Title: PESTICIDE PYRIDIMINYLOXY SUBSTITUTED PHENYLAMIDINE DERIVATIVES

Abstract: The present invention relates to 2,5-disubstituted-4-pyrimidinyl-substituted-phenyl-amidine derivatives of formula (I) in which the substituents are as in the description, notably to 2,5-dialkyl-4-pyrimidinyl-substituted-phenyl-amidine derivatives, their process of preparation, their use as fungicide or insecticide active agents, particularly in the form of fungicide or insecticide compositions, and methods for the control of phytopathogenic fungi or damaging insects, notably of plants, using these compounds or compositions.

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DESCRIPTION

The present invention relates to 2,5-disubstituted-4-pyrimidinyl-substituted-phenyl-amidine derivatives, notably to 2,5-dialkyl-4-pyrimidinyl-substituted-phenyl-amidine derivatives, their process of preparation, their use as fungicide or insecticide active agents, particularly in the form of fungicide or insecticide compositions, and methods for the control of phytopathogenic fungi or damaging insects, notably of plants, using these compounds or compositions.

In international patent application WO-00/46184 certain phenyl-amidine derivatives are disclosed. However, this document does not specifically disclose nor suggest to select such compounds wherein the phenyl ring is substituted according to the invention thus allowing an unexpected and significantly higher fungicide or insecticide activity.

It is always of high-interest in agriculture to use novel pesticide compounds in order to avoid or to control the development of resistant strains to the active ingredients. It is also of high-interest to use novel compounds being more active than those already known, with the aim of decreasing the amounts of active compound to be used, whilst at the same time maintaining an effectiveness at least equivalent to the already known compounds.

We have now found a new family of compounds which possess the above mentioned effects or advantages.

Accordingly, the present invention provides 2,4,5-tris-substituted-phenyl-amidine derivatives of formula (I):

\[
\begin{align*}
R^2 & \quad N \quad R^3 \\
N & \quad R^1 \\
R^4 & \\
R^5 & \\
O & \\
(\text{R}^6)_m &
\end{align*}
\]

wherein
- $R^1$ represents $H$, a substituted or non substituted $d$-$C_{12}$-$alkyl$, a substituted or non substituted $C_2$-$Cl$-$alkenyl$, a substituted or non substituted $C_2$-$Ci$-$alkynyl$, SH or a substituted or non substituted $S$-$Ci$-$alkyl$;

- $R^2$ represents a substituted or non substituted $d$-$C_{12}$-$alkyl$;

- $R^3$ represents a substituted or non substituted $C_2$-$Ci$-$alkyl$, substituted or non substituted $C_2$-$C_6$-cycloalkyl, substituted or non substituted $C_2$-$Ci$-$alkenyl$, substituted or non substituted $C_2$-$Ci$-$alkynyl$, halogeno-$d$-$C_{12}$-$alkyl$; or

- $R^1$ and $R^2$, $R^1$ and $R^3$ or $R^2$ and $R^3$ can form together a substituted or non substituted 5 to 7-membered heterocycle;

- $R^4$ represents a substituted or non substituted $Ci$-$Ci$-$alkyl$, a halogen atom, halogeno-$Ci$-$alkyl$, substituted or non substituted O-$Ci$-$alkyl$ or cyano;

- $R^5$ represents $H$, a substituted or non substituted $d$-$C_{12}$-$alkyl$, a halogen atom, halogeno-$d$-$C_{12}$-$alkyl$, substituted or non substituted O-$d$-$C_{12}$-$alkyl$ or cyano ;

- $R^6$ represents $H$, halogen, CN, substituted or non substituted phenoxy, substituted or non substituted $d$-$C^A$-$alkyl$, halogeno-$Ci$-$alkyl$, OR$^7$, SR$^7$, trialkyl-silyl, COOR$^7$, C(R$^7$)=NOR$^7$; NR$^7$R$^8$ ;

- $R^7$, $R^8$ represent independently $H$, substituted or non substituted $d$-$d$, $d$-$alkyl$, aryl or $R^7$ and $R^8$ can form a substituted or non substituted, saturated or non saturated 5 to 7-membered heterocycle including one or more atoms selected in the list consisting of O, N and S ;

- m represents 1, 2 or 3 ;

as well as salts; N-oxydes, metallic complexes, metalloidal complexes and optically active isomers thereof.

Any of the compounds according to the invention can exist in one or more optical or chiral isomer forms depending on the number of asymmetric centres in the compound. The invention thus relates equally to all the optical isomers and to their racemic or scalemic mixtures (the term "scalemic" denotes a mixture of enantiomers in different proportions), and to the mixtures of all the possible stereoisomers, in all proportions. The diastereoisomers and/or the optical isomers can be separated according to the methods which are known *perse* by the man ordinary skilled in the art.

Any of the compounds according to the invention can also exist in one or more geometric isomer forms depending on the number of double bonds in the compound. The invention thus relates equally to all geometric isomers and to all possible mixtures, in all proportions. The geometric isomers can be separated according to general methods, which are known *perse* by the man ordinary skilled in the art.
For the compounds according to the invention, halogen means either one of fluorine, bromine, chlorine or iodine and heteroatom can be nitrogen, oxygen or sulphur.

Preferred compounds of formula (I) according to the invention are those wherein R¹ represents H; Cl-C₂-alkyl, preferably Cl-C₂-alkyl like methyl; or SH.

Other preferred compounds of formula (I) according to the invention are those wherein R² represents methyl.

Still other preferred compounds of formula (I) according to the invention are those wherein R³ represents C₂-C₃-alkyl, preferably a non substituted C₂-C₃-alkyl like ethyl, n-propyl, i-propyl; C₂-C₃-alkenyl, preferably C₂-C₃-alkenyl like propenyl or allyl; C₃-C₇-cycloalkyl like cyclopropyl.

Still other preferred compounds of formula (I) according to the invention are those wherein R² and R³ can form together a substituted or non substituted 5 to 7-membered heterocycle, preferably a 6-membered heterocycle, more preferably a pipiridinyl or a pyrroolidinyl, even more preferably a bis-alkylated-pyrroolidinyl like a bis-methyl-pyrroolidinyl.

Still other preferred compounds of formula (I) according to the invention are those wherein R⁴ represents a d-C₁₂-alkyl, preferably a non substituted d-C₁₂-alkyl like methyl; a halogen atom like a chlorine atom.

Still other preferred compounds of formula (I) according to the invention are those wherein R⁵ represents H, a substituted or non substituted C₃-C₆-alkyl, a halogen atom, halogeno-C₃-C₆-alkyl, substituted or non substituted O-C₃-C₆-alkyl or CN.

Still other preferred compounds of formula (I) according to the invention are those wherein R⁶ represents H, halogen, CN, substituted or non substituted phenoxy, substituted or non substituted Cl-C₂-alkyl notably branched Cl-C₂-alkyl, halogeno-C₂-C₂-alkyl, OR⁷, SR⁷, trialkylsilyl, COOR⁷, C(R⁷)=NOR⁷; NR⁷R⁸ wherein R⁷ and R⁸ are as herein-described.

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

- preferred features of R¹ with preferred features of R² to R⁶;
- preferred features of R² with preferred features of R¹ to R⁶;
- preferred features of R³ with preferred features of R¹ to R⁶;
- preferred features of R⁴ with preferred features of R¹ to R⁶;
preferred features of $R_5$ with preferred features of $R_1$ to $R_6$.

In these combinations of preferred features of the substituents of the compounds according to the invention, the said preferred features can also be selected among the more preferred features of each of $R_1$ to $R_6$ and so as to form most preferred subclasses of compounds according to the invention.

