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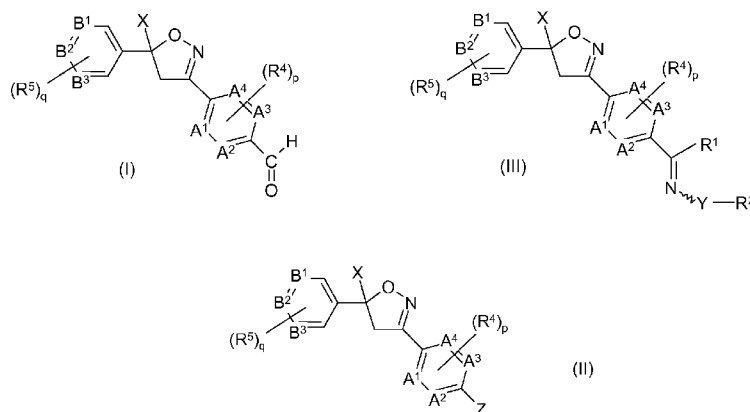
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(54) Title: PROCESS FOR PRODUCING IMINE COMPOUNDS FOR COMBATING INVERTEBRATE PESTS



(57) Abstract: The present invention relates to a process for producing aromatic carbonyl compounds of formula (I) and aromatic imine compounds of formula (III) comprising the step of reacting a (hetero) aromatic halogen or sulfonate compound (II), wherein the variables are as defined in the claims and description, with a mixture of carbon monoxide and hydrogen in the presence of a transition metal complex catalyst. The invention also relates to specific compounds III, to compositions comprising them and to their use for combating invertebrate pests.

PROCESS FOR PRODUCING IMINE COMPOUNDS FOR COMBATING INVERTEBRATE PESTS

Description

5 The present invention relates to a process for producing aromatic carbonyl compounds of formula I as defined below and aromatic imine compounds of formula III as defined below comprising the step of reacting a (hetero)aromatic halogen or sulfonate compound with a mixture of carbon monoxide and hydrogen in the presence of a transition metal complex catalyst.

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Imine-substituted isoxazolines of the formula III defined below are useful for combating or controlling invertebrate pests, in particular arthropod pests and nematodes. Their preparation is however rather difficult and involves steps which are not feasible on an industrial scale.

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For instance, WO 2010/072781 describes in example 1 the conversion of an aromatic bromide to the corresponding aldehyde with triethyl silane in the presence of a palladium catalyst. Triethyl silane is however not suitable for the use on an industrial scale. Moreover, the amount of palladium catalyst required in this conversion is rather high.

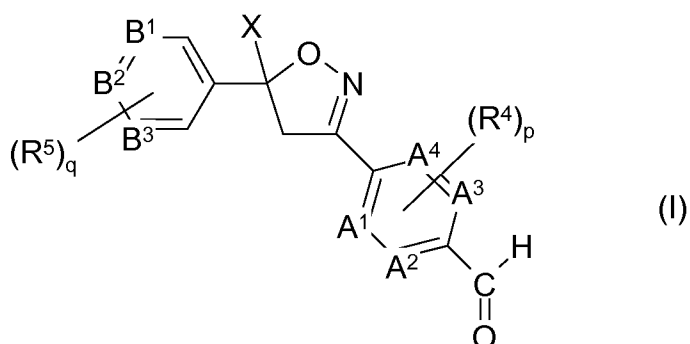
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It was therefore an object of the present invention to provide a process for producing the aromatic carbonyl compound of formula I and eventually the imine product of formula III as defined below which can be applied on an industrial scale. Moreover, the process should require a smaller amount of catalyst.

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The object is achieved by the finding that the (hetero)aromatic halogenide or sulfonate of formula II as defined below can be converted into the corresponding aldehyde by reaction with a mixture of CO and H₂ in the presence of a transition metal catalyst.

30 The invention thus relates to a process for producing a carbonyl compound of formula I



wherein

A¹, A², A³ and A⁴ are N or CH, with the proviso that at most three of A¹, A², A³ and A⁴ are N;

5 B¹, B² and B³ are N or CH, with the proviso that at most two of B¹, B² and B³ are N;

X is selected from the group consisting of C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-haloalkoxy-C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-haloalkenyl, C₂-C₄-alkynyl, C₂-C₄-haloalkynyl, C₃-C₆-cycloalkyl and C₃-C₆-halocycloalkyl;

each R⁴ is independently selected from the group consisting of fluorine; chlorine; cyano; azido; nitro; -SCN; SF₅; C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; C(=O)R⁶; -C(=O)OR⁷; -C(=NR⁸)H; -C(=NR⁸)R⁶; -C(=O)N(R⁸)R⁹; C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

or two radicals R⁴ bound on adjacent carbon atoms may be together a group selected from -CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-, -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-, -CH₂OCH₂CH₂-, -OCH₂CH₂O-, -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-, -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-, -CH₂C(=O)O-, -C(=O)OCH₂-, -O(CH₂)O-, -SCH₂CH₂CH₂-, -SCH=CHCH₂-, -CH₂SCH₂CH₂-, -SCH₂CH₂S-, -SCH₂SCH₂-, -CH₂CH₂S-, -CH=CHS-, -CH₂SCH₂-, -CH₂C(=S)S-, -C(=S)SCH₂-, -S(CH₂)S-, -CH₂CH₂NR⁸-, -CH₂CH=N-, -CH=CH-NR⁸-, -OCH=N- and -SCH=N-, thus forming, together with the carbon atoms to which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the above groups may be replaced by one or more substituents selected from fluorine, chlorine, methyl, halomethyl, hydroxyl, methoxy and halomethoxy or one or more CH₂ groups of the above groups may be replaced by a C=O group;

each R⁵ is independently selected from the group consisting of fluorine, chlorine,
 cyano, azido, nitro, -SCN, SF₅, C₁-C₆-alkyl which may be partially or fully halo-
 genated and/or may be substituted by one or more radicals R⁶, C₃-C₈-cycloalkyl
 5 which may be partially or fully halogenated and/or may be substituted by one or
 more radicals R⁶, C₂-C₆-alkenyl which may be partially or fully halogenated and/or
 may be substituted by one or more radicals R⁶, C₂-C₆-alkynyl which may be parti-
 ally or fully halogenated and/or may be substituted by one or more radicals R⁶,
 -Si(R¹⁴)₂R¹³, -OR⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, N(R⁸)C(=O)R⁶,
 10 -C(=O)R⁶, -C(=O)OR⁷, -C(=S)R⁶, -C(=S)OR⁷, -C(=NR⁸)R⁶, -C(=O)N(R⁸)R⁹,
 -C(=S)N(R⁸)R⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰,
 and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic
 heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected
 from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring
 15 may be substituted by one or more radicals R¹⁰;

each R⁶ is independently selected from the group consisting of cyano, azido, nitro,
 -SCN, SF₅, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -Si(R¹⁴)₂R¹³, -OR⁷,
 -OSO₂R⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -C(=O)N(R⁸)R⁹,
 20 -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2,
 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially un-
 saturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or het-
 eroatom groups selected from N, O, S, NO, SO and SO₂, as ring members,
 where the heterocyclic ring may be substituted by one or more radicals R¹⁰;
 25 and, in case R⁶ is bound to a cycloalkyl group or to a heterocyclic ring formed by
 R¹ and R² together with the atoms to which they are bound, R⁶ may additionally
 be selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-
 alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-
 haloalkynyl and benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰;
 30 and in groups -C(=O)R⁶, -C(=S)R⁶, -C(=NR⁸)R⁶ and -N(R⁸)C(=O)R⁶, R⁶ may addi-
 tionally be selected from hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-
 alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-
 haloalkynyl and benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰;

35 or two geminally bound radicals R⁶ together form a group selected from =CR¹¹R¹²,
 =S(O)_mR⁷, =S(O)_mN(R⁸)R⁹, =NR⁸, =NOR⁷ and =NNR⁸;

or two radicals R⁶, together with the carbon atoms to which they are bound, form a 3-,
 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or het-

erocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members;

5 each R⁷ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-haloalkylsulfonyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, -Si(R¹⁴)₂R¹³, -SR⁸, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -N=CR¹⁵R¹⁶, -C(=O)R¹⁷,
10 -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, -C(=O)OR¹⁷, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

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with the proviso that R⁷ is not C₁-C₆-alkoxy or C₁-C₆-haloalkoxy if it is bound to an oxygen atom;

20 each R⁸ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, where the alkyl moiety in the four last-mentioned radicals may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl-C₁-C₄-alkyl where the cycloalkyl moiety may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by
35 one or more radicals R¹⁰;

each R⁹ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-

haloalkylthio, where the alkyl moiety in the four last-mentioned radicals may be substituted by one or more radicals R^{19} , C_3 - C_8 -cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_3 - C_8 -cycloalkyl- C_1 - C_4 -alkyl where the cycloalkyl moiety may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_2 - C_6 -alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_2 - C_6 -alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , $-S(O)_mR^{20}$, $-S(O)_nN(R^{21})R^{22}$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

or R^8 and R^9 together form a group $=CR^{11}R^{12}$;

or R^8 and R^9 , together with the nitrogen atom to which they are bound, may form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

each R^{10} is independently selected from the group consisting of fluorine, chlorine, cyano, azido, nitro, $-SCN$, SF_5 , C_1 - C_{10} -alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_3 - C_8 -cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_2 - C_{10} -alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , C_2 - C_{10} -alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R^{19} , $-Si(R^{14})_2R^{13}$, $-OR^{20}$, $-SR^{20}$, $-S(O)_mR^{20}$, $-S(O)_nN(R^{21})R^{22}$, $-N(R^{21})R^{22}$, $C(=O)R^{19}$, $-C(=O)OR^{20}$, $-C(=NR^{21})R^{22}$, $-C(=O)N(R^{21})R^{22}$, $-C(=S)N(R^{21})R^{22}$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -haloalkoxy; and a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, which may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -haloalkoxy;

or two radicals R¹⁰ bound on adjacent atoms together form a group selected from -
 CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-,
 -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-, -CH₂OCH₂CH₂-, -OCH₂CH₂O-,
 -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-, -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-,
 5 -CH₂C(=O)O-, -C(=O)OCH₂-, -O(CH₂)O-, -SCH₂CH₂CH₂-, -SCH=CHCH₂-,
 -CH₂SCH₂CH₂-, -SCH₂CH₂S-, -SCH₂SCH₂-, -CH₂CH₂S-, -CH=CHS-, -CH₂SCH₂-,
 -CH₂C(=S)S-, -C(=S)SCH₂-, -S(CH₂)S-, -CH₂CH₂NR²¹-, -CH₂CH=N-,
 -CH=CH-NR²¹-, -OCH=N- and -SCH=N-, thus forming, together with the atoms to
 10 which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the
 above groups may be replaced by one or more substituents selected from fluo-
 rine, chlorine, methyl, halomethyl, hydroxyl, methoxy and halomethoxy or one or
 more CH₂ groups of the above groups may be replaced by a C=O group;

R¹¹, R¹² are, independently of each other and independently of each occurrence, se-
 15 lected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-
 haloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-
 C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-
 C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, -C(=O)R¹⁹, -C(=O)OR²⁰,
 -C(=NR²¹)R²², -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², phenyl which may be substi-
 20 tuted by 1, 2, 3, 4, or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated,
 partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroa-
 toms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring
 members, which may be substituted by one or more radicals R¹⁰;

R¹³, R¹⁴ are, independently of each other and independently of each occurrence, se-
 25 lected from the group consisting of C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy-C₁-
 C₄-alkyl, phenyl and benzyl;

R¹⁵, R¹⁶ are, independently of each other and independently of each occurrence, se-
 30 lected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl,
 C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-C₈-cycloalkyl, C₃-C₈-
 halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-C₁-C₆-alkyl, phenyl
 which may be substituted by 1, 2, 3, 4, or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-
 35 membered saturated, partially unsaturated or aromatic heterocyclic ring contain-
 ing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO
 and SO₂, as ring members, which may be substituted by one or more radicals
 R¹⁰;

each R¹⁷ is independently selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-C₁-C₆-alkyl, phenyl and benzyl;

5

each R¹⁹ is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF₅, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -Si(R¹⁴)₂R¹³, -OR²⁰, -OSO₂R²⁰, -SR²⁰, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², -N(R²¹)R²², -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², -C(=O)OR²⁰, -C(=O)R²⁰, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

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and, in case R¹⁹ is bound to a cycloalkyl group, R¹⁹ may additionally be selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl and C₂-C₆-haloalkynyl; and in groups -C(=O)R¹⁹, R¹⁹ may additionally be selected from hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, and C₂-C₆-haloalkynyl;

20

25

or two geminally bound radicals R¹⁹ together form a group selected from =CR¹¹R¹², =S(O)_mR²⁰, =S(O)_mN(R²¹)R²², =NR²¹, =NOR²⁰ and =NNR²¹;

30

or two radicals R¹⁹, together with the carbon atoms to which they are bound, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members;

35

each R²⁰ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-haloalkylsulfonyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, -Si(R¹⁴)₂R¹³, C₁-C₆-alkylaminosulfonyl, amino, C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino, C₁-C₆-alkylcarbonyl, C₁-C₆-haloalkylcarbonyl, aminocarbonyl, C₁-C₆-

alkylaminocarbonyl, di-(C₁-C₆-alkyl)-aminocarbonyl, C₁-C₆-alkoxycarbonyl, C₁-C₆-haloalkoxycarbonyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

with the proviso that R²⁰ is not C₁-C₆-alkoxy or C₁-C₆-haloalkoxy if it is bound to an oxygen atom;

R²¹ and R²² are independently of each other and independently of each occurrence selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

or R²¹ and R²², together with the nitrogen atom to which they are bound, may form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally contain 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals selected from fluorine, chlorine, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

each m is independently 1 or 2;

each n is independently 0, 1 or 2;

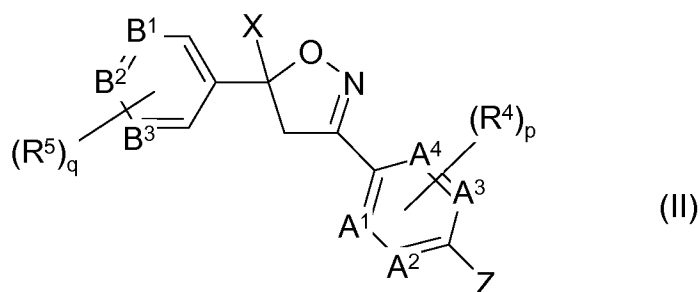
p is 0, 1, 2, 3 or 4; and

5

q is 0, 1, 2, 3, 4 or 5;

comprising following step:

10 reacting a compound of formula II



wherein A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, p and q are as defined above and

15

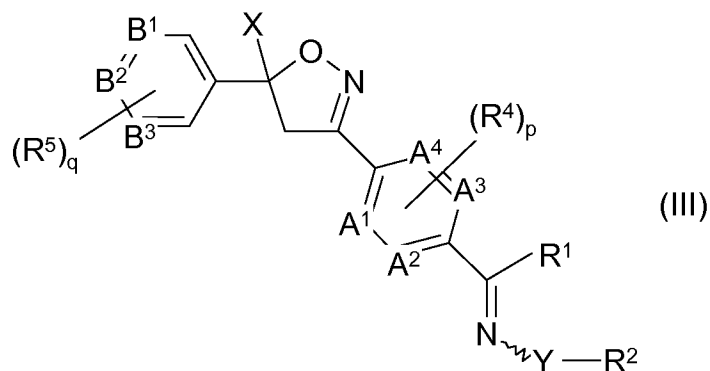
Z is selected from halogen and -OSO₂-R^{z1}, where R^{z1} is C₁-C₄-alkyl, C₁-C₄-haloalkyl or phenyl which may be substituted by 1, 2 or 3 radicals selected from C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

20 with carbon monoxide and hydrogen in the presence of a transition metal complex.

This process is called process A.

The invention also relates to a process for producing imine compounds of the formula

25 III



wherein

Y is O, N-R³, S(O)_n or a chemical bond;

5 R¹ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₁-C₁₀-alkoxy; C₁-C₁₀-haloalkoxy; C₁-C₁₀-alkylthio; C₁-C₁₀-haloalkylthio; C₁-C₁₀-alkylsulfinyl; C₁-C₁₀-haloalkylsulfinyl; C₁-C₁₀-alkylsulfonyl; C₁-C₁₀-haloalkylsulfonyl; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a C-bound 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

20 R² is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

with the proviso that R² is not -OR⁷ if Y is O;

35

or R¹ and R², together with the atoms to which they are bound, form a partially unsaturated or aromatic 5- or 6-membered heterocyclic ring which, apart from the nitrogen atom of the imine group and the group Y if this is different from a chemical bond, optionally contains 1 or 2 further heteroatoms or heteroatom groups se-

lected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may carry 1, 2 or 3 substituents R⁶;

5 R³ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -N(R⁸)R⁹; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

or R² and R³ together form a group =CR¹¹R¹²; =S(O)_mR⁷; =S(O)_mN(R⁸)R⁹; =NR⁸; or =NOR⁷;

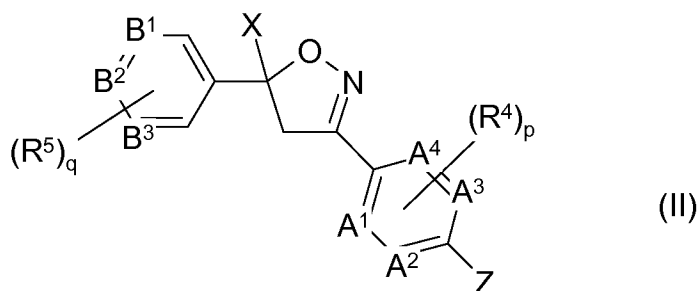
20 or R² and R³ together form a C₂-C₇ alkylene chain, thus forming, together with the nitrogen atom to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where the alkylene chain may be interrupted by 1 or 2 O, S and/or NR¹⁸ and/or 1 or 2 of the CH₂ groups of the alkylene chain may be replaced by a group C=O, C=S and/or C=NR¹⁸; and/or the alkylene chain may be substituted by one or more radicals selected from the group consisting of halogen, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

35 each R¹⁸ is independently defined like R³;

and A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁹, R²⁰, R²¹, R²², m, n, p and q are as defined in claim 1;

comprising following step:

reacting a compound of formula II



5

wherein A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, p and q are as defined above and

Z is selected from halogen and -OSO₂-R^{z1}, where R^{z1} is C₁-C₄-alkyl, C₁-C₄-haloalkyl
 10 or phenyl which may be substituted by 1, 2 or 3 radicals selected from C₁-C₄-
 alkyl, C₁-C₄-haloalkyl C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

with carbon monoxide and hydrogen in the presence of a transition metal complex
 catalyst.

15

This process is called process B.

The organic moieties mentioned in the above definitions of the variables are - like the
 term halogen - collective terms for individual listings of the individual group members.

20 The prefix C_n-C_m indicates in each case the possible number of carbon atoms in the
 group.

The term halogen denotes in each case fluorine, bromine, chlorine or iodine, in particu-
 lar fluorine, chlorine or bromine.

25

The term "C₁-C₁₀-alkyl" as used herein and in the alkyl moieties of alkoxy, alkylthio,
 alkylsulfinyl, alkylsulfonyl, alkylcarbonyl, alkoxy carbonyl and the like refers to saturated
 straight-chain or branched hydrocarbon radicals having 1 to 2 ("C₁-C₂-alkyl"), 1 to 4
 ("C₁-C₄-alkyl"), 1 to 6 ("C₁-C₆-alkyl"), 1 to 8 ("C₁-C₈-alkyl") or 1 to 10 ("C₁-C₁₀-alkyl")
 30 carbon atoms. C₁-C₂-Alkyl is methyl or ethyl. C₁-C₄-Alkyl is additionally propyl, isopro-
 pyl, butyl, 1-methylpropyl (sec-butyl), 2-methylpropyl (isobutyl) or 1,1-dimethylethyl
 (tert-butyl). C₁-C₆-Alkyl is additionally also, for example, pentyl, 1-methylbutyl, 2-
 methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, 1,1-dimethylpropyl, 1,2-
 dimethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl,

1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, or 1-ethyl-2-methylpropyl. C₁-C₈-Alkyl is additionally also, for example, heptyl, octyl, 2-ethylhexyl and positional isomers thereof. C₁-C₁₀-Alkyl is additionally also, for example, nonyl, decyl and positional isomers thereof.

The term "C₁-C₁₀-haloalkyl" as used herein, which is also expressed as "C₁-C₁₀-alkyl which is partially or fully halogenated", refers to straight-chain or branched alkyl groups having 1 to 2 ("C₁-C₂-haloalkyl"), 1 to 4 ("C₁-C₄-haloalkyl"), 1 to 6 ("C₁-C₆-haloalkyl"), 1 to 8 ("C₁-C₈-haloalkyl") or 1 to 10 ("C₁-C₁₀-haloalkyl") carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above: in particular C₁-C₂-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 or 1,1,1-trifluoroprop-2-yl.

"Halomethyl" is methyl in which 1, 2 or 3 of the hydrogen atoms are replaced by halogen atoms. Examples are bromomethyl, chloromethyl, fluoromethyl, dichloromethyl, trichloromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl and the like.

