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(54) Title: PROPARGYLOXYBENZAMIDE DERIVATIVES

(57) Abstract: The present invention relates to propargyloxybenzamide derivatives, their processes of preparation, their use as fungicide active agents, particularly in the form of fungicide compositions, and methods for the control of phytopathogenic fungi, notably of plants and in material protection, using these compounds or compositions.



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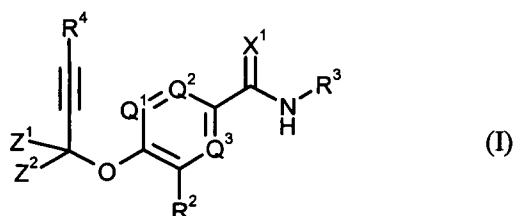
Propargyloxybenzamide derivatives

The present invention relates to propargyloxybenzamide derivatives, their processes of preparation, their use as fungicide active agents, particularly in the form of fungicide compositions, and methods for the control of phytopathogenic fungi, notably of plants and in material protection, using these compounds or compositions.

- 5 Certain propargyloxybenzamide derivatives are already known (cf. WO 2007/049728, WO 2007/049729, WO 2008/136385, WO 2008/136387, WO 2008/136388, and WO 2008/136389).

Since the ecological and economical demands made on modern active compounds, for example fungicides, are increasing constantly, for example with respect to activity spectrum, toxicity, selectivity, application rate, formation of residues and favorable manufacture, and there can furthermore be problems, for example, with
 10 resistance, there is a constant need to develop novel fungicides which, at least in some areas, have advantages over those of the prior art. Surprisingly, it has now been found that propargyloxybenzamide derivatives of the formula (I) (see below) are suitable as fungicides and, at least in some aspects, have improved properties compared to known fungicidally active compounds.

This invention now provides novel propargyloxybenzamide derivatives of the formula (I)



wherein

Q¹, Q² and Q³ independently represent N or CR¹,

X¹ represents O or S;

- R¹ represents hydrogen, halogen, cyano, hydroxy-substituted or non-substituted C₁-C₈-alkyl, substituted or non-substituted C₃-C₈-cycloalkyl, substituted or non-substituted C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-halogenocycloalkyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenyl, substituted or non-substituted C₂-C₈-alkynyl, substituted or non-substituted C₁-C₈-alkoxy, substituted or non-substituted C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenyloxy, substituted or non-substituted C₂-C₈-halogenoalkenyloxy having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynyloxy, substituted or non-substituted C₃-C₈-halogenoalkynyloxy having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphanyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphanyl, substituted or non-substituted C₂-C₈-halogenoalkenylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphanyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphenyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphenyl, sub-

- stituted or non-substituted C₂-C₈-halogenoalkenylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphenyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphenyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphenyl, substituted or non-substituted C₂-C₈-halogenoalkenylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphenyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted mono-(C₁-C₈-alkyl)amino, substituted or non-substituted di(C₁-C₈-alkyl)amino, substituted or non-substituted C₁-C₈-alkoxycarbonyl, substituted or non-substituted di(C₁-C₈-alkyl)aminocarbonyl;
- R² represents fluorine or substituted or non-substituted C₁-C₈-alkoxy;
- R³ represents Y¹-Het, Y¹-CR⁵R⁶R⁷, Y¹-Cy, Cy¹-Cy², and Y¹-Ar;
- R⁴ represents hydrogen, substituted or non-substituted C₁-C₈-alkyl;
- Y¹ represents (CHR⁸)_m;
- m represents 0, 1, 2, 3 or 4, where the groups (CHR⁸) might be the same or different, if m is 2, 3 or 4;
- Het represents a substituted or non-substituted 5 to 7-membered, saturated, partially saturated or unsaturated heterocycle containing 1 to 3 heteroatoms;
- R⁵, R⁶ independently represent hydrogen and C₁-C₄ alkyl;
- R⁷ represents hydrogen, tri-(C₁-C₈-alkyl)silyl, halogen, tri-(C₁-C₈-alkyl)silyl-C₁-C₈-alkyl, C₁-C₈-alkyl, C₁-C₈-halogenoalkyl, C₂-C₈-alkenyl, C₂-C₈-alkynyl, cyano, CO₂H, C₁-C₅-alkoxycarbonyl;
- R⁸ represents hydrogen, halogen or C₁-C₃-alkyl;
- Cy, Cy¹ and Cy² independently represent substituted or non-substituted C₃-C₈-cycloalkyl or substituted or non-substituted C₃-C₈-cycloalkenyl, where a CH₂ group in the cycloalkenyl ring may be replaced by a C(=O) group or by a N-O(C₁-C₄-alkyl) group;
- Ar represents a substituted or non-substituted phenyl or a substituted or non-substituted naphthyl;
- Z¹ and Z² independently represent hydrogen, substituted or non-substituted C₁-C₈-alkyl, or Z¹ and Z² together with the carbon atom to which they are attached may form a substituted or non-substituted C₃-C₈-cycloalkyl;
- provided that at least one of Q¹, Q² and Q³ is N, when Z¹, Z² and R⁴ are hydrogen at the same time; and that when Q¹ is N then Q² and Q³ cannot be at the same time CH (CR¹, with R¹ is hydrogen);

or agrochemically acceptable salts thereof.

Depending on the nature of the substituents defined above, the compounds of the formula (I) have acidic or basic properties and can form salts, if appropriate also inner salts or adducts, with inorganic or organic acids or with inorganic or organic bases or with metal ions. Suitable metal ions are in particular the ions of the elements of the second main group, in particular calcium and magnesium, of the third and fourth main group, in particular aluminum, tin and lead, and also of the first to eighth transition group, in particular chromium, manganese, iron, cobalt, nickel, copper, zinc and others. Particular preference is given to the metal ions of the elements of the fourth period. Here, the metals can be present in the various valencies they can assume.

If the compounds of the formula (I) carry hydroxyl groups, carboxyl groups or other groups which induce acidic properties, these compounds can be converted with bases into salts. Suitable bases are, for example, the hydroxides, carbonates and bicarbonates of the alkali metals and the alkaline earth metals, in particular those of sodium, potassium, magnesium and calcium, furthermore ammonia, primary, secondary and tertiary amines having C₁-C₄-alkyl radicals, mono-, di- and trialkanolamines of C₁-C₄-alkanols, choline and also chlorocholine.

If the compounds of the formula (I) carry amino groups, alkylamino groups or other groups which induce basic properties, these compounds can be converted with acids into salts. Examples of inorganic acids are hydrohalic acids, such as hydrofluoric acid, hydrochloric acid, hydrobromic acid and hydroiodic acid, sulphuric acid, phosphoric acid and nitric acid, and acidic salts, such as NaHSO₄ and KHSO₄. Suitable organic acids are, for example, formic acid, carbonic acid and alkanolic 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, alkylsulphonic acids (sulphonic acids having straight-chain or branched alkyl radicals of 1 to 20 carbon atoms), arylsulphonic acids or -disulphonic acids (aromatic radicals, such as phenyl and naphthyl which carry one or two sulphonic acid groups), alkylphosphonic acids (phosphonic acids having straight-chain or branched alkyl radicals of 1 to 20 carbon atoms), arylphosphonic acids or -diphosphonic acids (aromatic radicals, such as phenyl and naphthyl, which carry one or two phosphonic acid radicals, where the alkyl radicals and aryl radicals may carry further substituents, for example p-toluenesulphonic acid, salicylic acid, p-aminosalicylic acid, 2-phenoxybenzoic acid, 2-acetoxybenzoic acid, etc.

The salts which can be obtained in this manner also have fungicidal properties.

Propargyloxybenzamide derivatives which can be used according to the invention can, if appropriate, be present as mixtures of various possible isomeric forms, in particular of stereoisomers, such as, for example, E and Z, threo and erythro, and also optical isomers, and, if appropriate, also of tautomers. What is claimed are both the E and the Z isomers and the threo and erythro and also the optical isomers, any mixtures of these isomers, and also the possible tautomeric forms.

The formula (I) provides a general definition of the propargyloxybenzamide derivatives which can be used according to the invention. Preferred radical definitions of the formulae given above and below are indicated below. These definitions apply both to the end products of the formula (I) and likewise to all intermediates. These definitions apply both to the end products of the formula (I) and likewise to all intermediates.

- 30 X¹ preferably represents O.
X¹ furthermore preferably represents S.
X¹ particularly preferably represents O.
- R¹ preferably represents halogen or C₁-C₄-alkoxy.
R¹ particularly preferably represents fluorine or methoxy.
35 R¹ very particularly preferably represents fluorine

- R² preferably represents fluorine or C₁-C₄-alkoxy.
- R² particularly preferably represents fluorine or methoxy.
- R² very particularly preferably represents fluorine.
- R² furthermore very particularly preferably represents methoxy.
- 5 R³ preferably represents Y¹-Het.
- R³ furthermore preferably represents Y¹-CR⁵R⁶R⁷.
- R³ furthermore preferably represents Y¹-Cy.
- R³ furthermore preferably represents Cy¹-Cy².
- R³ furthermore preferably represents Y¹-Ar.
- 10 R⁴ preferably represents hydrogen, substituted or non-substituted C₁-C₆-alkyl.
- R⁴ particularly preferably represents hydrogen, methyl, ethyl, propyl, i-propyl, n-, i-, s-, and t-butyl.
- R⁴ very particularly preferably represents hydrogen.
- m preferably represents 0, 1, 2 or 3, where the groups (CHR⁸) might be the same or different, if m is 2 or 3.
- 15 m particularly preferably represents 0, 1 or 2, where the groups (CHR⁸) might be the same or different, if m is 2.
- m very particularly preferably represents 0 or 1.
- Het preferably represents a substituted or non-substituted heterocycle selected from the group consisting of piperidine, 1,4-dioxane, morpholine, piperazine, tetrahydro-2H-pyran, Pyridine, and tetrahydrothiophene, where the substituents are in each case selected from the group consisting of
- 20 halogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, hydroxyl or cyano;
- R⁵, R⁶ independently preferably represent hydrogen, methyl, ethyl, propyl, i-propyl, n-, i-, s-, t-butyl.
- R⁵, R⁶ independently particularly preferably represent hydrogen, methyl, ethyl, propyl or i-propyl.
- R⁵, R⁶ independently very particularly preferably represent hydrogen, methyl or ethyl.
- 25 R⁷ preferably represents hydrogen, tri-(C₁-C₆-alkyl)silyl, fluorine, chlorine, bromine, tri-(C₁-C₆-alkyl)silyl-C₁-C₆-alkyl, C₁-C₆-alkyl, C₁-C₂-halogenoalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, cyano, CO₂H, C₁-C₄-alkoxycarbonyl.
- R⁷ particularly preferably represents hydrogen, tri-(C₁-C₄-alkyl)silyl, fluorine, chlorine, bromine, tri-(C₁-C₄-alkyl)silyl-C₁-C₄-alkyl, methyl, ethyl, propyl, i-propyl, n-, i-, s-, t-butyl, trifluoromethyl,
- 30 difluoromethyl, trichloromethyl, dichloromethyl, fluorodichloromethyl, difluorochloromethyl, vinyl, allyl, ethynyl, propargyl, cyano, CO₂H, methoxycarbonyl, ethoxycarbonyl.
- R⁷ very particularly preferably represents hydrogen, trimethylsilyl, fluorine, chlorine, bromine, trimethylsilylmethyl, trimethylsilylethyl, methyl, ethyl, trifluoromethyl, difluoromethyl, trichloromethyl, dichloromethyl, fluorodichloromethyl, difluorochloromethyl, allyl, propargyl,
- 35 methoxycarbonyl, ethoxycarbonyl.

R⁸ preferably represents hydrogen, fluorine, chlorine, bromine, methyl or ethyl.

R⁸ particularly preferably represents hydrogen, fluorine, chlorine or methyl.

R⁸ very particularly preferably represents hydrogen or methyl.

5 Cy, Cy¹ and Cy² independently preferably represent substituted or non-substituted C₃-C₆-cycloalkyl or substituted or non-substituted C₃-C₆-cycloalkenyl, where a CH₂ group in the cycloalkenyl ring may be replaced by a C(=O) group or by a N-O(C₁-C₄-alkyl) group, and where the substituents are in each case selected from the group consisting of halogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, hydroxyl or cyano.

