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(54) **PESTICIDE BI-PHENYL-AMIDINE DERIVATIVES**

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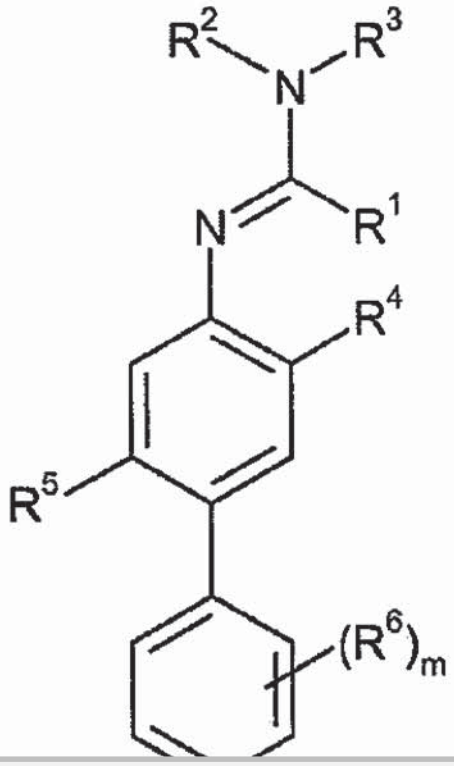
(57) **ABSTRACT**

The present invention relates to bi-phenyl-amidine derivatives of formula (I) in which the substituents are as in the description, 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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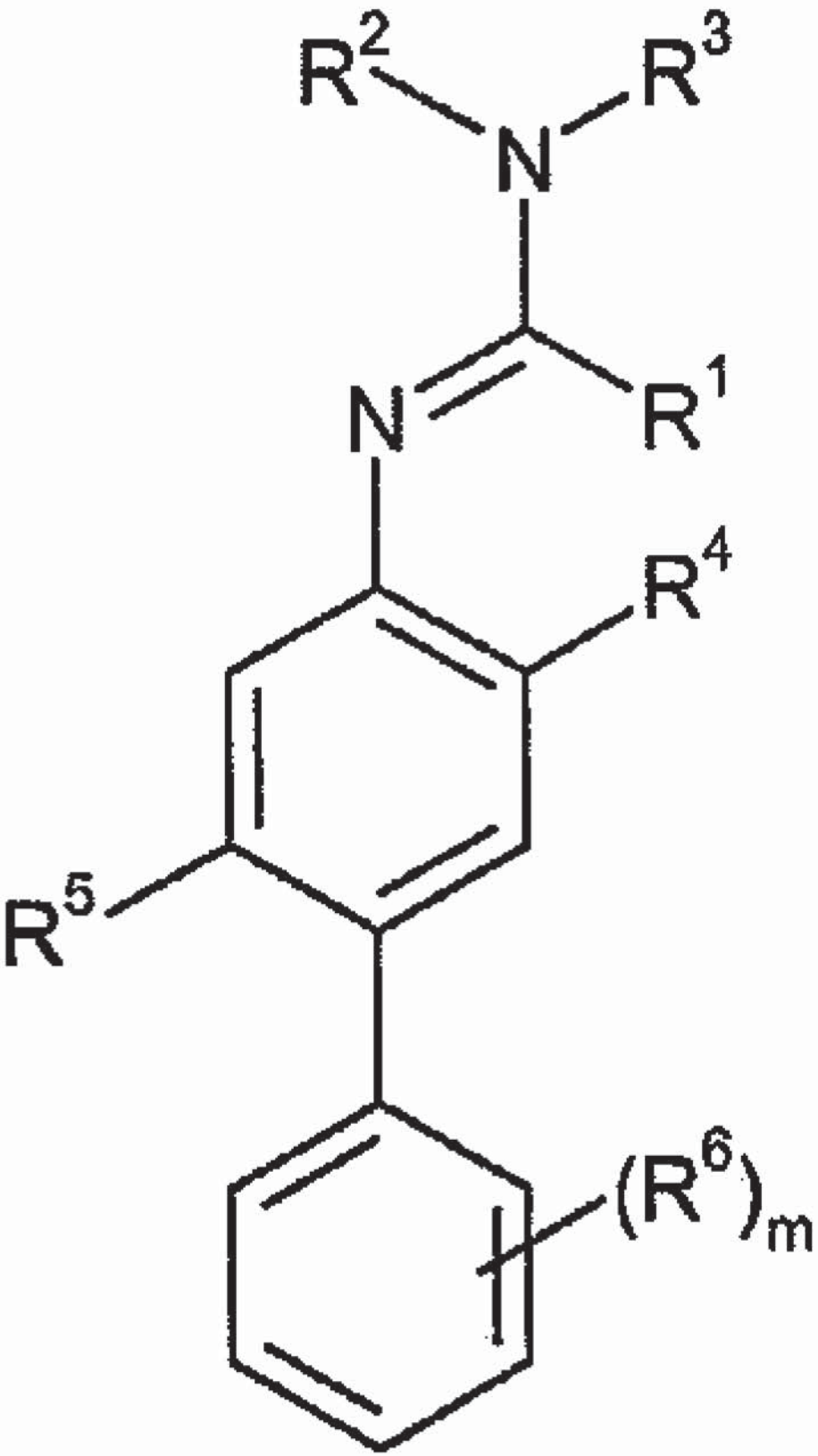

