.3292 (0.6); 0.0000 (11.5)
I.020: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.7249 (0.6); 7.8774 (0.6); 7.8482 (0.6); 7.5071 (0.7); 7.4778 (0.6); 3.4585 (2.8); 3.3503 (16.0); 2.5345 (1.2); 2.5286 (2.5); 2.5226 (3.4); 2.5166 (2.5); 2.5109 (1.2); 0.0207 (4.4)
I.021: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.9093 (0.5); 7.8828 (1.2); 7.8550 (0.8); 7.4400 (0.6); 7.4336 (0.8); 7.4120 (0.5); 7.4054 (2.0); 7.3707 (0.9); 7.3646 (0.8); 7.2988 (13.7); 5.3391 (0.9); 3.9313 (0.5); 3.9267 (0.7); 3.9228 (0.7); 3.9183 (0.5); 3.8495 (0.8); 3.8409 (0.8); 3.7862 (0.3); 3.7780 (0.3); 2.2182 (11.1); 1.5838 (16.0); 0.1068 (1.0); 0.0482 (0.7); 0.0374 (18.4); 0.0281 (0.5); 0.0265 (0.7)
I.022: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6333 (0.7); 7.6254 (0.4); 7.6116 (0.6); 7.6037 (3.4); 7.5853 (3.4); 7.5774 (0.6); 7.5635 (0.4); 7.5557 (0.8); 7.2988 (19.8); 3.9508 (0.4); 3.9462 (0.4); 3.8900 (0.7); 3.8856 (0.7); 3.7409 (1.3); 3.6804 (0.8); 2.4930 (0.6); 2.4868 (0.6); 2.4680 (1.2); 2.4619 (1.3); 2.4422 (0.7); 2.4377 (0.7); 1.6768 (0.4); 1.6521 (0.7); 1.6285 (0.6); 1.5830 (16.0); 1.3797 (0.4); 1.2951 (2.9); 0.9317 (0.8); 0.9099 (2.7); 0.8867 (0.9); 0.1084 (0.8); 0.0483 (0.9); 0.0450 (0.6); 0.0375 (27.7); 0.0282 (0.7); 0.0266 (1.0)
I.023: ^{1}H-NMR(499.9 MHz, CDCl3): δ= 7.5848 (3.8); 7.5676 (9.5); 7.5473 (9.5); 7.5336 (1.6); 7.5301 (3.4); 7.2599 (8.4); 3.8808 (1.7); 3.8790 (1.7); 3.8446 (2.4); 3.8427 (2.4); 3.6992 (4.4); 3.6630 (3.0); 2.6921 (0.8); 2.6781 (1.9); 2.6641 (2.5); 2.6501 (1.9); 2.6361 (0.8); 1.5427 (16.8); 1.2538 (0.6); 1.2175 (13.4); 1.2031 (15.3); 1.2010 (16.0); 1.1866 (12.3); 0.0695 (1.0); -0.0002 (10.5)
I.024: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6351 (1.5); 7.6279 (0.6); 7.6131 (1.0); 7.6059 (4.3); 7.5999 (0.9); 7.5806 (0.9); 7.5750 (4.3); 7.5675 (0.9); 7.5527 (0.6); 7.5455 (1.4); 7.2985 (15.3); 5.3388 (0.4); 5.1128 (1.4); 5.0886 (1.8); 4.9378 (1.7); 4.9137 (1.3); 4.8121 (0.3); 3.8452 (0.5); 3.8410 (0.5); 3.8318 (0.4); 3.8084 (0.5); 3.7991 (1.0); 3.7847 (1.2); 3.7804 (1.3); 3.7752 (1.2); 3.7693 (0.7); 3.7431 (0.6); 3.7089 (2.1); 3.6721 (0.6); 3.6470 (1.2); 3.6415 (1.0); 3.6160 (0.9); 3.6065 (0.5); 3.5834 (0.4); 1.5839 (16.0); 0.9161 (1.1); 0.9122 (1.0); 0.8930 (1.0); 0.8860 (2.0); 0.8789 (1.0); 0.8599 (1.0); 0.8557 (1.0); 0.1080 (1.6); 0.0770 (0.4); 0.0618 (0.8); 0.0569 (1.9); 0.0480 (0.8); 0.0372 (21.6); 0.0260 (1.1); 0.0217 (1.7); 0.0108 (42.9); -0.0001 (1.5)
I.025: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6020 (2.2); 7.5913 (2.2); 7.5830 (0.4); 7.2989 (0.7); 3.8588 (0.5); 3.8542 (0.5); 3.7437 (0.8); 3.6832 (0.4); 1.5978 (1.3); 1.3029 (0.3); 1.2765 (16.0); 0.1082 (0.5); 0.0373 (0.9)
I.026: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6242 (1.4); 7.6164 (0.7); 7.6025 (1.1); 7.5947 (6.0); 7.5755 (6.0); 7.5676 (1.1); 7.5536 (0.7); 7.5459 (1.4); 7.2988 (14.9); 3.9564 (0.8); 3.9517 (0.8); 3.8958 (1.3); 3.8911 (1.3); 3.8865 (0.6); 3.7336 (2.4); 3.6732 (1.4); 1.7790 (0.6); 1.7682 (0.6); 1.7530 (1.0); 1.7413 (0.5); 1.7371 (0.6); 1.7263 (0.7); 1.7107 (0.3); 1.5842 (16.0); 1.1583 (0.3); 1.1530 (0.3); 1.1487 (0.3); 1.1422 (0.3); 1.1330 (0.8); 1.1264 (1.0); 1.1168 (1.4); 1.1125 (1.5); 1.1015 (1.1); 1.0969 (0.9); 1.0919 (0.5); 1.0881 (0.5); 1.0718 (0.8); 1.0654 (0.6); 1.0475 (2.2); 1.0394 (1.9); 1.0207 (2.1); 1.0131 (1.6); 0.9997 (0.4); 0.1068 (0.6); 0.0483 (0.8); 0.0374 (20.5); 0.0265 (0.8)