10 Cy, Cy¹ and Cy² independently particularly preferably represent substituted or non-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, or substituted or non-substituted cyclohexenyl where a CH₂ group in the cycloalkenyl ring may be replaced by a C(=O) group or by a N-O-Me or N-O-Et, and where the substituents are in each case selected from the group consisting of fluorine, chlorine, bromine, iodine, C₁-C₄-alkyl, vinyl, allyl, ethinyl, propargyl.

15 Cy, Cy¹ and Cy² independently very particularly preferably represent substituted or non-substituted cyclopropyl, cyclopentyl, cyclohexyl, or substituted or non-substituted cyclohexenyl, where the substituents are in each case selected from the group consisting of methyl, ethyl, n-, i-propyl, n-, i-, s- or t-butyl.

20 Ar preferably represents a substituted or non-substituted phenyl or a substituted or non-substituted naphthyl, where the substituents in each case are selected from the group consisting of halogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, hydroxyl or cyano.

Ar particularly preferably represents a substituted or non-substituted phenyl or a substituted or non-substituted naphthyl, where the substituents in each case are selected from the group consisting of C₁-C₄-alkyl, vinyl, allyl, ethinyl, propargyl.

25 Ar very particularly preferably represents a substituted or non-substituted phenyl, where the substituents in each case are selected from the group consisting of methyl, ethyl, n-, i-propyl, n-, i-, s- or t-butyl.

Z¹ and Z² independently preferably represent hydrogen, substituted or non-substituted C₁-C₆-alkyl, or Z¹ and Z² together with the carbon atom to which they are attached may form a non-substituted C₃-C₆-cycloalkyl

30 Z¹ and Z² independently particularly preferably represent hydrogen, substituted or non-substituted C₁-C₄-alkyl.

Z¹ and Z² independently very particularly preferably represent hydrogen, methyl or ethyl

35 However, the general or preferred radical definitions or illustrations given above can also be combined with one another as desired, i.e. including combinations between respective ranges and preferred ranges. They apply both to the end products and, correspondingly, to precursors and intermediates. Moreover, individual definitions may not apply.

Preference is given to using those compounds of the formula (I) in which all radicals each have the meanings mentioned above as being preferred.

Particular preference is given to using those compounds of the formula (I) in which all radicals each have the meanings mentioned above as being particularly preferred.

- 5 Very particular preference is given to using those compounds of the formula (I) in which all radicals each have the meanings mentioned above as being very particularly preferred.

According to the invention, the following generic terms are generally used with the following meanings:

Halogen means fluorine, chlorine, bromine or iodine.

Heteroatom can be nitrogen, oxygen or sulphur.

- 10 **Alkyl:** saturated straight-chain or branched hydrocarbon radicals having 1 to 8 carbon atoms, for example C₁-C₈-alkyl, such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl; heptyl, octyl.

- 20 **Haloalkyl:** straight-chain or branched alkyl groups having 1 to 8 carbon atoms (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, for example C₁-C₃-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl and 1,1,1-trifluoroprop-2-yl.

- 25 **Alkenyl:** unsaturated straight-chain or branched hydrocarbon radicals having 2 to 8 carbon atoms and a double bond in any position, for example C₂-C₈-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-

ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl.

Alkynyl: straight-chain or branched hydrocarbon groups having 2 to 8 carbon atoms and a triple bond in any position, for example C₂-C₆-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butylnyl, 2-butylnyl, 3-butylnyl, 1-methyl-2-propynyl, 1-pentylnyl, 2-pentylnyl, 3-pentylnyl, 4-pentylnyl, 1-methyl-2-butylnyl, 1-methyl-3-butylnyl, 2-methyl-3-butylnyl, 3-methyl-1-butylnyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 1-methyl-2-pentylnyl, 1-methyl-3-pentylnyl, 1-methyl-4-pentylnyl, 2-methyl-3-pentylnyl, 2-methyl-4-pentylnyl, 3-methyl-1-pentylnyl, 3-methyl-4-pentylnyl, 4-methyl-1-pentylnyl, 4-methyl-2-pentylnyl, 1,1-dimethyl-2-butylnyl, 1,1-dimethyl-3-butylnyl, 1,2-dimethyl-3-butylnyl, 2,2-dimethyl-3-butylnyl, 3,3-dimethyl-1-butylnyl, 1-ethyl-2-butylnyl, 1-ethyl-3-butylnyl, 2-ethyl-3-butylnyl and 1-ethyl-1-methyl-2-propynyl.

Cycloalkyl: monocyclic saturated hydrocarbon groups having 3 to 8 carbon ring members, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl.

Cycloalkenyl: monocyclic nonaromatic hydrocarbon groups having 3 to 8 carbon ring members and at least one double bond, such as cyclopenten-1-yl, cyclohexen-1-yl, cyclohepta-1,3-dien-1-yl.

Alkoxy carbonyl: an alkoxy group having 1 to 6 carbon atoms (as mentioned above) which is attached to the skeleton via a carbonyl group (-CO-).

Heterocyclyl/hetaryl: an unsubstituted or substituted unsaturated or fully or partially saturated heterocyclic 5- to 7-membered ring or an unsaturated or fully or partially saturated heterocyclic 3- to 8-membered ring which contains up to 4 nitrogen atoms or alternatively 1 nitrogen atom and up to 2 further heteroatoms selected from the group consisting of N, O and S: for example 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, 2,3-dihydrothien-2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-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-tetrahydropyran-yl, 4-tetrahydropyran-yl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidi-

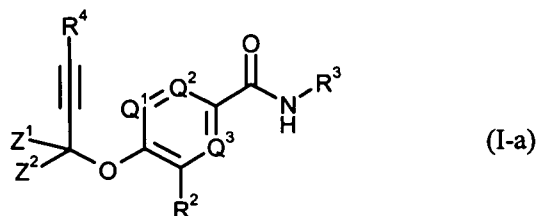
nyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 2-piperazinyl, 1,3,5-hexahydrotriazin-2-yl and 1,2,4-hexahydrotriazin-3-yl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazolyl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,4-triazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl, 1-pyrrolyl, 1-pyrazolyl, 1,2,4-triazol-1-yl, 1-imidazolyl, 1,2,3-triazol-1-yl, 1,3,4-triazol-1-yl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl and 1,2,4-triazin-3-yl.

Unless indicated otherwise, a group or a substituent that is substituted according to the invention can be substituted by one or more of the following groups or atoms: a halogen atom, a nitro group, a hydroxy group, a cyano group, an amino group, a sulphenyl group, a pentafluoro- λ^6 -sulphenyl group, a formyl group, a substituted or non-substituted carbaldehyde O-(C₁-C₈-alkyl)oxime, a formyloxy group, a formylamino group, a carbamoyl group, a N-hydroxycarbamoyl group, a formylamino group, a (hydroxyimino)-C₁-C₆-alkyl group, a C₁-C₈-alkyl, a tri(C₁-C₈-alkyl)silyl-C₁-C₈-alkyl, C₁-C₈-cycloalkyl, tri(C₁-C₈-alkyl)silyl-C₁-C₈-cycloalkyl, a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, a C₁-C₈-halogenocycloalkyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyl, a C₂-C₈-alkynyl, a C₂-C₈-alkenyloxy, a C₂-C₈-alkynyloxy, a C₁-C₈-alkylamino, a di-C₁-C₈-alkylamino, a C₁-C₈-alkoxy, a C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphenyl, a C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyloxy, a C₂-C₈-halogenoalkenyloxy having 1 to 5 halogen atoms, a C₃-C₈-alkynyloxy, a C₃-C₈-halogenoalkynyloxy having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyl, a C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbamoyl, a di-C₁-C₈-alkylcarbamoyl, a N-C₁-C₈-alkyloxycarbamoyl, a C₁-C₈-alkoxycarbamoyl, a N-C₁-C₈-alkyl-C₁-C₈-alkoxycarbamoyl, a C₁-C₈-alkoxycarbonyl, a C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyloxy, a C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonylamino, a C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkoxycarbonylamino, substituted or non-substituted C₁-C₈-halogenoalkoxycarbonylamino having 1 to 5 halogen atoms, a C₁-C₈-alkylaminocarbonyloxy, a di-C₁-C₈-alkylaminocarbonyloxy, a C₁-C₈-alkyloxycarbonyloxy, a C₁-C₈-alkylsulphenyl, a C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphanyl, a C₁-C₈-halogenoalkylsulphanyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphonyl, a C₁-C₈-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylaminosulfamoyl, a di-C₁-C₈-alkylaminosulfamoyl, a (C₁-C₆-alkoxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkenyloxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkynyloxyimino)-C₁-C₆-alkyl, (benzyloxyimino)-C₁-C₆-alkyl, C₁-C₈-alkoxyalkyl, C₁-C₈-halogenoalkoxyalkyl having 1 to 5 halogen atoms, benzyloxy, benzylsulphenyl, benzylamino, phenoxy, phenylsulphenyl, or phenylamino.

Illustration of processes of preparation and intermediates

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

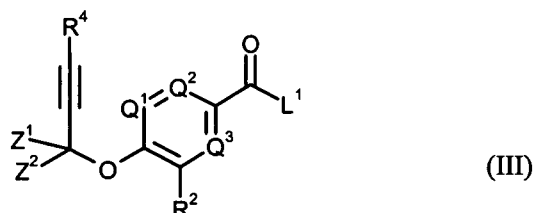
Thus, according to a further aspect of the present invention there is provided a process P1 for the preparation of a propargyloxybenzamide derivative of formula (I-a)



wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as herein-defined, comprising reacting an amine derivative of formula (II)



5 or one of its salts, wherein R^3 is as herein-defined, with a carboxylic acid derivative of the formula (III)



wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as herein-defined and L^1 represents a leaving group selected from the group consisting of halogen, hydroxyl, $-OR^a$, $-OC(=O)R^a$, where R^a represents C_1 - C_6 -alkyl, C_1 - C_6 -halogenoalkyl, benzyl, 4-methoxybenzyl or pentafluorophenyl.

10 Amine derivatives of formula (II) and carboxylic acid derivatives of formula (III) are known or can be prepared by known processes (cf. WO 2007/049729 and WO 2007/049728).

The process P1 according to the present invention is conducted in the presence of a catalyst and if appropriate in the presence of an acid binder.

A suitable catalyst may be selected from the group consisting of 4-dimethyl-aminopyridine, 1-hydroxy-
15 benzotriazole or dimethylformamide.

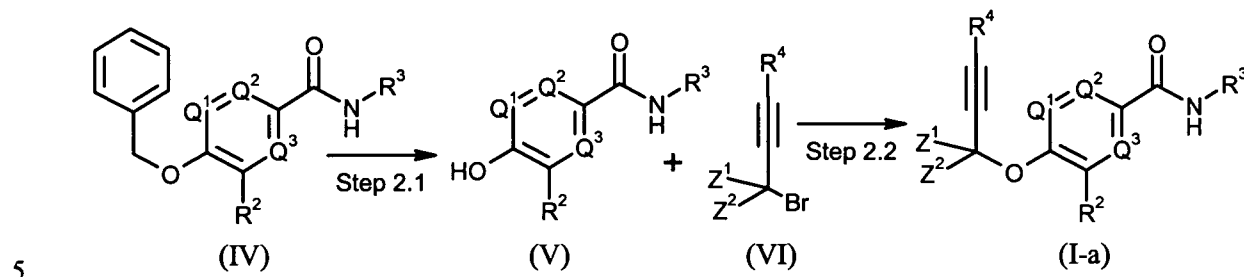
In case L^1 represents hydroxyl the process according to the present invention is conducted in the presence of condensing agent and if appropriate in the presence of an acid binder.