The term "C₂-C₁₀-alkenyl" as used herein and in the alkenyl moiety of alkenyloxy and the like refers to monounsaturated straight-chain or branched hydrocarbon radicals having 2 to 4 ("C₂-C₄-alkenyl"), 2 to 6 ("C₂-C₆-alkenyl"), 2 to 8 ("C₂-C₈-alkenyl"), 3 to 8 ("C₃-C₈-alkenyl"), 2 to 10 ("C₂-C₁₀-alkenyl") or 3 to 10 ("C₃-C₁₀-alkenyl") carbon atoms and a double bond in any position, for example C₂-C₄-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 or 2-methyl-2-propenyl; C₂-C₆-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, 1-ethyl-2-methyl-2-propenyl and the like, or C₂-C₁₀-alkenyl, such as the radicals mentioned for C₂-C₆-alkenyl and additionally 1-heptenyl, 2-heptenyl, 3-heptenyl, 1-octenyl, 2-octenyl, 3-octenyl, 4-octenyl, 1-nonenyl, 2-nonenyl, 3-nonenyl, 4-nonenyl, 1-decenyl, 2-decenyl, 3-decenyl, 4-decenyl, 5-decenyl and the positional isomers thereof.
- 15 The term "C₂-C₁₀-haloalkenyl" as used herein, which is also expressed as "C₁-C₁₀-alkenyl which is partially or fully halogenated", and the haloalkenyl moieties in haloalkenyloxy, haloalkenylcarbonyl and the like refers to unsaturated straight-chain or branched hydrocarbon radicals having 2 to 4 ("C₂-C₄-haloalkenyl"), 2 to 6 ("C₂-C₆-haloalkenyl"), 2 to 8 ("C₂-C₈-haloalkenyl") or 2 to 10 ("C₂-C₁₀-haloalkenyl") carbon atoms and a double bond in any position (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine, for example chlorovinyl, chloroallyl and the like.
- 25 The term "C₂-C₁₀-alkynyl" as used herein and the alkynyl moieties in alkynyloxy, alkynylcarbonyl and the like refers to straight-chain or branched hydrocarbon groups having 2 to 4 ("C₂-C₄-alkynyl"), 2 to 6 ("C₂-C₆-alkynyl"), 2 to 8 ("C₂-C₈-alkynyl"), 3 to 8 ("C₃-C₈-alkynyl"), 2 to 10 ("C₂-C₁₀-alkynyl") or 3 to 10 ("C₃-C₈-alkynyl") carbon atoms and one or two triple bonds in any position, for example C₂-C₄-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, 1-methyl-2-propynyl and the like, C₂-C₆-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, 1-methyl-2-propynyl, 1-pentyne, 2-pentyne, 3-pentyne, 4-pentyne, 1-methyl-2-butyne, 1-methyl-3-butyne, 2-methyl-3-butyne, 3-methyl-1-butyne, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 1-methyl-2-pentyne, 1-methyl-3-pentyne, 1-methyl-4-pentyne, 2-methyl-3-pentyne, 2-methyl-4-pentyne, 3-methyl-1-pentyne, 3-methyl-4-pentyne, 4-methyl-1-pentyne, 4-methyl-2-pentyne, 1,1-dimethyl-2-butyne, 1,1-dimethyl-3-butyne, 1,2-dimethyl-3-butyne, 2,2-dimethyl-3-butyne, 3,3-dimethyl-1-butyne, 1-ethyl-2-butyne, 1-ethyl-3-butyne, 2-ethyl-3-butyne, 1-ethyl-1-methyl-2-propynyl and the like;

The term "C₂-C₁₀-haloalkynyl" as used herein, which is also expressed as "C₁-C₁₀-alkynyl which is partially or fully halogenated", and the haloalkynyl moieties in haloalkynyloxy, haloalkynylcarbonyl and the like refers to unsaturated straight-chain or
5 branched hydrocarbon radicals having 2 to 4 ("C₂-C₄-haloalkynyl"), 3 to 4 ("C₃-C₄-haloalkynyl"), 2 to 6 ("C₂-C₆-haloalkynyl"), 3 to 6 ("C₃-C₆-haloalkynyl"), 2 to 8 ("C₂-C₈-haloalkynyl"), 3 to 8 ("C₃-C₈-haloalkynyl"), 2 to 10 ("C₂-C₁₀-haloalkynyl") or 3 to 10 ("C₃-C₁₀-haloalkynyl") carbon atoms and one or two triple bonds in any position (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced
10 by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine;

The term "C₃-C₈-cycloalkyl" as used herein refers to mono- or bi- or polycyclic saturated hydrocarbon radicals having 3 to 8, in particular 3 to 6 carbon atoms ("C₃-C₆-cycloalkyl"). Examples of monocyclic radicals having 3 to 6 carbon atoms comprise
15 cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. Examples of monocyclic radicals having 3 to 8 carbon atoms comprise cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl. Examples of bicyclic radicals having 7 or 8 carbon atoms comprise bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, bicyclo[2.2.2]octyl and bicyclo[3.2.1]octyl.

20 The term "C₃-C₈-halocycloalkyl" as used herein, which is also expressed as "C₃-C₈-cycloalkyl which is partially or fully halogenated", and the halocycloalkyl moieties in halocycloalkoxy, halocycloalkylcarbonyl and the like refers to mono- or bi- or polycyclic saturated hydrocarbon groups having 3 to 8 ("C₃-C₈-halocycloalkyl") or preferably 3 to
25 6 ("C₃-C₆-halocycloalkyl") carbon ring members (as mentioned above) in which some or all of the hydrogen atoms are replaced by halogen atoms as mentioned above, in particular fluorine, chlorine and bromine.

The term "C₃-C₈-cycloalkyl-C₁-C₄-alkyl" refers to a C₃-C₈-cycloalkyl group as defined
30 above which is bound to the remainder of the molecule via a C₁-C₄-alkyl group, as defined above. Examples are cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclobutylmethyl, cyclobutylethyl, cyclobutylpropyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, and the like.

35 The term "C₁-C₂-alkoxy" is a C₁-C₂-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₄-alkoxy" is a C₁-C₄-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₆-alkoxy" is a C₁-C₆-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₁₀-alkoxy" is a C₁-C₁₀-alkyl group, as de-

fined above, attached via an oxygen atom. C₁-C₂-Alkoxy is methoxy or ethoxy. C₁-C₄-Alkoxy is additionally, for example, n-propoxy, 1-methylethoxy (isopropoxy), butoxy, 1-methylpropoxy (sec-butoxy), 2-methylpropoxy (isobutoxy) or 1,1-dimethylethoxy (tert-butoxy). C₁-C₆-Alkoxy is additionally, for example, pentoxy, 1-methylbutoxy,

5 2-methylbutoxy, 3-methylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-

10 methylpropoxy or 1-ethyl-2-methylpropoxy. C₁-C₈-Alkoxy is additionally, for example, heptyloxy, octyloxy, 2-ethylhexyloxy and positional isomers thereof. C₁-C₁₀-Alkoxy is additionally, for example, nonyloxy, decyloxy and positional isomers thereof.

The term "C₁-C₂-haloalkoxy" is a C₁-C₂-haloalkyl group, as defined above, attached via

15 an oxygen atom. The term "C₁-C₄-haloalkoxy" is a C₁-C₄-haloalkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₆-haloalkoxy" is a C₁-C₆-haloalkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₁₀-haloalkoxy" is a C₁-C₁₀-haloalkyl group, as defined above, attached via an oxygen atom. C₁-C₂-Haloalkoxy is, for example, OCH₂F, OCHF₂, OCF₃, OCH₂Cl, OCHCl₂, OCCl₃, chloro-

20 fluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-iodoethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy or OC₂F₅. C₁-C₄-Haloalkoxy is additionally, for example, 2-fluoropropoxy, 3-fluoropropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy,

25 2-chloropropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 2-bromopropoxy, 3-bromopropoxy, 3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy, OCH₂-C₂F₅, OCF₂-C₂F₅, 1-(CH₂F)-2-fluoroethoxy, 1-(CH₂Cl)-2-chloroethoxy, 1-(CH₂Br)-2-bromoethoxy, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy or nonafluorobutoxy. C₁-C₆-Haloalkoxy is additionally, for example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy,

30 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy, 6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy or dodecafluorohexoxy.

The term "C₁-C₂-alkylthio" is a C₁-C₂-alkyl group, as defined above, attached via a sulfur atom. The term "C₁-C₄-alkylthio" is a C₁-C₄-alkyl group, as defined above, attached

35 via a sulfur atom. The term "C₁-C₆-alkylthio" is a C₁-C₆-alkyl group, as defined above, attached via a sulfur atom. The term "C₁-C₁₀-alkylthio" is a C₁-C₁₀-alkyl group, as defined above, attached via a sulfur atom. C₁-C₂-Alkylthio is methylthio or ethylthio. C₁-C₄-Alkylthio is additionally, for example, n-propylthio, 1-methylethylthio (isopropylthio), butylthio, 1-methylpropylthio (sec-butylthio), 2-methylpropylthio (isobutylthio) or 1,1-

dimethylethylthio (tert-butylthio). C₁-C₆-Alkylthio is additionally, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio or 1-ethyl-2-methylpropylthio. C₁-C₈-Alkylthio is additionally, for example, heptylthio, octylthio, 2-ethylhexylthio and positional isomers thereof. C₁-C₁₀-Alkylthio is additionally, for example, nonylthio, decylthio and positional isomers thereof.

The term "C₁-C₂-haloalkylthio" is a C₁-C₂-haloalkyl group, as defined above, attached via a sulfur atom. The term "C₁-C₄-haloalkylthio" is a C₁-C₄-haloalkyl group, as defined above, attached via a sulfur atom. The term "C₁-C₆-haloalkylthio" is a C₁-C₆-haloalkyl group, as defined above, attached via a sulfur atom. The term "C₁-C₁₀-haloalkylthio" is a C₁-C₁₀-haloalkyl group, as defined above, attached via a sulfur atom. C₁-C₂-Haloalkylthio is, for example, SCH₂F, SCHF₂, SCF₃, SCH₂Cl, SCHCl₂, SCCl₃, chloro-fluoromethylthio, dichlorofluoromethylthio, chlorodifluoromethylthio, 2-fluoroethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, 2,2,2-trichloroethylthio or SC₂F₅. C₁-C₄-Haloalkylthio is additionally, for example, 2-fluoropropylthio, 3-fluoropropylthio, 2,2-difluoropropylthio, 2,3-difluoropropylthio, 2-chloropropylthio, 3-chloropropylthio, 2,3-dichloropropylthio, 2-bromopropylthio, 3-bromopropylthio, 3,3,3-trifluoropropylthio, 3,3,3-trichloropropylthio, SCH₂-C₂F₅, SCF₂-C₂F₅, 1-(CH₂F)-2-fluoroethylthio, 1-(CH₂Cl)-2-chloroethylthio, 1-(CH₂Br)-2-bromoethylthio, 4-fluorobutylthio, 4-chlorobutylthio, 4-bromobutylthio or nonafluorobutylthio. C₁-C₆-Haloalkylthio is additionally, for example, 5-fluoropentylthio, 5-chloropentylthio, 5-bromopentylthio, 5-iodopentylthio, undecafluoropentylthio, 6-fluorohexylthio, 6-chlorohexylthio, 6-bromohexylthio, 6-iodohexylthio or dodecafluorohexylthio.

The term "C₁-C₂-alkylsulfinyl" is a C₁-C₂-alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₄-alkylsulfinyl" is a C₁-C₄-alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₆-alkylsulfinyl" is a C₁-C₆-alkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₁₀-alkylsulfinyl" is a C₁-C₁₀-alkyl group, as defined above, attached via a sulfinyl [S(O)] group. C₁-C₂-Alkylsulfinyl is methylsulfinyl or ethylsulfinyl. C₁-C₄-Alkylsulfinyl is additionally, for example, n-propylsulfinyl, 1-methylethylsulfinyl (isopropylsulfinyl), butylsulfinyl, 1-methylpropylsulfinyl (sec-butylsulfinyl), 2-methylpropylsulfinyl (isobutyl-

sulfinyl) or 1,1-dimethylethylsulfinyl (tert-butylsulfinyl). C₁-C₆-Alkylsulfinyl is additionally, for example, pentylsulfinyl, 1-methylbutylsulfinyl, 2-methylbutylsulfinyl, 3-methylbutylsulfinyl, 1,1-dimethylpropylsulfinyl, 1,2-dimethylpropylsulfinyl, 2,2-dimethylpropylsulfinyl, 1-ethylpropylsulfinyl, hexylsulfinyl, 1-methylpentylsulfinyl, 2-methylpentylsulfinyl, 3-methylpentylsulfinyl, 4-methylpentylsulfinyl, 1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl, 1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl, 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl, 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl, 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl, 1-ethyl-1-methylpropylsulfinyl or 1-ethyl-2-methylpropylsulfinyl.

C₁-C₈-Alkylsulfinyl is additionally, for example, heptylsulfinyl, octylsulfinyl, 2-ethylhexylsulfinyl and positional isomers thereof. C₁-C₁₀-Alkylsulfinyl is additionally, for example, nonylsulfinyl, decylsulfinyl and positional isomers thereof.

The term "C₁-C₂-haloalkylsulfinyl" is a C₁-C₂-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₄-haloalkylsulfinyl" is a C₁-C₄-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₆-haloalkylsulfinyl" is a C₁-C₆-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. The term "C₁-C₁₀-haloalkylsulfinyl" is a C₁-C₁₀-haloalkyl group, as defined above, attached via a sulfinyl [S(O)] group. C₁-C₂-Haloalkylsulfinyl is, for example, S(O)CH₂F, S(O)CHF₂, S(O)CF₃, S(O)CH₂Cl, S(O)CHCl₂, S(O)CCl₃, chlorofluoromethylsulfinyl, dichlorofluoromethylsulfinyl, chlorodifluoromethylsulfinyl, 2-fluoroethylsulfinyl, 2-chloroethylsulfinyl, 2-bromoethylsulfinyl, 2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl, 2,2,2-trifluoroethylsulfinyl, 2-chloro-2-fluoroethylsulfinyl, 2-chloro-2,2-difluoroethylsulfinyl, 2,2-dichloro-2-fluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl or S(O)C₂F₅. C₁-C₄-Haloalkylsulfinyl is additionally, for example, 2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl, 2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl, 2-chloropropylsulfinyl, 3-chloropropylsulfinyl, 2,3-dichloropropylsulfinyl, 2-bromopropylsulfinyl, 3-bromopropylsulfinyl, 3,3,3-trifluoropropylsulfinyl, 3,3,3-trichloropropylsulfinyl, S(O)CH₂-C₂F₅, S(O)CF₂-C₂F₅, 1-(CH₂F)-2-fluoroethylsulfinyl, 1-(CH₂Cl)-2-chloroethylsulfinyl, 1-(CH₂Br)-2-bromoethylsulfinyl, 4-fluorobutylsulfinyl, 4-chlorobutylsulfinyl, 4-bromobutylsulfinyl or nonafluorobutylsulfinyl. C₁-C₆-Haloalkylsulfinyl is additionally, for example, 5-fluoropentylsulfinyl, 5-chloropentylsulfinyl, 5-bromopentylsulfinyl, 5-iodopentylsulfinyl, undecafluoropentylsulfinyl, 6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl, 6-bromohexylsulfinyl, 6-iodohexylsulfinyl or dodecafluorohexylsulfinyl.

The term "C₁-C₂-alkylsulfonyl" is a C₁-C₂-alkyl group, as defined above, attached via a sulfonyl [S(O)₂] group. The term "C₁-C₄-alkylsulfonyl" is a C₁-C₄-alkyl group, as defined above, attached via a sulfonyl [S(O)₂] group. The term "C₁-C₆-alkylsulfonyl" is a C₁-C₆-

alkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. The term "C₁-C₁₀-alkylsulfonyl" is a C₁-C₁₀-alkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. C₁-C₂-Alkylsulfonyl is methylsulfonyl or ethylsulfonyl. C₁-C₄-Alkylsulfonyl is additionally, for example, n-propylsulfonyl, 1-methylethylsulfonyl (isopropylsulfonyl), butylsulfonyl, 1-methylpropylsulfonyl (sec-butylsulfonyl), 2-methylpropylsulfonyl (isobutylsulfonyl) or 1,1-dimethylethylsulfonyl (tert-butylsulfonyl). C₁-C₆-Alkylsulfonyl is additionally, for example, pentylsulfonyl, 1-methylbutylsulfonyl, 2-methylbutylsulfonyl, 3-methylbutylsulfonyl, 1,1-dimethylpropylsulfonyl, 1,2-dimethylpropylsulfonyl, 2,2-dimethylpropylsulfonyl, 1-ethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl, 2-methylpentylsulfonyl, 3-methylpentylsulfonyl, 4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl, 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl, 2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl, 3,3-dimethylbutylsulfonyl, 1-ethylbutylsulfonyl, 2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl, 1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl or 1-ethyl-2-methylpropylsulfonyl. C₁-C₈-Alkylsulfonyl is additionally, for example, heptylsulfonyl, octylsulfonyl, 2-ethylhexylsulfonyl and positional isomers thereof. C₁-C₁₀-Alkylsulfonyl is additionally, for example, nonylsulfonyl, decylsulfonyl and positional isomers thereof.

The term "C₁-C₂-haloalkylsulfonyl" is a C₁-C₂-haloalkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. The term "C₁-C₄-haloalkylsulfonyl" is a C₁-C₄-haloalkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. The term "C₁-C₆-haloalkylsulfonyl" is a C₁-C₆-haloalkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. The term "C₁-C₁₀-haloalkylsulfonyl" is a C₁-C₁₀-haloalkyl group, as defined above, attached via a sulfonyl $[S(O)_2]$ group. C₁-C₂-Haloalkylsulfonyl is, for example, $S(O)_2CH_2F$, $S(O)_2CHF_2$, $S(O)_2CF_3$, $S(O)_2CH_2Cl$, $S(O)_2CHCl_2$, $S(O)_2CCl_3$, chlorofluoromethylsulfonyl, dichlorofluoromethylsulfonyl, chlorodifluoromethylsulfonyl, 2-fluoroethylsulfonyl, 2-chloroethylsulfonyl, 2-bromoethylsulfonyl, 2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl, 2-chloro-2,2-difluoroethylsulfonyl, 2,2-dichloro-2-fluoroethylsulfonyl, 2,2,2-trichloroethylsulfonyl or $S(O)_2C_2F_5$. C₁-C₄-Haloalkylsulfonyl is additionally, for example, 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl, 2,2-difluoropropylsulfonyl, 2,3-difluoropropylsulfonyl, 2-chloropropylsulfonyl, 3-chloropropylsulfonyl, 2,3-dichloropropylsulfonyl, 2-bromopropylsulfonyl, 3-bromopropylsulfonyl, 3,3,3-trifluoropropylsulfonyl, 3,3,3-trichloropropylsulfonyl, $S(O)_2CH_2-C_2F_5$, $S(O)_2CF_2-C_2F_5$, 1-(CH₂F)-2-fluoroethylsulfonyl, 1-(CH₂Cl)-2-chloroethylsulfonyl, 1-(CH₂Br)-2-bromoethylsulfonyl, 4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl, 4-bromobutylsulfonyl or nonafluorobutylsulfonyl. C₁-C₆-Haloalkylsulfonyl is additionally, for example, 5-fluoropentylsulfonyl, 5-chloropentylsulfonyl, 5-bromopentylsulfonyl, 5-iodopentylsulfonyl,

undecafluoropentylsulfonyl, 6-fluorohexylsulfonyl, 6-chlorohexylsulfonyl, 6-bromohexylsulfonyl, 6-iodohexylsulfonyl or dodecafluorohexylsulfonyl.

5 The term "3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members" as used herein refers to monocyclic radicals, the monocyclic radicals being saturated, partially unsaturated or aromatic. The heterocyclic radical may be attached to the remainder of the molecule via a carbon ring member or via a nitrogen ring member.

10

Examples of 3-, 4-, 5-, 6- or 7-membered saturated heterocyclyl include:

Oxiranyl, aziridinyl, oxetidynyl (radical of trimethylene oxide), thietidinyl (radical of trimethylene sulfide), azetidynyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 1,3-dioxolane-2-yl, 1,3-dioxolane-4-yl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 1,3-thiolane-2-yl, 1,3-dithiolane-4-yl, 1-thia-3-oxolan-2-yl, 1-thia-3-oxolan-4-yl, 1-thia-3-oxolan-5-yl, 2-thioly-1,1-dioxide, 3-thioly-1,1-dioxide, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 20 5-isothiazolidinyl, 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-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 1,3-dioxan-2-yl, 1,3-dioxan-4-yl, 1,3-dioxan-5-yl, 1,4-dioxan-2-yl, 2-thianyl, 3-thianyl, 4-thianyl, 1,3-dithian-2-yl, 1,3-dithian-4-yl, 1,3-dithian-5-yl, 1,4-dithian-2-yl, 1-oxa-3-thian-2-yl, 1-oxa-3-thian-4-yl, 1-oxa-3-thian-5-yl, 1-oxa-3-thian-6-yl, 1-oxa-4-thian-2-yl, 1-oxa-4-thian-3-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 2-piperazinyl, 1,3,5-hexahydrotriazin-2-yl and 1,2,4-hexahydrotriazin-3-yl, 2-morpholinyl, 3-morpholinyl, 2-thiomorpholinyl, 3-thiomorpholinyl, 1-oxothiomorpholin-2-yl, 1-oxothiomorpholin-3-yl, 1,1-dioxothiomorpholin-2-yl, 1,1-dioxothiomorpholin-3-yl, hexahydroazepin-1-, -2-, -3- or -4-yl, hexahydrooxepinyl, hexahydro-1,3-diazepinyl, hexahydro-1,4-diazepinyl, hexahydro-1,3-oxazepinyl, hexahydro-1,4-oxazepinyl, hexahydro-1,3-dioxepinyl, hexahydro-1,4-dioxepinyl and the like.