I.027: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6418 (1.0); 7.6344 (0.4); 7.6199 (0.7); 7.6124 (3.2); 7.6070 (0.8); 7.5921 (0.7); 7.5868 (3.2); 7.5792 (0.7); 7.5647 (0.4); 7.5573 (1.0); 7.2989 (10.6); 3.7237 (0.8); 3.6631 (1.4); 3.5215 (4.6); 3.5187 (4.6); 3.4948 (0.8); 3.4900 (0.8); 3.4341 (0.5); 3.4293 (0.5); 1.5837 (16.0); 0.1079 (0.8); 0.0487 (0.6); 0.0380 (14.6); 0.0271 (0.5)
I.028: ^{1}H-NMR(499.9 MHz, d_6-DMSO): δ= 7.9179 (0.4); 7.8112 (0.4); 7.7941 (0.3); 7.7564 (3.2); 7.7429 (1.5); 7.7393 (5.0); 7.6735 (4.9); 7.6600 (1.2); 7.6564 (3.3); 4.4481 (0.8); 4.4092 (1.5); 4.3126 (2.4); 4.2737 (1.4); 3.7496 (16.0); 3.3173 (5.5); 3.1790 (0.5); 3.1686 (0.5); 2.5086 (2.3); 2.5051 (3.3); 2.5017 (2.6)
I.029: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.8637 (0.4); 7.8393 (4.3); 7.8367 (3.4); 7.8324 (2.3); 7.8178 (3.4); 7.8104 (16.0); 7.7894 (15.8); 7.7819 (3.1); 7.7671 (2.3); 7.7629 (3.2); 7.7603 (4.0); 7.5800 (0.4); 7.2989 (16.7); 3.7845 (4.6); 3.7250 (7.0); 3.5903 (4.2); 3.5863 (4.2); 3.5306 (2.4); 3.5265 (2.4); 2.9993 (1.0); 2.9013 (0.8); 2.6885 (0.4); 1.6465 (1.5); 0.0480 (0.9); 0.0371 (23.4); 0.0262 (0.9)
I.030: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6407 (0.8); 7.6351 (4.7); 7.6277 (2.1); 7.6133 (3.3); 7.6058 (16.0); 7.6003 (3.7); 7.5859 (3.6); 7.5805 (16.0); 7.5729 (3.3); 7.5584 (2.0); 7.5509 (4.6); 7.5455 (0.8); 7.2987 (4.8); 3.7265 (3.8); 3.6662 (6.7); 3.6444 (1.4); 3.6211 (1.6); 3.6112 (3.4); 3.5881 (3.5); 3.5669 (2.4); 3.5428 (2.6); 3.5198 (3.8); 3.5151 (4.2); 3.5106 (2.6); 3.4594 (2.1); 3.4547 (2.2); 3.4501 (0.9); 1.6017 (11.4); 1.1582 (0.4); 1.1505 (0.5); 1.1476 (0.5); 1.1422 (0.4); 1.1345 (1.0); 1.1315 (1.1); 1.1240 (1.0); 1.1190 (0.8); 1.1154 (0.7); 1.1080 (1.9); 1.1006 (0.7); 1.0971 (0.8); 1.0920 (1.0); 1.0844 (1.1); 1.0814 (1.2); 1.0739 (0.4); 1.0680 (0.6); 1.0654 (0.6); 1.0579 (0.5); 0.6380 (0.4); 0.6206 (0.6); 0.6109 (0.5); 0.5969 (4.7); 0.5938 (6.0); 0.5669 (5.9); 0.5527 (0.6); 0.5430 (0.7); 0.5262 (0.5); 0.3356 (0.5); 0.3276 (0.6); 0.3239 (0.5); 0.3198 (0.6); 0.3113 (0.7); 0.3034 (1.4); 0.2958 (3.1); 0.2884 (1.8); 0.2869 (1.8); 0.2797 (5.4); 0.2640 (2.7); 0.2610 (2.4); 0.2529 (1.1); 0.2446 (0.5); 0.2356 (0.6); 0.2286 (0.5); 0.2201 (0.4); 0.1096 (2.2); 0.0376 (5.8)
I.031: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 7.7508 (2.9); 7.7296 (5.9); 7.6960 (5.9); 7.6747 (2.7); 5.5259 (0.3); 4.7390 (0.3); 4.4391 (1.9); 4.3972 (2.9); 4.2501 (3.0); 4.2082 (1.9); 4.1463 (1.3); 4.0975 (2.8); 4.0126 (1.8); 3.9634 (0.9); 3.3179 (55.6); 2.8978 (0.4); 2.7387 (0.4); 2.5079 (10.5); 2.0679 (16.0); 1.4859 (0.7); 0.9852 (0.4)
I.032: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 7.7497 (5.2); 7.7284 (11.5); 7.6965 (11.3); 7.6751 (5.0); 4.4207 (3.7); 4.3814 (5.6); 4.2401 (5.9); 4.2007 (4.0); 4.1757 (2.5); 4.1397 (3.0); 4.1228 (9.5); 4.1044 (7.8); 4.0867 (2.8); 4.0593 (3.9); 4.0103 (1.6); 3.3182 (141.4); 2.8984 (0.4); 2.5081 (27.0); 1.2162 (0.3); 1.1981 (0.6); 1.1808 (8.3); 1.1630 (16.0); 1.1453 (7.8)
I.033: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 17.2244 (1.2); 14.6369 (1.2); 9.4375 (1.2); 7.7984 (1.3); 7.7834 (1.3); 7.7479 (8.0); 7.7277 (16.0); 7.6990 (15.5); 7.6778 (5.9); 4.4784 (3.2); 4.4727 (2.9); 4.4401 (5.1); 4.4351 (4.8); 4.3261 (4.7); 4.2880 (3.0); 4.1025 (15.5); 3.7097 (1.2); 3.5598 (6.6); 3.4937 (1.3); 3.4588 (1.7); 3.4349 (2.6); 3.3297 (1498.3); 3.2461 (1.3); 3.0133 (1.2); 2.6779 (3.1); 2.5931 (1.7); 2.5450 (7.8); 2.5084 (440.6); 2.3826 (1.7); 2.3337 (3.0); 2.1394 (1.3); 1.2446 (1.3); -0.6806 (1.2)
I.034: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 7.7584 (2.0); 7.7364 (11.0); 7.7283 (10.5); 7.7062 (1.7); 7.3933 (0.9); 7.3730 (3.6); 7.3581 (16.0); 7.3430 (3.4); 7.3221 (1.6); 4.7926 (2.7); 4.7649 (3.4); 4.5799 (3.4); 4.5521 (2.6); 4.1863 (0.5); 4.1367 (3.9); 4.1257 (4.7); 4.0772 (0.5); 3.3187 (57.2); 2.8976 (1.0); 2.7387 (1.0); 2.5082 (12.9)