A suitable condensing agent may be selected from the group consisting of acid halide former, such as phos-
gene, phosphorous tribromide, phosphorous trichloride, phosphorous -pentachloride, phosphorous trichloride
20 oxide or thionyl chloride; anhydride former, such as ethyl chloroformate, methyl chloroformate, isopropyl
chloroformate, isobutyl chloroformate or methanesulfonyl-chloride; carbodiimides, such as N,N' -
dicyclohexylcarbodiimide (DCC) or other customary condensing agents, such as phosphorous pentoxide,
polyphosphoric acid, N,N' -carbonyl-diimidazole, 2-ethoxy- N -ethoxycarbonyl-1,2-dihydroquinoline (EEDQ),
triphenyl phosphine/tetrachloromethane, 4-(4,6-dimethoxy[1.3.5]triazin-2-yl)-4-methyl morpholinium chlo-
25 ride hydrate or bromo-tripyrrolidino-phosphonium-hexafluorophosphate.

When carrying out process P1 according to the invention, generally 1 mol or an excess of the carboxylic acid derivative of formula (III) and from 1 to 3 mol of acid binder are employed per mole of amine of for-

mula (II). It is also possible to employ the reaction components in other ratios.

According to a further aspect according to the invention, there is provided a process P2 for the preparation of a propargyloxybenzamide derivative of formula (I-a) as defined above, starting from a compound of formula (IV) as illustrated in the following reaction scheme:



wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as herein-defined.

Amide derivatives of formula (IV) can be prepared according to similar processes to process P1 starting from known carboxylic acids derivatives.

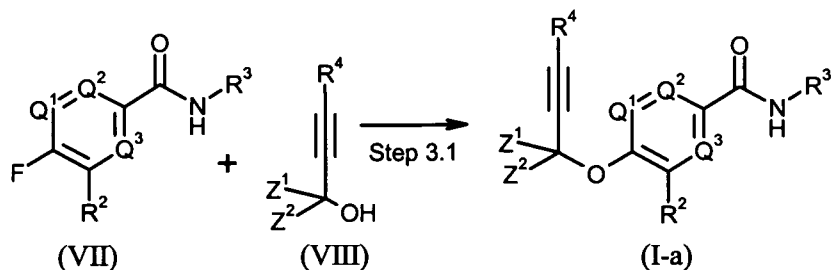
10 The step 2.1 of the process P2 according to the present invention can be carried out using hydrogen in the presence of palladium-carbon.

When carrying out step 2.1 of the process P2 according to the invention, generally 0.01 to 0.1 mol of palladium-carbon and from 1 to 3 mol of hydrogen are employed per mole of amine of formula (IV). The hydrogen pressure employed may be from atmospheric pressure to 100 bar. It is also possible to employ the reaction components in other ratios and under other pressure of hydrogen.

15 The step 2.2 of the process P2 according to the present invention is conducted with known propargyl bromide derivatives (VI) in the presence of an acid binder if appropriate.

When carrying out step 2.2 of the process P2 according to the invention, generally 1 mol or an excess of the propargyl bromide derivative (VI) and from 1 to 3 mol of acid binder are employed per mole of compound of formula (V). It is also possible to employ the reaction components in other ratios.

20 According to a further aspect according to the invention, there is provided a process P3 for the preparation of a propargyloxybenzamide derivative of formula (I-a) as defined above, starting from a compound of formula (VII) as illustrated in the following reaction scheme:



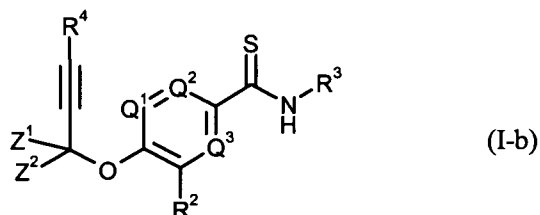
wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as herein-defined.

Amide derivatives of formula (VII) can be prepared according to similar processes to process P1 starting from known carboxylic acids derivatives.

The step 3.1 of the process P3 according to the present invention is conducted with known propargyl alcohol derivatives (VIII) in the presence of an acid binder if appropriate.

When carrying out step 3.1 of the process P3 according to the invention, generally 1 mol or an excess of the propargyl alcohol derivatives (VIII) and from 1 to 3 mol of acid binder are employed per mole of compound of formula (VII). It is also possible to employ the reaction components in other ratios.

According to a further aspect according to the invention, there is provided a process P4 for the preparation of a propargyloxybenzamide derivative of formula (I-b)



wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as herein-defined, comprising reacting a propargyloxybenzamide derivative of formula (I-a) as defined above with a thionating agent.

Process P4 according to the invention is performed in the presence of a thionating agent and if appropriate in the presence of an acid binder.

Starting amide derivatives of formula (I-a) can be prepared according to processes P1, P2 or P3 as described above.

Suitable thionating agents for carrying out process P4 according to the invention can be sulphur (S), sulphuric acid (H_2S), sodium sulfide (Na_2S), sodium hydrosulfide (NaHS), boron trisulfide (B_2S_3), bis(diethylaluminium) sulfide $[(AlEt_2)_2S]$, ammonium sulfide $[(NH_4)_2S]$, phosphorous pentasulfide (P_2S_5), Lawesson's reagent [i.e. 2,4-bis(4-methoxyphenyl)-1,2,3,4-dithiadiphosphetane-2,4-disulfide] or a polymer-supported thionating reagent such as described in *J. Chem. Soc. Perkin 1*, 2001, 358.

When carrying process P4 according to the invention, generally 1 mol or an excess of the thionating agent and from 1 to 3 mol of acid binder are employed per mole of amide. It is also possible to employ the reaction components in other ratios.

Suitable acid binder for carrying out processes P1 and P4, step 2.2 of process P2 and step 3.1 of process P3 according to the invention are in each case all 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 alkoxydes, 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, alkali metal or alkaline earth metal acetates, such as sodium acetate, potassium acetate, calcium acetate, and also ternary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

It is also possible to work in the absence of an additional condensing agent or to employ an excess of the amine component, so that it simultaneously acts as acid binder agent.

Suitable solvents for carrying out processes P1, P2, P3 and P4 according to the invention are in each case all 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 t-butyl ether, methyl t-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or i-butyronitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate, sulphoxides, such as dimethyl sulphoxide, or sulphones, such as sulfolane.

When carrying out processes P1, P2, P3 and P4 according to the invention, the reaction temperatures can independently be varied within a relatively wide range. Generally, processes according to the invention are carried out at temperatures between 0°C and 160°C, preferably between 10°C and 120°C.

Processes P1, P2, P3 and P4 according to the invention are generally independently carried out under atmospheric pressure. However, in each case, it is also possible to operate under elevated or reduced pressure- in general between 0.1 bar and 10 bars.

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 may still be present.

The present invention furthermore relates to compositions for combating/controlling undesirable microorganisms comprising the active compounds according to the invention. Preferably, the compositions are fungicidal compositions comprising agriculturally suitable auxiliaries, solvents, carriers, surfactants or extenders.

Furthermore the invention relates to a method of combating undesirable microorganisms, characterized in that the compounds according to the invention are applied to the phytopathogenic fungi and/or their habitat.

According to the invention, carrier is to be understood as meaning a natural or synthetic, organic or inorganic substance which is mixed or combined with the active compounds for better applicability, in particu-

lar for application to plants or plant parts or seeds. The carrier, which may be solid or liquid is generally inert and should be suitable for use in agriculture.

Suitable solid or liquid carriers are: for example ammonium salts and natural ground minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and natural or synthetic silicates, resins, waxes, solid fertilizers, water, alcohols, especially butanol, organic solvents, mineral oils and vegetable oils, and also derivatives thereof. It is also possible to use mixtures of such carriers. Solid carriers suitable for granules are: for example crushed and fractionated natural minerals, such as calcite, marble, pumice, sepiolite, dolomite, and also synthetic granules of inorganic and organic meals and also granules of organic material, such as sawdust, coconut shells, maize cobs and tobacco stalks.

Suitable liquefied gaseous extenders or carriers are liquids which are gaseous at ambient temperature and under atmospheric pressure, for example aerosol propellants, such as butane, propane, nitrogen and carbon dioxide.

Tackifiers, such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules and latices, such as gum arabic, polyvinyl alcohol, polyvinyl acetate, or else natural phospholipids, such as cephalins and lecithins and synthetic phospholipids can be used in the formulations. Other possible additives are mineral and vegetable oils and waxes, optionally modified.

If the extender used is water, it is also possible for example, to use organic solvents as auxiliary solvents. Suitable liquid solvents are essentially: aromatic compounds, such as xylene, toluene or alkyl naphthalenes, chlorinated aromatic compounds or chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example mineral oil fractions, mineral and vegetable oils, alcohols, such as butanol or glycol, and also ethers and esters thereof, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

The compositions according to the invention may comprise additional further components, such as, for example, surfactants. Suitable surfactants are emulsifiers, dispersants or wetting agents having ionic or non-ionic properties, or mixtures of these surfactants. Examples of these are salts of polyacrylic acid, salts of lignosulphonic acid, salts of phenolsulphonic acid or naphthalenesulphonic acid, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (preferably alkylphenols or arylphenols), salts of sulphosuccinic esters, taurine derivatives (preferably alkyl taurates), phosphoric esters of polyethoxylated alcohols or phenols, fatty esters of polyols, and derivatives of the compounds containing sulphates, sulphonates and phosphates. The presence of a surfactant is required if one of the active compounds and/or one of the inert carriers is insoluble in water and when the application takes place in water. The proportion of surfactants is between 5 and 40 per cent by weight of the composition according to the invention.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide, Prussian blue, and organic dyes, such as alizarin dyes, azo dyes and metal phthalocyanine dyes, and trace nutrients, such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

5 If appropriate, other additional components may also be present, for example protective colloids, binders, adhesives, thickeners, thixotropic substances, penetrants, stabilizers, sequestering agents, complex form-
ers. In general, the active compounds can be combined with any solid or liquid additive customarily used for formulation purposes.

In general, the compositions according to the invention comprise between 0.05 and 99 per cent by weight, 0.01 and 98 per cent by weight, preferable between 0.1 and 95 per cent by weight, particularly preferred
10 between 0.5 and 90 per cent by weight of the active compound according to the invention, very particu-
larly preferable between 10 and 70 per cent by weight.

The active compound combinations or compositions according to the invention can be used as such or, de-
pending on their respective physical and/or chemical properties, in the form of their formulations or the use
forms prepared therefrom, such as aerosols, capsule suspensions, cold-fogging concentrates, warm-fogging
15 concentrates, encapsulated granules, fine granules, flowable concentrates for the treatment of seed, ready-to-
use solutions, dustable powders, emulsifiable concentrates, oil-in-water emulsions, water-in-oil emulsions,
macrogranules, microgranules, oil-dispersible powders, oil-miscible flowable concentrates, oil-miscible liq-
uids, foams, pastes, pesticide-coated seed, suspension concentrates, suspoemulsion concentrates, soluble
concentrates, suspensions, wettable powders, soluble powders, dusts and granules, water-soluble granules or
20 tablets, water-soluble powders for the treatment of seed, wettable powders, natural products and synthetic
substances impregnated with active compound, and also microencapsulations in polymeric substances and in
coating materials for seed, and also ULV cold-fogging and warm-fogging formulations.

The formulations mentioned can be prepared in a manner known per se, for example by mixing the active
compounds or the active compound combinations with at least one additive. Suitable additives are all cus-
25 tomary formulation auxiliaries, such as, for example, organic solvents, extenders, solvents or diluents,
solid carriers and fillers, surfactants (such as adjuvants, emulsifiers, dispersants, protective colloids, wet-
ting agents and tackifiers), dispersants and/or binders or fixatives, preservatives, dyes and pigments, de-
foamers, inorganic and organic thickeners, water repellents, if appropriate siccatives and UV stabilizers,
gibberellins and also water and further processing auxiliaries. Depending on the formulation type to be
30 prepared in each case, further processing steps such as, for example, wet grinding, dry grinding or granula-
tion may be required.

The compositions according to the invention do not only comprise ready-to-use compositions which can
be applied with suitable apparatus to the plant or the seed, but also commercial concentrates which have to
be diluted with water prior to use.

The active compound combinations according to the invention can be present in (commercial) formulations and in the use forms prepared from these formulations as a mixture with other (known) active compounds, such as insecticides, attractants, sterilants, bactericides, acaricides, nematocides, fungicides, growth regulators, herbicides, fertilizers, safeners and Semiochemicals.