The present invention also relates to a process for the preparation of compounds of formula (I). Thus according to a further aspect according to the invention, there is provided a process $P_1$ for the preparation of compound of formula (I) and illustrated according to the following reaction scheme:
Aminophenylether derivatives of formula (V) can be prepared according to process step (a) by reacting aniline derivatives of formula (II)

\[
\begin{align*}
\text{NO}_2 & \\
R^d & \\
\text{OH} & \\
\text{R}^5 & \\
\end{align*}
\]

(H)

wherein
- \( R^d \) and \( R^5 \) are as herein-defined;

with pyrimidine derivatives of formula (III)

\[
\begin{align*}
(R^6)_{m} & \\
\text{Y} & \\
\end{align*}
\]

(Hi)

wherein
- \( R^6 \) and \( m \) are as herein-defined;
- \( Y \) represents halogen, triflate, SOMe, mesylate or tosylate;

followed by process step (b) comprising the reduction of nitrophenylether derivatives of formula (IV) obtained further to process step (a)

\[
\begin{align*}
\text{NO}_2 & \\
R^d & \\
\text{R}^5 & \\
\text{O} & \\
\text{R}^6 & \\
\text{N} & \\
\text{N} & \\
R^6 & \\
\end{align*}
\]

(IV)

wherein
- \( R^d \) to \( R^6 \) and \( m \) are as herein-defined.

Process step (a) according to the invention can further comprise one or more of the following characteristics:
- presence of a base;
- presence of a diluent;
• presence of a catalyst.

Preferred reaction conditions for carrying out process step (b) according to the invention comprise reaction with stannous chloride in concentrated hydrochloric acid.

Pyrimidine derivatives of formula (V) can also be prepared according to process step (c) by reacting aniline derivatives of formula (VI)

\[
\text{VI}
\]

wherein

- \( R^4 \) and \( R^5 \) are as herein-defined;

with pyridine derivatives of formula (III)

\[
\text{III}
\]

wherein

- \( R^6 \) and \( m \) are as herein-defined;
- \( Y \) represents halogen, triflate, SOMe, mesylate or tosylate.

Process step (c) according to the invention can further comprise one or more of the following characteristics:

- presence of a base;
- presence of a diluent;
- presence of a catalyst.

Pyrimidine derivatives of formula (V) can also be prepared by known methods.

Amidine derivatives of formula (I) can be obtained according to process step (d) by using aniline derivatives of formula (V) as starting material
Amidine derivatives of formula (I) can be prepared by a further process (d) according to the invention. Various alternatives of process (d) according to the invention can be considered, they are defined as process (d1), process (d2) and process (d3) according to the invention. Process (d) according to the invention comprises reacting aniline derivatives of formulae (V) with various reagents thus defining processes (d1), (d2) and (d3) respectively.

Process (d1) is carried out further using amino-acetal derivatives of formula (VII)

wherein
- \( R^1, R^2, R^3 \) are as herein-defined;
- \( B^1 \) and \( B^2 \) represent each alkyl or together cycloalkyl.

Process (d1) according to the invention can further comprise one or more of the following characteristics:
- presence of an acid or base,
- presence of a diluent.

Process (d2) is carried out further using amine derivatives of formula (VIII)

wherein
- \( R^2 \) and \( R^3 \) are as herein-defined;
in the presence of orthoester derivatives of formula (IX)

\[
\begin{array}{c}
\text{B}_1 \text{O} \\
\text{B}_2 \text{O} \\
\text{B}_3 \text{O} \\
\text{R}^1
\end{array}
\]

(IX)

wherein

- \( \text{R}^1 \) is as herein-defined;
- \( \text{B}_1, \text{B}_2 \) and \( \text{B}_3 \) represent each alkyl.

Formula (V) provides a general definition of the aniline derivatives useful as starting materials for carrying out process (d2) according to the invention. In this formula \( \text{R}^1, \text{R}^2, \text{R}^3, \text{R}^4, \text{R}^5 \) and \( \text{m} \) preferably represent substituents or values as herein-defined in connection with the description of compounds of formula (I) according to the invention.

Process (d3) is carried out further using amide derivatives of formula (X)

\[
\begin{array}{c}
\text{O} \\
\text{W} \\
\text{R}^2
\end{array}
\]

\[
\begin{array}{c}
\text{R}^1 \\
\text{V}
\end{array}
\]

(X)

wherein

- \( \text{R}^1, \text{R}^2, \text{R}^3 \) are as herein-defined.

Process (d3) according to the invention can further comprise one or more of the following characteristics:

- presence of a halogenation agent, like \( \text{PCI}_5, \text{PCI}_3, \text{POCl}_3, \text{SOCl}_2 \);
- presence of a diluent.

Processes (d), (d1), (d2) or (d3) according to the invention can further comprise one or more of the following characteristics:

- presence of an acid or a base;
- presence of a diluent.

Suitable diluents for carrying out the processes (a), (b) and (c) according to the invention are all customary inert organic solvents. Preference is given to using aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; halogenated hydrocarbons, such as chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichloroethane or trichloroethane; ethers, such as diethyl ether, disopropyl ether, methyl tert-butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, \( n- \) or iso-butyrionitrile or benzonitrile; amides, such as N,N-
Suitable diluents for carrying out the processes (d1), (d2) and (d3) according to the invention are in each case all customary inert organic solvents. Preference is given to using aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methycyclohexane, benzene, toluene, xylene or decalin; ethers, such as diethyl ether, diisopropyl ether, methyl tert-butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or iso-butryonitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylyphosphoric triamide; mixtures thereof with water or pure water.

Suitable acid binders for carrying out the processes (a), (b) and (c) according to the invention are all inorganic and organic bases customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates or hydrogen carbonates, such as sodium hydride, sodium amide, lithium diisopropylamide, sodium methanolate, sodium ethanolate, potassium tert-butanolate, sodium acetate, potassium acetate, calcium acetate, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate, or ammonium carbonate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclononene (DABCO), diazabicyclononene (DBN) or di-aza-bicycloundecene (DBU).

Suitable acid binders for carrying out the processes (b), (c), (d) according to the invention are in each case all inorganic and organic bases customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, fluorides, phosphates, carbonates or hydrogen carbonates, such as sodium hydride, sodium amide, lithium diisopropylamide, sodium methanolate, sodium ethanolate, potassium tert-butanolate, sodium hydroxide, potassium hydroxide, sodium acetate, sodium phosphate, potassium phosphate, potassium fluoride, caesium fluoride, sodium carbonate, potassium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate or caesium carbonate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylamino-
pyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

Suitable acids for carrying out the process (d3) according to the invention are all inorganic and organic acids customary for such reactions. Preference is given to using para-toluene sulfonic acid, methane sulfonic acid, hydrochloric acid (gas, aqueous or organic solution) or sulphuric acid.

Suitable condensing agents for carrying out the process (d1) according to the invention are all condensing agents customary for such amidation reactions. Preference is given to using acid halide former, such as phosgene, phosphorous tribromide, phosphorous trichloride, phosphorous pentachloride, phosphorous trichloride 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 phosphorus pentoxide, polyphosphoric acid, N,N'-carbonyldiimidazole, 2-ethoxy-N-ethoxycarbonyl-1,2-dihydroquinoline (EEDQ), triphenylphosphine/tetrachloromethane or bromo-tripyrroolidino-phosphonium-hexafluorophosphate.

Processes (a), (b) and (c) to the invention can be carried out in the presence of a catalyst. Preference is given to palladium salts or complexes, such as palladium chloride, palladium acetate, tetrakis-(triphenylphosphine) palladium, bis-(triphenylphosphine) palladium dichloride or 1,1'-Bis(diphenylphosphino)ferrocenepalladium(ll)chloride.