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PESTICIDE BI-PHENYL-AMIDINE DERIVATIVES

[0001] The present invention relates to bi-phenyl-amidine derivatives, their process of preparation, 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.

[0002] 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 activity.

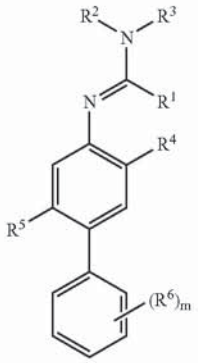
[0003] It is always of high-interest in agriculture to use novel pesticide compounds in order to avoid or to control the development of resistant strains to the active ingredients. It is also of high-interest to use novel compounds being more active than those already known, with the aim of decreasing the amounts of active compound to be used, whilst at the same time maintaining an effectiveness at least equivalent to the already known compounds.

[0004] In the same way, it is also always of high-interest to use novel insecticide, namatocide or acaricide agents to control damaging insects or other damaging organisms.

[0005] We have now found a new family of compounds which possess the above mentioned effects or advantages.

[0006] Accordingly, the present invention provides bi-phenyl-amidine derivatives of formula (I):

(I)



wherein

alkenyl, a substituted or non substituted C_2-C_{12}-alkynyl, SH or a substituted or non substituted S—C_1-C_{12}-alkyl;

[0008] R^2 represents a substituted or non substituted C_1-C_{12}-alkyl

[0009] R^3 represents a substituted or non substituted C_2-C_{12}-alkyl, substituted or non substituted C_3-C_6-cycloalkyl, substituted or non substituted C_2-C_{12}-alkenyl, substituted or non substituted C_2-C_{12}-alkynyl, halogeno-C_1-C_{12}-alkyl; or

[0010] 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;

[0011] R^4 represents a substituted or non substituted C_1-C_{12}-alkyl, a halogen atom, halogeno-C_1-C_{12}-alkyl, substituted or non substituted O—C_1-C_{12}-alkyl or cyano;

[0012] m represents 0, 1, 2, 3, 4 or 5;

[0013] R^5 represents H, a substituted or non substituted C_1-C_{12}-alkyl, a halogen atom, halogeno-C_1-C_{12}-alkyl, substituted or non substituted O—C_1-C_{12}-alkyl or cyano;

[0014] R^6, which may the same or different, represents H, a halogen atom, nitro, cyano trialkylsilyl, C_1-C_8-alkyl, substituted or non-substituted C_1-C_4-alkyl-phenyl, substituted or non-substituted phenyl, C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_8-alkylthio, C_1-C_6-halogenoalkyl, C_1-C_6-halogenalkoxy or C_1-C_6-halogenoalkylthio, substituted or non substituted C_1-C_4-alkoxy-phenyl like benzyloxy, substituted or non substituted phenoxy, substituted, non substituted alkylamino-C_1-C_8—NR^7R^8, substituted, non substituted NR^7R^8, C_1-C_8-alkyl-$S(O)_nR^9$, —$S(O)_nR^9$, C_1-C_8-alkyl-$SO_2NR^7R^3$, —$SO_2NR^7R^8$, C_1-C_8-alkyl-$C(O)R^{10}$, —CR^9═N—O—R^{11};

[0015] two substituents R^6 may form a carbocyclic or heterocyclic ring, which may comprise one or more heteroatoms selected in the list consisting of O, N, S;

[0016] n represents 0, 1 or 2;

[0017] R^7 and R^8, which may the same or different, represent H, substituted or non-substituted C_1-C_6-alkyl;

[0018] R^7 and R^3 may form a heterocyclic ring, which may comprise one or more heteroatoms selected in the list consisting of O, N, S;

[0019] R^9 represents H, substituted or non-substituted, linear or branched C_1-C_8-alkyl, C_1-C_8-alkenyl, C_1-C_8-alkinyl;

[0020] R^{10} represents H, substituted or non-substituted, linear or branched C_1-C_8-alkyl, C_1-C_8-alkoxy, NR^7R^8;

[0021] R^{11} represents H, substituted or non-substituted, linear or branched C_1-C_8-alkyl, C_1-C_4-alkyl-phenyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, substituted or non-substituted C_1-C_4-alkyl-phenyl, substituted or non-substituted phenyl;

[0022] R^9 and R^{11} may form a heterocyclic ring, which may comprise one or more heteroatoms selected in the list consisting of O, N, S;

as well as salts, N-oxydes, metallic complexes, metalloidic complexes and optically active or geometric isomers thereof.