35

Examples of 3-, 4-, 5-, 6- or 7-membered partially unsaturated heterocyclyl include: 2,3-dihydrofur-2-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-2-yl, 2,4-dihydrofur-3-yl, 2,3-dihydrothien-2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-yl, 2,4-dihydrothien-3-yl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-

- isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-isoxazolin-4-yl, 4-isoxazolin-4-yl, 2-isoxazolin-5-yl, 3-isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2,3-dihydropyrazol-1-yl, 2,3-
- 5 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-
- 10 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-, 3-, 4-, 5- or 6-di- or tetrahydropyridinyl, 3-di- or tetrahydropyridazinyl, 4-di- or tetrahydropyridazinyl, 2-di- or tetrahydropyrimidinyl, 4-di- or tetrahydropyrimidinyl, 5-di- or tetrahydropyrimidinyl, di- or tetrahydropyrazinyl, 1,3,5-di- or tetrahydrotriazin-2-yl,
- 15 1,2,4-di- or tetrahydrotriazin-3-yl, 2,3,4,5-tetrahydro[1H]azepin-1-, -2-, -3-, -4-, -5-, -6- or -7-yl, 3,4,5,6-tetrahydro[2H]azepin-2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,4,7-tetrahydro[1H]azepin-1-, -2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,6,7-tetrahydro[1H]azepin-1-, -2-, -3-, -4-, -5-, -6- or -7-yl, tetrahydrooxepinyl, such as 2,3,4,5-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl,
- 20 2,3,4,7-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl, 2,3,6,7-tetrahydro[1H]oxepin-2-, -3-, -4-, -5-, -6- or -7-yl, tetrahydro-1,3-diazepinyl, tetrahydro-1,4-diazepinyl, tetrahydro-1,3-oxazepinyl, tetrahydro-1,4-oxazepinyl, tetrahydro-1,3-dioxepinyl and tetrahydro-1,4-dioxepinyl.
- 25 3-, 4-, 5-, 6- or 7-membered aromatic heterocyclyl is 5- or 6-membered aromatic heterocyclyl (hetaryl). Examples are: 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazolyl, 1,3,4-triazol-2-yl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-
- 30 pyrimidinyl and 2-pyrazinyl.

- C_2 - C_7 -alkylene is divalent branched or preferably unbranched saturated aliphatic chain having 2 to 7 carbon atoms, for example CH_2CH_2 , $-CH(CH_3)-$, $CH_2CH_2CH_2$, $CH(CH_3)CH_2$, $CH_2CH(CH_3)$, $CH_2CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2CH_2CH_2$, and $CH_2CH_2CH_2CH_2CH_2CH_2CH_2$
- 35

In the definition of the ligands in the catalyst (see below), the following definitions apply for the generic terms, if not yet mentioned above:

The expression "alkyl" refers to straight-chain and branched alkyl groups. These are preferably straight-chain or branched C₁-C₂₀-alkyl, more preferably C₁-C₁₂-alkyl, particularly preferably C₁-C₈-alkyl and very particularly preferably C₁-C₄-alkyl groups. Examples of alkyl groups are, in particular, methyl, ethyl, propyl, isopropyl, n-butyl, 2-butyl, sec-butyl, tert-butyl, n-pentyl, 2-pentyl, 2-methylbutyl, 3-methylbutyl, 1,2-dimethylpropyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 1-ethylpropyl, n-hexyl, 2-hexyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,3-dimethylbutyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 3,3-dimethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethylbutyl, 2-ethylbutyl, 1-ethyl-2-methylpropyl, n-heptyl, 2-heptyl, 3-heptyl, 2-ethylpentyl, 1-propylbutyl, n-octyl, 2-ethylhexyl, 2-propylheptyl, nonyl, decyl.

The expression "substituted alkyl" encompasses substituted alkyl groups which bear one or more, for example 1, 2, 3, 4 or 5 substituents, preferably 1, 2 or 3 substituents and particularly preferably 1 substituent, selected for example from among cycloalkyl, aryl, hetaryl, halogen, NE¹E², NE¹E²E³X⁻, COOH, carboxylate, -SO₃H and sulfonate (if not specified otherwise). E¹, E² and E³ are identical or different radicals selected from hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl and aryl; and X⁻ is an anion equivalent.

In the definition of the ligands, the expression "alkylene" refers to straight-chain or branched alkanediyl groups having for example from 1 to 8, preferably from 1 to 4 carbon atoms.

The expression "cycloalkyl" encompasses C₃-C₁₂-cycloalkyl groups, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclopdecyl, cycloundecyl and cyclododecyl, preferably C₅-C₇-cycloalkyl groups such as cyclopentyl, cyclohexyl or cycloheptyl. Substituted cycloalkyl bears one or more, for example 1, 2, 3, 4 or 5 substituents, preferably 1, 2 or 3 substituents and particularly preferably 1 substituent, selected from among alkyl, alkoxy and halogen (if not specified otherwise).

The expression "heterocycloalkyl" or "heterocyclyl" refers to saturated, cycloaliphatic groups which generally have from 4 to 7, preferably 5 or 6, ring atoms and in which 1, 2, 3 or 4 of the ring carbons are replaced by heteroatoms selected from among the elements oxygen, nitrogen (nitrogen may be present, for example, as NR or NO, where R is H or a group different therefrom, e.g. alkyl, alkoxy, CN, a group bound via CO etc.) and sulfur (sulfur may be present, for example, as S, SO or SO₂). Substituted heterocyclyl bears one or more substituents, for example 1, 2 or 3 substituents, preferably 1 or 2 substituents, particularly preferably 1 substituent, for example

selected from among alkyl, aryl, COOR^f, COO-M⁺ and NE¹E², preferably alkyl (if not specified otherwise). Examples of heterocycloaliphatic groups are tetrahydrofuryl, tetrahydrothienyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, oxazolidinyl, thiazolidinyl, isoxazolidinyl, isothiazolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, 5 tetrahydropyranlyl, dioxanyl.

The expression "aryl" encompasses carbocyclic aromatic ring systems and preferably refers to phenyl, naphthyl, fluorenyl, anthracenyl, phenanthrenyl or naphthacenyl, particularly preferably phenyl or naphthyl. Substituted aryl bears one or more 10 substituents, for example 1, 2, 3, 4 or 5 substituents, preferably 1, 2 or 3 substituents and particularly preferably 1 substituent, selected from among alkyl, alkoxy, carboxyl, carboxylate, trifluoromethyl, -SO₃H, sulfonate, NE¹E², alkylene-NE¹E², nitro, cyano and halogen (if not specified otherwise). Specific examples of substituted aryl are tolyl, xylyl and mesityl.

15 The expression "hetaryl" encompasses, for the purposes of the present invention, 5-to 14-membered, preferably 5- to 10-membered mono- or polycyclic heterocycloaromatic groups comprising 1, 2, 3 or 4 heteroatoms selected from O, S and N as ring members. Examples are furanyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, 20 isoxazolyl, isothiazolyl, triazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, triazinyl, indolyl, isoindolyl, benzofuranyl, benzothienyl, benzopyrazolyl, benzoimidazolyl, benzoxazolyl, benzothiazolyl, benzoisoxazolyl, benzoisothiazolyl, quinolinyl, isoquinolinyl, quinacridinyl, benzindolyl, acridinyl, xanthenyl, phenanthrolinyl and the like. Substituted hetaryl bears one or more, for example 1, 2 or 3 substituents 25 selected for example from among alkyl, alkoxy, carboxyl, carboxylate, -SO₃H, sulfonate, NE¹E², alkylene-NE¹E², trifluoromethyl and halogen (if not specified otherwise).

The term "polycyclyl" relates to condensed carbocyclic saturated ring systems, the term 30 "condensed" also comprising spiro-annelated systems. Examples are norbornane, [2,2,2]-bicyclooctane, tetraline, adamantyl and the like.

Carboxylate and sulfonate are preferably derivatives of a carboxylic acid function and a sulfonic acid function, respectively, in particular a metal carboxylate or sulfonate, a 35 carboxylic ester or sulfonic ester function or a carbonamide or sulfonamide function. These include, for example, the esters of C₁-C₄-alkanols such as methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol. They also include the primary amides and their N-alkyl and N,N-dialkyl derivatives.

What has been said above with regard to the expressions "alkyl", "cycloalkyl", "aryl", "heterocycloalkyl" and "hetaryl" applies correspondingly to the expressions "alkoxy", "cycloalkoxy", "aryloxy", "heterocycloalkoxy" and "hetaryloxy".

- 5 The expression "acyl" refers to alkanoyl or aroyl groups generally having from 2 to 11, preferably from 2 to 8, carbon atoms, for example the acetyl, propanoyl, butanoyl, pentanoyl, hexanoyl, heptanoyl, 2-ethylhexanoyl, 2-propylheptanoyl, benzoyl or naphthoyl group.
- 10 The groups NE^1E^2 and $NE^{22}E^{23}$ are preferably N,N-dimethylamino, N,N-diethylamino, N,N-dipropylamino, N,N-diisopropylamino, N,N-di-n-butylamino, N,N-di-t-butylamino, N,N-dicyclohexylamino or N,N-diphenylamino.

Halogen is fluorine, chlorine, bromine or iodine, preferably fluorine, chlorine or bromine.

15

M^+ is a cation equivalent, i.e. a monovalent cation or the proportion of a polyvalent cation corresponding to a simple positive charge. The cation M^+ serves only as counterion to neutralize negatively charged substituent groups such as COO^- or sulfonate groups and can in principle be chosen freely. Preference is therefore given to using alkali metal ions, in particular Na^+ , K^+ , Li^+ ions, or onium ions such as ammonium, monoalkylammonium, dialkylammonium, trialkylammonium, tetraalkylammonium, phosphonium, tetraalkylphosphonium or tetraarylphosphonium ions.

20

Analogously, the anion equivalent X^- serves only as counterion to balance positively charged substituent groups, e.g. ammonium groups, and can be selected freely from among monovalent anions and the proportions of polyvalent anions corresponding to a single negative charge. Examples of suitable anions are halide ions X^- , e.g. chloride and bromide. Preferred anions are sulfate and sulfonate, e.g. SO_4^{2-} , tosylate, trifluoromethanesulfonate and methylsulfonate.

25

30

Fused ring systems can be aromatic, hydroaromatic and cyclic compounds linked by fusion. Fused ring systems consist of two, three or more rings. Depending on the way in which the rings of fused ring systems are linked, a distinction is made between ortho-fusion, i.e. each ring shares an edge or two atoms with each adjacent ring, and peri-fusion in which one carbon atom belongs to more than two rings. Among fused ring systems, preference is given to ortho-fused ring systems.

35

The remarks made below concerning preferred embodiments of the processes of the invention, the catalyst used therein, the reaction conditions and also of compounds of

formulae I, II and III, especially with respect to their substituents Z, X, Y, A¹, A², A³, A⁴, B¹, B², B³, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, m, n, p and q, are valid both on their own and, in particular, in every possible combination with each other. The remarks made below apply to both processes A and B.

5

As a matter of course, the q radicals R⁵ replace a hydrogen atom on a carbon ring atom. For instance, if B¹, B² or B³ is defined to be CH and if this position is to be substituted by a radical R⁵, then B¹, B² or B³ is of course C-R⁵. If there is more than one radical R⁵, these can be the same or different.

10

As a matter of course, the p radicals R⁴ replace a hydrogen atom on a carbon ring atom. For instance, if A¹, A², A³ or A⁴ is defined to be CH and if this position is to be substituted by a radical R⁴, then A¹, A², A³ or A⁴ is of course C-R⁴. If there is more than one radical R⁴, these can be the same or different.

15

Compounds I, II and III are principally known from WO 2010/072781.

In compounds II, Z is preferably selected from Br, I and -OSO₂-R^{z1}, where R^{z1} is as defined above. Preferably, R^{z1} is selected from CH₃, CF₃ and 4-methylphenyl (p-tolyl).

20

Thus, Z is more preferably selected from Br, I and -OSO₂-R^{z1}, where R^{z1} is selected from CH₃, CF₃ and 4-methylphenyl (p-tolyl). In particular, Z is Br.

In the processes of the invention, carbon monoxide and hydrogen are used in a molar ratio of preferably from 100:1 to 1:10, more preferably from 10:1 to 1:10, even more preferably from 5:1 to 1:5, in particular from 2:1 to 1:2 and specifically of about 1:1.

25

Very specifically, synthesis gas is used.

Carbon monoxide and hydrogen may be introduced into the reaction separately or as a mixture. Preferably they are introduced as a mixture, especially in the form of synthesis gas.

30

The catalyst used in the processes of the invention is preferably a complex compound of a transition metal of group VIII of the periodic system of elements. Among these metals, preference is given to Pd, Pt, Ni, Rh, Ir and Ru; Pd being particularly preferred.

35

The complex compound contains, apart the central transition metal, one or more ligands. Preferred ligands are mono- or bidentate ligands.

More preferred complexes comprise at least one phosphorus-containing compound as ligand. The phosphorus-containing compounds are preferably selected from among PF₃, phosphols, phosphabenzenes, monodentate, bidentate and polydentate phosphine, phosphinite, phosphonite, phosphoramidite and phosphite ligands and mixtures thereof.

More preferred are P(III)-containing compounds. Even more preferred ligands are mono- or bidentate phosphorus-containing ligands; preferably mono- or bidentate P(III)-containing ligands. In one embodiment, particularly preferred are bidentate P-containing ligands, especially bidentate P(III)-containing ligands. In an alternative embodiment, particularly preferred are monodentate P-containing ligands, especially monodentate P(III)-containing ligands.

Suitable phosphorus-containing ligands are described, for example, in Beller, J. Molecular Catalysis, A, 104, 1995, 17-85.

Monodentate phosphorus-containing ligands are preferably selected from phosphorus compounds of formula



where

R^a, R^b and R^c, independently of each other, are selected from C₃-C₁₂-alkyl, C₃-C₁₂-alkoxy, where the alkyl moieties in the 2 last-mentioned radicals may carry 1, 2 or 3 substituents R^d; C₃-C₁₀-cycloalkyl, C₃-C₁₀-cycloalkoxy, heterocyclyl, heterocycloxy, C₅-C₁₈-polycyclyl, C₅-C₁₈-polycycloxy, aryl, aryloxy, hetaryl and hetaryloxy, where the cycloalkyl, heterocyclyl, polycyclyl, aryl and hetaryl moieties in the 10 last-mentioned radicals may carry 1, 2, 3 or 4 substituents R^e;

or

R^a and R^b together with the phosphorus atom to which they are bound form a 5-, 6-, 7- or 8-membered heterocyclic ring which may be additionally fused to one, two or three C₃-C₁₀-cycloalkyl, C₃-C₁₀-heterocyclyl, aryl or hetaryl groups, where the heterocyclic ring and, if present, the fused-on groups may each independently carry one, two, three or four substituents R^e;

each R^d is independently selected from C₃-C₁₀-cycloalkyl, C₃-C₁₀-cycloalkoxy, heterocyclyl, heterocycloxy, aryl, aryloxy, hetaryl, hetaryloxy, C₁-C₆-

alkoxy, OH, SH, COOH, carboxylate, SO₃H, sulfonate, NE¹E², NE¹E²E³⁺X⁻, halogen, nitro, acyl and cyano;

5 each R^e is independently selected from C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl, C₃-C₁₀-cycloalkoxy, heterocyclyl, heterocyclyloxy, aryl, aryloxy, hetaryl, hetaryloxy, C₁-C₆-alkoxy, OH, SH, COOH, carboxylate, SO₃H, sulfonate, NE¹E², NE¹E²E³⁺X⁻, halogen, nitro, acyl and cyano;

10 E¹, E² and E³ are identical or different radicals selected from hydrogen, C₁-C₆-alkyl, C₃-C₁₀-cycloalkyl and aryl; and

X⁻ is an anion equivalent.

15 In preferred monodentate phosphorus-containing ligands of formula PR^aR^bR^c, at least one of R^a, R^b and R^c comprises a cyclic group, i.e. is selected from C₃-C₁₀-cycloalkyl, C₃-C₁₀-cycloalkoxy, heterocyclyl, heterocyclyloxy, C₅-C₁₈-polycyclyl, C₅-C₁₈-polycyclyloxy, aryl, aryloxy, hetaryl and hetaryloxy which may be substituted as defined above. Preferred radicals R^e are selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

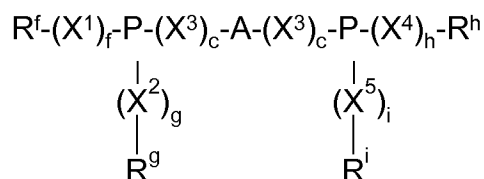
20 R^a, R^b and R^c, independently of each other, are preferably selected from C₃-C₁₂-alkyl, cyclohexyl, adamantyl, phenyl and phenoxy, where the cyclohexyl, adamantyl and phenyl moiety in the 4 last-mentioned radicals may carry 1, 2 or 3 substituents selected from C₁-C₆-alkyl and C₁-C₄-alkoxy. More preferably, at least one of R^a, R^b and R^c is selected from cyclohexyl, adamantyl, phenyl and phenoxy, which may carry 1, 2 or 3 substituents selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

30 Even more preferably, at least one of R^a, R^b and R^c is selected from cyclohexyl, adamantyl, phenyl and phenoxy, which may be substituted by 1, 2 or 3 radicals R^e selected from C₁-C₆-alkyl and C₁-C₄-alkoxy, and the remaining radicals R^a, R^b and R^c are selected from C₃-C₁₂-alkyl, cyclohexyl, adamantyl, phenyl and phenoxy, where the cyclohexyl, adamantyl and phenyl moiety in the 4 last-mentioned radicals may be substituted by 1, 2 or 3 radicals R^e selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

35 Specific monodentate phosphorus-containing ligands are selected from tricyclohexyl phosphine (R^a, R^b and R^c are cyclohexyl), butyl-di-(1-adamantanyl)-phosphine (R^a and R^b are 1-adamantanyl, and R^c is n-butyl), triphenylphosphine (R^a, R^b and R^c are phenyl), triphenylphosphite (R^a, R^b and R^c are phenoxy), tri-(2-tert-butyl-4-methoxy-

phenyl)-phosphite (R^a, R^b and R^c are 2-tert-butyl-4-methoxy-phenoxy) and 2,6-bis(2,5-dimethylphenyl)-1-octyl-4-phenylphosphacyclohexan.

Bidentate phosphorus-containing ligands are preferably selected from phosphorus
5 compounds of formula



where

- 10 X¹, X², X³, X⁴ and X⁵, independently of each other and independently of each occurrence, are selected from O, S, NRⁱ and a group SiR^kR^l, where Rⁱ, R^k and R^l, independently of each other, are selected from hydrogen, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, heterocyclyl, aryl and hetaryl;
- c, f, g, h and i are independently 0 or 1;
- 15 R^f, R^g, R^h and Rⁱ, independently of each other, are selected from C₃-C₁₂-alkyl which may carry 1, 2 or 3 substituents R^d; C₃-C₁₀-cycloalkyl, heterocyclyl, C₅-C₁₈-polycyclyl, aryl and hetaryl, where the cycloalkyl, heterocyclyl, polycyclyl, aryl and hetaryl moieties in the 5 last-mentioned radicals may carry 1, 2, 3 or 4 substituents R^e;
- 20 where R^d and R^e are as defined above (as for the monodentate P-compounds);
or
in case X¹ and X² are O or NRⁱ and f and g are 1, R^f together with R^g may form a C₂-C₅-alkylene group; and/or in case X⁴ and X⁵ are O or NRⁱ and h and g are 1, R^h together with Rⁱ may form a C₂-C₅-alkylene group; and
- 25 A is a bridging group.

The bridging groups A are preferably selected from divalent aliphatic groups, divalent alicyclic groups, divalent heterocyclic groups, divalent aliphatic-alicyclic groups, divalent aromatic groups, divalent araliphatic groups, divalent heteroaromatic groups, divalent heteroaromatic-aliphatic groups and divalent metallocene groups.

30

Divalent aliphatic radicals are those which contain no cycloaliphatic, aromatic or heterocyclic constituents. Examples are alkylene, alkenylene, and alkynylene radicals.

35 Divalent alicyclic radicals may contain one or more, e.g., one or two, alicyclic radicals; however, they contain no (hetero)aromatic or heterocyclic constituents. The alicyclic

radicals may be substituted by aliphatic radicals, but bonding sites for the $(X^3)_c$ -groups are located on the alicyclic radical.

5 Divalent aliphatic-alicyclic radicals contain not only at least one divalent aliphatic radical but also at least one divalent alicyclic radical, the two bonding sites for the $(X^3)_c$ -groups possibly being located either both on the alicyclic radical(s) or both on the aliphatic radical(s) or one on an aliphatic radical and the other on an alicyclic radical.

10 Divalent aromatic radicals may contain one or more, e.g., one or two, aromatic radicals; however, they contain no alicyclic or heterocyclic or heteroaromatic constituents. The aromatic radicals may be substituted by aliphatic and other radicals, but both bonding sites for the $(X^3)_c$ -groups are located on the aromatic radical(s).

15 Divalent araliphatic radicals contain not only at least one divalent aliphatic radical but also at least one divalent aromatic radical, the two bonding sites for the $(X^3)_c$ -groups possibly being located either both on the aromatic radical(s) or both on the aliphatic radical(s) or one on an aliphatic radical and the other on an aromatic radical.