It is also possible to generate a palladium complex directly in the reaction mixture by separately adding to the reaction mixture a palladium salt and a complex ligand, such as triethylphosphane, tri-tert-butylphosphane, tricyclohexylphosphane, 2-(dicyclohexylphosphane)biphenyl, 2-(di-tert-butylphosphon)biphenyl, 2-(dicyclohexylphosphane)-2'-(N,N-dimethylamino)-biphenyl, triphenylphosphane, tris-(o-tolyl)phosphane, sodium 3-(diphenylphosphino)benzolsulfonate, tris-2-(methoxyphenyl)phosphane, 2,2'-bis-(diphenylphosphane)-1,1'-binaphthyl, 1,4-bis-(diphenylphosphane)butane, 1,2-bis-(diphenylphosphane)ethane, 1,4-bis-(dicyclohexylphosphane)butane, 1,2-bis-(dicyclohexylphosphane)ethane, 2-(dicycdoxylphosphane)-2'-(N,N-dimethylamino)-biphenyl, bis(diphenylphosphino)ferrocene or tris-(2,4-tert-butylphenyl)-phosphite.

When carrying out processes (d) according to the invention, the reaction temperature can be varied within a relatively wide range. In general, the process is carried out at temperatures between from 0°C to 150°C, preferably from 0°C to 120°C, particularly preferably from 10°C to 90°C.
When carrying out processes (a), (b), and according to the invention, the reaction temperatures can in each case be varied within a relatively wide range. In general, the processes are carried out at temperatures from \(0^\circ\text{C}\) to \(180^\circ\text{C}\), preferably from \(10^\circ\text{C}\) to \(150^\circ\text{C}\), particularly preferably from \(20^\circ\text{C}\) to \(120^\circ\text{C}\).

Generally, for carrying out process (a) according to the invention, from 0.8 to 15 mole, preferably from 0.8 to 8 mole, of phenol derivative of formula (III) and from 1 to 3 mole of acid binder are employed per mole of pyrimidine derivative of formula (II).

However, it is also possible to employ the reaction components in other ratios.

Work-up is carried out by customary methods.

Water can be added to the reaction mixture and the organic phase can be separated off and, after drying, concentrated under reduced pressure. The residue that remains may, if appropriate, be freed of any impurities that may still be present using customary methods, such as chromatography or recrystallization.

Processes according to the invention are generally carried out under atmospheric pressure. However, in each case it is also possible to operate under elevated or reduced pressure - in general from 0.1 bar to 10 bar.

Compounds of formula (I) according to the invention can be prepared according to the herein described processes. It will nevertheless be understood that, on the basis of his general knowledge and of available publications, the skilled worker will be able to adapt these processes according to the specifics of each of the compounds which it is desired to synthesise.

In a further aspect, the present invention also relates to a fungicide or insecticide composition comprising an effective and non-phytotoxic amount of an active compound of formula (I).

The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention which is sufficient to control or destroy the fungi present or liable to appear on the crops, and which does not entail any appreciable symptom of phytotoxicity for the said crops. Such an amount can vary within a wide range depending on the fungus to be controlled, the type of crop, the climatic conditions and the compounds included in the fungicide composition according to the invention.

This amount can be determined by systematic field trials, which are within the capabilities of a person skilled in the art.

Thus, according to the invention, there is provided a fungicide or insecticide composition comprising, as an active ingredient, an effective amount of a compound of formula (I) as herein-defined and an agriculturally acceptable support, carrier or filler.

According to the invention, the term "support" denotes a natural or synthetic, organic or inorganic compound with which the active compound of formula (I) is combined or associated to make it easier to apply, notably to the parts of the plant. This support is thus generally inert and
should be agriculturally acceptable. The support may be a solid or a liquid. Examples of suitable supports include clays, natural or synthetic silicates, silica, resins, waxes, solid fertilisers, water, alcohols, in particular butanol, organic solvents, mineral and plant oils and derivatives thereof. Mixtures of such supports may also be used.

The composition according to the invention may also comprise additional components. In particular, the composition may further comprise a surfactant. The surfactant can be an emulsifier, a dispersing agent or a wetting agent of ionic or non-ionic type or a mixture of such surfactants. Mention may be made, for example, of polyacrylic acid salts, lignosulphonic acid salts, phenolsulphonic or naphthalenesulphonic acid salts, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (in particular alkylphenols or arylphenols), salts of sulphosucdnic acid esters, taurine derivatives (in particular alkyl taurates), phosphoric esters of polyoxyethylated alcohols or phenols, fatty acid esters of polyols, and derivatives of the present compounds containing sulphate, sulphonate and phosphate functions. The presence of at least one surfactant is generally essential when the active compound and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content may be comprised from 5% to 40% by weight of the composition.

Optionally, additional components may also be included, e.g. protective colloids, adhesives, thickeners, thixotropic agents, penetration agents, stabilisers, sequestering agents. More generally, the active compounds can be combined with any solid or liquid additive, which complies with the usual formulation techniques.

In general, the composition according to the invention may contain from 0.05 to 99% by weight of active compound, preferably 10 to 70% by weight.

Compositions according to the invention can be used in various forms such as aerosol dispenser, bait (ready for use), bait concentrate, block bait, capsule suspension, cold fogging concentrate, dustable powder, emulsifiable concentrate, emulsion oil in water, emulsion water in oil, encapsulated granule, fine granule, flowable concentrate for seed treatment, gas (under pressure), gas generating product, grain bait, granular bait, granule, hot fogging concentrate, macrogranule, microgranule, oil dispersible powder, oil miscible flowable concentrate, oil miscible liquid, paste, plant rodlet, plate bait, powder for dry seed treatment, scrap bait, seed coated with a pesticide, smoke candle, smoke cartridge, smoke generator, smoke pellet, smoke rodlet, smoke tablet, smoke tin, soluble concentrate, soluble powder, solution for seed treatment, suspension concentrate (= flowable concentrate), tracking powder, ultra low volume (ulv) liquid, ultra low volume (ulv) suspension, vapour releasing product, water dispersible granules or tablets, water dispersible powder for slurry treatment, water soluble granules or tablets, water soluble powder for seed treatment and wettable powder.

These compositions include not only compositions which are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a spraying or dusting device, but also concentrated commercial compositions which must be diluted before application to the crop.
The compounds according to the invention can also be mixed with one or more insecticide, fungicide, bactericide, attractant, acaridide or pheromone active substance or other compounds with biological activity. The mixtures thus obtained have a broadened spectrum of activity.

The mixtures with other fungicide compounds are particularly advantageous. Examples of suitable fungicide mixing partners may be selected in the following lists:

B1) a compound capable to inhibit the nucleic acid synthesis like benalaxyl, benalaxyl-M, bupirimate, chiralaxyl, clozylacon, dimethirimol, ethirimol, furalaxyl, hymexazol, metalaxyl, metalaxyl-M, ofurace, oxadixyl, oxolinic acid;

B2) a compound capable to inhibit the mitosis and cell division like benomyl, carbendazim, diethofencarb, fuberidazole, pencycuron, thiabendazole thiophanate-methyl, zoxamide;

B3) a compound capable to inhibit the respiration for example

as Cl-respiration inhibitor like diflumetorim;

as ClII-respiration inhibitor like boscalid, carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxyxcarboxine, pencyclopyrad, thifluzamide;

as ClIII-respiration inhibitor like azoxystrobin, cyazofamid, dimoxystrobin, enestrobin, famoxadone, fenamidone, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, pyraclostrobin, picoxystrobin, trifloxystrobin;

B4) a compound capable of to act as an uncoupler like dinocap, fluazinam;

B5) a compound capable to inhibit ATP production like fentin acetate, fentin chloride, fentin hydroxide, silthiofam;