- 5 The treatment according to the invention of the plants and plant parts with the active compounds or compositions is carried out directly or by action on their surroundings, habitat or storage space using customary treatment methods, for example by dipping, spraying, atomizing, irrigating, evaporating, dusting, fogging, broadcasting, foaming, painting, spreading-on, watering (drenching), drip irrigating and, in the case of propagation material, in particular in the case of seeds, furthermore as a powder for dry seed treatment, 10 a solution for seed treatment, a water-soluble powder for slurry treatment, by incrusting, by coating with one or more layers, etc. It is furthermore possible to apply the active compounds by the ultra-low volume method, or to inject the active compound preparation or the active compound itself into the soil.

The invention furthermore comprises a method for treating seed. The invention furthermore relates to seed treated according to one of the methods described in the preceding paragraph.

- 15 The active compounds or compositions according to the invention are especially suitable for treating seed. A large part of the damage to crop plants caused by harmful organisms is triggered by an infection of the seed during storage or after sowing as well as during and 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. Accordingly, there is great interest in protecting the seed and 20 the germinating plant by using appropriate compositions.

- The control of phytopathogenic fungi by treating the seed of plants has been known for a long time and is the subject of continuous improvements. However, the treatment of seed entails a series of problems which cannot always be solved in a satisfactory manner. Thus, it is desirable to develop methods for protecting the seed and the germinating plant which dispense with the additional application of crop protection 25 agents after sowing or after the emergence of the plants or which at least considerably reduce additional application. It is furthermore desirable to optimize the amount of active compound employed in such a way as to provide maximum protection for the seed and the germinating plant from attack by phytopathogenic fungi, but without damaging the plant itself by the active compound employed. In particular, methods for the treatment of seed should also take into consideration the intrinsic fungicidal properties of 30 transgenic plants in order to achieve optimum protection of the seed and the germinating plant with a minimum of crop protection agents being employed.

- Accordingly, the present invention also relates in particular to a method for protecting seed and germinating plants against attack by phytopathogenic fungi by treating the seed with a composition according to the invention. The invention also relates to the use of the compositions according to the invention for treating 35 seed for protecting the seed and the germinating plant against phytopathogenic fungi. Furthermore, the in-

vention relates to seed treated with a composition according to the invention for protection against phytopathogenic fungi.

The control of phytopathogenic fungi which damage plants post-emergence is carried out primarily by treating the soil and the above-ground parts of plants with crop protection compositions. Owing to the concerns
5 regarding a possible impact of the crop protection composition on the environment and the health of humans and animals, there are efforts to reduce the amount of active compounds applied.

One of the advantages of the present invention is that, because of the particular systemic properties of the compositions according to the invention, treatment of the seed with these compositions not only protects the seed itself, but also the resulting plants after emergence, from phytopathogenic fungi. In this manner,
10 the immediate treatment of the crop at the time of sowing or shortly thereafter can be dispensed with.

It is also considered to be advantageous that the mixtures according to the invention can be used in particular also for transgenic seed where the plant growing from this seed is capable of expressing a protein which acts against pests. By treating such seed with the active compound combinations or compositions according to the invention, even by the expression of the, for example, insecticidal protein, certain pests
15 may be controlled. Surprisingly, a further synergistic effect may be observed here, which additionally increases the effectiveness of the protection against attack by pests.

The compositions according to the invention are suitable for protecting seed of any plant variety employed in agriculture, in the greenhouse, in forests or in horticulture or viticulture. In particular, this takes the form of seed of cereals (such as wheat, barley, rye, triticale, millet, oats), maize (corn), cotton, soya bean, rice,
20 potatoes, sunflowers, beans, coffee, beets (e.g. sugar beets and fodder beets), peanuts, oilseed rape, poppies, olives, coconuts, cacao, sugar cane, tobacco, vegetables (such as tomatoes, cucumbers, onions and lettuce), lawn and ornamental plants (also see below). The treatment of seeds of cereals (such as wheat, barley, rye, triticale, and oats), maize (corn) and rice is of particular importance.

As also described further below, the treatment of transgenic seed with the active compound combinations or compositions according to the invention is of particular importance. This refers to the seed of plants
25 containing at least one heterologous gene which allows the expression of a polypeptide or protein having insecticidal properties. The heterologous gene in transgenic seed can originate, for example, from microorganisms of the species *Bacillus*, *Rhizobium*, *Pseudomonas*, *Serratia*, *Trichoderma*, *Clavibacter*, *Glomus* or *Gliocladium*. Preferably, this heterologous gene is from *Bacillus* sp., the gene product having activity
30 against the European corn borer and/or the Western corn rootworm. Particularly preferably, the heterologous gene originates from *Bacillus thuringiensis*.

In the context of the present invention, the active compound combinations or compositions according to the invention are applied on their own or in a suitable formulation to the seed. Preferably, the seed is treated in a state in which it is sufficiently stable so that the treatment does not cause any damage. In general,
35 treatment of the seed may take place at any point in time between harvesting and sowing. Usually, the

seed used is separated from the plant and freed from cobs, shells, stalks, coats, hairs or the flesh of the fruits. Thus, it is possible to use, for example, seed which has been harvested, cleaned and dried to a moisture content of less than 15 % by weight. Alternatively, it is also possible to use seed which, after drying, has been treated, for example, with water and then dried again.

5 When treating the seed, care must generally be taken that the amount of the composition according to the invention applied to the seed and/or the amount of further additives is chosen in such a way that the germination of the seed is not adversely affected, or that the resulting plant is not damaged. This must be borne in mind in particular in the case of active compounds which may have phytotoxic effects at certain application rates.

10 The compositions according to the invention can be applied directly, that is to say without comprising further components and without having been diluted. In general, it is preferable to apply the compositions to the seed in the form of a suitable formulation. Suitable formulations and methods for the treatment of seed are known to the person skilled in the art and are described, for example, in the following documents: US 4,272,417 A, US 4,245,432 A, US 4,808,430 A, US 5,876,739 A, US 2003/0176428 A1, WO 2002/080675 A1, WO
15 2002/028186 A2.

The active compound combinations which can be used according to the invention can be converted into customary seed dressing formulations, such as solutions, emulsions, suspensions, powders, foams, slurries or other coating materials for seed, and also ULV formulations.

20 These formulations are prepared in a known manner by mixing the active compounds or active compound combinations with customary additives, such as, for example, customary extenders and also solvents or diluents, colorants, wetting agents, dispersants, emulsifiers, defoamers, preservatives, secondary thickeners, adhesives, gibberellins and water as well.

Suitable colorants that may be present in the seed dressing formulations which can be used according to the invention include all colorants customary for such purposes. Use may be made both of pigments, of sparing
25 solubility in water, and of dyes, which are soluble in water. Examples that may be mentioned include the colorants known under the designations Rhodamine B, C.I. Pigment Red 112, and C.I. Solvent Red 1.

Suitable wetting agents that may be present in the seed dressing formulations which can be used according to the invention include all substances which promote wetting and are customary in the formulation of active agrochemical substances. With preference it is possible to use alkylnaphthalene-sulphonates, such as
30 diisopropyl- or diisobutylnaphthalene-sulphonates.

Suitable dispersants and/or emulsifiers that may be present in the seed dressing formulations which can be used according to the invention include all nonionic, anionic, and cationic dispersants which are customary in the formulation of active agrochemical substances. With preference, it is possible to use nonionic or anionic dispersants or mixtures of nonionic or anionic dispersants. Particularly suitable nonionic dispersants are ethylene oxide-propylene oxide block polymers, alkylphenol polyglycol ethers, and tristyrilphe-
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nol polyglycol ethers, and their phosphated or sulphated derivatives. Particularly suitable anionic dispersants are lignosulphonates, polyacrylic salts, and arylsulphonate-formaldehyde condensates.

Defoamers that may be present in the seed dressing formulations to be used according to the invention include all foam-inhibiting compounds which are customary in the formulation of agrochemically active compounds. Preference is given to using silicone defoamers, magnesium stearate, silicone emulsions, long-chain alcohols, fatty acids and their salts and also organofluorine compounds and mixtures thereof.

Preservatives that may be present in the seed dressing formulations to be used according to the invention include all compounds which can be used for such purposes in agrochemical compositions. By way of example, mention may be made of dichlorophen and benzyl alcohol hemiformal.

Secondary thickeners that may be present in the seed dressing formulations to be used according to the invention include all compounds which can be used for such purposes in agrochemical compositions. Preference is given to cellulose derivatives, acrylic acid derivatives, polysaccharides, such as xanthan gum or Veegum, modified clays, phyllosilicates, such as attapulgite and bentonite, and also finely divided silicic acids.

Suitable adhesives that may be present in the seed dressing formulations to be used according to the invention include all customary binders which can be used in seed dressings. Polyvinylpyrrolidone, polyvinyl acetate, polyvinyl alcohol and tylose may be mentioned as being preferred.

Suitable gibberellins that may be present in the seed dressing formulations to be used according to the invention are preferably the gibberellins A1, A3 (= gibberellic acid), A4 and A7; particular preference is given to using gibberellic acid. The gibberellins are known (cf. R. Wegler "Chemie der Pflanzenschutz- und Schädlingsbekämpfungsmittel" [Chemistry of Crop Protection Agents and Pesticides], Vol. 2, Springer Verlag, 1970, pp. 401-412).

The seed dressing formulations which can be used according to the invention may be used directly or after dilution with water beforehand to treat seed of any of a very wide variety of types. The seed dressing formulations which can be used according to the invention or their dilute preparations may also be used to dress seed of transgenic plants. In this context, synergistic effects may also arise in interaction with the substances formed by expression.

Suitable mixing equipment for treating seed with the seed dressing formulations which can be used according to the invention or the preparations prepared from them by adding water includes all mixing equipment which can commonly be used for dressing. The specific procedure adopted when dressing comprises introducing the seed into a mixer, adding the particular desired amount of seed dressing formulation, either as it is or following dilution with water beforehand, and carrying out mixing until the formulation is uniformly distributed on the seed. Optionally, a drying operation follows.

The active compounds or compositions according to the invention have strong microbicidal activity and can be used for controlling unwanted microorganisms, such as fungi and bacteria, in crop protection and material protection.

5 In crop protection, fungicides can be used for controlling Plasmodiophoromycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes.

In crop protection, bactericides can be used for controlling Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae.

10 The fungicidal compositions according to the invention can be used for the curative or protective control of phytopathogenic fungi. Accordingly, the invention also relates to curative and protective methods for controlling phytopathogenic fungi using the active compound combinations or compositions according to the invention, which are applied to the seed, the plant or plant parts, the fruit or the soil in which the plants grow. Preference is given to application onto the plant or the plant parts, the fruits or the soil in which the plants grow.

15 The compositions according to the invention for combating phytopathogenic fungi in crop protection comprise an active, but non-phytotoxic amount of the compounds according to the invention. "Active, but non-phytotoxic amount" shall mean an amount of the composition according to the invention which is sufficient to control or to completely kill the plant disease caused by fungi, which amount at the same time does not exhibit noteworthy symptoms of phytotoxicity. These application rates generally may be varied in a broader range, which rate depends on several factors, e.g. the phytopathogenic fungi, the plant or crop, the climatic conditions and the ingredients of the composition according to the invention.

20 The fact that the active compounds, at the concentrations required for the controlling of plant diseases, are well tolerated by plants permits the treatment of aerial plant parts, of vegetative propagation material and seed, and of the soil.

25 According to the invention, it is possible to treat all plants and parts of plants. Plants are to be understood here as meaning all plants and plant populations, such as wanted and unwanted wild plants or crop plants (including naturally occurring crop plants). Crop plants can 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 transgenic plants and including plant cultivars which can or cannot be protected by plant variety protection rights. Parts of plants are to be understood as meaning all above-ground and below-ground parts and organs of the plants, such as shoot, leaf, flower and root, examples which may be mentioned being leaves, needles, stems, trunks, flowers, fruit bodies, fruits and seeds and also roots, tubers and rhizomes. Plant parts also include harvested material and vegetative and generative propagation material, for example seedlings, tubers, rhizomes, cuttings and seeds. Preference is given to the treatment of the plants and the above-ground and below-ground parts and organs of the plants, such as shoot, leaf, flower and root, examples which may be mentioned being leaves, needles, stems, trunks, 35 flowers, and fruits.