20 Divalent heteroaromatic radicals may contain one or more, e.g., one or two, heteroaromatic radicals; however, they contain no alicyclic or heterocyclic constituents. The heteroaromatic radicals may be substituted by aliphatic and other radicals, but both bonding sites for the $(X^3)_c$ -groups are located on the heteroaromatic radical(s).

25 Divalent heteroaromatic-aliphatic radicals contain not only at least one divalent aliphatic radical but also at least one divalent heteroaromatic radical, the two bonding sites for the $(X^3)_c$ -groups possibly being located either both on the heteroaromatic radical(s) or both on the aliphatic radical(s) or one on an aliphatic radical and the other on an heteroaromatic radical.

30 In divalent metallocene groups, the two bonding sites for the $(X^3)_c$ -groups are located on one of the two aromatic rings or, preferably, on the two aromatic rings.

35 Preferred divalent aliphatic radicals A are linear or branched C_2 - C_{20} -alkylene, more preferably linear or branched C_2 - C_{10} -alkylene, even more preferably linear or branched C_2 - C_8 -alkylene and in particular linear or branched C_2 - C_6 -alkylene.

Examples of suitable C_2 - C_{20} -alkylene radicals are 1,2-ethylenediyl, 1,2- and 1,3-propanediyl, 2,2-dimethyl-1,3-propanediyl, 1,4-butanediyl, 1,5-pentanediy, hexamethylene, heptamethylene, octamethylene, nonamethylene, decamethylene, undecame-

thylene, dodecamethylene, tridecamethylene, tetradecamethylene, pentadecamethylene, hexadecamethylene, heptadecamethylene, octadecamethylene, nonadecamethylene, eicosamethylene, 2-butyl-2-ethyl-1,5-pentamethylene, 2,2,4- or 2,4,4-trimethyl-1,6-hexamethylene, 2-methylpentane-1,5-diyl, and 4-methylpentane-1,4-diyl, and the like.

Examples of suitable C₂-C₁₀-alkylene radicals are 1,2-ethylenediyl, 1,2- and 1,3-propanediyl, 2,2-dimethyl-1,3-propanediyl, 1,4-butanediyl, 1,5-pentanediy, hexamethylene, heptamethylene, octamethylene, nonamethylene, decamethylene, 2,2,4- or 2,4,4-trimethyl-1,6-hexamethylene, 2-methylpentane-1,5-diyl, and 4-methylpentane-1,4-diyl, and the like.

Examples of suitable C₂-C₈-alkylene radicals are 1,2-ethylenediyl, 1,2- and 1,3-propanediyl, 2,2-dimethyl-1,3-propanediyl, 1,4-butanediyl, 1,5-pentanediy, hexamethylene, heptamethylene, octamethylene, 2-methylpentane-1,5-diyl, and 4-methylpentane-1,4-diyl, and the like.

Examples of suitable C₂-C₆-alkylene radicals are 1,2-ethylenediyl, 1,2- and 1,3-propanediyl, 2,2-dimethyl-1,3-propanediyl, 1,4-butanediyl, 1,5-pentanediy, hexamethylene, 2-methylpentane-1,5-diyl, and 4-methylpentane-1,4-diyl, and the like.

Preferred divalent alicyclic radicals A are selected from optionally substituted C₅-C₈-cycloalkylene, optionally substituted C₅-C₈-cycloalkenylene, optionally substituted C₅-C₈-bicycloalkylene and optionally substituted C₅-C₈-bicycloalkenylene.

Examples of suitable C₅-C₈-cycloalkylene and C₅-C₈-cycloalkenylene diradicals are cyclopentanediy, such as 1,2- or 1,3-cyclopentanediy, cyclopentenediy, such as cyclopent-1-ene-1,2-diyl, cyclopent-1-ene-1,3-diyl, cyclopent-1-ene-1,4-diyl, cyclopent-1-ene-1,5-diyl, cyclopent-1-ene-3,4-diyl or cyclopent-1-ene-3,5-diyl, cyclohexanediy, such as cyclohexane-1,2-diyl, cyclohexane-1,3-diyl, or cyclohexane-1,4-diyl, cyclohexenediy, such as cyclohex-1-ene-1,2-diyl, cyclohex-1-ene-1,3-diyl, cyclohex-1-ene-1,4-diyl, cyclohex-1-ene-1,5-diyl, cyclohex-1-ene-1,6-diyl, cyclohex-1-ene-3,4-diyl, cyclohex-1-ene-3,5-diyl, cyclohex-1-ene-3,6-diyl or cyclohex-1-ene-4,5-diyl, cycloheptanediy, such as cycloheptane-1,2-diyl, cycloheptane-1,3-diyl, cycloheptane-1,4-diyl, cycloheptane-1,5-diyl, cycloheptane-1,6-diyl or cycloheptane-1,7-diyl, and cyclooctanediy, such as cyclooctane-1,2-diyl, cyclooctane-1,3-diyl, cyclooctane-1,4-diyl, cyclooctane-1,5-diyl, cyclooctane-1,6-diyl, cyclooctane-1,7-diyl or cyclooctane-1,8-diyl.

Examples of suitable C₅-C₈-bicycloalkylene and C₅-C₈-bicycloalkenylene diradicals are norbornanediyl and norbornenediyl and heteroderivatives thereof.

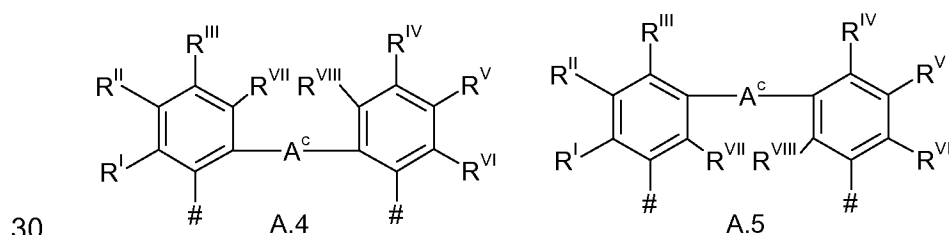
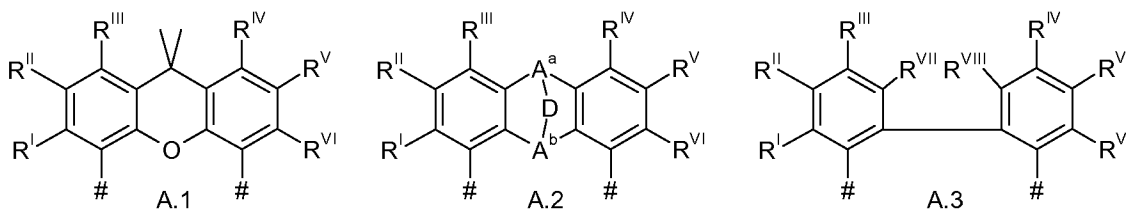
Preferred divalent aliphatic-alicyclic radicals A are selected from C₅-C₈-cycloalkylene-C₁-C₄-alkylene, C₅-C₈-cycloalkylene-C₁-C₄-alkylene-C₅-C₈-cycloalkylene, and C₁-C₄-alkylene-C₅-C₈-cycloalkylene-C₁-C₄-alkylene, it being possible for the cycloalkylene radicals to be substituted.

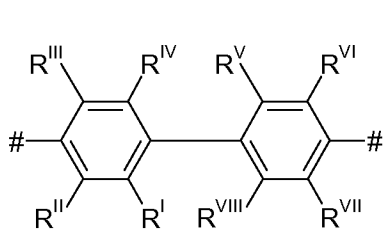
Preferred divalent aromatic radicals A are selected from optionally substituted phenylene, optionally substituted biphenylene, optionally substituted naphthylene, optionally substituted binaphthylene, optionally substituted anthracene, optionally substituted dihydroanthracene and optionally substituted bridged dihydroanthracene, where the phenylene rings in biphenylene and the naphthylene rings in binaphthylene may be bound via a bridging group.

Preferred divalent araliphatic radicals A are selected from optionally substituted phenylene-C₁-C₄-alkylene, optionally substituted phenylene-C₁-C₄-alkylene-phenylene and optionally substituted C₁-C₄-alkylene-phenylene-C₁-C₄-alkylene.

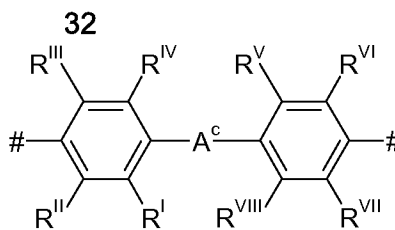
Preferred heteroaromatic radicals are optionally substituted xanthenediyl, optionally substituted acridin-diyl, optionally substituted tetrahydroacridindiyl, optionally substituted thioxanthenediyl and the like.

Preferred divalent groups are selected from C₂-C₆-alkylene, such as 1,2-ethylene, 1,3-propylene, 1,4-butylyene, 1,5-pentylene or 1,6-hexylene, ferrocene-1,1'-diyl and divalent groups selected from the formulae A.1 to A.22

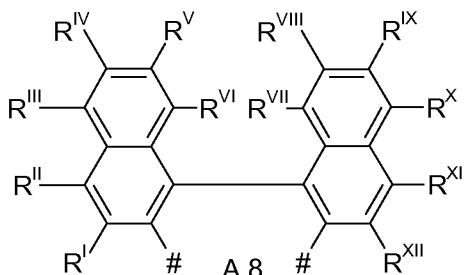




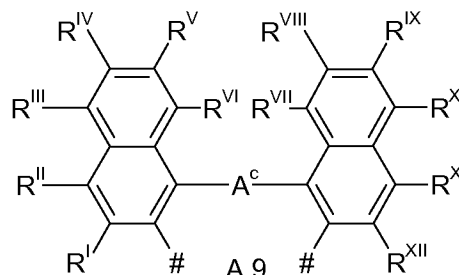
A.6



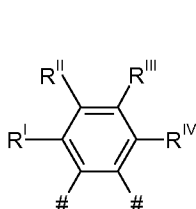
A.7



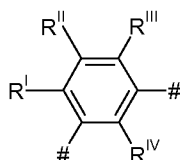
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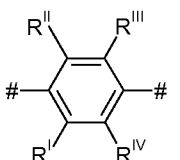
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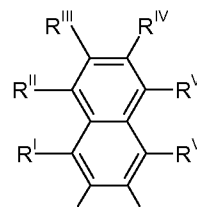
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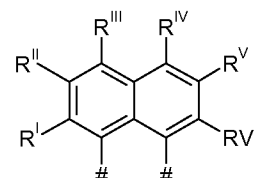
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A.12

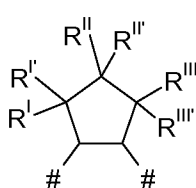


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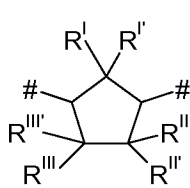


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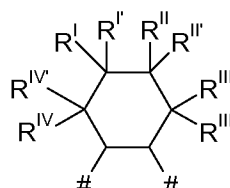
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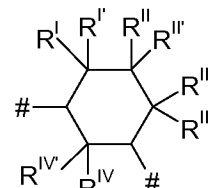
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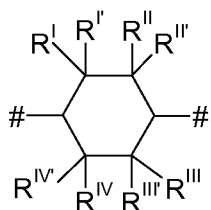
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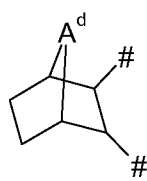
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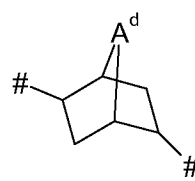
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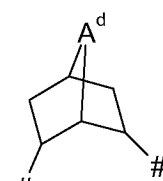
A.19



A.20



A.21



A.22

10

where

15

R^I, R^{I'}, R^{II}, R^{II'}, R^{III}, R^{III'}, R^{IV}, R^{IV'}, R^V, R^{VI}, R^{VII}, R^{VIII}, R^{IX}, R^X, R^{XI} and R^{XII} are independently of each other and independently of each occurrence, selected from hydrogen, alkyl, cycloalkyl, heterocycloalkyl, aryl, hetaryl, hydroxy, thiol, polyalkylene oxide, polyalkylenimine, alkoxy, halogen, SO₃H, sulfonate, NE²²E²³, alkylene-NE²²E²³, trifluoromethyl, nitro, alkoxy carbonyl, carboxyl, acyl or cyano,

where E^{22} and E^{23} are identical or different radicals selected from among hydrogen, alkyl, cycloalkyl and aryl,

A^c and A^d are O, S, NR^α or $SiR^\alpha R^\beta$, where

5 R^α and R^β are independently of each another selected from hydrogen, alkyl, cycloalkyl, heterocycloalkyl, aryl or hetaryl,

or A^c and A^d are a C_1 - C_4 -alkylene bridge which may have a double bond and/or an alkyl, cycloalkyl, heterocycloalkyl, aryl or hetaryl substituent,

10

or A^c and A^d are a C_2 - C_4 -alkylene bridge which is interrupted by O, S or NR^α or $SiR^\alpha R^\beta$,

where two adjacent radicals R^I to R^{VI} in the groups of the formula A.2 together with the carbon atom of the benzene ring to which they are bound may also form a fused ring system having 1, 2 or 3 further rings,

15

and two geminal radicals $R^I, R^I; R^{II}, R^{II}; R^{III}, R^{III'}$ and/or $R^{IV}, R^{IV'}$ in the groups of the formulae A.15 to A.19 may also form oxo or a ketal thereof,

20 A^a and A^b are, independently of one another, O, S, $SiR^\alpha R^\beta$, NR^γ or $CR^\delta R^\epsilon$, where

R^α , R^β and R^γ are each, independently of one another, hydrogen, alkyl, cycloalkyl, heterocycloalkyl, aryl or hetaryl,

25 R^δ and R^ϵ are, independently of one another, hydrogen, alkyl, cycloalkyl, heterocycloalkyl, aryl or hetaryl or the group R^δ together with a further group R^δ or the group R^ϵ together with a further group R^ϵ forms an intramolecular bridging group D, and

D is either not present or is CH_2 or is CH_2CH_2 .

30

Among these, preference is given to bridging groups A selected from C_2 - C_6 -alkylene, especially 1,2-ethylene, 1,3-propylene, 1,4-butylene, 1,5-pentylene and 1,6-hexylene; divalent binaphthyl groups (groups A.8 and A.9, A.8 being preferred), divalent xanthene groups (group A.1) and divalent ferrocenyl groups (where the P atoms are each bound to different cyclopentadienyl rings), where the 3 last-mentioned radicals may carry on their cyclic moieties 1, 2, 3, 4, 5 or 6 radicals selected from C_1 - C_6 -alkyl and C_1 - C_4 -alkoxy. The xanthenediyl group is preferred.

35

R^f, R^g, R^h and Rⁱ, independently of each other, are preferably selected from C₃-C₁₂-alkyl, cyclohexyl, adamantyl, phenyl, phenoxy and indolyl, where the phenyl moiety in phenyl and phenoxy and the indolyl radical may carry 1, 2 or 3 substituents selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

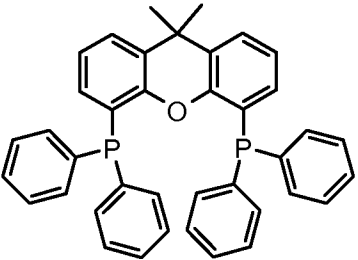
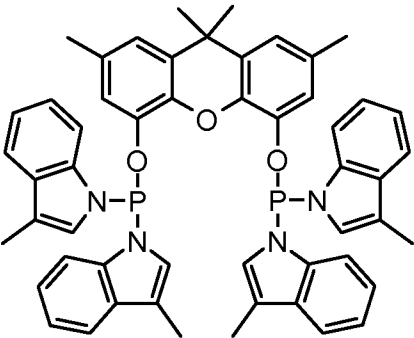
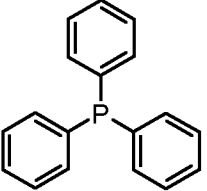
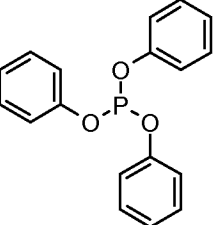
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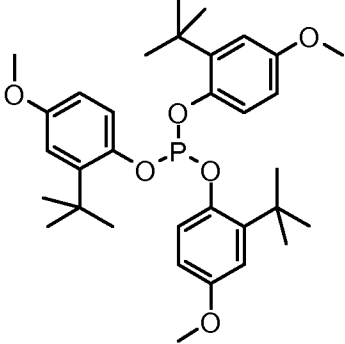
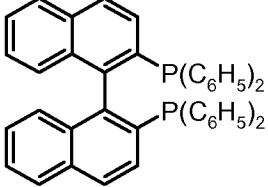
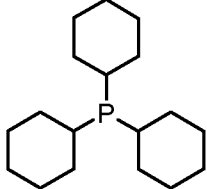
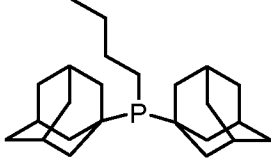
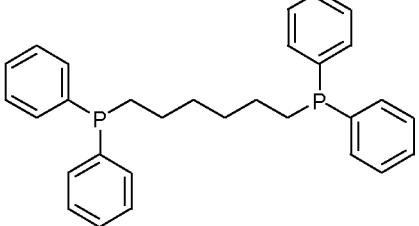
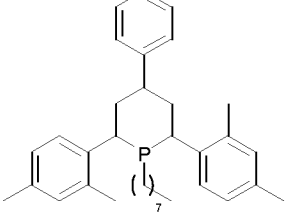
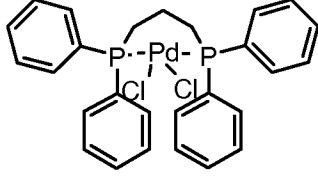
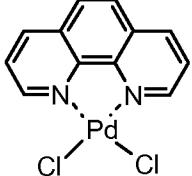
The catalysts used according to the present invention can additionally bear at least one further ligand which is preferably selected from among halides, amines, carboxylates, acetylacetonate, arylsulfonates or alkylsulfonates, hydride, CO, olefins, dienes, cycloolefines, nitriles, N-containing heterocycles, aromatics and heteroaromatics,

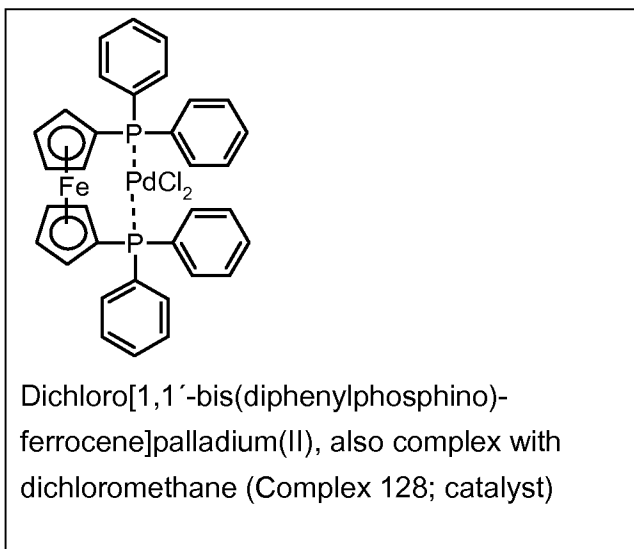
10

ethers and mixtures thereof.

Specific ligands and catalyst compounds are the following:

 <p>9,9-Dimethyl-4,5-bis(diphenylphosphino)xanthene (Xanthphos; ligand)</p>	 <p>4,5-Bis-(di-1-(3-methylindolyl)-phosphoramidit)-2,7,9,9-tetramethyl-xanthene (MeSkatOX; ligand)</p>
 <p>Triphenylphosphine (TPP; ligand)</p>	 <p>Triphenylphosphite (TPPit; ligand)</p>

 <p>Tri-(2-(1,1-dimethylethyl)-4-methoxy-phenyl)-phosphite (tBuOMeTPPit; ligand)</p>	 <p>racemic-2,2'-Bis(diphenylphosphino)-1,1'-binaphthyl (BINAP; ligand)</p>
 <p>Tricyclohexylphosphine (CyH₃P; ligand)</p>	 <p>Butyldi-1-adamantylphosphine (cataCXium; ligand)</p>
 <p>1,6-Bis(diphenylphosphino)hexane (DPPH; ligand)</p>	 <p>2,6-Bis(2,5-dimethylphenyl)-1-octyl-4-phenylphosphacyclohexan (PCH; ligand)</p>
 <p>Dichloro[1,3-bis(diphenylphosphino)propane] palladium(II) (Complex 130; catalyst)</p>	 <p>Dichloro(1,10-phenanthroline)-palladium(II) (Complex 34; catalyst)</p>



Among these, specific preference is given to Xanthphos as ligand.

Among these, specific preference is alternatively given to butyldi-1-adamantyl-
5 phosphine (cataCXium) as ligand.

Without wishing to be bound by theory, it is assumed that in general, the catalysts or
catalyst precursors form catalytically active species of the formula $H_xM_y(CO)_zL_q$, where
M is a transition metal (preferably a metal of transition group VIII), L is a ligand
10 (preferably a phosphorus-containing compound) and q, x, y, z are integers which
depend on the valence and type of the metal and on the number of coordination sites
occupied by the ligand L, under the hydroformylation conditions. z and q are preferably,
independently of one another, at least 1, e.g. 1, 2 or 3. The sum of z and q is preferably
15 from 1 to 5. The complexes can, if desired, additionally contain at least one of the
above-described further ligands.

In a preferred embodiment, the catalysts are prepared in situ in the reactor used for the
carbonylation reaction. However, if desired, the catalysts used according to the present
invention can also be prepared separately and isolated by customary methods. To
20 prepare the catalysts used according to the present invention in situ, it is possible, for
example, to react at least one ligand, a compound or a complex of a transition metal, if
desired at least one further additional ligand and, if appropriate, an activating agent in
an inert solvent under the carbonylation conditions.