B6) a compound capable to inhibit AA and protein biosynthesis like andoprim, blasticidin-S, cyprodinil, kasugamycin, kasugamycin hydrochloride hydrate, mepanipyrim, pyrimethanil;

B7) a compound capable to inhibit the signal transduction like fenpiclonil, fludioxonil, quinoxyfen;

B8) a compound capable to inhibit lipid and membrane synthesis like chlozolinate, iprodione, procymidone, vinclozolin, pyrazophos, edifenphos, iprobenfos (IBP), isoprothiolane, tolclofos-methyl, biphenyl, iodocarb, propamocarb, propamocarb-hydrochloride.
B9) a compound capable to inhibit ergosterol biosynthesis like fenhexamid, azaconazole, bitertanol, bromuconazole, cyproconazole, didobutrazole, difenoconazole, diniconazole, diniconazole-M, epoxiconazole, etaconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, furconazole, furconazole-cis, hexaconazole, imibenconazole, ipconazole, metconazole, mydogenanil, paclobutrazol, penconazole, propiconazole, prothioconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triconazole, uniconazole, voriconazole, imazalil, imazalil sulfate, oxpoconazole, fenarimol, flurprimidol, naurimol, pyrifenox, triforine, pefurazoate, prochloraz, triflumizole, viniconazole, terbinafine.

B10) a compound capable to inhibit cell wall synthesis like benthiavalicarb, bialaphos, dimethomorph, flumorph, iprovalicarb, polyoxins, polyoxorim, validamycin A.

B11) a compound capable to inhibit melanine biosynthesis like carpropamid, diclopyram, fenoxanil, phtalide, pyroquilon, tricyclazole.

B12) a compound capable to induce a host defence like acibenzolar-S-methyl, probenazole, tiadinil.

B13) a compound capable to have a multisite action like captatof, captan, chlorothalonil, copper preparations such as copper hydroxide, copper naphthenate, copper oxychloride, copper sulphate, copper oxide, oxine-copper and Bordeaux mixture, dichlofluanid, dithionan, dodune, dodune free base, ferbam, fluorofolep, folpet, guazatine, guazatine acetate, iminoctadine, iminoctadine albesilate, iminoctadine triacetate, mancopper, mancozeb, maneb, metiram, metiram zinc, propineb, sulphur and sulphur preparations including calcium polysulphide, thiram, tolylfluanid, zineb, ziram.

B14) a compound selected in the following list: amibromdole, benthiazole, betthoxazin, capsicmycin, carvone, chinomethionate, chloropicrin, cnfraneb, cyflufenamid, cymoxanil, dazomet, debacarb, diclozezine, dichlorophen, dicloran, difenzoquat, difenzoquat methylsulphate, diphenylamine, ethobuxam, ferimzone, flumetover, flusulfamide, fosetyl-aluminium, fosetyl-calcium, fosetyl-sodium, fluopicolide, fluoroide, hexachlorobenzene, 8-hydroxyquinoline sulfate, irumamydn, methasulphcob, metranfnone, methyl isothiocyanate, mildiomycin, natamycin, nickel dimethylthiocarbamate, nitothral-isopropyl.ochlilinone, oxamocarb, oxyfenthinii, pentachlorophenol and salts, 2-phenylephenol and salts, phosphorous acid and its salts, piperazine, propanosine-sodium, proquinazid, pyrrolitriine, quintozene, tecoflamal, tecnazene, triazoxide, trichlamine, zarilamid and 2,3,5,6-tetrachloro-4-(methylsulfonyl)-pyridine, N-(4-chloro-2-nitrophenyl)-N-ethyl-4-methyl-benzenesulfonamide, 2-amino-4-methyl-N-phenyl-5-thiazolecarboxamide, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridin caarboxamide. 3-{5-(4-chlorophenyle}-2,3-dimethylsioxazolidin-3-y]pyridine, cis-1-(4-

The composition according to the invention comprising a mixture of a compound of formula (I) with a bactericide compound may also be particularly advantageous. Examples of suitable bactericide mixing partners may be selected in the following list: bronopol, dichlorophen, nitrapyrin, nickel dimethylthiocarbamate, kasugamydn, ochthilinone, furancarboxylic acid, oxytetracycline, probenazole, streptomycin, teodftalam, copper sulphate and other copper preparations.

The compound of formula (I) and the fungicide composition according to the invention can be used to curatively or preventively control the phytopathogenic fungi of plants or crops. Thus, according to a further aspect of the invention, there is provided a method for curatively or preventively controlling the phytopathogenic fungi of plants or crops characterised in that a compound of formula (I) or a fungicide composition according to the invention is applied to the seed, the plant or to the fruit of the plant or to the soil wherein the plant is growing or wherein it is desired to grow.

In the same manner, the compound of formula (I) and the insecticide composition according to the invention can be used to curatively or preventively control damaging insects, notably of plants or crops. Thus, according to a further aspect of the invention, there is provided a method for curatively or preventively controlling damaging insects, notably of plants or crops.
characterised in that a compound of formula (I) or an insecticide composition according to the invention is applied to the seed, the plant or to the fruit of the plant or to the soil wherein the plant is growing or wherein it is desired to grow.

The method of treatment according to the invention may also be useful to treat propagation material such as tubers or rhizomes, but also seeds, seedlings or seedlings pricking out and plants or plants pricking out. This method of treatment can also be useful to treat roots. The method of treatment according to the invention can also be useful to treat the overground parts of the plant such as trunks, stems or stalks, leaves, flowers and fruit of the concerned plant.

Among the plants that can be protected by the method according to the invention, mention may be made of cotton; flax; vine; fruit or vegetable crops such as Rosaceae sp. (for instance pip fruit such as apples and pears, but also stone fruit such as apricots, almonds and peaches), Ribesioideae sp., Juglandaceae sp., Betulaceae sp., Anacardiaceae sp., Fagaceae sp., Moraceae sp., Oleaceae sp., Actinidaceae sp., Lauraceae sp., Musaceae sp. (for instance banana trees and plantains), Rubiaceae sp., Theaceae sp., Sterculiceae sp., Rutaceae sp. (for instance lemons, oranges and grapefruit); Solanaceae sp. (for instance tomatoes), Liliaceae sp., Asteraceae sp. (for instance lettuce), Umbelliferae sp., Cruciferae sp., Chenopodiaceae sp., Cucurbitaceae sp., Papilionaceae sp. (for instance peas), Rosaceae sp. (for instance strawberries); major crops such as Gramineae sp. (for instance maize, lawn or cereals such as wheat, rice, barley and triticale), Asteraceae sp. (for instance sunflower), Cruciferae sp. (for instance colza), Fabaceae sp. (for instance peanuts), Papilionaceae sp. (for instance soybean), Solanaceae sp. (for instance potatoes), Chenopodiaceae sp. (for instance beetroots); horticultural and forest crops; as well as genetically modified homologues of these crops.