The active compounds of the invention, in combination with good plant tolerance and favourable toxicity to warm-blooded animals and being tolerated well by the environment, are suitable for protecting plants and plant organs, for increasing the harvest yields, for improving the quality of the harvested material. They may be preferably employed as crop protection agents. They are active against normally sensitive
5 and resistant species and against all or some stages of development.

The following plants may be mentioned as plants which can be treated according to the invention: cotton, flax, grapevines, fruit, vegetable, such as *Rosaceae sp.* (for example pomaceous fruit, such as apples and pears, but also stone fruit, such as apricots, cherries, almonds and peaches and soft fruit such as strawberries), *Ribesioideae sp.*, *Juglandaceae sp.*, *Betulaceae sp.*, *Anacardiaceae sp.*, *Fagaceae sp.*, *Moraceae sp.*,
10 *Oleaceae sp.*, *Actinidaceae sp.*, *Lauraceae sp.*, *Musaceae sp.* (for example banana trees and plantations), *Rubiaceae sp.* (for example coffee), *Theaceae sp.*, *Sterculiaceae 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 cucumbers), *Alliaceae sp.* (for example leek, onions), *Papilionaceae sp.* (for example peas); major crop plants,
15 such *Gramineae sp.* (for example maize, lawn, cereals such as wheat, rye, rice, barley, oats, millet and triticale), *Asteraceae sp.* (for example sunflowers), *Brassicaceae sp.* (for example white cabbage, red cabbage, broccoli, cauliflowers, Brussels sprouts, pak choi, kohlrabi, garden radish, and also oilseed rape, mustard, horseradish and cress), *Fabaceae sp.* (for example beans, peas, peanuts), *Papilionaceae sp.* (for example soya beans), *Solanaceae sp.* (for example potatoes), *Chenopodiaceae sp.* (for example sugar beet,
20 fodder beet, Swiss chard, beetroot); crop plants and ornamental plants in garden and forest; and also in each case genetically modified varieties of these plants.

As already mentioned above, it is possible to treat all plants and their parts according to the invention. In a preferred embodiment, wild plant species and plant cultivars, or those obtained by conventional biological breeding methods, such as crossing or protoplast fusion, and parts thereof, are treated. In a further preferred
25 embodiment, 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. The terms "parts", "parts of plants" and "plant parts" have been explained above. Particularly preferably, plants of the plant cultivars which are in each case commercially available or in use are treated according to the invention. Plant cultivars are to be understood as meaning plants having novel properties
30 ("traits") which have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques. These can be cultivars, bio- or genotypes.

The method of treatment according to the invention is 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 the genome. The expression "heterologous gene" essentially
35 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 down regulating or silencing other

gene(s) which are present in the plant (using for example, antisense technology, co-suppression technology or RNA interference – RNAi - 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.

- 5 Depending on the plant species or plant cultivars, their location and growth conditions (soils, climate, vegetation period, diet), the treatment according to the invention may also result in super-additive (“synergistic”) effects. Thus, for example, reduced application rates and/or a widening of the activity spectrum and/or an increase in the activity of the active compounds and compositions which can be used according to the invention, better plant growth, increased tolerance to high or low temperatures, increased tolerance to drought or to water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest yields, bigger fruits, larger plant height, greener leaf color, earlier flowering, higher quality and/or a higher nutritional value of the harvested products, higher sugar concentration within the fruits, better storage stability and/or processability of the harvested products are possible, which exceed the effects which were actually to be expected.
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- 15 At certain application rates, the active compound combinations according to the invention may also have a strengthening effect in plants. Accordingly, they are also suitable for mobilizing the defense system of the plant against attack by unwanted phytopathogenic fungi and/ or microorganisms and/or viruses. This may, if appropriate, be one of the reasons of the enhanced activity of the combinations according to the invention, for example against fungi. Plant-strengthening (resistance-inducing) substances are to be understood as meaning, in the present context, those substances or combinations of substances which are capable of stimulating the defense system of plants in such a way that, when subsequently inoculated with unwanted phytopathogenic fungi and/or microorganisms and/or viruses, the treated plants display a substantial degree of resistance to these phytopathogenic fungi and/or microorganisms and/or viruses. Thus, the substances according to the invention can be employed for protecting plants against attack by the abovementioned pathogens within a certain period of time after the treatment. The period of time within which protection is effected generally extends from 1 to 10 days, preferably 1 to 7 days, after the treatment of the plants with the active compounds.
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Plants and plant cultivars which are preferably to be treated according to the invention include all plants which have genetic material which impart particularly advantageous, useful traits to these plants (whether obtained by breeding and/or biotechnological means).

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Plants and plant cultivars which are also preferably to be treated according to the invention are resistant against one or more biotic stresses, i.e. said plants show a better defense against animal and microbial pests, such as against nematodes, insects, mites, phytopathogenic fungi, bacteria, viruses and/or viroids.

Plants and plant cultivars which may also be treated according to the invention are 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

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exposure, ozon exposure, high light exposure, limited availability of nitrogen nutrients, limited availability of phosphorus nutrients, shade avoidance.

Plants and plant cultivars which may also be treated according to the invention, are 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, protein content, oil content and composition, nutritional value, reduction in anti-nutritional compounds, improved processability and better storage stability.

Plants that may be treated according to the invention 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 stress factors. Such plants are typically made by crossing an inbred male-sterile parent line (the female parent) with another inbred male-fertile parent line (the male parent). Hybrid seed is typically harvested from the male sterile plants and sold to growers. Male sterile plants can sometimes (e.g. in corn) be produced by detasseling, i.e. the mechanical removal of the male reproductive organs (or males flowers) but, more typically, male sterility is the result of genetic determinants in the plant genome. In that case, and especially when seed is the desired product to be harvested from the hybrid plants it is typically useful to ensure that male fertility in the hybrid plants is fully restored. This can be accomplished by ensuring that the male parents have appropriate fertility restorer genes which are capable of restoring the male fertility in hybrid plants that contain the genetic determinants responsible for male-sterility. Genetic determinants for male sterility may be located in the cytoplasm. Examples of cytoplasmic male sterility (CMS) were for instance described in Brassica species. However, genetic determinants for male sterility can also be located in the nuclear genome. Male sterile plants can also be obtained by plant biotechnology methods such as genetic engineering. A particularly useful means of obtaining male-sterile plants is described in WO 89/10396 in which, for example, a ribonuclease such as barnase is selectively expressed in the tapetum cells in the stamens. Fertility can then be restored by expression in the tapetum cells of a ribonuclease inhibitor such as barstar.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may be treated according to the invention 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.

Herbicide-tolerant plants are for example glyphosate-tolerant plants, i.e. plants made tolerant to the herbicide glyphosate or salts thereof. Plants can be made tolerant to glyphosate through different means. For

example, glyphosate-tolerant plants can be obtained by transforming the plant with a gene encoding the enzyme 5-enolpyruvylshikimate-3-phosphate synthase (EPSPS). Examples of such EPSPS genes are the AroA gene (mutant CT7) of the bacterium *Salmonella typhimurium*, the CP4 gene of the bacterium *Agrobacterium sp*, the genes encoding a Petunia EPSPS, a Tomato EPSPS, or an Eleusine EPSPS. It can also be a mutated EPSPS. Glyphosate-tolerant plants can also be obtained by expressing a gene that encodes a glyphosate oxido-reductase enzyme. Glyphosate-tolerant plants can also be obtained by expressing a gene that encodes a glyphosate acetyl transferase enzyme. Glyphosate-tolerant plants can also be obtained by selecting plants containing naturally-occurring mutations of the above-mentioned genes.

Other herbicide resistant plants are for example plants that are made tolerant to herbicides inhibiting the enzyme glutamine synthase, such as bialaphos, phosphinothricin or glufosinate. Such plants can be obtained by expressing an enzyme detoxifying the herbicide or a mutant glutamine synthase enzyme that is resistant to inhibition. One such efficient detoxifying enzyme is an enzyme encoding a phosphinothricin acetyltransferase (such as the bar or pat protein from *Streptomyces* species). Plants expressing an exogenous phosphinothricin acetyltransferase are also described.

Further herbicide-tolerant plants are also plants that are made tolerant to the herbicides inhibiting the enzyme hydroxyphenylpyruvatedioxygenase (HPPD). Hydroxyphenylpyruvatedioxygenases are enzymes that catalyze the reaction in which para-hydroxyphenylpyruvate (HPP) is transformed into homogentisate. Plants tolerant to HPPD-inhibitors can be transformed with a gene encoding a naturally-occurring resistant HPPD enzyme, or a gene encoding a mutated HPPD enzyme. Tolerance to HPPD-inhibitors can also be obtained by transforming plants with genes encoding certain enzymes enabling the formation of homogentisate despite the inhibition of the native HPPD enzyme by the HPPD-inhibitor. Tolerance of plants to HPPD inhibitors can also be improved by transforming plants with a gene encoding an enzyme prephenate dehydrogenase in addition to a gene encoding an HPPD-tolerant enzyme.

Still further herbicide resistant plants are plants that are made tolerant to acetolactate synthase (ALS) inhibitors. Known ALS-inhibitors include, for example, sulfonylurea, imidazolinone, triazolopyrimidines, pyrimidinyoxy(thio)benzoates, and/or sulfonylaminocarbonyltriazolinone herbicides. Different mutations in the ALS enzyme (also known as acetohydroxyacid synthase, AHAS) are known to confer tolerance to different herbicides and groups of herbicides. The production of sulfonylurea-tolerant plants and imidazolinone-tolerant plants is described in WO 1996/033270. Other imidazolinone-tolerant plants are also described. Further sulfonylurea- and imidazolinone-tolerant plants are also described in for example WO 2007/024782.

Other plants tolerant to imidazolinone and/or sulfonylurea can be obtained by induced mutagenesis, selection in cell cultures in the presence of the herbicide or mutation breeding as described for example for soybeans, for rice, for sugar beet, for lettuce, or for sunflower.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are insect-resistant transgenic plants, i.e. plants made resis-

tant 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.

An "insect-resistant transgenic plant", as used herein, includes any plant containing at least one transgene comprising a coding sequence encoding:

- 5 1) an insecticidal crystal protein from *Bacillus thuringiensis* or an insecticidal portion thereof, such as the insecticidal crystal proteins listed online at:
http://www.lifesci.sussex.ac.uk/Home/Neil_Crickmore/Bt/, or insecticidal portions thereof, e.g., proteins of the Cry protein classes Cry1Ab, Cry1Ac, Cry1F, Cry2Ab, Cry3Aa, or Cry3Bb or insecticidal portions thereof; or
- 10 2) a crystal protein from *Bacillus thuringiensis* or a portion thereof which is insecticidal in the presence of a second other crystal protein from *Bacillus thuringiensis* or a portion thereof, such as the binary toxin made up of the Cry34 and Cry35 crystal proteins; or
- 3) a hybrid insecticidal protein comprising parts of different insecticidal crystal proteins from *Bacillus thuringiensis*, such as a hybrid of the proteins of 1) above or a hybrid of the proteins of 2)
- 15 above, e.g., the Cry1A.105 protein produced by corn event MON98034 (WO 2007/027777); or
- 4) a protein of any one of 1) to 3) above wherein some, particularly 1 to 10, amino acids have been replaced by another amino acid to obtain a higher insecticidal activity to a target insect species, and/or to expand the range of target insect species affected, and/or because of changes introduced into the encoding DNA during cloning or transformation, such as the Cry3Bb1 protein in corn
- 20 events MON863 or MON88017, or the Cry3A protein in corn event MIR604;
- 5) an insecticidal secreted protein from *Bacillus thuringiensis* or *Bacillus cereus*, or an insecticidal portion thereof, such as the vegetative insecticidal (VIP) proteins listed at:
http://www.lifesci.sussex.ac.uk/home/Neil_Crickmore/Bt/vip.html, e.g. proteins from the VIP3Aa protein class; or
- 25 6) secreted protein from *Bacillus thuringiensis* or *Bacillus cereus* which is insecticidal in the presence of a second secreted protein from *Bacillus thuringiensis* or *B. cereus*, such as the binary toxin made up of the VIP1A and VIP2A proteins; or
- 7) hybrid insecticidal protein comprising parts from different secreted proteins from *Bacillus thuringiensis* or *Bacillus cereus*, such as a hybrid of the proteins in 1) above or a hybrid of the proteins
- 30 in 2) above; or
- 8) protein of any one of 1) to 3) above wherein some, particularly 1 to 10, amino acids have been replaced by another amino acid to obtain a higher insecticidal activity to a target insect species, and/or to expand the range of target insect species affected, and/or because of changes introduced into the encoding DNA during cloning or transformation (while still encoding an insecticidal protein), such as the VIP3Aa protein in cotton event COT102.
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Of course, an insect-resistant transgenic plant, as used herein, also includes any plant comprising a combination of genes encoding the proteins of any one of the above classes 1 to 8. In one embodiment, an insect-resistant plant contains more than one transgene encoding a protein of any one of the above classes 1 to 8,

to expand the range of target insect species affected when using different proteins directed at different target insect species, or to delay insect resistance development to the plants by using different proteins insecticidal to the same target insect species but having a different mode of action, such as binding to different receptor binding sites in the insect.