I.035: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 7.7612 (0.7); 7.7534 (0.3); 7.7394 (0.6); 7.7317 (3.0); 7.7129 (2.9); 7.7050 (0.5); 7.6910 (0.3); 7.6832 (0.7); 4.9712 (0.8); 4.9477 (1.4); 4.8735 (1.3); 4.8500 (0.8); 4.1338 (0.4); 4.0698 (1.1); 4.0141 (0.7); 4.0103 (0.7); 3.3452 (10.0); 3.3375 (16.0); 2.5346 (1.8); 2.5287 (3.6); 2.5226 (5.0); 2.5165 (3.6); 2.5105 (1.7); 0.0213 (3.1)
I.036: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 7.7515 (7.3); 7.7301 (15.9); 7.6978 (16.0); 7.6764 (7.3); 5.3431 (0.4); 4.8367 (0.4); 4.6055 (5.3); 4.5637 (7.7); 4.3931 (8.0); 4.3513 (5.5); 4.1563 (2.7); 4.1077 (7.9); 4.0633 (5.5); 4.0141 (1.8); 3.3183 (133.5); 2.8979 (1.0); 2.7388 (0.9); 2.5080 (26.2); 2.1620 (0.9); 2.1505 (1.9); 2.1421 (2.3); 2.1305 (3.6); 2.1194 (2.2); 2.1115 (2.0); 2.0997 (1.0); 0.9464 (2.2); 0.9393 (3.7); 0.9302 (8.0); 0.9195 (4.5); 0.9107 (6.9); 0.9042 (3.3); 0.8773 (6.1); 0.8663 (8.0); 0.8576 (4.4); 0.8466 (1.9)
I.037: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 7.7908 (0.3); 7.7834 (2.5); 7.7767 (0.9); 7.7613 (1.2); 7.7544 (4.9); 7.7473 (0.8); 7.7014 (0.8); 7.6944 (5.0); 7.6874 (1.3); 7.6720 (0.9); 7.6652 (2.4); 4.4888 (0.5); 4.4847 (0.5); 4.4235 (1.2); 4.4197 (1.2); 4.3434 (2.0); 4.2785 (0.8); 3.7653 (16.0); 3.3447 (13.1); 2.5347 (2.8); 2.5286 (5.9); 2.5225 (8.1); 2.5165 (5.8); 2.5105 (2.6); 0.0209 (8.0); -0.0426 (0.8)
I.038: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 3.3491 (16.0); 2.6438 (0.8); 2.5343 (1.0); 2.5283 (2.2); 2.5222 (3.0); 2.5161 (2.1); 2.5102 (1.0); 0.8865 (2.1); 0.1902 (0.6); 0.0923 (0.7); 0.0202 (4.7)
I.039: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.8502 (1.9); 7.8430 (14.6); 7.8367 (4.6); 7.8207 (5.1); 7.8143 (16.0); 7.8071 (2.2); 7.4439 (2.4); 7.4367 (17.2); 7.4303 (5.0); 7.4143 (4.9); 7.4081 (13.9); 7.4008 (1.8); 7.2988 (14.1); 3.7594 (5.7); 3.7000 (10.2); 3.6601 (0.5); 3.6322 (0.4); 3.5519 (6.3); 3.5479 (6.0); 3.4924 (3.6); 3.4884 (3.4); 1.6806 (0.7); 0.0485 (0.7); 0.0470 (0.5); 0.0378 (18.1); 0.0301 (0.4); 0.0286 (0.4); 0.0269 (0.5)
I.040: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 7.7568 (1.3); 7.7362 (1.7); 7.5801 (1.6); 7.5595 (1.3); 4.7559 (3.1); 4.1534 (0.6); 4.1060 (0.8); 3.6965 (0.5); 3.6490 (0.4); 2.5080 (1.5); 2.5038 (2.0); 2.4997 (1.4); 0.8640 (16.0); 0.1813 (0.4); 0.1687 (5.5); 0.0856 (0.5); 0.0729 (5.7); -0.0006 (0.5)
I.041: ^{1}H-NMR(400.2 MHz, CDCl3): δ= 7.6230 (1.4); 7.6027 (1.7); 7.3890 (1.6); 7.3688 (1.4); 7.2599 (2.2); 3.7751 (0.8); 3.7300 (1.0); 3.6943 (3.1); 3.3425 (0.6); 3.2974 (0.5); 2.0086 (4.7); 0.8852 (16.0); 0.2508 (4.9); 0.1041 (5.5); -0.0005 (1.1)
I.042: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 8.7651 (16.0); 8.7280 (0.5); 7.9560 (9.6); 7.9355 (14.8); 7.8716 (15.2); 7.8506 (9.7); 4.0392 (6.3); 3.9926 (7.9); 3.6336 (5.8); 3.5856 (4.8); 3.5520 (0.4); 3.3767 (0.5); 3.3588 (0.6); 3.3148 (52.8); 3.2789 (1.9); 3.1963 (0.3); 3.1815 (0.3); 2.6693 (0.5); 2.5008 (74.0); 2.3283 (0.9); 1.9087 (0.5); -0.0002 (38.4); -0.0371 (1.2)
I.043: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 8.6080 (5.0); 7.5918 (1.5); 7.5874 (1.7); 7.5613 (1.5); 7.5568 (1.7); 7.5274 (1.4); 7.5059 (1.7); 7.2931 (1.3); 7.2712 (2.4); 7.2497 (1.1); 3.9372 (0.7); 3.9241 (2.0); 3.8987 (16.0); 3.8777 (2.6); 3.5491 (1.7); 3.5015 (1.4); 3.3139 (37.3); 2.5007 (33.0); 2.0856 (0.4); 1.2362 (1.6); 0.8541 (0.5); 0.8339 (0.4); 0.8264 (0.4); -0.0002 (18.4)
I.044: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 8.5918 (5.0); 7.7200 (1.2); 7.6981 (2.5); 7.6764 (1.3); 7.0114 (1.4); 7.0058 (1.5); 6.9778 (1.4); 6.9722 (1.5); 6.9138 (1.6); 6.9079 (1.4); 6.8918 (1.5); 6.8858 (1.4); 3.8966 (1.6); 3.8498 (2.1); 3.8323 (16.0); 3.5331 (1.5); 3.4868 (1.2); 3.3119 (10.5); 2.5010 (13.9); 1.2366 (1.6); 0.8533 (0.5); 0.8347 (0.4); 0.8255 (0.4); 0.8095 (0.3); -0.0002 (10.0)