25 The catalyst is preferably produced by bringing the transition metal or a salt thereof and
the ligand into contact with each other, preferably in situ. The metal is generally used
as its salt, such as the chloride, bromide, sulphate, nitrate or acetate, optionally in

combination with a simple (mostly solvent) ligand, such as cyclooctadiene (COD), or in form of another suitable compound, for example its oxide. For instance, Pd may be introduced as PdCl₂ or Pd(II) acetate or as PdCl₂-COD complex, etc.. For instance, Pt may be used as its Pt (II) chloride, etc. For instance, rhodium may be introduced as its

5 Rh(II) or Rh(III) salts, such as rhodium(III) chloride, rhodium(III) nitrate, rhodium(III) sulfate, potassium rhodium sulfate, rhodium(II) or rhodium(III) carboxylates, rhodium(II) and rhodium(III) acetate, rhodium(III) oxide, salts of rhodic(III) acid, trisammonium hexachlororhodate(III), etc. or as dicarbonylrhodium acetylacetonate, acetylacetonatobisethylenerrhodium(I), etc. Ruthenium may be introduced as

10 ruthenium(III) chloride, ruthenium(IV), ruthenium(VI) or ruthenium(VIII) oxide, alkali metals salts of ruthenium oxo acids such as K₂RuO₄ or KRuO₄ or complexes such as RuHCl(CO)(PPh₃)₃, or as carbonyls of ruthenium, for example dodecacarbonyltriruthenium or octadecacarbonylhexaruthenium or mixed forms in which CO is partly replaced by ligands of the formula PR₃, e.g. Ru(CO)₃(PPh₃)₂.

15 Suitable cobalt compounds are, for example, cobalt(II) chloride, cobalt(II) sulfate, cobalt(II) carbonate, cobalt(II) nitrate, their amine or hydrate complexes, cobalt carboxylates such as cobalt acetate, cobalt ethylhexanoate, cobalt naphthanoate, and also the cobalt-caproate complex. Here too, the carbonyl complexes of cobalt such as octacarbonyldicobalt, dodecacarbonyltetracobalt and hexadecacarbonylhexacobalt can

20 be used.

The abovementioned and further suitable compounds of transition metals, especially of group VIII transition metals are known in principle and are adequately described in the literature or can be prepared by a person skilled in the art by methods analogous to

25 those for the known compounds.

Preferably, the transition metal or its salt and the ligand are brought in a molar ratio of from 10:1 to 1:100, more preferably from 1:1 to 1:100, even more preferably from 1:1 to 1:20, particularly preferably from 1:1 to 1:10 and in particular from 1:1.5 to 1:10, e.g.

30 1:2 to 1:10 or 1:3 to 1:10, into contact with each other.

Preferably, the catalyst is used in such an amount that the metal is applied in an amount of from 0.001 to 10 mol-%, more preferably 0.01 to 5 mol-%, even more preferably 0.05 to 4 mol-%, and in particular 0.1 to 3 mol-%, relative to 100 mol-% of compound II.

35

The carbonylation reaction is preferably carried out at from 1 to 100 bar, more preferably from >1 to 50 bar, even more preferably from 1.5 to 20 bar and in particular from 2 to 15 bar.

The carbonylation reaction is preferably carried out at elevated temperature, such as 40 to 200°C, more preferably from 50 to 170 °C and in particular from 60 to 150 °C.

- 5 The carbonylation reaction is preferably carried out in the presence of a base.

Suitable bases are inorganic bases, such as alkali metal hydroxides, for example lithium, sodium or potassium hydroxide, earth alkaline metal hydroxide such as magnesium or calcium hydroxide, alkali metal carbonates, for example lithium, sodium or potassium carbonate, earth alkaline metal carbonates such as magnesium or calcium carbonates, alkali metal hydrogencarbonates, for example lithium, sodium or potassium hydrogencarbonate, earth alkaline metal hydrogencarbonates such as magnesium or calcium hydrogencarbonates, or ammonia, and organic bases, such as amines, for example aliphatic monoamines such as ethylamine, diethylamine, triethylamine, dipropylamine, tripropylamine, butylamine, diethylisopropylamine and the like, aliphatic polyamines, such as ethylene diamine, propylene diamine, butylene diamine, tetramethylethylene diamine, diethylene triamine, tetraethylene triamine and the like, aromatic amines, such as diphenyl amine, alkanol amines, such as diethanol amine and triethanolamine, nitrogen-containing heterocyclic compounds, such as piperidine, piperazine, morpholine, pyridine, lutidine, picoline and the like, and alkoxides, such as sodium methoxide, sodium ethoxide, sodium propoxide or potassium tert-butanolate. Among the inorganic bases, preference is given to the carbonates, especially to sodium or potassium carbonate. Among the organic bases, amines and especially aliphatic mono- and polyamines, preferably diamines, are preferred. Among organic and inorganic bases, more preference is given to organic bases, among these amines and especially aliphatic mono- and polyamines, preferably diamines, being preferred.

The base is preferably used in an amount of 0.1 to 10, more preferably 0.5 to 5, and in particular 0.5 to 2 mole equivalents, relative to 1 mole of compound II. "Equivalents" in this case refers to the fact that some bases can accept more than one proton. For example a diamine can accept two protons and thus 1 mole of diamine relative to 1 mole of compound II corresponds to two base equivalents.

The carbonylation reaction is preferably carried out in a suitable solvent. Suitable solvents are those which dissolve sufficiently the reactants and do not negatively influence the reaction. Examples are aliphatic hydrocarbons, such as pentane, hexane, heptane, octane and petrolether, cycloaliphatic hydrocarbons, such as cyclohexane and cyclooctane, aromatic hydrocarbons, such as benzene, toluene, the xylenes, nitrobenzene, chlorobenzene and the dichlorobenzenes, chlorinated alkanes, such as dichloro-

methane, chloroform, chloroethane and dichloroethane, ethers, such as diethylether, dipropylether, methyl-tert-butyl ether, metylisobutyl ether, tetrahydrofuran or dioxane, ketones, such as acetone, diethylketone or cyclohexanone, esters, such as ethylacetate, propylacetate, butylacetate, ethylpropionate or propylpropionate, amides, such as dimethylformamide or dimethylacetamide, dimethylsulfoxide, N-methylpyrrolidone and the like.

Alternatively, one of the above-listed amines (if this is liquid under the given reaction conditions) may be used as solvent.

However, preference is given to the above aromatic hydrocarbons and amides, toluene and DMF being specifically preferred.

The carbonylation reaction can be carried out in reaction vessels customary for such reactions, the reaction being configurable continuously, semicontinuously or batchwise. If the carbonylation is carried out under positive pressure, it is suitably carried out in a reactor which can be pressurized, such as a pressure vessel, an autoclave or a pressurized reactor.

The reaction can for example be carried out by bringing the starting compound II, the catalyst and optionally a base in a reaction vessel into contact with each other, preferably in a solvent. The catalyst is either prepared previously in a separate step or acquired commercially, or is preferably prepared in situ by bringing a suitable transition metal compound, preferably a salt thereof, in the reaction vessel into contact with the desired ligand. Then hydrogen and carbon monoxide are introduced in the desired ratio until the desired pressure is reached. Alternatively, the desired pressure, if it is excess pressure, can also be obtained by introducing an inert gas, such as nitrogen, so that hydrogen and carbon can be used in a smaller amount without being wasted for the production of the required pressure. Hydrogen and carbon monoxide can be introduced either separately or as a mixture. The whole amount of hydrogen and carbon monoxide can be introduced from the beginning or the gases can be introduced by degrees during a part or the whole duration of the reaction, for example depending on consumption. The reaction is heated to the desired reaction temperature. Heating can be started yet during the mixing of the compound II, the catalyst and the optional base, during the introduction of hydrogen and carbon monoxide or only after all reagents (inclusive hydrogen and carbon monoxide) are present in the reaction vessel.

After completion of the reaction, the reaction vessel is generally cooled, if necessary, depressurized, if necessary, and the product is worked-up by customary methods, if

desired, such as removing the catalyst, neutralizing optionally present amine, removing the solvent and if desired subjecting the obtained product to a purification step, such as chromatographic methods, recrystallization, extraction and the like.

- 5 For the production of compound III in process B, the carbonyl compound I obtained in the carbonylation reaction is converted into the imine compound III.

In case R¹ in compound III is H, compound I can for example be directly reacted with a compound NH₂-Y-R².

10

Compound I and the aminic compound NH₂-Y-R² are preferably used in a molar ratio of from 5:1 to 1:20, more preferably 1.5:1 to 1:10, even more preferably 1:1 to 1:5 and in particular 1:1 to 1:2.

- 15 This imination reaction can be carried out in the presence or absence of an acid. In general, the presence of an acid is dispensable if NH₂-Y-R² is an amine, i.e. Y is a bond and R² is C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl
 20 which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; or a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected
 25 from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰. In all other cases, and especially if Y is O, N-R³ or S(O)_n and R² has one of the above-given general definitions or if Y is a bond and R² is -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹ or
 30 -C(=NR⁸)R⁶, it is preferred to carry out the imination step in the presence of an acid.

Suitable acids are mineral acids, such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid or phosphoric acid, as well as organic acids, such as acetic acid, methylsulfonic acid or toluene sulfonic acid. Among these, preference is given to organic
 35 acids.

Especially in case that NH₂-Y-R² is a semicarbazide (Y is NR³ and R² is -C(O)NR⁸R⁹) it is preferred to carry out the imination reaction in the presence of an acid and especially

of acetic acid. In this specific case, the semicarbazide is preferably used in the form of its hydrochloride which is converted into the acetate in the presence of acetic acid.

- Alternatively, in case that $\text{NH}_2\text{-Y-R}^2$ is a semicarbazide (Y is NR^3 and R^2 is -
5 $\text{C(O)NR}^8\text{R}^9$) it is preferred to use the semicarbazide in the form of its hydrochloride which is converted into the acetate in the presence of acetic acid or sodium acetate.

The reaction may be carried out in a suitable solvent. Suitable solvents are all solvents listed above for the carbonylation reaction and also protic solvents, such as alcohols,
10 for instance monobasic alcohols, e.g. methanol, ethanol, propanol, isopropanol, n-butanol, sec-butanol, isobutanol, tert-butanol or cyclohexanol, or di- or polybasic alcohols, such as glycols, e.g. ethylene glycol, propylene glycol, diethylene glycol, triethyleneglykol and the like.

- 15 If the aminic compound $\text{NH}_2\text{-Y-R}^2$ is liquid under the given reaction conditions, it may be used as a solvent, too. However it is preferred to use one of the above-listed solvents. Among these, preference is given to the above alcohols. A specific solvent is ethanol.

- 20 The imination reaction is preferably carried out at elevated temperatures, e.g. in the range of from 30 to 150 °C, preferably from 40 to 120 °C and in particular from 50 to 100 °C.

The water formed during the imination reaction may be removed in order to assist the
25 reaction, e.g. by distilling it off or by using a water trap, but generally this is not necessary as the reaction mostly proceeds fast enough.

The work-up of the reaction can be carried out by customary means, such as neutralization of the acid, if present and removal of solvent and excess aminic compound $\text{NH}_2\text{-Y-R}^2$ or by isolating the desired compound III from the reaction mixture, e.g. by extraction or crystallization methods.
30

The preparation of compounds III, wherein R^1 is hydrogen can also be effected as a one-step (or one-pot) reaction by reacting the compound II with carbon monoxide and
35 hydrogen in the presence of a transition metal complex and of the aminic compound $\text{NH}_2\text{-Y-R}^2$. This variant is especially interesting if basic aminic compounds $\text{NH}_2\text{-Y-R}^2$ are used, i.e. compounds wherein NH_2 or NR^3 are not directly neighboured to a CO, CS, S(O)_m or another electron-withdrawing group. If the aminic compound $\text{NH}_2\text{-Y-R}^2$ is

a simple and inexpensive amine, it may also replace the base optionally used in the carbonylation reaction.

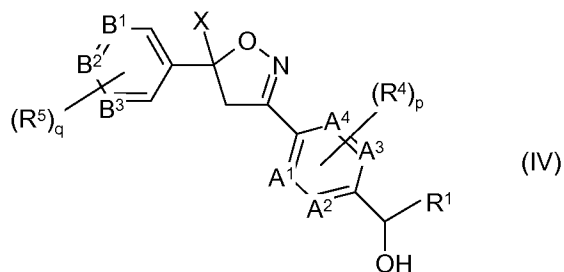
5 For preparing compounds III wherein R¹ is not H, the compound I may be first subjected to a derivatization reaction on the aldehyde group before it is subjected to the imination reaction. For instance, the compound I may be reacted in a Grignard reaction with a Grignard reagent R¹-MgCl, R¹-MgBr or R¹-MgI, or may be reacted with another organometallic compound, such as an organic lithium compound R¹-Li. Preferably, R¹-MgCl or R¹-MgBr is used.

10

The Grignard reagent is generally prepared shortly before the reaction with compound I by reacting a halogenide R¹-Cl, R¹-Br or R¹-I with magnesium. Magnesium and halogenide are generally used in an approximately equimolar ratio. The reaction is generally carried out under customary conditions for this reaction type, i.e. in an inert, anhydrous and also alcohol-free solvent, such as anhydrous and alcohol-free ethers, e.g. diethylether, dibutylether, tetrahydrofuran or anisol, preferably under an inert atmosphere, such as argon or nitrogen. Generally, magnesium is placed in the inert solvent and the halogenide is added by degrees. The halogenide is generally added at such a rate that the reaction mixture refluxes smoothly. After completion of the addition the reaction is generally heated until all magnesium has dissolved. The obtained solution of the Grignard reagent may be used as such or diluted with another solvent which is inert for the following Grignard reaction, such as an aromatic hydrocarbon, e.g. toluene.

25 For the reaction with compound I may be carried out by either adding the Grignard reagent or another organometallic compound to the compound I or vice versa by adding compound I to the Grignard reagent or another organometallic compound. The reagents are generally present in an inert solvent, such as the above-named ethers or aromatic hydrocarbons. The reaction temperature depends on the reagents' reactivity and can vary in large ranges such as -80°C to the boiling point of the reaction mixture. 30 After completion of the reaction the mixture is quenched, e.g. by the addition of water or an acidic solution, such as diluted hydrochloric acid or aqueous ammoniumchloride.

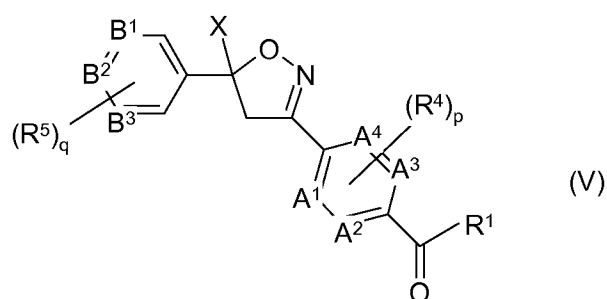
The reaction yields an alcohol IV



This can be isolated from the reaction by customary methods, such as extraction or crystallization.

5

The alcohol is then oxidized to the ketone V



- 10 Oxidation can principally be carried out by using virtually all oxidizing reagent known for such systems, such as chromium compounds, especially Cr(VI) compounds, e.g. chromic acid, potassium dichromate, potassium dichromate/sulfuric acid, chromium trioxide, chromium trioxide/sulphuric acid/acetone, chromium trioxide/pyridinium complex or pyridinium chlorochromate, manganese compounds, such as potassium permanganate
- 15 or manganese dioxide MnO₂, DMSO/oxalyl chloride (Swern reagent), halogen compounds, such as hypohalogenic acid or Dess-Martin-periodinane (DMP), tetrapropylammonium perruthenate (TPAP) or N-methylmorpholine oxide (NMO).

Specifically DMP is used.

20

The reaction conditions depend on the oxidation reagent used.

The ketone V can then be subjected to an imination reaction as described above for the compounds I wherein R¹ is H.

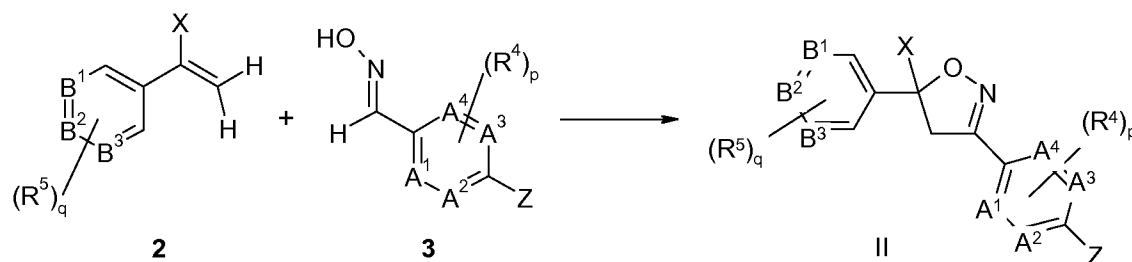
25

The compound of formula II can be prepared by cycloaddition of styrene compounds of formula 2 with nitrile oxides derived from oximes of formula 3 as outlined in scheme 1. The reaction typically proceeds through the intermediacy of an in situ generated hydroxamic acid chloride by reaction with chlorine, hypochlorite, N-succinimide or chlor-

amine-T. The hydroxamic acid chloride is combined with the oxime in the presence of styrene 2. Depending on the conditions, amine bases, such as pyridine or triethylamine may be necessary. The reaction can be run in a wide variety of solvents including DMF, toluene, dichloromethane, chlorobenzene, acetonitrile or the like.

5

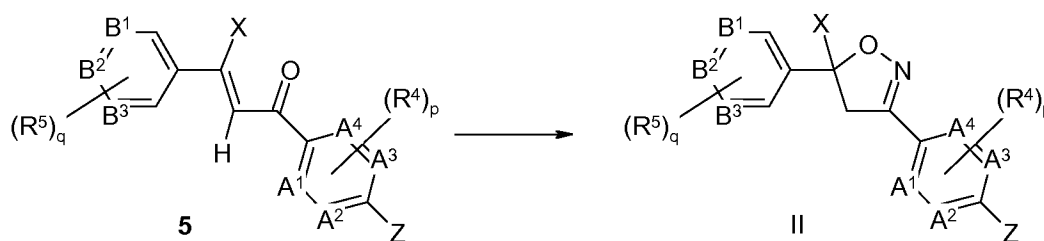
Scheme 1



10 Compounds of formula II can also be prepared as outlined in scheme 2 by reacting enones of formula 4 with hydroxylamine. The preparation of compounds 4 is, for example, described in WO 2007/074789.

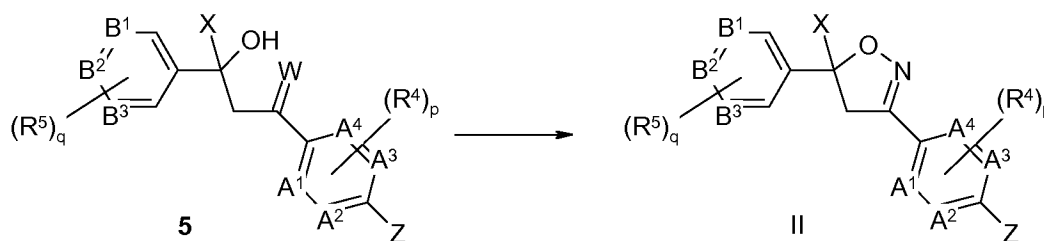
Scheme 2

15



20 Compounds of formula II can also be prepared as outlined in scheme 3 by reacting ketones or thioketones 5 (W = O or S) with hydroxylamine. The preparation of compounds of type 5 is described, for example, in WO 2007/074789.

Scheme 3



25

The process of the invention is particularly useful for producing compounds I and III and starting from compound II, wherein the variables have the following preferred meanings:

5 Preferably, at most two of A^1 , A^2 , A^3 and A^4 are N. In one embodiment, A^1 , A^2 , A^3 and A^4 are CH. In an alternative embodiment, A^1 , A^3 and A^4 are CH and A^2 is N. In an alternative embodiment, A^1 and A^4 are CH and A^2 and A^3 are N. In an alternative embodiment, A^1 and A^2 are CH and A^3 and A^4 are N. In an alternative embodiment, A^2 and A^4 are CH and A^1 and A^3 are N.

10

More preferably, A^4 is CH.

More preferably, A^1 and A^3 are CH.

15 Even more preferably, A^1 , A^3 and A^4 are CH and A^2 is CH or N and in particular CH. Specifically, all A^1 , A^2 , A^3 and A^4 are CH.

In a preferred embodiment, the ring comprising the groups A^1 , A^2 , A^3 or A^4 as ring members carries 0, 1 or 2, preferably 1 or 2 substituents R^4 . In other words, p is preferably 0, 1 or 2, more preferably 1 or 2. In case A^2 is CH and p is 1, the substituent R^4 is preferably bound on the position of A^2 (or A^3 , which is interchangeable with A^2 in case all of A^1 , A^2 , A^3 and A^4 are CH). In other words, A^2 is in this case preferably C- R^4 . In case A^2 is N and p is 1, the substituent R^4 is preferably bound on the position of A^3 . In other words, A^3 is in this case preferably C- R^4 .

25

In case p is 2, two substituents R^4 bound on adjacent carbon atoms preferably form together a group selected from $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$ and $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$ and more preferably $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$, thus yielding a fused phenyl ring.

30 Specifically, A^1 , A^3 and A^4 are CH and A^2 is C- R^4 . Alternatively A^3 and A^4 are CH and A^1 and A^2 are C- R^4 .