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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 per se by the man ordinary skilled in the art.

[0024] 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 per se by the man ordinary skilled in the art.

[0025] 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.

[0026] Preferred compounds of formula (I) according to the invention are those wherein R^1 represents H; C_1-C_{12}-alkyl, preferably C_1-C_{12}-alkyl like methyl; or SH.

[0027] Other preferred compounds of formula (I) according to the invention are those wherein R^2 represents methyl.

[0028] Still other preferred compounds of formula (I) according to the invention are those wherein R^3 represents C_2-C_{12}-alkyl, preferably a non substituted C_2-C_4-alkyl like ethyl, n-propyl, i-propyl C_2-C_{12}-alkenyl, preferably C_3-C_4-alkenyl like propenyl or allyl; C_3-C_6-cycloalkyl like cyclopropyl.

[0029] Still other preferred compounds of formula (I) according to the invention are those wherein R^2 and R^3 can form together a substituted or non substituted 5 to 7-membered heterocycle, preferably a 6-membered heterocycle, more preferably a pipiridinyl or a pyrrolidinyl, even more preferably a 2-alkylated-pyrrolidinyl like a 2-methyl-pyrrolidinyl.

[0030] Still other preferred compounds of formula (I) according to the invention are those wherein R^4 represents a C_1-C_{12}-alkyl, preferably a non substituted C_1-C_{12}-alkyl like methyl and ethyl; a halogen atom like a fluorine and a chlorine atom; trifluoromethyl.

[0031] Still other preferred compounds of formula (I) according to the invention are those wherein R^5 represents a H, C_1-C_{12}-alkyl, preferably a non substituted C_1-C_{12}-alkyl like methyl and ethyl; a halogen atom like a fluorine and a chlorine atom; trifluoromethyl.

[0032] Still other preferred compounds of formula (I) according to the invention are those wherein m represents 1, 2, 3 or 4; even more preferably m represents 1, 2 or 3.

[0033] Still other preferred compounds of formula (I) according to the invention are those wherein R^6, which may be the same or different, represents H; F, Cl, Br, I; nitro; cyano; C_1-C_6-alkyl; C_1-C_4-alkyl-phenyl which may be non substituted or substituted by halogen, C_1-C_4-alkyl or C_1-C_4-halogenoalkyl; phenyl which may be non substituted or substituted by halogen, C_1-C_4-alkyl or C_1-C_4-halogenoalkyl; C_1-C_4-halogenoalkylthio; C_1-C_6-alkoxy; C_1-C_4-alkoxy-C_1-C_4-alkyl; C_1-C_6-alkylthio; benzyloxy which may be non substituted or substituted by halogen; phenoxy which may be non substituted or substituted by a halogen atom or CF_3; NR^7R^8; C_1-C_4-alkyl-NR^7R^8; $S(O)_nR^9$; C_1-C_4-alkyl-$S(O)_nR^9$; OR^{10}; C_1-C_4-alkyl-COR^{10}; $—CR^9═N—O—R^{11}$.

[0034] Still other preferred compounds of formula (I) according to the invention are those wherein R^7 and R^8 which may be the same or different, represent H, C_1-C_6 alkyl or R^7 and R^8 may form a heterocyclic ring comprising further heteroatoms selected in the list consisting of O, S, N.

[0035] Still other preferred compounds of formula (I) according to the invention are those wherein R^9 represents H, methyl or ethyl.

[0036] Still other preferred compounds of formula (I) according to the invention are those wherein R^{10} represents H; C_1-C_4-alkyl; C_1-C_4-alkoxy; NR^7R^8.

[0037] Still other preferred compounds of formula (I) according to the invention are those wherein R^{11} represents H; C_1-C_4-alkyl; C_1-C_4-halogenoalkyl; C_1-C_4-alkyl-phenyl wherein phenyl may substituted by F, Cl, Br, I, C_1-C_4-alkyl, C_1-C_4-halogenoalkyl or C_1-C_4-halogenoalkoxy; C_1-C_4-alkoxy-C_1-C_4-alkyl; phenoxy; benzyloxy.

[0038] Still other preferred compounds of formula (I) according to the invention are those wherein R^9 and R^{11} may form a 5- or 6-membered heterocyclic ring comprising a further heteroatoms selected in the list consisting of O, S, N.