I.046: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 8.7341 (16.0); 7.8299 (4.3); 7.8093 (8.5); 7.7886 (4.8); 7.6640 (5.2); 7.6594 (5.1); 7.6363 (5.3); 7.6317 (5.2); 7.6084 (0.4); 7.5983 (0.3); 7.4435 (5.7); 7.4395 (5.3); 7.4223 (5.2); 7.4183 (4.8); 3.9570 (5.5); 3.9102 (6.9); 3.5744 (5.0); 3.5277 (4.0); 3.3217 (16.9); 2.5012 (34.2); 2.4448 (0.7); 1.3687 (0.3); 1.3555 (0.4); 1.2361 (3.7); 1.1714 (0.8); 0.8520 (1.2); 0.8339 (1.1); 0.8255 (1.1); 0.8080 (0.9); -0.0002 (17.3)
I.047: ^{1}H-NMR(400.1 MHz, d_6-DMSO): δ= 8.7550 (16.0); 7.7789 (5.5); 7.7751 (6.0); 7.7525 (9.5); 7.7321 (8.8); 7.7122 (5.9); 7.6148 (6.8); 7.5961 (5.2); 3.9817 (6.8); 3.9348 (8.6); 3.5974 (6.0); 3.5505 (4.9); 3.3346 (4.5); 2.6702 (0.3); 2.5011 (44.8); 2.3274 (0.4); 1.2360 (3.4); 1.1820 (0.7); 0.8528 (1.1); 0.8335 (1.0); 0.8264 (1.0); 0.8090 (0.8); -0.0002 (31.5)
I.048: ^{1}H-NMR(300.2 MHz, d_6-DMSO): δ= 8.7122 (2.9); 7.7431 (0.8); 7.7347 (0.4); 7.7217 (0.6); 7.7134 (4.7); 7.6995 (4.5); 7.6912 (0.7); 7.6780 (0.4); 7.6718 (0.6); 7.6696 (0.8); 5.3102 (3.2); 4.0061 (1.0); 3.9440 (1.3); 3.6015 (0.7); 3.5977 (0.7); 3.5392 (0.6); 3.5353 (0.6); 3.3519 (16.0); 2.5344 (1.5); 2.5284 (3.3); 2.5223 (4.6); 2.5162 (3.3); 2.5102 (1.5); 0.0794 (0.4); 0.0429 (1.2); 0.0396 (0.8); 0.0320 (35.6); 0.0200 (3.7)
I.049: ^{1}H-NMR(400.2 MHz, d_6-DMSO): δ= 8.2922 (3.9); 7.7791 (1.8); 7.7581 (3.9); 7.7206 (4.0); 7.6995 (1.9); 3.9751 (0.6); 3.9226 (16.0); 3.5849 (1.0); 3.5383 (0.8); 3.3374 (13.8); 3.3139 (3.2); 2.5115 (9.2); 2.5071 (19.6); 2.5025 (26.9); 2.4980 (19.2); 2.4936 (9.0); -0.0001 (3.4)
I.050: ^{1}H-NMR(300.2 MHz, CDCl3): δ= 7.6398 (5.1); 7.6325 (2.2); 7.6179 (3.4); 7.6104 (15.8); 7.6048 (3.5); 7.5871 (3.3); 7.5814 (16.0); 7.5740 (3.6); 7.5593 (2.2); 7.5521 (5.2); 7.5462 (0.9); 7.2989 (10.6); 6.0019 (0.8); 5.9835 (1.6); 5.9668 (1.2); 5.9488 (1.9); 5.9448 (1.2); 5.9302 (1.1); 5.9262 (2.0); 5.9083 (1.4); 5.8916 (2.1); 5.8732 (1.1); 5.3693 (1.3); 5.3642 (3.5); 5.3590 (3.7); 5.3538 (1.5); 5.3121 (1.1); 5.3069 (3.0); 5.3017 (3.1); 5.2965 (1.2); 5.2539 (1.4); 5.2495 (3.6); 5.2450 (3.5); 5.2405 (1.4); 5.2193 (1.3); 5.2149 (3.2); 5.2103 (3.2); 5.2059 (1.3); 4.2875 (5.5); 4.2692 (5.3); 3.7516 (3.9); 3.6910 (6.9); 3.5488 (3.9); 3.5442 (4.0); 3.4881 (2.2); 3.4835 (2.2); 3.4788 (1.0); 2.9956 (0.7); 2.9221 (0.6); 1.5915 (9.1); 0.0487 (0.5); 0.0379 (14.2); 0.0270 (0.5)
I.051: ^{1}H-NMR(499.9 MHz, CDCl3): δ= 7.4346 (2.1); 7.4295 (10.4); 7.4258 (4.0); 7.4161 (4.0); 7.4122 (10.9); 7.4072 (1.4); 7.2708 (5.9); 7.2669 (3.1); 7.2580 (4.5); 7.2536 (15.1); 7.2333 (13.6); 7.2162 (4.9); 6.6524 (2.2); 6.6473 (11.0); 6.6435 (4.1); 6.6338 (3.8); 6.6300 (10.5); 6.6249 (1.3); 4.7273 (0.8); 4.7039 (16.0); 4.0076 (6.3); 3.7037 (4.7); 3.6677 (6.3); 3.4739 (3.6); 3.4720 (3.6); 3.4519 (0.3); 3.4379 (2.6); 3.4358 (2.6); 1.2145 (0.4); 1.2004 (0.8); 1.1864 (0.4); -0.0002 (0.7)
I.052: ^{1}H-NMR(400.2 MHz, CDCl3): δ= 8.0660 (1.9); 7.6537 (6.8); 7.2604 (1.2); 4.0025 (6.1); 3.7806 (0.7); 3.7356 (0.9); 3.3449 (0.5); 3.3023 (0.4); 3.2998 (0.4); 0.8854 (16.0); 0.2507 (4.6); 0.1004 (5.3); -0.0003 (1.0)