Among the diseases of plants or crops that can be controlled by the method according to the invention, mention may be made of:

Powdery mildew diseases such as:
- Blumeria diseases, caused for example by Blumeria graminis;
- Podosphaera diseases, caused for example by Podosphaera leucotricha;
- Sphaerotheca diseases, caused for example by Sphaerotheca fuliginea;
- Uncinula diseases, caused for example by Uncinula nectar;

Rust diseases such as:
- Gymnosporangium diseases, caused for example by Gymnosporangium sabinae;
- Hemileia diseases, caused for example by Hemileia vastatrix;
- Phakopsora diseases, caused for example by Phakopsora pachyrhizi or Phakopsora meibomiae;
- Pucdnia diseases, caused for example by Puccinia recondita;
- Uromyces diseases, caused for example by Uromyces appendiculatus;

Oomycete diseases such as:
- Bremia diseases, caused for example by Bremia lactucae;
Peronospora diseases, caused for example by *Peronospora pisi* or *P. brassicae*;
Phytophthora diseases, caused for example by *Phytophthora infestans*;
Plasmopara diseases, caused for example by *Plasmopara viticola*;
Pseudoperonospora diseases, caused for example by *Pseudoperonospora cubensis*;
Pythium diseases, caused for example by *Pythium ultimum*;
Leafspot, leaf blotch and leaf blight diseases such as:
- Alternaria diseases, caused for example by *Alternaria solani*;
- Cercospora diseases, caused for example by *Cercospora beticola*;
- Cladiosporum diseases, caused for example by *Cladiosporium cucumerinum*;
- Cochliobolus diseases, caused for example by *Cochliobolus sativus*;
- Colletotrichum diseases, caused for example by *Colletotrichum lindemuthianum*;
- Cycloconium diseases, caused for example by *Cycloconium oleaginum*;
- Diaporthe diseases, caused for example by *Diaporthe citri*;
- Elsinoe diseases, caused for example by *Elsinoe fawcettii*;
- Gloeosporium diseases, caused for example by *Gloeosporium laeticolor*;
- Glomerella diseases, caused for example by *Glomerella cingulata*;
- Guignardia diseases, caused for example by *Guignardia bidwelli*;
- Leptosphaeria diseases, caused for example by *Leptosphaeria nodorum*;
- Magnaporthe diseases, caused for example by *Magnaporthe grisea*;
- Mycosphaerella diseases, caused for example by *Mycosphaerella graminicola*;
- *Mycosphaerella arachidicola*; *Mycosphaerella fijiensis*;
- Phaeosphaeria diseases, caused for example by *Phaeosphaeria nodorum*;
- Pyrenophora diseases, caused for example by *Pyrenophora teres*;
- Ramularia diseases, caused for example by *Ramularia collo-cygni*;
- Rhynchosporium diseases, caused for example by *Rhynchosporium secalis*;
- Septoria diseases, caused for example by *Septoria apii* or *Septoria lycopersici*;
- Typhula diseases, caused for example by *Typhula incarnata*;
- Venturia diseases, caused for example by *Venturia inaequalis*;
Root and stem diseases such as:
- Corticium diseases, caused for example by *Corticium graminearum*;
- Fusarium diseases, caused for example by *Fusarium oxysporum*;
- Gaeumannomyces diseases, caused for example by *Gaeumannomyces graminis*;
- Rhizoctonia diseases, caused for example by *Rhizoctonia solani*;
- Tapesia diseases, caused for example by *Tapesia acuformis*;
- Thielaviopsis diseases, caused for example by *Thielaviopsis basicola*;
Ear and panicle diseases such as:
- Alternaria diseases, caused for example by *Alternaria spp.*;
Aspergillus diseases, caused for example by *Aspergillus flavus*;
Cladosporium diseases, caused for example by *Cladosporium spp.*;
Claviceps diseases, caused for example by *Claviceps purpurea*;
Fusarium diseases, caused for example by *Fusarium culmorum*;
Gibberella diseases, caused for example by *Gibberella zeae*;
Monographella diseases, caused for example by *Monographella nivalis*;
Smut and bunt diseases such as:
  Sphacelotheca diseases, caused for example by *Sphacelotheca reiliana*;
  Tilletia diseases, caused for example by *Tilletia caries*;
  Urocystis diseases, caused for example by *Urocystis occulta*;
Fruit rot and mould diseases such as:
  Aspergillus diseases, caused for example by *Aspergillus flavus*;
  Botrytis diseases, caused for example by *Botrytis cinerea*;
  Penicillium diseases, caused for example by *Penicillium expansum*;
  Sclerotinia diseases, caused for example by *Sclerotinia sclerotiorum*;
  Verticillium diseases, caused for example by *Verticillium alboatrum*;
Seed and soilborne decay, mould, wilt, rot and damping-off diseases:
  Fusarium diseases, caused for example by *Fusarium culmorum*;
  Phytophthora diseases, caused for example by *Phytophthora cactorum*;
  Pythium diseases, caused for example by *Pythium ultimum*;
  Rhizoctonia diseases, caused for example by *Rhizoctonia solani*;
  Sclerotium diseases, caused for example by *Sclerotium rolfsii*;
  Microdochium diseases, caused for example by *Microdochium nivale*;
Canker, broom and dieback diseases such as:
  Nectria diseases, caused for example by *Nectria galligena*;
Blight diseases such as:
  Monilinia diseases, caused for example by *Monilinia laxa*;
Leaf blister or leaf curl diseases such as:
  Taphrina diseases, caused for example by *Taphrina deformans*;
Decline diseases of wooden plants such as:
  Esca diseases, caused for example by *Phaemoniella clamydospora*;
  Eutypa dyeback, caused for example by *Eutypa lata*;
  Dutch elm disease, caused for example by *Ceratocystsc ulmi*;
Diseases of flowers and Seeds such as:
  Botrytis diseases, caused for example by *Botrytis cinerea*;
Diseases of tubers such as:
  Rhizoctonia diseases, caused for example by *Rhizoctonia solani*. 
The fungicide or insecticide composition according to the invention may also be used against fungal diseases or damaging insects liable to grow or attack on or inside timber. The term "timber" means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. The method for treating timber according to the invention mainly consists in contacting one or more compounds according to the invention, or a composition according to the invention; this includes for example direct application, spraying, dipping, injection or any other suitable means.

The dose of active compound usually applied in the method of treatment according to the invention is generally and advantageously from 10 to 800 g/ha, preferably from 50 to 300 g/ha for applications in foliar treatment. The dose of active substance applied is generally and advantageously from 2 to 200 g per 100 kg of seed, preferably from 3 to 150 g per 100 kg of seed in the case of seed treatment.

It is clearly understood that the doses indicated herein 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.

The fungicide or insecticide composition according to the invention may also be used in the treatment of genetically modified organisms with the compounds according to the invention or the agrochemical compositions according to the invention. Genetically modified plants are plants into genome of which a heterologous gene encoding a protein of interest has been stably integrated. The expression "heterologous gene encoding a protein of interest" essentially means genes which give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the modified plant.

The compounds or mixtures according to the invention may also be used for the preparation of composition useful to curatively or preventively treat human or animal fungal diseases such as, for example, mycoses, dermatoses, trichophyton diseases and candidiases or diseases caused by *Aspergillus spp.*, for example *Aspergillus fumigatus*.

The various aspects of the invention will now be illustrated with reference to the following tables of compounds and examples. The following tables illustrate in a non-limiting manner examples of compounds according to the invention.

In the following examples, $M+1$ (or $M-1$) means the molecular ion peak, plus or minus 1 a.m.u. (atomic mass unit) respectively, as observed in mass spectroscopy and $M$ (Apcl+) means the molecular ion peak as it was found via positive atmospheric pressure chemical ionisation in mass spectroscopy.
In the following examples, 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:

Temperature: 4°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).

The lambda max values were determined in the maxima of the chromatographic signals using the UV spectra from 190nm to 400nm.

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

Preparation example 1: N-[2,5-dimethyl-4-[2-(methyl-phenyl-amino)-pyrimidin-4-yloxy]-1-phenyl]-
N-ethyl-N-methyl-formamidine - process (d2) - compound (V) to compound (I)

To a mixture of 252 mg (0.7 mmol) of [4-(4-Amino-2,5-dimethyl-phenoxy)-pyrimidin-2-yl]-methyl-
phenyl-amine and 4 ml of trimethoxymethane, 20 mg of p-toluene sulfonic acid were added. The reaction mixture was refluxed for 2 hrs and concentrated in vacuo. The mixture was solved in 10 ml of dichloromethane and 62 mg (1.05 mmol) methyl ethyl amine was added. After stirring 18 hrs at ambient temperature the mixture was evaporated and yielded 280 mg (89%) with a purity of 87%; log P (pH 2.3) = 1.61.