5 Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention 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. Particularly useful stress tolerance plants include:

- a. plants which contain a transgene capable of reducing the expression and/or the activity of
10 poly(ADP-ribose)polymerase (PARP) gene in the plant cells or plants
- b. plants which contain a stress tolerance enhancing transgene capable of reducing the expression and/or the activity of the PARP encoding genes of the plants or plants cells.
- c. plants which contain a stress tolerance enhancing transgene coding for a plant-functional enzyme
15 of the nicotinamide adenine dinucleotide salvage synthesis pathway including nicotinamidase, nicotinate phosphoribosyltransferase, nicotinic acid mononucleotide adeny transferase, nicotinamide adenine dinucleotide synthetase or nicotine amide phosphorybosyltransferase.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention show altered quantity, quality and/or storage-stability of the harvested product and/or altered properties of specific ingredients of the harvested product such as :

- 20 1) transgenic plants which synthesize a modified starch, which in its physical-chemical characteristics, in particular the amylose content or the amylose/amylopectin ratio, the degree of branching, the average chain length, the side chain distribution, the viscosity behaviour, the gelling strength, the starch grain size and/or the starch grain morphology, is changed in comparison with the synthesised starch in wild type plant cells or plants, so that this is better suited for special applications.
- 25 2) transgenic plants which synthesize non starch carbohydrate polymers or which synthesize non starch carbohydrate polymers with altered properties in comparison to wild type plants without genetic modification. Examples are plants producing polyfructose, especially of the inulin and levan-type, plants producing alpha 1,4 glucans, plants producing alpha-1,6 branched alpha-1,4-glucans, plants producing alterman,
- 30 3) transgenic plants which produce hyaluronan.

Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, 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 and include:

- 35 a) Plants, such as cotton plants, containing an altered form of cellulose synthase genes,
- b) Plants, such as cotton plants, containing an altered form of rsw2 or rsw3 homologous nucleic acids,
- c) Plants, such as cotton plants, with increased expression of sucrose phosphate synthase,

- d) Plants, such as cotton plants, with increased expression of sucrose synthase,
 - e) Plants, such as cotton plants, wherein the timing of the plasmodesmatal gating at the basis of the fiber cell is altered, e.g. through downregulation of fiberselective β 1,3-glucanase,
 - f) Plants, such as cotton plants, having fibers with altered reactivity, e.g. through the expression of
- 5 N-acetylglucosaminetransferase gene including nodC and chitinsynthase genes.

Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, 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 characteristics and include:

- 10 a) Plants, such as oilseed rape plants, producing oil having a high oleic acid content,
- b) Plants such as oilseed rape plants, producing oil having a low linolenic acid content,
- c) Plant such as oilseed rape plants, producing oil having a low level of saturated fatty acids.

Particularly useful transgenic plants which may be treated according to the invention are plants which comprise one or more genes which encode one or more toxins, such as the following which are sold under the

15 trade names YIELD GARD® (for example maize, cotton, soya beans), KnockOut® (for example maize), BiteGard® (for example maize), Bt-Xtra® (for example maize), StarLink® (for example maize), Bollgard® (cotton), Nucotn® (cotton), Nucotn 33B®(cotton), NatureGard® (for example maize), Protecta® and New-Leaf® (potato). Examples of herbicide-tolerant plants which may be mentioned are maize varieties, cotton varieties and soya bean varieties which are sold under the trade names Roundup Ready® (tolerance to gly-

20 phosate, for example maize, cotton, soya bean), Liberty Link® (tolerance to phosphinotricin, for example oilseed rape), IMI® (tolerance to imidazolinones) and STS® (tolerance to sulphonylureas, for example maize). Herbicide-resistant plants (plants bred in a conventional manner for herbicide tolerance) which may be mentioned include the varieties sold under the name Clearfield® (for example maize).

Particularly useful transgenic plants which may be treated according to the invention are plants containing

25 transformation events, or combination of transformation events, that are listed for example in the databases from various national or regional regulatory agencies (see for example http://gmoinfo.jrc.it/gmp_browse.aspx and <http://www.agbios.com/dbase.php>).

In material protection the substances of the invention may be used for the protection of technical materials against infestation and destruction by undesirable fungi and/or microorganisms.

30 Technical materials are understood to be in the present context non-living materials that have been prepared for use in engineering. For example, technical materials that are to be protected against microbiological change or destruction by the active materials of the invention can be adhesives, glues, paper and cardboard, textiles, carpets, leather, wood, paint and plastic articles, cooling lubricants and other materials that can be infested or destroyed by micro-organisms. Within the context of materials to be protected are

35 also parts of production plants and buildings, for example cooling circuits, cooling and heating systems, air conditioning and ventilation systems, which can be adversely affected by the propagation of fungi and/or

microorganisms. Within the context of the present invention, preferably mentioned as technical materials are adhesives, glues, paper and cardboard, leather, wood, paints, cooling lubricants and heat exchanger liquids, particularly preferred is wood. The combinations according to the invention can prevent disadvantageous effects like decaying, dis- and decoloring, or molding. The active compound combinations and compositions according to the invention can likewise be employed for protecting against colonization of objects, in particular ship hulls, sieves, nets, buildings, quays and signalling installations, which are in contact with sea water or brackish water.

The method of treatment according to the invention can also be used in the field of protecting storage goods against attack of fungi and microorganisms. According to the present invention, the term "storage goods" is understood to denote natural substances of vegetable or animal origin and their processed forms, which have been taken from the natural life cycle and for which long-term protection is desired. Storage goods of vegetable origin, such as plants or parts thereof, for example stalks, leafs, tubers, seeds, fruits or grains, can be protected in the freshly harvested state or in processed form, such as pre-dried, moistened, comminuted, ground, pressed or roasted. Also falling under the definition of storage goods is timber, whether in the form of crude timber, such as construction timber, electricity pylons and barriers, or in the form of finished articles, such as furniture or objects made from wood. Storage goods of animal origin are hides, leather, furs, hairs and the like. The combinations according the present invention can prevent disadvantageous effects such as decay, discoloration or mold. Preferably "storage goods" is understood to denote natural substances of vegetable origin and their processed forms, more preferably fruits and their processed forms, such as pomes, stone fruits, soft fruits and citrus fruits and their processed forms.

Some pathogens of fungal diseases which can be treated according to the invention may be mentioned by way of example, but not by way of limitation:

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

Diseases caused by rust disease pathogens, such as, for example, *Gymnosporangium* species, such as, for example, *Gymnosporangium sabinae*; *Hemileia* species, such as, for example, *Hemileia vastatrix*; *Phakopsora* species, such as, for example, *Phakopsora pachyrhizi* and *Phakopsora meibomia*; *Puccinia* species, such as, for example, *Puccinia recondita* or *Puccinia triticina*; *Uromyces* species, such as, for example, *Uromyces appendiculatus*;

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

Leaf blotch diseases and leaf wilt diseases caused, for example, by *Alternaria* species, such as, for example, *Alternaria solani*; *Cercospora* species, such as, for example, *Cercospora beticola*; *Cladosporium* species, such as, for example, *Cladosporium cucumerinum*; *Cochliobolus* species, such as, for example, *Cochliobolus sativus* (conidia form: *Drechslera*, Syn: *Helminthosporium*); *Colletotrichum* species, such as, for example, *Colletotrichum lindemuthianum*; *Cycloconium* species, such as, for example, *Cycloconium oleaginum*; *Diaporthe* species, such as, for example, *Diaporthe citri*; *Elsinoe* species, such as, for example, *Elsinoe fawcettii*; *Gloeosporium* species, such as, for example, *Gloeosporium laeticolor*; *Glomerella* species, such as, for example, *Glomerella cingulata*; *Guignardia* species, such as, for example, *Guignardia bidwelli*; *Leptosphaeria* species, such as, for example, *Leptosphaeria maculans*; *Magnaporthe* species, such as, for example, *Magnaporthe grisea*; *Microdochium* species, such as, for example, *Microdochium nivale*; *Mycosphaerella* species, such as, for example, *Mycosphaerella graminicola* and *M. fijiensis*; *Phaeosphaeria* species, such as, for example, *Phaeosphaeria nodorum*; *Pyrenophora* species, such as, for example, *Pyrenophora teres*; *Ramularia* species, such as, for example, *Ramularia collo-cygni*; *Rhynchosporium* species, such as, for example, *Rhynchosporium secalis*; *Septoria* species, such as, for example, *Septoria apii*; *Typhula* species, such as, for example, *Typhula incarnata*; *Venturia* species, such as, for example, *Venturia inaequalis*;

Root and stem diseases caused, for example, by *Corticium* species, such as, for example, *Corticium graminearum*; *Fusarium* species, such as, for example, *Fusarium oxysporum*; *Gaeumannomyces* species, such as, for example, *Gaeumannomyces graminis*; *Rhizoctonia* species, such as, for example *Rhizoctonia solani*; *Tapesia* species, such as, for example, *Tapesia acuformis*; *Thielaviopsis* species, such as, for example, *Thielaviopsis basicola*;

Ear and panicle diseases (including maize cobs) caused, for example, by *Alternaria* species, such as, for example, *Alternaria* spp.; *Aspergillus* species, such as, for example, *Aspergillus flavus*; *Cladosporium* species, such as, for example, *Cladosporium cladosporioides*; *Claviceps* species, such as, for example, *Claviceps purpurea*; *Fusarium* species, such as, for example, *Fusarium culmorum*; *Gibberella* species, such as, for example, *Gibberella zeae*; *Monographella* species, such as, for example, *Monographella nivalis*; *Septoria* species, such as for example, *Septoria nodorum*;

Diseases caused by smut fungi, such as, for example, *Sphacelotheca* species, such as, for example, *Sphacelotheca reiliana*; *Tilletia* species, such as, for example, *Tilletia caries*; *T. controversa*; *Urocystis* species, such as, for example, *Urocystis occulta*; *Ustilago* species, such as, for example, *Ustilago nuda*; *U. nuda tritici*;

Fruit rot caused, for example, by *Aspergillus* species, such as, for example, *Aspergillus flavus*; *Botrytis* species, such as, for example, *Botrytis cinerea*; *Penicillium* species, such as, for example, *Penicillium expansum* and *P. purpurogenum*; *Sclerotinia* species, such as, for example, *Sclerotinia sclerotiorum*; *Verticillium* species, such as, for example, *Verticillium alboatrum*;

Seed- and soil-borne rot and wilt diseases, and also diseases of seedlings, caused, for example, by *Fusarium* species, such as, for example, *Fusarium culmorum*; *Phytophthora* species, such as, for example, *Phytophthora cactorum*; *Pythium* species, such as, for example, *Pythium ultimum*; *Rhizoctonia* species, such as, for example, *Rhizoctonia solani*; *Sclerotium* species, such as, for example, *Sclerotium rolfsii*;

Cancerous diseases, galls and witches' broom caused, for example, by *Nectria* species, such as, for example, *Nectria galligena*;

Wilt diseases caused, for example, by *Monilinia* species, such as, for example, *Monilinia laxa*;

Deformations of leaves, flowers and fruits caused, for example, by *Taphrina* species, such as, for example,

5 *Taphrina deformans*;

Degenerative diseases of woody plants caused, for example, by *Esca* species, such as, for example, *Phaeo-
moniella clamydospora* and *Phaeoacremonium aleophilum* and *Fomitiporia mediterranea*;

Diseases of flowers and seeds caused, for example, by *Botrytis* species, such as, for example, *Botrytis
cinerea*;

10 Diseases of plant tubers caused, for example, by *Rhizoctonia* species, such as, for example, *Rhizoctonia
solani*; *Helminthosporium* species, such as, for example, *Helminthosporium solani*;

Diseases caused by bacteriopathogens, such as, for example, *Xanthomonas* species, such as, for exam-
ple, *Xanthomonas campestris* pv. *oryzae*; *Pseudomonas* species, such as, for example, *Pseudomonas sy-
ringae* pv. *lachrymans*; *Erwinia* species, such as, for example, *Erwinia amylovora*.