Preferably, at most one of B^1 , B^2 and B^3 is N. More preferably, B^1 , B^2 and B^3 are CH or B^1 and B^2 are CH and B^3 is N. Specifically, B^1 , B^2 and B^3 are CH.

35

q is preferably 0, 1, 2 or 3, more preferably 1, 2 or 3, even more preferably 2 or 3. If q is 3 and B^1 , B^2 and B^3 are CH, then the three substituents R^5 are preferably bound in the positions of B^1 , B^2 and B^3 ; B^1 , B^2 and B^3 thus being C- R^5 . If q is 2 and B^1 , B^2 and B^3 are CH, then the two substituents R^5 are preferably bound in the positions of B^1 and B^3 ; B^1

and B³ thus being C-R⁵. B² in this case is preferably CH. In case B¹ and B² are CH and B³ is N, q is preferably 1. In this case, R⁵ is preferably bound in the position of B¹, B¹ thus being C-R⁵.

- 5 Specifically, B¹, B² and B³ are CH and q is 2 or 3, where in case q is 2, the two substituents R⁵ are bound in the positions of B¹ and B³; B¹ and B³ thus being C-R⁵, and where in case q is 3, the three substituents R⁵ are bound in the positions of B¹, B² and B³; B¹, B² and B³ thus being C-R⁵.
- 10 X is preferably selected from the group consisting of C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-haloalkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl and C₃-C₆-halocycloalkyl. More preferably, X is selected from the group consisting of C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₃-C₆-cycloalkyl and C₃-C₆-halocycloalkyl. Even more preferably, X is selected from the group consisting of C₁-C₄-alkyl and C₁-C₄-haloalkyl. In particular, X is
- 15 C₁-C₄-haloalkyl, specifically C₁-C₂-haloalkyl and more specifically halomethyl, in particular fluoromethyl, such as fluoromethyl, difluoromethyl and trifluoromethyl, and is very specifically trifluoromethyl.

Y is preferably O, NR³ or a chemical bond.

20

In one preferred embodiment, Y is O.

In an alternatively preferred embodiment, Y is NR³. R³ has one of the meanings given above or preferably one of the preferred meanings given below.

25

In an alternatively preferred embodiment, Y is a chemical bond.

More preferably, Y is O or NR³. R³ has one of the meanings given above or preferably one of the preferred meanings given below.

30

Specifically, Y is NR³ and very specifically NH.

- Preferably, R¹ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more,
- 35 e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₁-C₁₀-alkoxy; C₁-C₁₀-haloalkoxy; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals

- R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-
- 5 membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰;
- 10 where R⁷, R⁸, R⁹ and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below and R⁶¹ is hydrogen or has one of the meanings given above or in particular is hydrogen or has one of the preferred meanings given below for R⁶.
- 15 Even more preferably, R¹ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₁-C₁₀-alkoxy; C₁-C₁₀-haloalkoxy; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more
- 20 preferably 1, radicals R⁶, and -C(=O)R⁶; where R⁶ has one of the meanings given above or in particular one of the preferred meanings given below.

In particular, R¹ is selected from the group consisting of hydrogen, cyano, C₁-C₁₀-alkyl, preferably C₁-C₆-alkyl, more preferably C₁-C₄-alkyl, which may be partially or fully halo-

25 genated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₆-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, especially cyclopropyl; C₁-C₄-alkoxy; C₁-C₄-haloalkoxy, and -C(=O)R⁶; where R⁶ has one of the meanings given above or in particular one of

30 the preferred meanings given below.

Specifically, R¹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by 1 or 2, preferably 1, radicals R⁶, and C₃-C₆-cycloalkyl, especially cyclopropyl, which may be partially or fully

35 halogenated and/or may be substituted by 1 or 2, preferably 1, radicals R⁶, more specifically from hydrogen, C₁-C₆-alkyl and C₃-C₆-cycloalkyl, especially cyclopropyl and very specifically from hydrogen and C₁-C₆-alkyl, more specifically hydrogen and methyl.

In case R¹ is selected from C₁-C₁₀-alkyl, preferably C₁-C₆-alkyl, more preferably C₁-C₄-alkyl, which is substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, R⁶ is more preferably selected from C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, more preferably from a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, even more preferably from a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰, in particular from a 5- or 6-membered heteroaromatic ring containing 1 heteroatom selected from N, O and S and optionally 1 or two further N atoms, as ring members, where the heteroaromatic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰, and is specifically 6-membered heteroaromatic ring selected from pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl and 1,3,5-triazinyl, preferably from pyridyl and pyrimidinyl, where the heteroaromatic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰,

where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.

Preferably, R² is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered satu-

rated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰;

5

with the proviso that R² is not -OR⁷ if Y is O.

or R² and R³ together form a C₂-C₇ alkylene chain, thus forming, together with the nitrogen atom to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where the
 10 alkylene chain may be interrupted by 1 or two O, S and/or NR¹⁸ and/or 1 or 2 of the CH₂ groups of the alkylene chain may be replaced by a group C=O, C=S and/or C=NR¹⁸; and/or the alkylene chain may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals selected from the group consisting of halogen, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-
 15 haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be
 20 substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,

where R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴ and R¹⁸ have one of the meanings given above or in particular one of the preferred meanings given below and R⁶¹ is hydrogen or has
 25 one of the meanings given above or in particular is hydrogen or has one of the preferred meanings given below for R⁶.

In case Y is a chemical bond, R² is more preferably selected from a substituent bound via a heteroatom, such as -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -OR⁷; -SR⁷; -S(O)_mR⁷;
 30 -S(O)_nN(R⁸)R⁹ and an N-bound 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1 N atom as ring member and optionally 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, where R⁶, R⁷, R⁸, R⁹
 35 and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below.

In case Y is a chemical bond, R² is even more preferably selected from -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -OR⁷; -SR⁷; -S(O)_mR⁷ and S(O)_nN(R⁸)R⁹, in particular from -N(R⁸)R⁹;

$-N(R^8)C(=O)R^6$; $-OR^7$ and $-SR^7$, and specifically from $-N(R^8)R^9$; $-N(R^8)C(=O)R^6$ and $-OR^7$, where R^6 , R^7 , R^8 and R^9 have one of the meanings given above or in particular one of the preferred meanings given below.

- 5 In case Y is not a chemical bond, R^2 is more preferably selected from the group consisting of hydrogen; C_1 - C_{10} -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_3 - C_8 -cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_2 - C_{10} -alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_2 - C_{10} -alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ;
 10 $-C(=O)R^6$; $-C(=O)OR^7$; $-C(=O)N(R^8)R^9$; $-C(=S)R^6$; $-C(=S)OR^7$; $-C(=S)N(R^8)R^9$; $-C(=NR^8)R^6$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} ; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^{10} ,

20

where R^6 , R^7 , R^8 , R^9 and R^{10} have one of the meanings given above or in particular one of the preferred meanings given below.

- In case Y is not a chemical bond, R^2 is even more preferably selected from the group consisting of hydrogen; C_1 - C_{10} -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_3 - C_8 -cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; $-C(=O)R^6$; $-C(=O)OR^7$; $-C(=O)N(R^8)R^9$; $-C(=S)R^6$; $-C(=S)OR^7$,
 25 $-C(=S)N(R^8)R^9$; $-C(=NR^8)R^6$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} ; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals
 30 R^{10} ,

35

where R^6 , R^7 , R^8 , R^9 and R^{10} have one of the meanings given above or in particular one of the preferred meanings given below.

In case Y is not a chemical bond, R² is in particular selected from the group consisting of hydrogen; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶, phenyl which may be substituted by 1, 2, 3, 4 or 5, preferably 1 or 2 and in particular 1, radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,

where R⁶, R⁷, R⁸, R⁹ and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below.

In case Y is not a chemical bond, R² is more particularly selected from the group consisting of hydrogen; C₁-C₁₀-alkyl, preferably C₁-C₄-alkyl, which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -C(=O)R⁶, -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)N(R⁸)R⁹; and -C(=NR⁸)R⁶, where R⁶, R⁷, R⁸ and R⁹ have one of the meanings given above or in particular one of the preferred meanings given below.

In case Y is not a chemical bond, R² is specifically selected from the group consisting of hydrogen; C₁-C₄-alkyl, C₁-C₄-haloalkyl, in particular C₁-C₄-fluoroalkyl, C₁-C₄-alkyl which is substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^{6a}; -C(=O)R^{6b}, -C(=O)OR⁷, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶ and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, where

R^{6a} is selected from CN, -C(=O)R^{6b}; -C(=O)N(R⁸)R⁹, -C(=O)OR⁷; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, preferably from a 3-,

4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, more preferably from a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰, in particular from a 5- or 6-membered heteroaromatic ring containing 1 heteroatom selected from N, O and S and optionally 1 or two further N atoms, as ring members, where the heteroaromatic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰, and is specifically 6-membered heteroaromatic ring selected from pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl and 1,3,5-triazinyl, preferably from pyridyl and pyrimidinyl, where the heteroaromatic ring may be substituted by one or more, e.g. 1, 2 or 3, preferably 1 or 2, more preferably 1, radicals R¹⁰, where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below; and

R^{6b} is selected from hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₆-cycloalkyl, or has one of the meanings given for R^{6a};
 where R⁶, R⁷, R⁸ and R⁹ have one of the meanings given above or in particular one of the preferred meanings given below.

More specifically, R² is selected from the group consisting of hydrogen; C₁-C₄-alkyl; C₁-C₄-haloalkyl; a methyl group substituted by a radical R^{6a} selected from CN, phenyl, which may carry 1, 2 or 3 substituents R^{10a}, -C(=O)R^{6b}; -C(=O)N(R^{8a})R^{9a} and -C(=O)OR^{7a}; -C(=O)R^{6c}; -C(=O)N(R^{8a})R^{9a}; -C(=S)N(R^{8a})R^{9a}; -C(=NR^{8a})R^{6d} and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,

where

R^{6b} and R^{6c} are independently selected from C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₃-C₆-cycloalkyl, phenyl, benzyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclyl rings in the three last-mentioned radicals may carry 1, 2 or

- 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy;
- R^{6d} is selected from N(R^{8a})R^{9a};
- 5 R^{7a} is selected from hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl, benzyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclyl rings in the three last-mentioned radicals may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy;
- 10 each R^{8a} is independently selected from hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₄-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-halocycloalkyl-C₁-C₄-alkyl, -S(O)_mR²⁰, -
- 15 S(O)_nN(R²¹)R²², phenyl, benzyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclyl rings in the three last-mentioned radicals may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy;
- 20 each R^{9a} is independently selected from hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-halocycloalkyl-C₁-C₄-alkyl, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², phenyl, benzyl and a 5- or
- 25 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclyl rings in the three last-mentioned radicals may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy; or
- 30 R^{8a} and R^{9a} together form a group =CR¹¹R¹²; or
- R^{8a} and R^{9a}, together with the nitrogen atom to which they are bound, form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the
- 35 heterocyclic ring may be substituted by one or more radicals R¹⁰;
- and
- R^{10a} is selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy;

where R^{10} , R^{11} , R^{12} and R^{19} have one of the general meanings given above or in particular one of the preferred meanings given below.

In the above preferred embodiment of R^2 , R^{11} is preferably hydrogen or methyl and R^{12} is preferably C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, $-C(=O)R^{19}$, $-C(=O)OR^{20}$, or $-C(=O)N(R^{21})R^{22}$.

In the above preferred embodiment of R^2 , R^{9a} , if it does not form together with R^{8a} a group $=CR^{11}R^{12}$ or together with R^{8a} and the N atom to which they are bound a heterocyclic ring, is preferably selected from hydrogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, cyclopropyl, C₁-C₄-alkylcarbonyl, C₁-C₄-haloalkylcarbonyl, C₁-C₄-alkoxycarbonyl and C₁-C₄-haloalkoxycarbonyl and is more preferably hydrogen or C₁-C₄-alkyl.

In the above preferred embodiment of R^2 , R^{9a} , if it does not form together with R^{9a} a group $=CR^{11}R^{12}$ or together with R^{9a} and the N atom to which they are bound a heterocyclic ring, is preferably selected from CN, C₁-C₆-alkyl; C₁-C₆-haloalkyl; C₁-C₄-alkyl which carries one radical R^{19} ; C₂-C₆-alkenyl; C₂-C₆-haloalkenyl; C₂-C₄-alkenyl which is substituted by one radical R^{19} ; C₃-C₆-cycloalkyl; C₃-C₆-halocycloalkyl; C₃-C₆-cycloalkyl-C₁-C₄-alkyl; C₃-C₆-halocycloalkyl-C₁-C₄-alkyl; C₃-C₈-cycloalkyl which carries one radical R^{19} , $-S(O)_mR^{20}$; $-S(O)_nN(R^{21})R^{22}$; phenyl; benzyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclic rings in the three last-mentioned radicals may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy.

If R^{8a} and R^{9a} , together with the nitrogen atom to which they are bound, form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, this is preferably a 3, 5 or 6-membered saturated heterocyclic ring which may additionally containing 1 further heteroatom or heteroatom group selected from N, O, S, NO, SO and SO₂, as ring member.

In a particularly preferred embodiment of the invention, the combination of Y and R^2 is $NR^3-CO-N(R^8)R^9$. In this case, R^3 is preferably selected from hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkylcarbonyl, C₁-C₄-haloalkylcarbonyl, C₁-C₄-alkoxycarbonyl and C₁-C₄-haloalkoxycarbonyl and is more preferably H or C₁-C₄-alkyl, and R^8 and R^9 have

preferably one of the preferred meanings given below for R^8 and R^9 or have more preferably one of the general or preferred meanings given above for R^{8a} and R^{9a} .

5 In an alternatively particularly preferred embodiment of the invention, the combination of Y and R^2 is $NR^3-CS-N(R^8)R^9$. In this case, R^3 is preferably selected from hydrogen, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkylcarbonyl, C_1-C_4 -haloalkylcarbonyl, C_1-C_4 -alkoxycarbonyl and C_1-C_4 -haloalkoxycarbonyl and is more preferably H or C_1-C_4 -alkyl, and R^8 and R^9 have preferably one of the preferred meanings given below for R^8 and R^9 or have more preferably one of the general or preferred meanings given above for R^{8a} and R^{9a} .

15 In an alternatively particularly preferred embodiment of the invention, the combination of Y and R^2 is NR^3-CO-R^6 . In this case, R^3 is preferably selected from hydrogen, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkylcarbonyl, C_1-C_4 -haloalkylcarbonyl, C_1-C_4 -alkoxycarbonyl and C_1-C_4 -haloalkoxycarbonyl and is more preferably H or C_1-C_4 -alkyl, and R^6 has preferably one of the preferred meanings given below for R^6 or has more preferably one of the general or preferred meanings given above for R^{6b} or R^{6c} . Specifically, R^6 is in this case selected from C_3-C_6 -cycloalkyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclyl ring may carry 1, 2 or 3 substituents selected from halogen, CN, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkoxy and C_1-C_4 -haloalkoxy.

25 In an alternatively particularly preferred embodiment of the invention, the combination of Y and R^2 is NR^3-R^2 , where R^2 is a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclyl ring may carry 1, 2 or 3 substituents selected from halogen, CN, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -haloalkoxy and phenyl.

30 Preferably R^3 is selected from the group consisting of hydrogen; cyano; C_1-C_{10} -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_3-C_8 -cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_2-C_{10} -alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; C_2-C_{10} -alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; $-N(R^8)R^9$; $-Si(R^{14})_2R^{13}$; $-OR^7$; $-SR^7$;

-S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N,
 5 O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰;

or R² and R³ together form a group =CR¹¹R¹²; =S(O)_mR⁷; =S(O)_mN(R⁸)R⁹; =NR⁸; or
 10 =NOR⁷;

or R² and R³ together form a C₂-C₇ alkylene chain, thus forming, together with the nitrogen atom to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where the alkylene chain may be interrupted by 1 or two O, S and/or NR¹⁸ and/or 1 or 2 of the
 15 CH₂ groups of the alkylene chain may be replaced by a group C=O, C=S and/or C=NR¹⁸; and/or the alkylene chain may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals selected from the group consisting of halogen, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl,
 20 C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,
 25

where R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴ and R¹⁸ have one of the meanings given above or in particular one of the preferred meanings given below.

30 More preferably, R³ is selected from the group consisting of hydrogen; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₁₀-alkenyl which
 35 may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may

be substituted by 1, 2, 3, 4 or 5 radicals R^{10} ; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^{10} ,

where R^6 , R^7 , R^8 , R^9 and R^{10} have one of the meanings given above or in particular one of the preferred meanings given below.

Even more preferably, R^3 is selected from the group consisting of hydrogen; C_1 - C_{10} -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; $-C(=O)R^6$; $-C(=O)OR^7$; $-C(=O)N(R^8)R^9$; $-C(=S)R^6$; $-C(=S)OR^7$; $-C(=S)N(R^8)R^9$ and $-C(=NR^8)R^6$; where R^6 , R^7 , R^8 and R^9 have one of the meanings given above and in particular one of the preferred meanings given below.

In particular, R^3 is selected from the group consisting of hydrogen; C_1 - C_6 -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; $-C(=O)R^6$ and $-C(=O)N(R^8)R^9$; where R^6 , R^8 and R^9 have one of the meanings given above and in particular one of the preferred meanings given below. Preferably, in this case, R^6 as a C_1 - C_6 -alkyl substituent, is selected from CN, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio and a 5- or 6-membered hetaryl ring containing 1, 2 or 3 heteroatoms selected from N, O and S as ring members and being optionally substituted by 1, 2 or 3 radicals R^{10} . In this case, R^6 as a CO substituent, is preferably selected from C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -haloalkoxy. In this case, R^8 and R^9 are preferably selected from hydrogen and C_1 - C_6 -alkyl.

More particularly, R^3 is selected from the group consisting of hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl and $-C(=O)R^6$, and is specifically selected from the group consisting of hydrogen, C_1 - C_4 -alkyl and C_1 - C_4 -haloalkyl, where R^6 has one of the meanings given above or in particular one of the preferred meanings given below and is specifically hydrogen or C_1 - C_4 -alkyl. Very specifically, R^3 is hydrogen.

Specifically, in the group $-C(R^1)=N-Y-R^2$, R^1 is hydrogen or C_1 - C_4 -alkyl, Y is NH and R^2 is $C(=O)NR^8R^9$, $C(=S)NR^8R^9$ or $C(=O)R^6$, where R^6 , R^8 and R^9 have preferably one of the preferred meanings given below for R^8 and R^9 or have more preferably one of the general or preferred meanings given above for R^{6b} , R^{6c} , R^{8a} and R^{9a} , or R^2 is a 5- or 6-

5 membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclyl ring may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and phenyl.

10 Preferably, each R⁴ is independently selected from Cl; F; cyano; nitro; -SCN; SF₅; C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -OS(O)_nR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; C(=O)R⁶; -C(=O)OR⁷; -C(=NR⁸)H; -C(=NR⁸)R⁶; -C(=O)N(R⁸)R⁹; C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰;

25 or two radicals R⁴ bound on adjacent carbon atoms may be together a group selected from -CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-, -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-, -CH₂OCH₂CH₂-, -OCH₂CH₂O-, -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-, -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-, -CH₂C(=O)O-, -C(=O)OCH₂-, -O(CH₂)O-, -SCH₂CH₂CH₂-, -SCH=CHCH₂-, -CH₂SCH₂CH₂-, -SCH₂CH₂S-, -SCH₂SCH₂-, -CH₂CH₂S-, -CH=CHS-, -CH₂SCH₂-, -CH₂C(=S)S-, -C(=S)SCH₂-, -S(CH₂)S-, -CH₂CH₂NR⁸-, -CH₂CH=N-, -CH=CH-NR⁸-, -OCH=N-, and -SCH=N-, thus forming, together with the carbon atoms to which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the above groups may be replaced by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, substituents selected from halogen, methyl, halomethyl, hydroxyl, methoxy and halomethoxy or one or more, e.g. 1 or 2, CH₂ groups of the above groups may be replaced by a C=O group,

35 where R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹³ and R¹⁴ have one of the meanings given above or in particular one of the preferred meanings given below.

More preferably, each R⁴ is independently selected from Cl; F; cyano; nitro; -SCN; C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; C₃-C₈-
 5 cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -OR⁷; -OS(O)_nR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -N(R⁸)R⁹; C(=O)R⁶; -C(=O)OR⁷; -C(=NR⁸)R⁶; -C(=O)N(R⁸)R⁹; -C(=S)N(R⁸)R⁹ and phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰

10

or two radicals R⁴ bound on adjacent carbon atoms may be together a group
 -CH=CH-CH=CH-;

15

where R⁶, R⁷, R⁸, R⁹ and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below.

20

In particular, each R⁴ is independently selected from Cl, F; cyano; C₁-C₆-alkyl; C₁-C₆-haloalkyl; C₁-C₆-alkoxy and C₁-C₆-haloalkoxy; or two radicals R⁴ bound on adjacent carbon atoms may be together a group -CH=CH-CH=CH-.

25

More particularly, each R⁴ is independently selected from Cl; F; cyano; C₁-C₆-alkyl, preferably C₁-C₄-alkyl, more preferably methyl; C₁-C₄-haloalkyl, preferably C₁-C₂-haloalkyl, more preferably CF₃; and C₁-C₆-alkoxy, preferably C₁-C₄-alkoxy, more preferably methoxy; or two radicals R⁴ bound on adjacent carbon atoms may be together a group -CH=CH-CH=CH-.