Preparation of starting material:

4-(2-chloro-pyrimidin-4-yloxy)-2,5-dimethyl-phenylamine - process (c) - compound (VI) to
compound (V)

A solution of 7.55 g (55 mmol) 4-amino-2,5-dimethylphenol and 2.4 g NaOH (2M) in 30 ml water were added dropwise to a solution of 7.45 g (50.0 mmol) of 2,4-dichloropyrimidine in 50 ml of acetone. The reaction mixture was stirred for 20 h at ambient temperature.

After concentration in vacuo and addition of 150 ml water the formed precipitate was filtered by suction. Yield 10.6 g (75%) with a purity of 88 % log P (pH = 2.3) = 1.37.

[4-(4-Amino-2,5-dimethyl-phenoxy)-pyrimidin-2-yl]-methyl-phenyl-amine - compound (V)

A solution of 2.50 g (10 mmol) 4-(2-chloro-pyrimidin-4-yloxy)-2,5-dimethyl-phenylamine and
2.14 g N,N-dimethylaniline (20 mmol) in 50 ml hydrochloric acid were stirred for 20 h at 80°C.

After cooling down to ambient temperature 50 ml ethyl acetate was added and the organic
layer was separated. The water layer was brought to pH 8 with sodium carbonate and the
formed precipitate was filtered by suction and washed with hexane. After separation the
organic layer was concentrated in vacuo and column chromatography (dichloromethane) yielded 380 mg (11%) with a purity of 89 % log P (pH = 2.3) = 1.72.

Preparation example 2 : N’-[4-[(2-chloro-2-methyl-phenoxy)-pyrimidin-4-yl]oxy]-2,5-dimethyl-phenyl-N-ethyl-N-methyl-formamidine - process (d1) - compound (V) to compound (I)

To a mixture of 293 mg (0.7 mmol) of 4-[(2-chloro-2-methyl-phenoxy)-pyrimidin-4-yl]oxy]-2,5-dimethyl-phenylamine in 20 ml methanol 182 mg (1.05 mmol) of N-(dimethoxymethyl)-N-methyl-ethanamine (77 % pure) was added. The reaction mixture was stirred for 20 hrs at 45°C. The reaction mixture was dried over magnesium sulfate concentrated in vacuo and yielded 290 mg (76%) with a purity of 78%; log P (pH 2.3) = 2.08.

Preparation of starting material:

4-[(2-chloro-2-methyl-phenoxy)-pyrimidin-4-yl]oxy]-2,5-dimethyl-phenylamine - compound (V)

A solution of 1.43 g (10 mmol) 3-chloro-2-methyl-phenol and 0.4 g sodium hydride (60% in paraffine, 10 mmol) in 20 ml THF were stirred for 30 min at ambient temperature. After adding 1.25 g (5 mmol) 4-(2-chloro-pyrimidin-4-yloxy)-2,5-dimethyl-phenylamine the mixture was stirred for 20 hrs at 60°C. After cooling down to ambient temperature 20 ml water was added and the organic layer was separated, dried over magnesium sulfate, concentrated in vacuo, column chromatography (cyclohexane/ethyl acetate, 2:1) and a second column chromatography (dichloromethane) yielded 640 mg (30%) with a purity of 85 %; log P (pH = 2.3) = 2.81.

4-(2-chloro-pyrimidin-4-yloxy)-2,5-dimethyl-phenylamine is prepared according to preparation example 1.

Preparation example 3 : N’-[4-[(2-chloro-6-methylpyrimidin-4-yl)oxy]-2,5-dimethylphenyl]-N-ethyl-N-methylimidoo-formamide - process (d1) - compound (V) to compound (I)

To a mixture of 310 mg (0.8 mmol) of 4-[(2-chloro-6-methylpyrimidin-4-yl)oxy]-2,5-dimethyl-aniline in 20 ml acetonitrile 208 mg (1.5 mmol) of N-(dimethoxymethyl)-N-methyl-ethanamine (77 % pure) was added. The reaction mixture was refluxed for 20 hrs. The reaction mixture was concentrated in vacuo, diluted with ethyl acetate and and washed with water. The organic layer was dried over magnesium sulfate and concentrated in vacuo yielded 220 mg (59%) with a purity of 72 % log P (pH = 2.3) = 1.45.

Preparation of starting material:

4-(2-chloro-pyrimidin-4-yloxy)-2,5-dimethyl-phenylamine - process (c) - compound (VI) to compound (V)

4-[(2-chloro-6-methylpyrimidin-4-yl)oxy]-1,2,5-dimethyl-thylamine
A solution of 4.53 g (33 mmol) 4-amino-2,5-dimethylphenol and 1.44 g NaOH (2M) in 30 ml water were added dropwise to a solution of 4.89 g (30.0 mmol) of 2,4-dichloropyrimidine in 50 ml of acetone. The reaction mixture was stirred for 20 h at ambient temperature. After concentration in vacuo and addition of 250 ml water the mixture was extracted with ethyl acetate. The organic layer was dried over magnesium sulfate and concentrated in vacuo yielded 5.10 g (52%) with a purity of 81%; log P (pH = 2.3) = 1.62.

Preparation example 89: N'-[4-([5-chloro-4-[ethyl(methyl)amino]pyrimidin-2-yl]oxy)-2,5-dimethyl-phenyli-N-ethyl-N-methylimidodiformamide - process (d2) - compound (V) to compound (I)

To a mixture of 320 mg (1.0 mmol) of 2-(4-amino-2,5-dimethylphenoxy)-5-chloro-N-ethyl-N-methylpyrimidin-4-amine and 4 ml of trimethoxymethane, 20 mg of p-toluene sulfonic acid were added. The reaction mixture was refluxed for 2 hrs and concentrated in vacuo. The mixture was solved in 10 ml of dichloromethane and 89 mg (1.5 mmol) methyl ethyl amine was added. After stirring 18 hrs at ambient temperature the mixture was evaporated and yielded 310 (78 with a purity of 95 log P (pH 2.3) = 1.82.

Preparation of starting material:

2-(4-amino-2,5-dimethylphenoxy)-5-chloro-N-ethyl-N-methylpyrimidin-4-amine – process (b) – compound (IV) to compound (V)

To a mixture of 8.86 g (20 mmol) 5-chloro-2-(2,5-dimethyl-4-nitrophenoxy)-N-ethyl-N-methylpyrimidin-4-amine in 50 ml hydrochloric acid and 50 ml methanol 13.5 g (60 mmol) tinn-(II)-dichloro dihydrate was added and the mixture was refluxed for 4 h. After cooling down to ambient temperature the formed precipitate was filtered by suction, solved in water and brought to pH 8 with aqueous solution of sodium hydroxide. Ethyl acetate was added and the organic layer was separated, dried over magnesium sulfate, concentrated in vacuo and column chromatography (cyclohexane/ethyl acetate, 1:1) yielded 4.6 g (72%) with a purity of 96 % log P (pH = 2.3) = 1.88.

5-chloro-2-(2,5-dimethyl-4-nitrophenoxy)-N-ethyl-N-methylpyrimidin-4-amine – process (a) – compound (II) to compound (IV)

A solution of 16.8 g (40 mmol) 2,5-dichloro-N-ethyl-N-methylpyrimidin-4-amine, 7.35 g 4-nitro-2,5-dimethylphenol (44 mmol) and 8.29 g potassium carbonate (60 mmol) in 70 ml DMF were stirred for 20 hrs at 100°C. After adding the mixture to 150 ml water the resulting suspension was extracted twice with 50 ml dichloromethane. The combined organic layers were washed with aqueous solution of sodium hydroxide. The organic layer was dried over magnesium sulfate, concentrated in vacuo and column chromatography (dichloromethane) yielded 8.3 g (47%) with a purity of 76 %; log P (pH = 2.3) = 4.07.
4-Amino substituted chloro pyrimidines can be prepared by known methods, for example described in Polish Journal of Chemistry (1980), 54(7-8), 1557-62.