[0039] 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:

[0040] preferred features of R^1 with preferred features of R^2 to R^6 or to R^{11} where applicable;

[0041] preferred features of R^2 with preferred features of R^1 to R^6 or to R^{11} where applicable;

[0042] preferred features of R^3 with preferred features of R^1 to R^6 or to R^{11} where applicable;

[0043] preferred features of R^4 with preferred features of R^1 to R^6 or to R^{11} where applicable;

[0044] preferred features of R^5 with preferred features of R^1 to R^6 or to R^{11} where applicable.

[0045] 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 m, n and R^1 to R^{11} so as to form most preferred subclasses of compounds according to the invention.

[0046] The present invention also relates to a process for the preparation of a compound of formula (I). Generally, the

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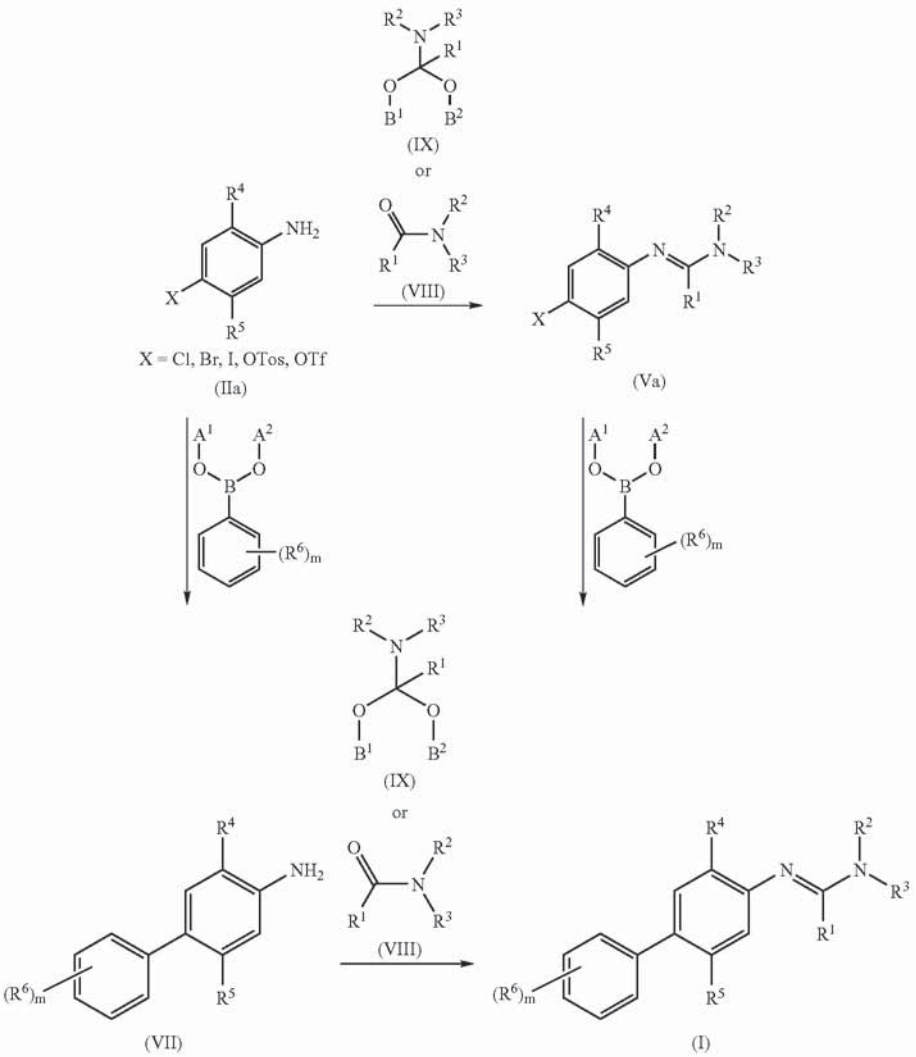
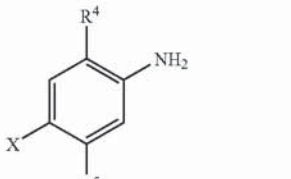
Scheme 1

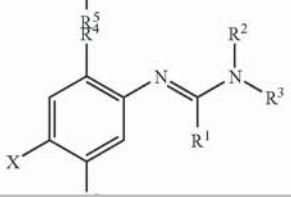


[0047] Thus according to a further aspect according to the invention, there is provided a process (a) for the preparation of aniline derivatives of formulae (I) or (VII) by reacting aniline derivatives of formulae (IIa) or (Va)

(IIa)



(Va)



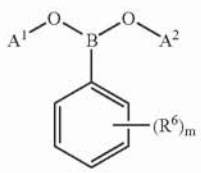
wherein

[0048] R^1, R^2, R^3, R^4 and R^5 are as herein-defined and

[0049] X represents halogen, tosylate, SOMe, mesylate or triflate:

with a boronic acid derivative of formula (IV)

(IV)



wherein

[0050] m and R^6 are as herein-defined

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