15 Preference is given to controlling the following diseases of soya beans:

Fungal diseases on leaves, stems, pods and seeds caused, for example, by *alternaria* leaf spot (*Alternaria
spec. atrans tenuissima*), anthracnose (*Colletotrichum gloeosporoides dematium* var. *truncatum*), brown spot
(*Septoria glycines*), cercospora leaf spot and blight (*Cercospora kikuchii*), choanephora leaf blight (*Choan-
ephora infundibulifera trispora* (Syn.)), *dactuliophora* leaf spot (*Dactuliophora glycines*), downy mildew
20 (*Peronospora manshurica*), *drechslera* blight (*Drechslera glycini*), frog-eye leaf spot (*Cercospora sojae*), *lep-
tosphaerulina* leaf spot (*Leptosphaerulina trifolii*), *phyllosticta* leaf spot (*Phyllosticta sojaecola*), pod and stem
blight (*Phomopsis sojae*), powdery mildew (*Microsphaera diffusa*), *pyrenochaeta* leaf spot (*Pyrenochaeta
glycines*), *rhizoctonia* aerial, foliage, and web blight (*Rhizoctonia solani*), rust (*Phakopsora pachyrhizi* *Pha-
kopsora meibomiae*), scab (*Sphaceloma glycines*), *stemphylium* leaf blight (*Stemphylium botryosum*), target
25 spot (*Corynespora cassiicola*).

Fungal diseases on roots and the stem base caused, for example, by black root rot (*Calonectria crota-
lariae*), charcoal rot (*Macrophomina phaseolina*), fusarium blight or wilt, root rot, and pod and collar rot
(*Fusarium oxysporum*, *Fusarium orthoceras*, *Fusarium semitectum*, *Fusarium equiseti*), *mycoleptodis-
cus* root rot (*Mycoleptodiscus terrestris*), *neocosmopora* (*Neocosmopora vasinfesta*), pod and stem
30 blight (*Diaporthe phaseolorum*), stem canker (*Diaporthe phaseolorum* var. *caulivora*), *phytophthora* rot
(*Phytophthora megasperma*), brown stem rot (*Phialophora gregata*), *pythium* rot (*Pythium aphaniderma-
tum*, *Pythium irregulare*, *Pythium debaryanum*, *Pythium myriotylum*, *Pythium ultimum*), *rhizoctonia*
root rot, stem decay, and damping-off (*Rhizoctonia solani*), *sclerotinia* stem decay (*Sclerotinia scler-
rotiorum*), *sclerotinia* Southern blight (*Sclerotinia rolfii*), *thielaviopsis* root rot (*Thielaviopsis basicola*).

35 It is also possible to control resistant strains of the organisms mentioned above.

Microorganisms capable of degrading or changing the industrial materials which may be mentioned are,
for example, bacteria, fungi, yeasts, algae and slime organisms. The active compounds according to the
invention preferably act against fungi, in particular moulds, wood-discolouring and wood-destroying fungi
(Basidiomycetes) and against slime organisms and algae. Microorganisms of the following genera may be

mentioned as examples: *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*,
5 such as *Trichoderma viride*, *Escherichia*, such as *Escherichia coli*, *Pseudomonas*, such as *Pseudomonas aeruginosa*, and *Staphylococcus*, such as *Staphylococcus aureus*.

In addition, the compounds of the formula (I) according to the invention also have very good antimycotic activity. They have a very broad antimycotic activity spectrum in particular against dermatophytes and yeasts, moulds and diphasic fungi (for example against *Candida* species such as *Candida albicans*, *Candida glabrata*) and *Epidermophyton floccosum*, *Aspergillus* species such as *Aspergillus niger* and *Aspergillus fumigatus*, *Trichophyton* species such as *Trichophyton mentagrophytes*, *Microsporon* species such as *Microsporon canis* and *audouinii*. The list of these fungi by no means limits the mycotic spectrum which can
10 be covered, but is only for illustration.

When applying the compounds according to the invention the application rates can be varied within a broad range. The dose of active compound/application rate usually applied in the method of treatment according to the invention is generally and advantageously
15

- for treatment of part of plants, e.g. leaves (foliar treatment): from 0.1 to 10,000 g/ha, preferably from 10 to 1,000 g/ha, more preferably from 50 to 300g/ha; in case of drench or drip application, the dose can even be reduced, especially while using inert substrates like rockwool or perlite;
- 20 • for seed treatment: from 2 to 200 g per 100 kg of seed, preferably from 3 to 150 g per 100 kg of seed, more preferably from 2.5 to 25 g per 100 kg of seed, even more preferably from 2.5 to 12.5 g per 100 kg of seed;
- for soil treatment: from 0.1 to 10,000 g/ha, preferably from 1 to 5,000 g/ha.

The doses herein indicated are given as illustrative examples of the method according to the invention. A person skilled in the art will know how to adapt the application doses, notably according to the nature of the plant or crop to be treated.
25

The combination according to the invention can be used in order to protect plants within a certain time range after the treatment against pests and/or phytopathogenic fungi and/or microorganisms. The time range, in which protection is effected, spans in general 1 to 28 days, preferably 1 to 14 days, more preferably 1 to 10 days, even more preferably 1 to 7 days after the treatment of the plants with the combinations
30 or up to 200 days after the treatment of plant propagation material.

Furthermore combinations and compositions according to the invention may also be used to reduce the contents of mycotoxins in plants and the harvested plant material and therefore in foods and animal feed stuff made therefrom. Especially but not exclusively the following mycotoxins can be specified: Deoxynivalenole (DON), Nivalenole, 15-Ac-DON, 3-Ac-DON, T2- und HT2- Toxins, Fumonisin, Zearalenone
35 Moniliformine, Fusarin, Diacetoxyscirpenole (DAS), Beauvericin, Enniatin, Fusaroproliferin,

Fusarenole, Ochratoxines, Patuline, Ergotalkaloides und Aflatoxines, which are caused for example by the following fungal diseases: *Fusarium spec.*, like *Fusarium acuminatum*, *F. avenaceum*, *F. crookwellense*, *F. culmorum*, *F. graminearum* (*Gibberella zeae*), *F. equiseti*, *F. fujikuroi*, *F. musarum*, *F. oxysporum*, *F. proliferatum*, *F. poae*, *F. pseudograminearum*, *F. sambucinum*, *F. scirpi*, *F. semitectum*, *F. solani*, *F. sporotrichoides*, *F. langsethiae*, *F. subglutinans*, *F. tricinctum*, *F. verticillioides* and others but also by *Aspergillus spec.*, *Penicillium spec.*, *Claviceps purpurea*, *Stachybotrys spec.* and others.

The invention is illustrated by the examples below. However, the invention is not limited to the examples.

Preparation Examples

Preparation of 2,5-difluoro-N-(2-methylcyclohexyl)-6-(prop-2-yn-1-yloxy)nicotinamide (Ex. 1)

- 10 A solution of 155 mg (0.73 mmol) of 2,5-difluoro-6-(prop-2-yn-1-yloxy)nicotinic acid, 257 mg (0.80 mmol) of (benzotriazol-1-yloxy)bisdimethylaminomethylumfluoroborate and 329 mg (2.55 mmol) of N,N-diisopropylethylamine in 4 ml of dimethylformamide was stirred at room temperature for 15 minutes. Then 90 mg (0.80 mmol) of 2-methylcyclohexylamine was added and the reaction mixture was stirred at room temperature overnight. 10 ml of water was added to the reaction mixture and the aqueous phase was extracted with
- 15 2*10 ml of dichloromethane. The organic phases were dried and solvent evaporated. The residue was purified on silica (Ethyl acetate / heptane) to give 1919 mg of a solid = expected product characterized by LC-MS: $m/z = 309 [M+H]^+$.

In analogy to the examples above and according to the general description of the processes of preparing the compounds according to the invention the compounds in the following Table 1 may be obtained.

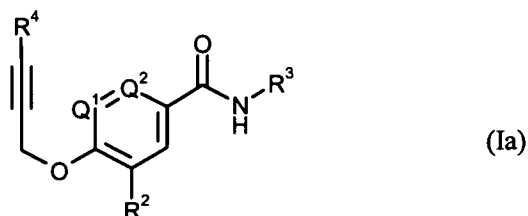
- 20 In Table 1, $[M+H]^+$ (APCI+) means the molecular ion peak plus 1 a.m.u. (atomic mass unit) as observed in mass spectroscopy via positive atmospheric pressure chemical ionisation.

In Table 1, the logP values were determined in accordance with EEC Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) on a reversed-phase column (C 18), using the method described below:

- 25 Temperature: 40°C; mobile phases: 0.1% aqueous formic acid and acetonitrile; linear gradient from 10 % acetonitrile to 90 % acetonitrile.

- Calibration was carried out using unbranched alkan-2-ones (comprising 3 to 16 carbon atoms) with known logP values (determination of the logP values by the retention times using linear interpolation between two successive alkanones). λ_{max} -values were determined using UV-spectra from 200 nm to 400 nm
- 30 and the peak values of the chromatographic signals.

Table 1



Ex	Q ¹	Q ²	R ²	R ³	R ⁴	LC-MS	Log p
1	N	CF	F	2-methylcyclohexyl	H	m/z = 309 [M+H] ⁺	3,51
2	N	CF	F	(1S)-1-cyclohexylethyl	H	m/z = 323 [M+H] ⁺	3,96
3	N	CF	F	3-methylbutan-2-yl	H	m/z = 283 [M+H] ⁺	3,08
4	N	CF	F	cyclohexylmethyl	H	m/z = 309 [M+H] ⁺	3,64
5	N	CF	F	(1-hydroxycyclohexyl)methyl	H	m/z = 325 [M+H] ⁺	2,49
6	CH	CH	OCH ₃	3-methylbutan-2-yl	CH ₃	m/z = 290 [M+H] ⁺	2,70
7	CH	CH	OCH ₃	cyclohexylmethyl	CH ₃	m/z = 316 [M+H] ⁺	3,23
8	CH	CH	OCH ₃	(1-hydroxycyclohexyl)methyl	CH ₃	m/z = 332 [M+H] ⁺	2,25
9	CH	CH	OCH ₃	(1S)-1-cyclohexylethyl	CH ₃	m/z = 330 [M+H] ⁺	3,50
10	CH	CH	OCH ₃	2-methylcyclohexyl	CH ₃	m/z = 316 [M+H] ⁺	3,09

Use Examples

5 Example A: *Phytophthora* test (tomato) / preventive

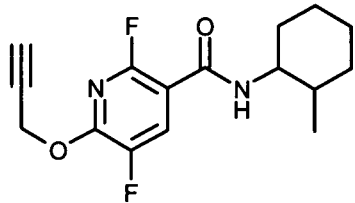
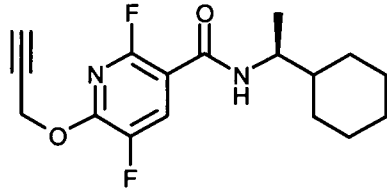
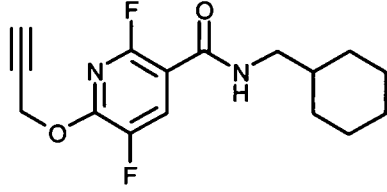
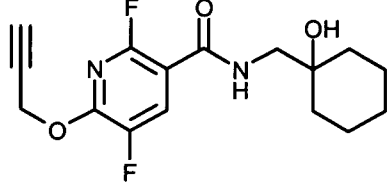
Solvent: 49 parts by weight of N, N – Dimethylformamide

Emulsifier: 1 part by weight of Alkylaryl polyglycoether

- To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration. To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of *Phytophthora infestans*. The plants remain for one day in an incubation cabinet at approximately 22°C and a relative atmospheric humidity of 100 %. Then the plants are placed in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of 96 %. The test is 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.