Table 1:

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<th>R¹</th>
<th>R²</th>
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<th>R⁴</th>
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<th>R⁶</th>
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* logP<sub>α</sub> measured at pH=4
Efficacy example A: *in vivo* preventive test on *Puccinia recondita f. Sp. tritici* (wheat brown rust)

Solvent: 500 parts by weight of N,N-dimethylacetamide

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound 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 inoculated with a spore suspension of *Puccinia recondita* in a 0.1% strength aqueous agar solution. After the spray coating has dried on, the plants are sprayed with the preparation of active compound at the stated rate of application. The plants remain for 24 hours in an incubation cabinet at 20°C and a relative atmospheric humidity of 100%.

The plants are placed in a greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of approximately 80% to promote the development of rust pustules.

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

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*<sup>a</sup> logP<sub>a</sub> measured at pH=4<sup>1</sup> (M+1) – mass spectroscopy measured
In this test the following compounds according to the invention showed an efficacy of 70% or even higher at a concentration of 1000 ppm of active ingredient: 1, 2, 3, 10, 11, 13, 15, 18, 19, 21, 22, 23, 26, 30, 31, 32, 33, 34, 37, 38, 39, 41, 43, 46, 47, 49, 52, 53, 54, 55, 56, 57, 58, 62, 64, 65, 66, 67, 68, 69, 70, 71, 73, 74, 76, 77, 78, 79, 80, 81, 82, 83, 87, 88, 89, 99, 101.

5 Efficacy example B: in vivo preventive test on *Erysiphe graminis* (Powdery mildew on Barley)

Solvent: 50 parts by weight of N,N-dimethylacetamide
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound or active compound combination 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 or active compound combination at the stated rate of application.

After the spray coating has dried on, the plants are dusted with spores of *Erysiphe graminis f.sp. hordei*.

20 The plants are placed in a greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of approximately 80% to promote the development of mildew pustules.

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

In this test the following compounds according to the invention showed an efficacy of 70% or even higher at a concentration of 1000 ppm of active ingredient: 1, 2, 3, 5, 7, 8, 10, 11, 13, 15, 18, 19, 21, 23, 30, 32, 33, 37, 38, 41, 47, 49, 55, 58, 59, 60, 61, 89, 90, 101.

Efficacy example C: in vivo protective test on *Alternaria solani* (Leaf spot of tomato)

Solvent: 49 parts by weight of N,N-dimethylformamide
Emulsifier: 1 part by weight of alkylaryl polyglycoether

30 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 protective activity, young plants are sprayed with the preparation of active compound at the stated rate of application. After the spray coating has dried on, the plants are inoculated with an aqueous spore suspension of *Alternaria solani*. The plants remain for one day in an
incubation cabinet at approximately 20°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 control while an efficacy of 100% means that no disease is observed.

In this test, invention related compounds of the following formula revealed an efficacy of 70% or higher at a concentration of 500ppm of active ingredient: 1, 4, 10.

Efficacy example D: in vivo protective test on Podosphaera leucotricha (apples)
Solvent: 24,5 parts by weight of acetone
          24,5 parts by weight of dimethylacetamide
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

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

To test for protective activity, young plants are sprayed with the preparation of active compound at the stated rate of application. After the spray coating has dried on, the plants are inoculated with an aqueous spore suspension of the causal agent of apple mildew (Podosphaera leucotricha). The plants are then placed in a greenhouse at approximately 23°C and a relative atmospheric humidity of approximately 70%.

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

In this test the compounds according to the invention of the following structures showed efficacy of 70% or even higher at a concentration of 100ppm of active ingredient: 1, 4, 5, 7, 10, 13, 35, 61, 81, 82, 87, 88, 92.

Efficacy example E: in vivo protective test on Sphaerotheca fuliginea (cucumbers)
Solvent: 24,5 parts by weight of acetone
          24,5 parts by weight of dimethylacetamide
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.
To test for protect activity, young plants are sprayed with the preparation of active compound at
the stated rate of application. After the spray coating has dried on, the plants are inoculated with
an aqueous spore suspension of Sphaerotheca fuliginea. The plants are then 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 control, while an efficacy of 100% means that no disease is observed.

In this test the compounds according to the invention of the following structures showed efficacy
of 70% or even higher at a concentration of 100ppm of active ingredient: 1, 2, 4, 5, 6, 7, 8, 10,
13, 30, 41, 47, 59, 60, 61, 67, 70, 78, 79, 81, 82, 87, 88, 92.

**Efficacy example F : in vivo protective test on Uromyces appendiculatus (beans)**
Solvent: 24,5 parts by weight of acetone
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

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 protective activity, young plants are sprayed with the preparation of active compound
at the stated rate of application. After the spray coating has dried on, the plants are inoculated
with an aqueous spore suspension of the causal agent of bean rust (Uromyces appendiculatus)
and then remain for 1 day in an incubation cabinet at approximately 25°C and a relative
atmospheric humidity of 100 %.

The plants are then placed in a greenhouse at approximately 21°C and a relative atmospheric
humidity of approximately 90 %.
The test is evaluated 10 days after the inoculation. 0% means an efficacy which corresponds to
that of the control, while an efficacy of 100% means that no disease is observed.
In this test the compounds according to the invention of the following structures showed efficacy
of 70% or even higher at a concentration of 100ppm of active ingredient : 41, 47, 49, 59, 60, 70,
81, 82, 87, 88.

**Efficacy example G : in vivo protective test on Myzus persicae (MYZUPE)**
Solvent: 78 parts by weight acetone
1.5 parts by weight dimethylformamide
Dye: 0.5 parts by weight alkylarylpolyglycolether

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

Chinese cabbage (Brassica pekinesis) leaf-disks infected with all instars of the green peach aphid (Myzus persicae), are sprayed with a preparation of the active ingredient at the desired concentration.

After the specified period of time, mortality in % is determined. 100% means that all aphids have been killed; 0% means that none of the aphids have been killed.

In this test for example, the following compounds from the preparation examples showed good activity: 36, 41, 65.

Efficacy example H: in vivo protective test on Phaedon cochleariae (PHAECO).
Solvent: 78 parts by weight of acetone
1.5 parts by weight of dimethylformamide

Dye: 0.5 parts by weight alkylaryl polyglycolether

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

Chinese cabbage (Brassica pekinesis) leaf-disks are sprayed with a preparation of the active ingredient of the desired concentration. Once dry, the leaf disks are infested with mustard beetle larvae (Phaedon cochleariae).

After the specified period of time, mortality in % is determined. 100 % means that all beetle larvae have been killed and 0 % means that none of the beetle larvae have been killed.

In this test, for example, the following compounds from the preparation examples showed good activity: 18.

Efficacy example I: in vivo protective test on Tetranychus urticae (TETRUR).
Solvent: 78 parts by weight acetone
1.5 parts by weight dimethylformamide
Dye: 0.5 parts by weight alkylarylpolyglcolether

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

French bean (Phaseolus vulgaris) which are heavily infested with all stages of the two spotted spidermite (Tetranychus urticae), are sprayed with a preparation of the active ingredient at the desired concentration.

After the specified period of time, mortality in % is determined. 100% means that all spider mites have been killed and 0% means that none of the spider mites have been killed.