30

Preferably, each R⁵ is independently selected from the group consisting of Cl, F, cyano, nitro, -SCN, SF₅, C₁-C₆-alkyl, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, C₂-C₆-alkynyl which may be partially or fully halogenated
 35 and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, Si(R¹⁴)₂R¹³, OR⁷, OS(O)_nR⁷, S(O)_mR⁷, NR⁸R⁹, N(R⁸)C(=O)R⁶, C(=O)R⁶, C(=O)OR⁷, C(=NR⁸)R⁶, C(=S)NR⁶, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom

groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,

- 5 where R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹³ and R¹⁴ have one of the meanings given above or in particular one of the preferred meanings given below.

- 10 More preferably, each R⁵ is independently selected from the group consisting of Cl, F, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶, OR⁷, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one
15 or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰,

where R⁶, R⁷ and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below.

- 20 Even more preferably, each R⁵ is independently selected from the group consisting of Cl, F, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, in particular from Cl, F, C₁-C₄-alkyl and C₁-C₂-haloalkyl and is specifically chlorine or C₁-C₂-haloalkyl, especially CF₃; or is specifically chlorine or fluorine.
- 25 In case R⁶ is a substituent on an alkyl, alkenyl or alkynyl group, it is preferably selected from the group consisting of cyano, azido, nitro, -SCN, SF₅, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -Si(R¹⁴)₂R¹³, -OR⁷, -OSO₂R⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰; or two geminally bound radicals R⁶ together form a group selected from =CR¹¹R¹², =S(O)_mR⁷, =S(O)_mN(R⁸)R⁹, =NR⁸, =NOR⁷ and =NNR⁸; or two radicals R⁶, together with the carbon
30 atoms to which they are bound, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members,
35

where R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴ and R¹⁹ have one of the meanings given above or in particular one of the preferred meanings given below.

In case R⁶ is a substituent on an alkyl, alkenyl or alkynyl group, it is more preferably selected from the group consisting of cyano, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -OR⁷, -SR⁷, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

where R⁷, R⁸, R⁹ and R¹⁰ have one of the meanings given above or in particular one of the preferred meanings given below.

In case R⁶ is a substituent on an alkyl, alkenyl or alkynyl group, it is even more preferably selected from the group consisting of cyano, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heteroaromatic ring may be substituted by one or more radicals R¹⁰;

where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.

In case R⁶ is a substituent on an alkyl, alkenyl or alkynyl group, it is in particular selected from the group consisting of cyano, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heteroaromatic ring may be substituted by one or more radicals R¹⁰;

where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.

In case R⁶ is a substituent on a cycloalkyl group, it is preferably selected from the group consisting of cyano, azido, nitro, -SCN, SF₅, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, -Si(R¹⁴)₂R¹³, -OR⁷,

-OSO₂R⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹,
 -C(=O)OR⁷, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-,
 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring
 5 containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO
 and SO₂, as ring members, where the heterocyclic ring may be substituted by one or
 more radicals R¹⁰;

or two geminally bound radicals R⁶ together form a group selected from =CR¹¹R¹²,
 =S(O)_mR⁷, =S(O)_mN(R⁸)R⁹, =NR⁸, =NOR⁷ and =NNR⁸;

10 or two radicals R⁶, together with the carbon atoms to which they are bound, form a 3-,
 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or heterocyclic
 ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S,
 NO, SO and SO₂, as ring members,

15 where R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³ and R¹⁴ have one of the meanings given above or in
 particular one of the preferred meanings given below.

In case R⁶ is a substituent on a cycloalkyl group, it is more preferably selected from the
 20 group consisting of halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-
 alkyl, -OR⁷, -OSO₂R⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -C(=O)N(R⁸)R⁹,
 -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals
 R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic het-
 25 erocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N,
 O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substi-
 tuted by one or more radicals R¹⁰;

where R⁷, R⁸, R⁹ and R¹⁰ have one of the meanings given above or in particular one of
 the preferred meanings given below.

30 In case R⁶ is a substituent on a cycloalkyl group, it is even more preferably selected
 from the group consisting of halogen, C₁-C₄-alkyl, C₁-C₃-haloalkyl, C₁-C₄-alkoxy and
 C₁-C₃-haloalkoxy. In particular, R⁶ as a substituent on a cycloalkyl group is selected
 from halogen, C₁-C₄-alkyl and C₁-C₃-haloalkyl.

35 In case R⁶ is a substituent on C(=O), C(=S) or C(=NR⁸), it is preferably selected from
 the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-
 alkyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-
 alkynyl, C₂-C₆-haloalkynyl, -OR⁷, -SR⁷, -N(R⁸)R⁹, phenyl which may be substituted by 1,

2, 3, 4 or 5 radicals R^{10} , and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

5

where R^7 , R^8 , R^9 and R^{10} have one of the meanings given above or in particular one of the preferred meanings given below.

10 In case R^6 is a substituent on $C(=O)$, $C(=S)$ or $C(=NR^8)$, it is more preferably selected from the group consisting of C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -halocycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

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where R^{10} has one of the meanings given above or in particular one of the preferred meanings given below.

20 In case R^6 is a substituent on $C(=O)$, $C(=S)$ or $C(=NR^8)$, it is more preferably selected from the group consisting of C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -halocycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

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where R^{10} has one of the meanings given above or in particular one of the preferred meanings given below.

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In case R^6 is a substituent on $C(=O)$, $C(=S)$ or $C(=NR^8)$, it is even more preferably selected from the group consisting of C_1 - C_4 -alkyl, C_1 - C_3 -haloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, C_1 - C_4 -alkoxy, C_1 - C_3 -haloalkoxy, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heteroaromatic ring may be substituted by one or more radicals R^{10} and a 5- or 6-membered saturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

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where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.

- 5 Preferably, each R⁷ is independently selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R¹⁰, where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.
- 10
- 15 More preferably, each R⁷ is independently selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heteroaromatic ring may be substituted by one or more radicals R¹⁰; where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below.
- 20

R⁸ and R⁹ are independently of each other and independently of each occurrence preferably selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl which carries one or more radicals R¹⁹, S(O)_mR²⁰, S(O)_nNR²¹R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, benzyl wherein the phenyl moiety may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰; where R¹⁰ has one of the meanings given above or in particular one of the preferred meanings given below; or

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R⁸ and R⁹ together form a group =CR¹¹R¹²; or

R⁸ and R⁹, together with the nitrogen atom to which they are bound, form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic, preferably a saturated, heterocyclic ring which may additionally containing 1 or 2 further heteroa-

toms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰.

- 5 In the above preferred embodiment of R⁸ and R⁹, R¹¹ is preferably hydrogen or methyl and R¹² is preferably C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, -C(=O)R¹⁹, -C(=O)OR²⁰, or -C(=O)N(R²¹)R²².

10 In the above preferred embodiment of R⁸ and R⁹, R⁹, if it does not form together with R⁸ a group =CR¹¹R¹² or together with R⁸ and the N atom to which they are bound a heterocyclic ring, is preferably selected from hydrogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, cyclopropyl, C₁-C₄-alkylcarbonyl, C₁-C₄-haloalkylcarbonyl, C₁-C₄-alkoxycarbonyl and C₁-C₄-haloalkoxycarbonyl and is more preferably hydrogen or C₁-C₄-alkyl.

15 In the above preferred embodiment of R⁸ and R⁹, R⁸, if it does not form together with R⁹ a group =CR¹¹R¹² or together with R⁹ and the N atom to which they are bound a heterocyclic ring, is preferably selected from CN, C₁-C₆-alkyl; C₁-C₆-haloalkyl; C₁-C₄-alkyl which carries one radical R¹⁹; C₂-C₆-alkenyl; C₂-C₆-haloalkenyl; C₂-C₄-alkenyl which is substituted by one radical R¹⁹; C₃-C₆-cycloalkyl; C₃-C₆-halocycloalkyl; C₃-C₆-cycloalkyl-C₁-C₄-alkyl; C₃-C₆-halocycloalkyl-C₁-C₄-alkyl; C₃-C₈-cycloalkyl which carries one or more radicals R¹⁹; -S(O)_mR²⁰; -S(O)_nN(R²¹)R²²; phenyl; benzyl and a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the phenyl or heterocyclic rings in the three last-mentioned radicals may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy.

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35 If R⁸ and R⁹, together with the nitrogen atom to which they are bound, form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, this is preferably a 3, 5 or 6-membered saturated heterocyclic ring which may additionally containing 1 further heteroatom or heteroatom group selected from N, O, S, NO, SO and SO₂, as ring member.

Specifically, R⁸ and R⁹ are independently of each other and independently of each occurrence selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₄-alkyl which carries one radical R¹⁹; C₂-C₆-alkenyl; C₂-C₆-haloalkenyl; C₂-C₄-

alkenyl which is substituted by one radical R¹⁹; C₃-C₆-cycloalkyl; C₃-C₆-halocycloalkyl; C₃-C₆-cycloalkyl-C₁-C₄-alkyl; C₃-C₆-halocycloalkyl-C₁-C₄-alkyl; C₃-C₈-cycloalkyl which carries one or more radicals R¹⁹; and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰. More specifically, R⁹ is hydrogen or C₁-C₄-alkyl and R⁸ has one of the meanings specified above.

Preferably, each R¹⁰ is independently selected from the group consisting of Cl, F, cyano, C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -OR²⁰, -SR²⁰, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², -N(R²¹)R²², C(=O)R¹⁹, -C(=O)OR²⁰, -C(=O)N(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from Cl, F, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy; and a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, which may be substituted by one or more radicals independently selected from Cl, F, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

or two radicals R¹⁰ bound on adjacent atoms together form a group selected from -CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-, -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-, -CH₂OCH₂CH₂-, -OCH₂CH₂O-, -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-, -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-, -CH₂C(=O)O-, -C(=O)OCH₂-, and -O(CH₂)O-, thus forming, together with the atoms to which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the above groups may be replaced by one or more substituents selected from Cl, F, methyl, halomethyl, hydroxyl, methoxy and halomethoxy or one or more CH₂ groups of the above groups may be replaced by a C=O group,

where R¹⁹, R²⁰, R²¹ and R²² have one of the general meanings given above or in particular one of the preferred meanings given below.

More preferably, each R¹⁰ is independently selected from the group consisting of Cl, F, cyano, C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -OR²⁰, -N(R²¹)R²², C(=O)R¹⁹, -C(=O)OR²⁰, -C(=O)N(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from Cl, F, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and

C₁-C₆-haloalkoxy; and a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, which may be substituted by one or more radicals independently selected from Cl, F, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

where R¹⁹, R²⁰, R²¹ and R²² have one of the general meanings given above or in particular one of the preferred meanings given below.

10 Even more preferably, each R¹⁰ is independently selected from the group consisting of Cl, F, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy. In particular, each R¹⁰ is independently selected from the group consisting of Cl, F, C₁-C₄-alkyl and C₁-C₄-haloalkyl and is specifically Cl or F, more specifically chlorine.

15 Preferably, R¹¹ and R¹² are, independently of each other and independently of each occurrence, selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl and C₁-C₆-haloalkyl. More preferably, R¹¹ and R¹² are, independently of each other and independently of each occurrence, selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl and in particular from the group consisting of hydrogen and
20 halogen. Specifically, they are hydrogen.

Preferably, R¹³ and R¹⁴ are, independently of each other and independently of each occurrence, selected from C₁-C₄-alkyl and are in particular methyl.

25 Preferably, R¹⁵ and R¹⁶ are, independently of each other and independently of each occurrence, selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl and phenyl which may be substituted by 1, 2, 3, 4, or 5 radicals R¹⁰; where R¹⁰ has one of the general or in particular one of the preferred meanings given above.

30 Preferably, each R¹⁷ is independently selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, phenyl and benzyl. More preferably, each R¹⁷ is independently selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl and phenyl and is in particular C₁-C₄-alkyl or C₁-C₃-haloalkyl.

35 Preferably, each R¹⁸ is independently selected from the group consisting of hydrogen; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹ and

$-C(=NR^8)R^6$; where R^6 , R^7 , R^8 and R^9 have one of the general or in particular one of the preferred meanings given above.

More preferably, each R^{18} is selected from the group consisting of hydrogen; C_1 - C_6 -alkyl which may be partially or fully halogenated and/or may be substituted by one or more, e.g. 1, 2, 3 or 4, preferably 1 or 2, more preferably 1, radicals R^6 ; $-C(=O)R^6$ and $-C(=O)N(R^8)R^9$; where R^6 , R^8 and R^9 have one of the general or in particular one of the preferred meanings given above. Preferably, in this case, R^6 as a C_1 - C_6 -alkyl substituent, is selected from CN, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio and a 5- or 6-membered heteraryl ring containing 1, 2 or 3 heteroatoms selected from N, O and S as ring members and being optionally substituted by 1, 2 or 3 radicals R^{10} . In this case, R^6 as a CO substituent, is preferably selected from C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -haloalkoxy. In this case, R^8 and R^9 are preferably selected from hydrogen and C_1 - C_6 -alkyl.

In particular, each R^{18} is selected from the group consisting of hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl and $-C(=O)R^6$, and is specifically selected from the group consisting of hydrogen, C_1 - C_4 -alkyl and $-C(=O)R^6$, where R^6 has one of the general or in particular one of the preferred meanings given above and is specifically C_1 - C_4 -alkyl.

In case R^{19} is a substituent on an alkyl, alkenyl or alkynyl group, it is preferably selected from the group consisting of cyano, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, $-OR^{20}$, SR^{20} , $S(O)_mR^{20}$, $-C(=O)N(R^{21})R^{22}$, $-C(=S)N(R^{21})R^{22}$, $-C(=O)OR^{20}$, $-C(=O)R^{20}$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R^{10} ;

where

R^{10} is selected from halogen, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy and C_1 - C_4 -haloalkoxy;

R^{20} is selected from hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, phenyl, benzyl, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R^{10} ; and

R^{21} and R^{22} , independently of each other and independently of each occurrence, are selected from hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, phenyl, benzyl, and a 5- or 6-membered heterocyclic ring contain-

ing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R¹⁰.

- 5 In case R¹⁹ is a substituent on a cycloalkyl group, it is preferably selected from the group consisting of cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², -C(=O)OR²⁰, -C(=O)R²⁰, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R¹⁰;
- 10 where
- R¹⁰ is selected from halogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy;
- 15 R²⁰ is selected from hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl, benzyl, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R¹⁰; and
- 20 R²¹ and R²², independently of each other and independently of each occurrence, are selected from hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl, benzyl, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R¹⁰.
- 25

In case R¹⁹ is a substituent on a C(=O) group, it is preferably selected from the group consisting of hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R¹⁰;

30 where R¹⁰ is selected from halogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy and C₁-C₄-haloalkoxy.

35

R²⁰ is preferably selected from the group consisting of hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₂-C₄-alkenyl, C₂-C₄-haloalkenyl, C₂-C₄-alkynyl, C₂-C₄-haloalkynyl, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, phenyl which may be

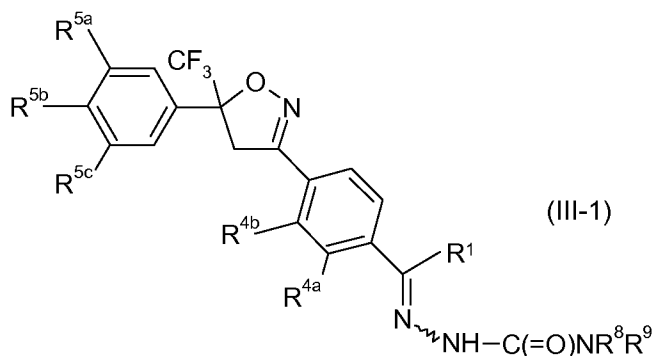
substituted by 1, 2, 3, 4 or 5 radicals R^{10} , benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R^{10} ; where R^{10} is selected from halogen, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy and C_1 - C_4 -haloalkoxy.

R^{21} and R^{22} , independently of each other and independently of each occurrence, are preferably selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -halocycloalkyl, C_3 - C_8 -cycloalkyl- C_1 - C_4 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -haloalkenyl, C_2 - C_6 -alkynyl, C_2 - C_6 -haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the rings in the three last-mentioned radicals may be substituted by one or more radicals R^{10} ; where R^{10} is selected from halogen, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy and C_1 - C_4 -haloalkoxy;

or R^{21} and R^{22} , together with the nitrogen atom to which they are bound, may form a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally contain 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals selected from halogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -haloalkoxy.

25

Specifically, process B refers to the preparation of compounds of the formula III-1



wherein

30

R^1 is hydrogen or C_1 - C_4 -alkyl;

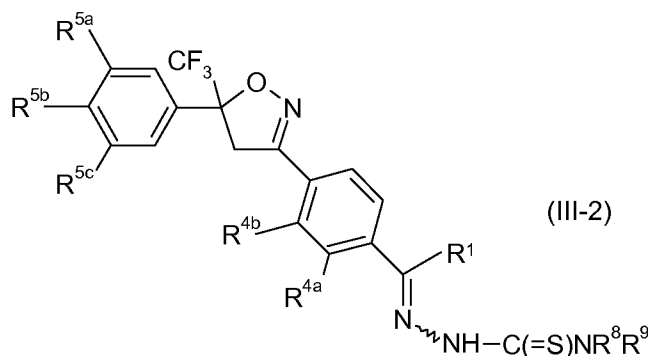
R^{5a} , R^{5b} , R^{5c} are hydrogen or have one of the general or in particular one of the preferred meanings given above for R^5 ;

71

R^{4a} and R^{4b}, independently of each other, are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁴; and R⁸ and R⁹ have one of the general or in particular one of the preferred meanings given above.

5

In an alternative specific embodiment, process B refers to the preparation of compounds of the formula III-2



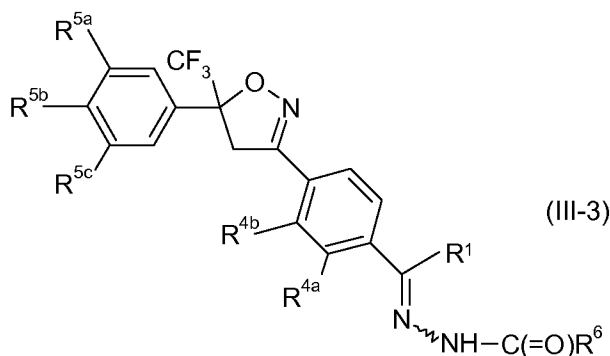
10 wherein

R¹ is hydrogen or C₁-C₄-alkyl;

R^{5a}, R^{5b}, R^{5c} are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁵;

15 R^{4a} and R^{4b}, independently of each other, are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁴; and R⁸ and R⁹ have one of the general or in particular one of the preferred meanings given above.

20 In an alternative specific embodiment, process B refers to the preparation of compounds of the formula III-3

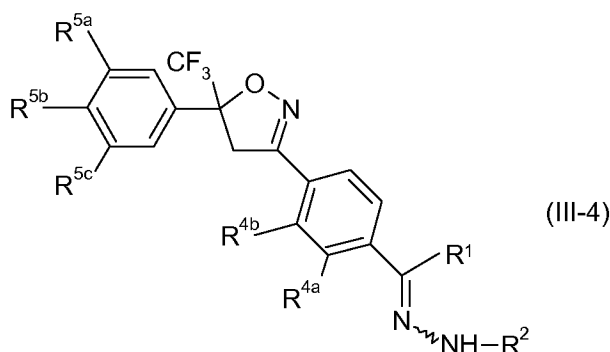


wherein

25

R¹ is hydrogen or C₁-C₄-alkyl;

- R^{5a}, R^{5b}, R^{5c} are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁵;
- R^{4a} and R^{4b}, independently of each other, are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁴; and
- 5 R⁶ has one of the general or in particular one of the preferred meanings given above and is specifically selected from C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3 heteroatoms selected from N, O and S, as ring members, where the heteroaromatic ring may be substituted by one or more radicals R¹⁰ and a 5- or 6-membered saturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰, where R¹⁰ has one of the general or in particular one of the preferred meanings given above.
- 10
- 15 In an alternative specific embodiment, process B refers to the preparation of compounds of the formula III-4



wherein

- 20 R¹ is hydrogen or C₁-C₄-alkyl;
- R^{5a}, R^{5b}, R^{5c} are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁵;
- R^{4a} and R^{4b}, independently of each other, are hydrogen or have one of the general or in particular one of the preferred meanings given above for R⁴; and
- 25 R² is a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may carry 1, 2 or 3 substituents selected from halogen, CN, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and phenyl.
- 30

By the method of the invention it is possible to produce the important intermediate of formula II in a simple and industrially applicable method. Moreover, the method requires less catalyst than the prior art methods.

5 The invention also refers to compounds obtainable by the method of the invention, especially compounds of formulae I and III or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof and specifically to every singly compound listed below in the examples (compounds C) and their enantiomers, diastereoisomers and/or an agriculturally acceptable salts.

10

The invention further relates to an agricultural composition comprising at least one imine compound of the formula III as defined above, obtainable by the process according to the invention, or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof, and at least one inert liquid and/or solid agriculturally acceptable carrier.

15

The invention also relates to a veterinary composition comprising at least one imine compound of the formula III as defined above, obtainable by the process according to the invention, or an enantiomer, diastereoisomer and/or a veterinarily acceptable salt thereof, and at least one inert liquid and/or solid veterinarily acceptable carrier.

20

Moreover, the invention relates to the use of an imine compound of formula III as defined above, obtainable by the process according to the invention, or an enantiomer, diastereoisomer and/or an agriculturally or veterinarily acceptable salt thereof, for combating invertebrate pests.