BIOLOGICAL DATA

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

Solvent:	5%	by volume of Dimethyl sulfoxide
	10%	by volume of Acetone
Emulsifier:	1µl	of 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.

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 70% and 79% at a concentration of 250 ppm of active ingredient: I.004; I.012

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.003; I.019

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.016; I.020; I.029; I.039; I.049

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

Solvent:	5%	by volume of Dimethyl sulfoxide
	10%	by volume of Acetone
Emulsifier:	1µl	of 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.

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 250 ppm of active ingredient: I.006; I.019; I.020; I.022; I.023; I.025; I.026; I.028; I.029; I.034; I.037; I.039; I.048; I.049

In this test the following compounds according to the invention showed efficacy between 70% and 79% at a concentration of 100 ppm of active ingredient: I.007

Example: ***Pyricularia oryzae*** *in vitro* cell test

Solvent:	DMSO
Culture medium:	14.6g anhydrous D-glucose (VWR), 7.1g Mycological Peptone (Oxoid), 1.4g granulated Yeast Extract (Merck), QSP 1liter
Inoculum:	spore suspension

Fungicides were solubilized in DMSO and the solution used to prepare the required range of concentrations. The final concentration of DMSO used in the assay was ≤1%.

A spore suspension of *P. oryzae* was prepared and diluted to the desired spore density.

Fungicides were evaluated for their ability to inhibit spore germination and mycelium growth in liquid culture assay. The compounds were added in the desired concentration to the culture medium with spores. After 5 days incubation, fungi-toxicity of compounds was determined by spectrometric measurement of mycelium growth. Inhibition of fungal growth was determined by comparing the absorbance values in wells containing the fungicides with the absorbance in control wells without fungicides.