In this test, for example, the following compounds from the preparation examples showed good activity: 37.
CLAIMS

1. A phenyl-amidine derivative of formula (I):

   $$\begin{align*}
   &R^2 N R^3 \\
   \text{R}^1 &
   \text{R}^4
   \text{R}^6
   \text{R}^7
   \text{R}^8
   \text{R}^9
   \end{align*}$$

   (I)

   wherein
   - $R^1$ represents H, a substituted or non substituted $C_i-C_{i2}$-alkyl, a substituted or non substituted $C_2-C_{i2}$-alkenyl, a substituted or non substituted $C_2-C_{i2}$-alkynyl, SH or a substituted or non substituted S-$C_i-C_{i2}$-alkyl;
   - $R^2$ represents a substituted or non substituted $d-C_{12}$-alkyl;
   - $R^3$ represents a substituted or non substituted $C_2-C_{12}$-alkyl, substituted or non substituted $C_2-C_6$-cycloalkyl, substituted or non substituted $C_2-C_{12}$-alkenyl, substituted or non substituted $C_2-C_{12}$-alkynyl, halogeno-$d-C_{12}$-alkyl; or
   - $R^1$ and $R^2$, $R^1$ and $R^3$ or $R^2$ and $R^3$ can form together a substituted or non substituted 5 to 7-membered heterocycle;
   - $R^4$ represents a substituted or non substituted $C_i-C_{i2}$-alkyl, a halogen atom, halogeno-$C_i-C_{i2}$-alkyl, substituted or non substituted $O-C_i-C_{i2}$-alkyl or cyano;
   - $R^5$ represents H, a substituted or non substituted $d-C_{12}$-alkyl, a halogen atom, halogeno-$C_i-C_{i2}$-alkyl, substituted or non substituted $O-C_i-C_{i2}$-alkyl or cyano;
   - $R^6$ represents H, halogen, CN, substituted or non substituted phenoxy, substituted or non substituted $C_1-C_{i2}$-alkyl, halogeno-$C_i-C_{i2}$-alkyl, OR, SR, trialkyl-silyl, COOR, C(R$^7$)=NOR, NR$^7$R$^8$;
   - $R^7$, R$^8$ represent independently H, substituted or non substituted $C_i-C_{i2}$-alkyl, aryl or R$^7$ and R$^8$ can form a substituted or non substituted, saturated or non saturated 5 to 7-membered heterocycle including one or more atoms selected in the list consisting of O, N and S;
   - $m$ represents 1, 2 or 3; as well as salts; N-oxydes, metallic complexes, metalloidoic complexes and optically active isomers thereof.

2. A compound of formula (I) according to claim 1 wherein
   - $R^1$ represents H, $C_i-C_{i2}$-alkyl or SH; or
• \( R^2 \) represents methyl; or
• \( R^3 \) represents \( C_2^\sim C_{12}^\sim \)-alkyl, \( C_2^\sim C_{12}^\sim \)-alkenyl, \( C_3^\sim C_6^\sim \)-cycloalkyl; or
• \( R^2 \) and \( R^3 \) can form together a substituted or non substituted 5- to 7-membered heterocycle; or
• \( R^4 \) represents \( C_1^\sim C_2^\sim \)-alkyl or a halogen atom; or
• \( R^5 \) is a substituted or non substituted \( C_1^\sim C_6^\sim \)-alkyl, a halogen atom, halogeno-\( C_6^\sim \)-alkyl, substituted or non substituted \( O-C_1^\sim C_6^\sim \)-alkyl or \( CN \); or
• \( R^6 \) which may be the same or different, represents \( H \), halogen, \( CN \), substituted or non substituted \( d-C_{12}^\sim \)-alkyl, halogeno-\( d-C_{12}^\sim \)-alkyl, \( OR^7 \), \( SR^7 \), trialkyl-silyl, \( COOR^7 \), \( C(R^7)=NOR^7 \); or

3. A compound of formula (I) according to claims 1 or 2 wherein
• \( R^1 \) represents \( C_1^\sim C_2^\sim \)-alkyl; or
• \( R^3 \) represents a non substituted \( C_2^\sim C_4^\sim \)-alkyl, \( C_3^\sim C_4^\sim \)-alkenyl or cyclopropyl; or
• \( R^2 \) and \( R^3 \) can form together a 6-membered heterocycle; or
• \( R^4 \) represents a non substituted \( C_1^\sim C_2^\sim \)-alkyl or a chlorine atom; or
• \( R^6 \) represents a branched \( C_1^\sim C_2^\sim \)-alkyl.

4. A compound of formula (I) according to claims 1 to 3 wherein
• \( R^1 \) represents methyl; or
• \( R^3 \) represents ethyl, \( n\)-propyl, \( i\)-propyl, propenyl or allyl; or
• \( R^2 \) and \( R^3 \) can form together a pipiridinyl or a pyrolidinyl; or
• \( R^4 \) represents methyl.

5. A compound of formula (I) according to claims 1 to 4 wherein \( R^2 \) and \( R^3 \) form together a bis-alkylated-pyrolidinyl.

6. A compound of formula (I) according to claim 5 wherein \( R^2 \) and \( R^3 \) form together a bis-methyl-pyrolidinyl.

7. A process for the preparation of a compound of formula (I) according to claims 1 to 6 comprising the following steps:
8. A method for controlling phytopathogenic fungi of crops, characterized in that an agronomically effective and substantially non-phytotoxic quantity of a compound according to claims 1 to 6 is applied to the soil where plants grow or are capable of growing, to the leaves or the fruit of plants or to the seeds of plants.

9. A method for controlling damaging insects characterised in that a compound of formula (I) according to claims 1 to 6 is applied to the seed, the plant or to the fruit of the plant or to the soil wherein the plant is growing or wherein it is desired to grow.
INTERNATIONAL SEARCH REPORT

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D239/46 C07D239/34 C07D239/52 A01N43/54

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D AOIN

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, WPI Data, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

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<th>Citation of document, with indication of the relevant passages</th>
<th>Relevant to claim No</th>
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<td>X</td>
<td>WO 00/46184 A (HOECHST SCHEING AGREVO) 10 August 2000 (2000-08-10) cited in the application Table 1, compounds 54, 101, 132, 140, 148, 218, 224, 265, 287, 289, 295, claims</td>
<td>1-4, 8</td>
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<td>Y</td>
<td>EP 1570 736 A (BAYER CROPSCIENCE) 7 September 2005 (2005-09-07) claims; examples</td>
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<tr>
<td>Y</td>
<td>EP 1178 039 A (AVENTIS CROPSCIENCE) 6 February 2002 (2002-02-06) page 5, paragraph 20 - page 7, paragraph 40; claims; examples</td>
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X Special categories of cited documents

A document defining the general state of the art which is not considered to be of particular relevance
E earlier document but published on or after the international filing date
L document which may throw doubts on prior ty claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
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P document published prior to the international filing date but later than the priority date claimed
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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
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Date of the actual completion of the international search

22 November 2006

Date of mailing of the international search report

01/12/2006

Name and mailing address of the ISA

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Authorized officer

Helps, Ian
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<td>Y</td>
<td>EP 1 178 038 A (AVENTIS CROPSCIENCE) 6 February 2002 (2002-02-06) page 5, paragraph 33 - page 7, paragraph 50; claims; examples</td>
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<td>Y</td>
<td>EP 1 179 528 A (AVENTIS CROPSCIENCE) 13 February 2002 (2002-02-13) page 5, paragraph 33 - page 7, paragraph 50; claims; examples</td>
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<tr>
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<td>US 5 064 846 A (BROADHURST) 12 November 1991 (1991-11-12) column 3, line 13 - line 35; claims; examples</td>
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<td>A</td>
<td>US 3 898 277 A (DUERR ET. AL.) 5 August 1975 (1975-08-05) column 10, line 6 - column 11, line 60; claims; examples</td>
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