In this test the following compounds according to the invention showed efficacy of 70 % or even higher at a concentration of 500 ppm of active ingredient.

Table A: *Phytophthora* test (tomato) / preventive

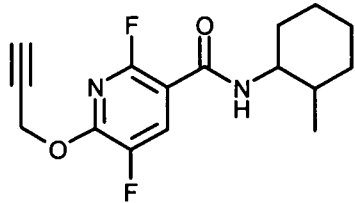
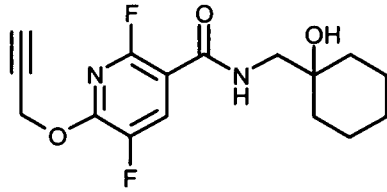
No.	Active Compound	Application rate (ppm)	Efficacy (%)
1		500	95
2		500	95
4		500	95
5		500	95

Example B: *Sphaerotheca* test (cucumber) / preventive

- Solvent: 49 parts by weight of N, N - Dimethylformamide
- 5 Emulsifier: 1 part by weight of Alkylaryl polyglycolether

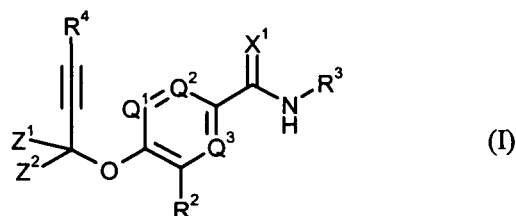
To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration. To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of *Sphaerotheca fuliginea*. Then the plants are placed in a greenhouse at approximately 23°C and a relative atmospheric humidity of approximately 70 %. The test is 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. In this test the following compounds according to the invention showed efficacy of 70 % or even higher at a concentration of 500 ppm of active ingredient.

Table B: *Sphaerotheca* test (cucumber) / preventive

No.	Active Compound	Application rate (ppm)	Efficacy (%)
1		500	100
5		500	70

Patent Claims

1. Propargyloxybenzamide derivatives of the formula (I)



wherein

5 Q^1 , Q^2 and Q^3 independently represent N or CR¹;

X^1 represents O or S;

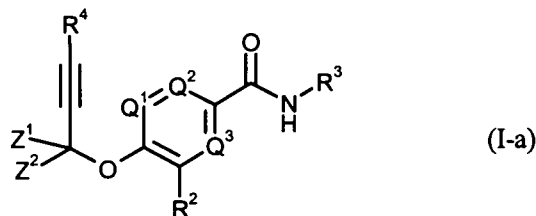
10 R^1 represents hydrogen, halogen, cyano, hydroxy-substituted or non-substituted C₁-C₈-alkyl, substituted or non-substituted C₃-C₈-cycloalkyl, substituted or non-substituted C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-halogeno-cycloalkyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenyl, substituted or non-substituted C₂-C₈-alkynyl, substituted or non-substituted C₁-C₈-alkoxy, substituted or non-substituted C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenyloxy, substituted or non-substituted C₂-C₈-halogenoalkenyl-oxy having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynyloxy, substituted or non-substituted C₃-C₈-halogenoalkynyloxy having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphanyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphanyl, substituted or non-substituted C₂-C₈-halogenoalkenylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphanyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphanyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphenyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphenyl, substituted or non-substituted C₂-C₈-halogenoalkenylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphenyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphenyl having 1 to 5 halogen atoms, substituted or non-substituted C₁-C₈-alkylsulphinyl, substituted or non-substituted C₁-C₈-halogenoalkylsulphinyl having 1 to 5 halogen atoms, substituted or non-substituted C₂-C₈-alkenylsulphinyl, substituted or non-substituted C₂-C₈-halogenoalkenylsulphinyl having 1 to 5 halogen atoms, substituted or non-substituted C₃-C₈-alkynylsulphinyl, substituted or non-substituted C₃-C₈-halogenoalkynylsulphinyl having 1 to 5 halogen atoms, substituted or non-substituted mono-(C₁-C₈-alkyl)amino, substituted or non-substituted di(C₁-C₈-alkyl)amino, substituted or non-substituted C₁-C₈-alkoxycarbonyl, substituted or non-substituted di(C₁-C₈-alkyl)aminocarbonyl;

25 R^2 represents fluorine or substituted or non-substituted C₁-C₈-alkoxy;

35 R^3 represents Y¹-Het, Y¹-CR⁵R⁶R⁷, Y¹-Cy, Cy¹-Cy², and Y¹-Ar;

- R^4 represents hydrogen, substituted or non-substituted C_1 - C_8 -alkyl;
 Y^1 represents $(CHR^8)_m$;
 m represents 0, 1, 2, 3 or 4, where the groups (CHR^8) might be the same or different, if m is 2, 3 or 4;
 5 Het represents a substituted or non-substituted 5 to 7-membered, saturated, partially saturated or unsaturated heterocycle containing 1 to 3 heteroatoms;
 R^5, R^6 independently represent hydrogen and C_1 - C_4 alkyl;
 R^7 represents hydrogen, tri- $(C_1$ - C_8 -alkyl)silyl, halogen, tri- $(C_1$ - C_8 -alkyl)silyl- C_1 - C_8 -alkyl, C_1 - C_8 -alkyl, C_1 - C_8 -halogenoalkyl, C_2 - C_8 -alkenyl, C_2 - C_8 -alkynyl, cyano, CO_2H , C_1 - C_5 -
 10 alkoxy carbonyl;
 R^8 represents hydrogen, halogen or C_1 - C_3 -alkyl;
 Cy, Cy^1 and Cy^2 independently represent substituted or non-substituted C_3 - C_8 -cycloalkyl or substituted or non-substituted C_3 - C_8 -cycloalkenyl, where a CH_2 group in the cycloalkenyl ring may be replaced by a $C(=O)$ group or by a $N-O(C_1$ - C_4 -alkyl) group;
 15 Ar represents a substituted or non-substituted phenyl or a substituted or non-substituted naphthyl;
 Z^1 and Z^2 independently represent hydrogen, substituted or non-substituted C_1 - C_8 -alkyl, or Z^1 and Z^2 together with the carbon atom to which they are attached may form a substituted or non-substituted C_3 - C_8 -cycloalkyl;
 20 provided that at least one of Q^1, Q^2 and Q^3 is N, when Z^1, Z^2 and R^4 are hydrogen at the sametime; and that when Q^1 is N then Q^2 and Q^3 cannot be at the same time $CH(CR^1, \text{ with } R^1 \text{ is hydrogen})$; or agrochemically acceptable salts thereof.
2. Composition for controlling unwanted microorganisms or insects, characterized in that they comprise at least one propargyloxybenzamide derivative of the formula (I) according to Claim 1, in
 25 addition to extenders and/or surfactants.
 3. Use of propargyloxybenzamide derivatives of the formula (I) according to Claim 1 for controlling unwanted microorganisms and insects.
 4. Method for controlling unwanted microorganisms, characterized in that propargyloxybenzamide derivatives of the formula (I) according to Claim 1 are applied to the microorganisms and/or their habi-
 30 tat.
 5. Process for preparing compositions for controlling unwanted microorganisms, characterized in that propargyloxybenzamide derivatives of the formula (I) according to Claim 1 are mixed with extenders and/or surfactants.
 6. Use of propargyloxybenzamide derivatives of the formula (I) according to Claim 1 for treating
 35 transgenic plants.

7. Process for preparing propargyloxybenzamide derivatives of the general formula (I) according to Claim 1, comprising obtaining propargyloxybenzamide derivative of formula (I-a)

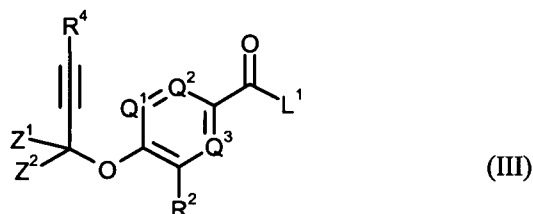


wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as defined in Claim 1, by

- 5 (a) (process P1) reacting an amine derivative of formula (II)



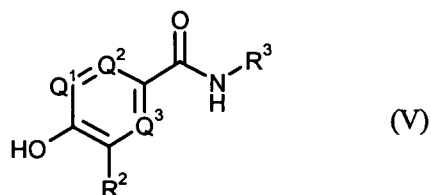
or one of its salts, wherein R^3 is as defined in Claim 1,
with a carboxylic acid derivative of the formula (III)



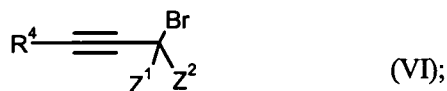
- 10 wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as defined in Claim 1, and
 L^1 represents a leaving group selected from the group consisting of halogen, hydroxyl, -
 OR^a , $-OC(=O)R^a$, where R^a represents C_1 - C_6 -alkyl, C_1 - C_6 -halogenoalkyl, benzyl,
4-methoxybenzyl or pentafluorophenyl,

or

- 15 (b) (process P2) reacting compounds of formula (V)



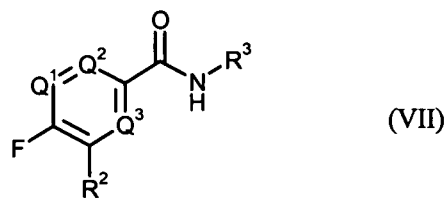
wherein Q^1 , Q^2 , Q^3 , R^2 and R^3 are as defined in Claim 1,
with propargyl bromide of formula (VI)



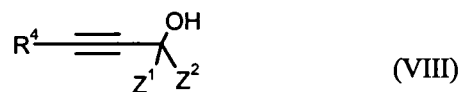
- 20 wherein R^4 , Z^1 and Z^2 are as defined in Claim 1

or

- (c) (process P3) reacting amide derivatives of the formula (VII)



wherein Q^1 , Q^2 , Q^3 , R^2 and R^3 are as defined in Claim 1,
with propargyl alcohol derivatives of formula (VIII)

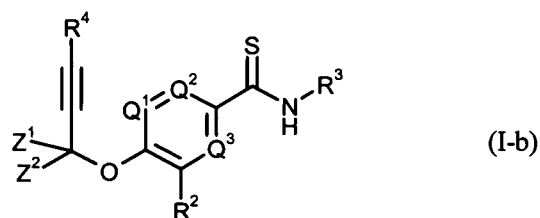


5

wherein R^4 , Z^1 and Z^2 are as defined in Claim 1,

and

- (d) (process P4) optionally reacting obtained propargyloxybenzamide derivatives of formula (I-a) with a thionating agent to obtain propargyloxybenzamide derivatives of formula (I-b)



10

wherein Q^1 , Q^2 , Q^3 , R^2 , R^3 , R^4 , Z^1 and Z^2 are as defined in Claim 1.

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2010/003547

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07C235/46 A01N43/40 A01N37/40 A01N43/48 A01N43/64
 C07D213/81 C07D237/24 C07D241/24 C07D253/07

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)

A01N C07C C07D

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

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

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2008/136388 A (SUMITOMO CHEMICAL CO [JP]; KOMORI TAKASHI [JP]; KUBOTA MAYUMI [JP]; MA) 13 November 2008 (2008-11-13) claims; examples	1-7
Y	WO 2009/011305 A (SUMITOMO CHEMICAL CO [JP]; KOMORI TAKASHI [JP]; KUBOTA MAYUMI [JP]; MA) 22 January 2009 (2009-01-22) claims; examples	1-7
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A	WO 01/55066 A2 (SYNGENTA PARTICIPATIONS AG [CH]; CRAIG GERALD WAYNE [CH]; EBERLE MARTI) 2 August 2001 (2001-08-02) claims; examples	1-7



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents:

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"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

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2010/003547

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