In this test the following compounds according to the invention showed efficacy between 70% and 79% at a concentration of 20 ppm of active ingredient: I.005; I.031

In this test the following compounds according to the invention showed efficacy between 80% and 89% at a concentration of 20 ppm of active ingredient: I.008; I.036; I.037; I.039; I.049

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 20 ppm of active ingredient: I.013; I.019; I.051

Example: ***Rhizoctonia solani*** *in vitro* cell test

Solvent:	DMSO
Culture medium:	14.6g anhydrous D-glucose (VWR), 7.1g Mycological Peptone (Oxoid), 1.4g granulated Yeast Extract (Merck), QSP 1liter
Inoculum:	mycelial suspension

Fungicides were solubilized in DMSO and the solution used to prepare the required range of concentrations. The final concentration of DMSO used in the assay was ≤1%.

Inoculum was prepared from a pre-culture of *R. solani* grown in liquid medium by homogenization using a blender. The concentration of ground mycelium in the inoculum was estimated and adjusted to the desired optical density (OD).

Fungicides were evaluated for their ability to inhibit mycelium growth in liquid culture assay. The compounds were added in the desired concentrations to culture medium containing the mycelial suspension. After 5 days of incubation, the fungicidal efficacy of compounds was determined by spectrometric measurement of mycelium growth. Inhibition of fungal growth was determined by comparing the absorbance values in wells containing the fungicides with the absorbance in control wells without fungicides.

In this test the following compounds according to the invention showed efficacy between 70% and 79% at a concentration of 20 ppm of active ingredient: I.033; I.049

In this test the following compounds according to the invention showed efficacy between 80% and 89% at a concentration of 20 ppm of active ingredient: I.037

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 20 ppm of active ingredient: I.007; I.019; I.039; I.051

Example: ***Colletotrichum lindemuthianum*** *in vitro* cell test

Solvent:	DMSO
Culture medium:	14.6g anhydrous D-glucose (VWR), 7.1g Mycological Peptone (Oxoid), 1.4g granulated Yeast Extract (Merck), QSP 1liter
Inoculum:	spores suspension

Fungicides were solubilized in DMSO and the solution used to prepare the required range of concentrations. The final concentration of DMSO used in the assay was ≤1%.

A spore suspension of *C. lindemuthianum* was prepared and diluted to the desired spore density.

Fungicides were evaluated for their ability to inhibit spores germination and mycelium growth in liquid culture assay. The compounds were added in the desired concentration to the culture medium with spores. After 6 days incubation, fungi-toxicity of compounds was determined by spectrometric measurement of mycelium growth. Inhibition of fungal growth was determined by comparing the absorbance values in wells containing the fungicides with the absorbance in control wells without fungicides.

In this test the following compounds according to the invention showed efficacy between 70% and 79% at a concentration of 20 ppm of active ingredient: I.009; I.018; I.026; I.030; I.031; I.033; I.035; I.036

In this test the following compounds according to the invention showed efficacy between 80% and 89% at a concentration of 20 ppm of active ingredient: I.001; I.004; I.011; I.015; I.017; I.020; I.021; I.023; I.029

In this test the following compounds according to the invention showed efficacy between 90% and 100% at a concentration of 20 ppm of active ingredient: I.003; I.005; I.006; I.007; I.010; I.012; I.013; I.016; I.019; I.037; I.039; I.048; I.049; I.051

Example: *in vivo* preventive 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 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 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.

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.

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* longlasting activity 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 longlasting 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.

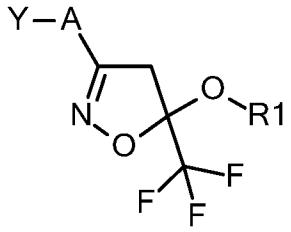
8 days after the application the plant were inoculated with an aqueous spore suspension of the causal agent of soybean rust (***Phakopsora pachyrhizi***) and stay for 24h without light in the incubation cabinet at approximately 24°C and a relative atmospheric humidity of 95 %.

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.

CLAIMS

1. A compound of formula (I):



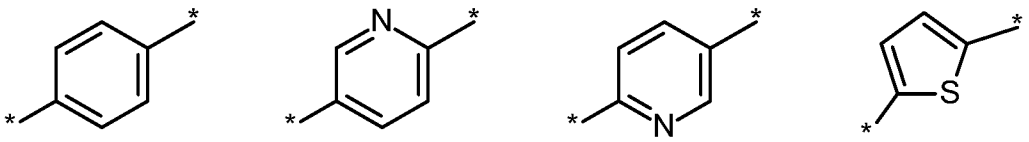
(I)

wherein

R1 is selected from the group consisting of hydrogen, C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, -C_1-C_8-alkyl-aryl, -C_1-C_8-alkyl-C_1-C_8-alkoxy, -Si(C_1-C_8-alkyl)$_3$, -SiAryl(C_1-C_8-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, aryl, heteroaryl, -C_1-C_8-alkyl-heteroaryl, di-C_1-C_8-alkylphosphate, -C(=O)R^a, -C(=S)R^a, --C(=O)N(R^a)$_2$, -C_1-C_6-alkyl-OC(=O)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of hydrogen, amino, C_1-C_{10}-alkyl, C_1-C_6-haloalkyl, C_2-C_8-alkenyl, C_2-C_8-haloalkenyl, C_2-C_8-alkynyl, C_2-C_8-haloalkynyl, C_1-C_8-alkoxy, C_1-C_8-haloalkoxy, C_1-C_8-alkylsulfanyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, -C_1-C_6-alkyl-C_1-C_6-alkoxy, C_3-C_{10}-carbocyclyl, C_3-C_{10}-halocarbocyclyl, 3- to 10-membered heterocyclyl, aryl, heteroaryl, heterocyclyloxy, aryloxy and heteroaryloxy,

wherein acyclic R1 or R^a radicals may be substituted with one or more $R1^a$ substituents and wherein cyclic R1 or R^a radicals may be substituted with one or more $R1^c$ substituents;

A represents A-1, A-2, A-3 or A-4:



(A-1) (A-2) (A-3) (A-4)

wherein A-1, A-2, A-3 and A-4 are optionally substituted by one or two fluorine;

Y is independently selected from the group consisting of chlorine, bromine, iodine, CN, formyl, $COCH_3$, CO_2H, $CONH_2$, C(=NOH)H, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, CH_3, CF_3, CHF_2, NH_2, CF_2Br, CF_2I, CF_2Cl, CCH, isocyano and NO_2;

wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, COMe, CO_2H, $CONH_2$, $C(=NOH)CH_3$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2OH, CH_2NH_2, OH, OCH_3, OCF_3, SMe, $S(O)_2Me$, SCF_3, SF_5, CH_3 or NH_2;

wherein A-4 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine or CH_3; and wherein

R^{1a} and R^{1c} are independently selected from the group consisting of halogen atom, nitro, hydroxyl, cyano, carboxyl, amino, sulfanyl, pentafluoro-λ^6-sulfanyl, formyl, carbamoyl, carbamate, C_1-C_6-alkyl, C_3-C_7-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-(halo)alkenyl, C_2-C_6-(halo)alkynyl, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, -Si(C_1-C_6-alkyl)$_3$, C_1-C_6-(halo)alkoxyC_1-C_6-(halo)alkylsulfanyl, C_1-C_6-(halo)alkylcarbonyl, C_1-C_6-alkylcarbamoyl, di-C_1-C_6-alkylcarbamoyl, C_1-C_6-(halo)alkoxycarbonyl, aryloxy, C_1-C_6-(halo)alkylcarbonyloxy, C_1-C_6-(halo)alkylcarbonylamino, C_1-C_8-(halo)alkylsulfanyl, C_1-C_8-(halo)alkylsulfinyl, C_1-C_8-(halo)alkylsulfonyl, C_1-C_8-alkylsulfonylamino, C_1-C_8-haloalkylsulfonylamino, sulfamoyl, C_1-C_8-alkylsulfamoyl and di-C_1-C_8-alkylsulfamoyl;

or a salt, N-oxide or solvate thereof;

provided that compound of formula (I) is not:

3-fluoro-4-[5-hydroxy-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-3-yl]benzoic acid;

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl tert-butyl carbonate;

3-(4-aminophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl pyrrolidine-1-carboxylate;

3-(3-fluoro-4-hydroxyphenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-[3-fluoro-4-(trifluoromethyl)phenyl]-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol;

3-(4-chlorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate; and not

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate.

2. The compound of formula (I) according to claim 1 wherein Y is independently selected from the group consisting of chlorine, bromine, iodine, OH, OCF_3, $OCHF_2$, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, SH, SCF_3, SCH_3, $S(O)CH_3$, $S(O)_2CH_3$, SF_5, NH_2, isocyano and NO_2, preferably selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2.

3. The compound of formula (I) according to claim 1, wherein Y is selected from the group consisting of CN, formyl, $COCH_3$, CO_2H, $CONH_2$, $C(=NOH)H$, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2NH_2, CH_2SH, CH_2SCH_3, CH_2SCF_3, CH_3, CF_3, CHF_2, CF_2Br, CF_2I, CF_2Cl and CCH, preferably selected from the group consisting of CN, formyl, $COCH_3$, $C(=NOH)H$, $C(=NOH)CH_3$, $C(=NOCH_3)H$, $C(=NOCH_3)CH_3$, CH_2Cl, CH_2Br, CH_2I, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SH, CH_2SCH_3, CH_2SCF_3, CF_3, CHF_2, CF_2Cl and CCH.

4. The compound of formula (I) according to any of the preceding claims, wherein A is selected from A-1, A-2 and A-3.

5. The compound of formula (I) according to any of the preceding claims wherein A is (A-2) or (A-3) as recited in claim 1.

6. The compound of formula (I) according to any of the preceding claims wherein A is (A-1) as recited in claim 1.

7. The compound of formula (I) according to any one of the preceding claims wherein R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl.

8. The compound of formula (I) according to claim 1 wherein R1 is selected from the group consisting of hydrogen, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$, -C(=O)R^a and -C_1-C_6-alkyl-OC(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl and C_3-C_{10}-carbocyclyl, and wherein acyclic or cyclic R1 or R^a radicals may be substituted as recited in claim 1, and wherein Y is independently selected from the group consisting of bromine, formyl, C(=NOH)H, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, $OC(=O)CH_3$, CCH, $OS(O)_2CH_3$ and $S(O)CH_3$.

9. The compound of formula (I) according to any one of the preceding claims wherein R1 is a hydrogen atom.

10. The compound of formula (I) according to claim 1 wherein

R1 is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, -C_1-C_6-alkyl-aryl, -C_1-C_6-alkyl-C_1-C_6-alkoxy, -Si(C_1-C_6-alkyl)$_3$, -SiAryl(C_1-C_6-alkyl)$_2$, -C_1-C_8-alkyl-C_3-C_8-cycloalkyl, -C(=O)R^a, -C(=S)R^a and -C_1-C_6-alkyl-C(=O)R^a, with R^a being selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_6-alkoxy and C_3-C_{10}-carbocyclyl;

Y is selected from the group consisting of chlorine, bromine, iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2; and

A is selected from A-1, A-2 and A-3, which are optionally substituted by one or two fluorine; and wherein A-1 is substituted by one or two fluorine when R1 is hydrogen and Y is chlorine, bromine, OCH_3, $S(O)_2Me$ or NH_2;

or a salt, N-oxide or solvate thereof;

provided that compound of formula (I) is not:

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl tert-butyl carbonate;

3-(4-chlorophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate;

3-(4-bromophenyl)-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-yl acetate.

11. The compound of formula (I) according to claim 10 wherein Y is selected from the group consisting of iodine, OCH_3, $OC(=O)CH_3$, $OS(O)_2CH_3$, $S(O)CH_3$ and NH_2, when A is A-1 and R1 is -C(=O)R^a and R^a is C_1-C_{10}-alkyl or C_1-C_6-alkoxy.

12. The compound of formula (I) according to claim 1 wherein

R1 is selected from the group consisting of hydrogen, -Si(C_1-C_6-alkyl)$_3$ and -C(=O)R^a, with R^a being C_1-C_6-alkyl;

Y is selected from the group consisting of CN, formyl, $COCH_3$, C(=NOH)H, $C(=NOCH_3)H$, CH_2Br, CH_2OH, CH_2OCH_3, $CH_2OC(=O)CH_3$, CH_2SCH_3, CH_2SCF_3, CF_3 and CCH; and

A is is A-1, which is optionally substituted by one or two fluorine; and which is substituted by one or two fluorine when R1 is hydrogen and Y is $COCH_3$ or CH_2OH;

or a salt, N-oxide or solvate thereof;

provided that the compound of formula (I) is not 3-[3-fluoro-4-(trifluoromethyl)phenyl]-5-(trifluoromethyl)-4,5-dihydro-1,2-oxazol-5-ol.

13. A composition comprising at least one compound of formula (I) according to any one of the preceding claims and at least one agriculturally acceptable carrier.

14. Use of a compound of formula (I) according to any one of claims 1 to 12 or a composition according to claim 13 for controlling phytopathogenic fungi on plants.

15. A method for controlling phytopathogenic fungi which comprises the step of applying at least one compound of formula (I) according any one of claims 1 to 12 or a composition according to claim 13 to the plants, plant parts, seeds, fruits or to the soil in which the plants grow.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2020/066943

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D261/04 A01N43/80 A01N53/00 C07D413/04
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 A01N

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

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2018/202487 A1 (BASF SE [DE]) 8 November 2018 (2018-11-08) cited in the application page 106 - page 108; examples 1-43 Biological examples; page 108 - page 111 claims 1, 13-15	1,2, 4-11, 13-15
A	WO 99/05130 A1 (BASF AG [DE]; MENKE OLAF [DE] ET AL.) 4 February 1999 (1999-02-04) the whole document	1,2, 4-11, 13-15

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X Further documents are listed in the continuation of Box C.

X See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
9 July 2020	10/09/2020

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	Sarakinos, Georgios

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Form PCT/ISA/210 (second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2020/066943

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	YUHAN ZHOU ET AL: "Synthesis, structures, and herbicidal activity of isoxazole derivatives", JOURNAL OF HETEROCYCLIC CHEMISTRY, vol. 47, no. 6, 1 November 2010 (2010-11-01), pages 1310-1316, XP055622223, US ISSN: 0022-152X, DOI: 10.1002/jhet.475 compounds 2a, 2c	1,2, 4-11, 13-15
X,P	WO 2019/122393 A1 (BAYER AG [DE]; BAYER CROPSCIENCE AG [DE]) 27 June 2019 (2019-06-27) Many examples Markush formuale	1,2, 4-11, 13-15

1

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2020/066943

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

2, 11(completely); 1, 4-10, 13-15(partially)

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 2, 11(completely); 1, 4-10, 13-15(partially)

   Compounds of formula (I) with Y = group connected to A via a heteroatom (Cl, Br, I, OH, OCF3, etc)

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2. claims: 3, 12(completely); 1, 4-10, 13-15(partially)

   Compounds of formula (I) with Y = group connected to A via a carbon (CN, formyl, COCH3, CO2H, etc)

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2020/066943

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2018202487 A1	08-11-2018	BR 112019022137 A2	12-05-2020
		CN 110621669 A	27-12-2019
		EP 3619207 A1	11-03-2020
		JP 2020518607 A	25-06-2020
		WO 2018202487 A1	08-11-2018
WO 9905130 A1	04-02-1999	AU 8977398 A	16-02-1999
		CA 2297026 A1	04-02-1999
		EP 0998468 A1	10-05-2000
		JP 2001510835 A	07-08-2001
		US 6150303 A	21-11-2000
		WO 9905130 A1	04-02-1999
WO 2019122393 A1	27-06-2019	AR 114169 A1	29-07-2020
		AU 2018390964 A1	02-07-2020
		CA 3086792 A1	27-06-2019
		TW 201930276 A	01-08-2019
		UY 38031 A	31-07-2019
		WO 2019122393 A1	27-06-2019