25

Another aspect of the invention is the use of an imine compound of formula III as defined above, obtainable by the process according to the invention, or an enantiomer, diastereoisomer and/or a veterinarily acceptable salt thereof, for treating or protecting an animal from infestation or infection by invertebrate pests.

30

A further aspect of the invention is plant propagation material, comprising at least one compound of the formula III as defined above, obtainable by the process according to the invention, or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof.

35

A preferred plant propagation material is seeds.

The invention will now be illustrated by following non-limiting examples.

Examples

Compounds were characterized e.g. by coupled High Performance Liquid Chromatography / mass spectrometry (HPLC/MS), by ¹H-NMR and/or by their melting points.

Analytical HPLC column: RP-18 column Chromolith Speed ROD from Merck KgaA, Germany). Elution: acetonitrile + 0.1% trifluoroacetic acid (TFA) / water + 0.1% trifluoroacetic acid (TFA) in a ratio of from 5:95 to 95:5 in 5 minutes at 40 °C.

¹H-NMR, respectively ¹³C-NMR: The signals are characterized by chemical shift (ppm) vs. tetramethylsilane, respectively CDCl₃ or DMSO-d₆ for ¹³C-NMR, by their multiplicity and by their integral (relative number of hydrogen atoms given). The following abbreviations are used to characterize the multiplicity of the signals: m = multiplett, q = quartett, t = triplett, d = doublet, dd = doublet of doublet and s = singulett.

S. Synthesis examples

S.1 Synthesis of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde-4-trifluoroethylsemicarbazone (Compound 1-1 of table C.1; see below)

Step 1: Synthesis of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde

A reaction autoclave was charged with 3-(4-bromo-3-methyl-phenyl)-5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazole (0.10 g, 0.22 mmol), palladium dichloride cyclooctadiene complex (1.6 mg, 2.5 mol-%), xanthphos (9.7 mg, 7.5 mol-%), N,N,N',N'-tetramethylethylene diamine (19.3 mg, 0.75 equiv.) and DMF (2 mL) and purged with synthesis gas (carbon monoxide : hydrogen = 1:1) to 5 bar. The reaction autoclave was heated to 100°C for 16 h and then cooled to ambient temperature. After release of the pressure, the reaction mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel to yield the title compound (13 mg, 15%).

Alternative Step 1: Synthesis of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde

A reaction autoclave was charged with 3-(4-bromo-3-methyl-phenyl)-5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazole 8.2 g, 18 mmol), palladium(II) acetate (13.4 mg, 59.7 μ m), cataCXium (107.4 mg), N,N,N',N'-tetramethylethylene diamine (1.6 g) and toluene (7.9 g) and purged with synthesis gas (carbon monoxide : hydrogen = 1:1) to 5 bar. The reaction autoclave was pressurized to 10 bar synthesis gas and was heated to 120°C for 18 h and then cooled to ambient temperature. After release of the pressure, the reaction mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel to yield the title compound (3.4 g, 37%).

10

Characterization by GC-MS (DB-XLB 30 m x 0.25 mm, 0.25 μ m film, helium 2 mL/min 50-10-260/10-10-300, 0.5 μ M/split 10:1, injector 250°C):26.750 min, m/z = 401 (TOF MS FI+)

15

Step 2: Synthesis of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde-4-trifluoroethylsemicarbazone

A mixture of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde (72.5 g, 0.18 mol) and 4-trifluoroethyl semicarbazide hydrochloride (39.92 g, 0.21 mol) in ethanol (50 mL) and glacial acetic acid (40 mL) was heated at 70°C over night. After this, water was added until the clear solution became turbid, then MTBE (10 mL) was added and the mixture was allowed to cool to ambient temperature. The resulting precipitate was filtered and washed with water to obtain the title compound (84.10 g, 86%).

25

Characterization by HPLC-MS: 4.281 min, M = 541.00

Characterization by ¹H-NMR (500 MHz, CDCl₃):

δ [delta] = 2.52 (s, 3H), 3.71 (d, 1H), 4.03 (m, 2H), 4.11 (d, 1H), 6.46 (dd, 1H), 7.44 (s, 1H), 7.50-7.58 (m, 3H), 7.80 (d, 1H), 8.01 (s, 1H), 9.40 (s, 1H) ppm.

30

S.2 Synthesis of (E)- and (Z)-1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanone-4-trifluoroethylsemicarbazone (Compounds 1-19 and 1-57 of Table C.1; see below)

35

Step 1: Synthesis of 1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanol

To a solution of 4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-benzaldehyde (i.e. the product of example S.1, Step 1, 0.50 g) and lithium chloride (53 mg, 1.24 mmol, 1.00 equiv.) in THF (15 mL) was added a solution of methyl magnesium bromide (1.78 mL, 1.4 M in THF/toluene, 2.49 mmol, 2.00 equiv.) at -70°C.

5 After 1 h at this temperature, the mixture was allowed to warm to room temperature and was quenched with a saturated aqueous NH₄Cl solution. The layers were separated and extracted with toluene. Combined organic layers were dried over Na₂SO₄ and evaporated. The residue was purified by flash chromatography on silica gel to afford the title compound (0.20 g, 38%).

10

Characterization by HPLC-MS: 4.301 min, M = 418.05

Step 2: Synthesis of 1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanone

15

To a solution of 1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanol (i.e. the product of example S.2, Step 1, 160 mg, 0.38 mmol) in CH₂Cl₂ (10 mL) was added Dess-Martin-Periodinane (243 mg, 0.57 mmol, 1.5 equiv.) in small portions. The mixture was stirred at room temperature over night, then saturated aqueous NaHCO₃-solution was added and the mixture was left at room temperature for 1 h. The layers were separated and the organic layer was washed with water, dried over Na₂SO₄ and evaporated in vacuum to give the title compound (120 mg, 75%), which was used in the next reaction without further purification.

20

Characterization by HPLC-MS: 4.572 min, M = 415.95

Step 3: Synthesis of (E)- and (Z)-1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanone-4-trifluoroethylsemicarbazone

30

A mixture of 1-{4-[5-(3,5-dichloro-phenyl)-5-trifluoromethyl-4,5-dihydro-isoxazol-3-yl]-2-methyl-phenyl}-ethanone (1.37 g) and 4-trifluoroethyl semicarbazide hydrochloride (0.729 g) in ethanol (1 mL) and glacial acetic acid (0.5 mL) was heated at 70°C for 6 h. After cooling, the solvents were evaporated in vacuum. Ethyl acetate was added, and the organic layer was washed with water. After drying over Na₂SO₄, the solvent was

35 evaporated and the residue was chromatographed on silica gel to afford the title compounds (Z-isomer elutes first, 300 mg, E-isomer elutes second, 400 mg, total yield 38%).

Z-Isomer:

Characterization by HPLC-MS: 4.497 min, M = 555.00

Characterization by ¹H-NMR (400 MHz, DMSO-d⁶):

δ [delta] = 2.16 (s, 3H), 2.17 (s, 3H), 3.87 (m, 2H), 4.29 (d, 1H), 4.36 (d, 1H), 7.26 (d, 1H), 7.34 (m, 1H), 7.64-7.69 (m, 4H), 7.82 (m, 1H), 8.52 (s, 1H) ppm.

5 E-Isomer:

Characterization by HPLC-MS: 4.531 min, M = 555.05

Compound 1-1 and the intermediate aldehyde were also obtained when MeSkatOX,
 TPP, TPPit, tBuOMeTPPit, BINAP, CyH₃P, cataCXium, Complex 130, Complex 34 or
 10 Complex 128 was used instead of Xanthphos.

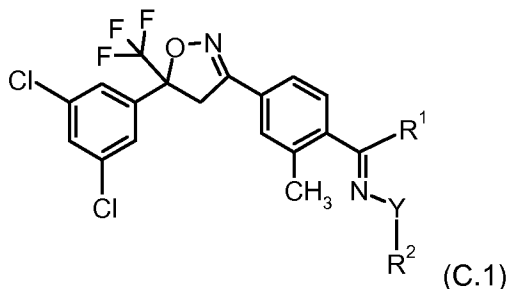
The compounds of the following examples were synthesized analogously.

C. Compound examples

15

C.1 Compound examples 1

Compound examples 1-1 to 1-95 correspond to compounds of formula C.1:



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.1 below.

25 Table C.1

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
1-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.281	541.00
1-2	H	C(=O)NH-3-thioly-1,1-dioxide	NH	4.092	577.05
1-3	H	C(=O)-NH-CH ₃	NH	4.193	473.05
1-4	H	C(=O)NH-CH ₂ CH ₃	NH	4.308	487.05
1-5	H	C(=O)NH-CH ₂ CH(CH ₃) ₂	NH	4.575	515.05
1-6	H	C(=O)NH-CH ₂ CH ₂ -thiophene-	NH	4.597	569.05

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
		2-yl			
1-7	H	C(=O)NH-CH ₂ -furan-2-yl	NH	4.368	538.70
1-8	H	C(=O)-NH-cyclopropyl	NH	4.324	499.05
1-9	H	C(=O)NH-CH ₂ CH ₂ -2-pyridyl	NH	3.627	563.80
1-10	H	C(=O)NH-CH ₂ -tetrahydro-furan-2-yl	NH	4.284	542.80
1-11	H	C(=O)NH-CH ₂ CH ₂ CH ₂ OCH ₃	NH	4.278	530.80
1-12	H	C(=O)-NH-CH ₂ -cyclopropyl	NH	4.452	513.05
1-13	H	C(=O)NH-CH ₂ CH ₂ OCH ₃	NH	4.183	516.80
1-14	H	C(=O)NH-CH ₂ CH ₂ CH ₃	NH	4.422	500.80
1-15	H	C(=O)NH-CH ₂ CH ₂ CH ₂ CH ₃	NH	4.572	514.80
1-16	H	C(=O)NH-CH ₂ CH ₂ CH ₂ CH ₂ CH ₃	NH	4.722	528.80
1-17	H	C(=O)NH-CH ₂ CH ₂ CH(CH ₃) ₂	NH	4.698	528.80
1-18	H	C(=O)NH-CH ₂ CH ₂ CH ₂ -1,3-imidazole-1-yl	NH	3.604	566.80
1-19	CH ₃	C(=O)NH-CH ₂ CF ₃ (Z)-isomer	NH	4.474	555.00
1-20	H	C(=O)-pyrrolidine-1-yl	NH	4.175	513.10
1-21	H	C(=O)NH-CH ₂ CH ₂ CF ₃	NH	4.507	555.10
1-22	H	C(=O)NH-pyridine-3-yl	NH	3.746	536.00
1-23	H	C(=O)-morpholine-4-yl	NH	4.039	529.00
1-24	H	C(=O)NH-CH ₂ CH ₂ SCH ₃	NH	4.456	533.00
1-25	H	C(=O)NH-CH ₂ -pyridine-4-yl	NH	3.659	550.00
1-26	H	C(=O)NH-pyridine-4-yl	NH	3.770	536.00
1-27	H	C(=O)NH-CH ₂ -2-chloropyridine-5-yl	NH	4.437	586.00
1-28	H	C(=O)NH-CH ₂ -pyridine-2-yl	NH	3.701	550.00
1-29	H	C(=O)-NH-cyclopentyl	NH	4.729	527.05
1-30	H	C(=O)NH-CH(CH ₃) ₂	NH	4.527	501.05
1-31	H	C(=O)-thiomorpholine-4-yl	NH	4.281	545.05
1-32	H	C(=O)-NH-cyclohexyl	NH	4.840	541.00
1-33	H	C(=O)NH-CH ₂ CHF ₂	NH	4.331	523.00
1-34	H	C(=O)NH-CH ₂ C(=O)NH-CH ₂ CF ₃	NH	4.218	598.05
1-35	H	C(=O)NH-CH ₂ CH ₂ SCF ₃	NH	4.634	586.90
1-36	H	C(=O)NH-CH ₂ CH=CCl ₂	NH	4.703	568.95

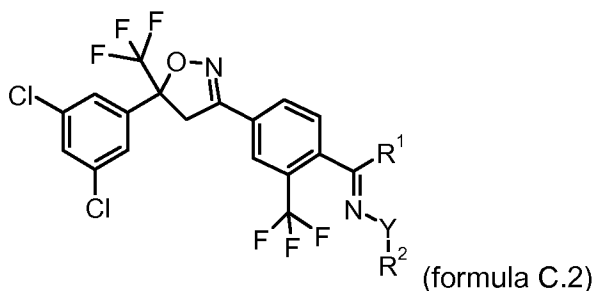
Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
1-37	H	C(=O)NH-2-trifluoromethyl-thiazole-4-yl	NH	4.920	610.00
1-38	H	C(=O)NH-CH ₂ CH=CH-4-chlorophenyl	NH	4.971	609.00
1-39	H	C(=O)NH-2-chloropyridine-4-yl	NH	4.522	571.95
1-40	H	C(=O)NH-CH ₂ -2-chloropyrimidine-4-yl	NH	4.342	585.05
1-41	H	C(=O)NH-pyridazine-4-yl	NH	3.773	537.05
1-42	H	C(=O)NH-CH ₂ -pyrimidine-4-yl	NH	4.002	551.00
1-43	H	C(=O)NH-CH ₂ -pyrimidine-2-yl	NH	4.081	551.00
1-44	H	C(=O)N(CH ₃)-CH ₂ CF ₃	NH	4.291	555.00
1-45	H	C(=O)NH-CH ₂ -2-chloropyridine-4-yl	NH	4.342	584.00
1-46	H	C(=O)NH-3-chloropyridine-4-yl	NH	2.180	571.90
1-47	H	C(=O)NH-3-chloropyridazine-6-yl hydrochloride	NH	¹ H-NMR (400 MHz, DMSO-d ₆): δ [delta] = 3.34 (s, 3H), 4.35 (d 1H), 4.40 (d, 1H), 7.60-7.75 (m, 5H), 7.88 (s, 1H), 7.95-8.04 (m, 1H), 8.34 (m, 1H), 8.97 (d, 1H), 9.04 (d, 1H), 12.42 (m, 1H) ppm.	
1-48	H	C(=O)NH-pyrimidine-4-yl	NH	3.912	537.00
1-49	H	C(=O)NH ₂	NH	3.997	459.0
1-50	H	C(=O)NH-1,2,4-triazole-3-yl	NH	3.893	526.05
1-51	H	C(=O)NH-CH ₂ CH ₂ S(=O) ₂ CH ₃	NH	4.028	565.05
1-52	H	C(=O)NH-3-chloropyridine-2-yl	NH	4.352	569.95
1-53	H	C(=O)NH-CH ₂ C(=O)NH-cyclopropyl	NH	3.979	556.00

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
1-54	H	C(=O)NH-CH ₂ C(=O)N(CH ₃) ₂	NH	4.012	544.00
1-55	H	C(=O)NH-CH ₂ C(=O)NH-CH ₃	NH	3.853	530.00
1-56	H	C(=O)NH-CH ₂ C(=O)NH-CH(CH ₃) ₂	NH	4.123	558.10
1-57	CH ₃	C(=O)NH-CH ₂ CF ₃ (<i>E</i>)-isomer	NH	4.531	555.05
1-58	H	C(=O)NH-CH ₂ CH ₂ S(=O) ₂ CF ₃	NH	4.414	618.90
1-59	H	C(=O)NH-CH ₂ C(=O)NH-CH ₂ CH ₃	NH	3.951	544.00
1-60	H	C(=O)-5-chloro-1,2,4-triazole-3-yl	NH	4.249	544.95
1-61	H	C(=O)NH-6-chloropyridine-2-yl	NH	4.813	570.00
1-62	H	C(=O)NH-CH ₂ CH=CH ₂	NH	4.318	499.00
1-63	H	C(=O)N(CH ₃)CH ₂ CH ₃	NH	4.067	501.00
1-64	H	C(=O)NH-thiazole-4-yl	NH	4.409	541.95
1-65	H	C(=O)NH-2-chlorothiazole-4-yl	NH	4.921	576.00
1-66	H	C(=O)NH-4-chloropyridine-2-yl	NH	3.965	569.95
1-67	H	C(=S)NH-CH ₂ CF ₃	NH	4.620	556.95
1-68	H	C(=S)NH-CH ₃	NH	4.704	489.00
1-69	H	C(=O)NH-CH ₂ CH ₂ OC ₆ H ₅	NH	4.620	579.00
1-70	H	C(=O)NH-CH ₂ C ₆ H ₅	NH	4.559	549.00
1-71	H	C(=O)NH-CH(CH ₃)CH ₂ OCH ₃	NH	4.369	531.00
1-72	H	C(=O)NH-CH ₂ CH ₂ CF=CF ₂	NH	4.501	567.00
1-73	H	C(=S)NH ₂	NH	4.144	474.95
1-74	H	C(=O)NH-CH(CH ₃)cyclopropyl	NH	4.586	527.00
1-75	H	C(=O)NH-CH ₂ -pyridine-3-yl	NH	3.567	550.00
1-76	H	4-CH ₃ -thiazole-2-yl	NH	4.110	513.00
1-77	H	pyridine-2-yl	NH	3.709	493.00
1-78	H	C(=O)NH-CH(CH ₃)CH ₂ OC ₆ H ₅	NH	4.725	593.00
1-79	H	C(=O)NH-1-(C ₆ H ₅)cyclopropyl 1-yl	NH	4.638	575.00
1-80	H	C(=O)NH-CH(CH ₃)C ₆ H ₅	NH	4.654	563.00
1-81	H	5-chloro-pyridine-2-yl	NH	4.425	529.00
1-82	H	6-chloro-pyridine-2-yl	NH	4.274	528.95

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
1-83	H	C(=O)NH-CH(CH ₃)CH ₂ SCH ₃	NH	4.521	547.00
1-84	H	C(=O)NH-C(CH ₃) ₂ CH ₂ SCH ₃	NH	4.705	561.00
1-85	H	C(=O)NH-CH(CH ₃)CF ₃	NH	4.539	555.00
1-86	H	C(=O)NH-CH(CH ₃) pyridine-3-yl	NH	3.623	564.10
1-87	H	C(=O)NH-C(CH ₃) ₂ CH ₂ S(=O) ₂ CH ₃	NH	4.278	593.00
1-88	H	C(=O)NH-C(CH ₃) ₂ CH ₂ S(=O)CH ₃	NH	4.059	577.00
1-89	H	C(=O)NH- CH(CH ₃)CH ₂ S(=O)CH ₃	NH	3.923	563.00
1-90	H	C(=O)NH-CH(CH ₃)CH ₂ S(=O) ₂ CH ₃	NH	4.051	578.90
1-91	H	4-CF ₃ -thiazole-2-yl	NH	4.908	566.90
1-92	H	6-CF ₃ -pyridine-2-yl	NH	4.300	561.05
1-93	H	4-C ₆ H ₅ -thiazole-2-yl	NH	4.940	574.90
1-94	H	thiazole-2-yl	NH	4.049	498.90
1-95	H	4,5-(CH ₃) ₂ -thiazole-2-yl	NH	4.280	527.05

C.2 Compound examples 2

- 5 Compound example 2-1 to 2-19 corresponds to compound formula C.2:



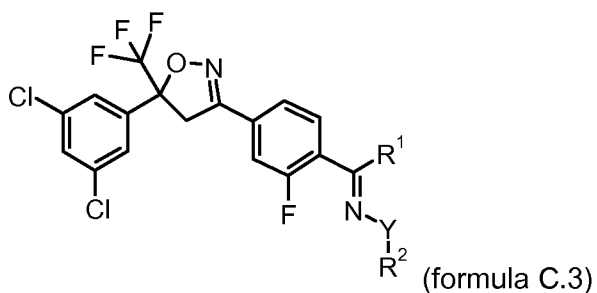
- 10 wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.2 below.

Table C.2

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
2-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.612	594.95
2-2	H	C(=O)NH-CH ₃	NH	4.319	527.00
2-3	H	C(=O)NH-CH ₂ CH ₃	NH	4.446	541.00
2-4	H	C(=O)NH-cyclopropyl	NH	4.441	553.00
2-5	H	C(=O)NH-CH ₂ cyclopropyl	NH	4.569	567.00
2-6	H	C(=O)NH-CH ₂ CH ₂ CF ₃	NH	4.560	609.00
2-7	H	C(=O)NH-CH ₂ -tetrahydrofuran-2-yl	NH	4.518	597.10
2-8	H	C(=O)NH-CH ₂ CH ₂ CH ₂ OCH ₃	NH	4.438	585.00
2-9	H	C(=O)NH-CH ₂ CH ₂ OCH ₃	NH	4.428	571.05
2-10	H	C(=O)NH-CH ₂ CH ₂ SCH ₃	NH	4.507	587.00
2-11	H	C(=O)NH-CH(CH ₃) ₂	NH	4.570	555.00
2-12	H	C(=O)NH ₂	NH	4.227	512.95
2-13	H	C(=O)NH-CH ₂ CH(CH ₃) ₂	NH	4.669	569.00
2-14	H	C(=O)NH-CH ₂ CHF ₂	NH	4.513	576.95
2-15	H	C(=O)NH-CH ₂ CH ₂ CH ₃	NH	4.637	555.00
2-16	H	C(=O)NH-CH ₂ C(=O)NH-CH ₂ CF ₃	NH	4.301	652.00
2-17	H	C(=O)NH-CH ₂ -pyridine-2-yl	NH	3.840	604.10
2-18	H	C(=O)NH-CH ₂ -2-chloropyridine-4-yl	NH	4.481	640.00
2-19	H	C(=O)NH-CH ₂ -pyrimidine-4-yl	NH	4.255	605.00

C.3 Compound examples 3

5 Compound example 3-1 to 3-5 corresponds to compound formula C.3:



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.3 below.

Table C.3

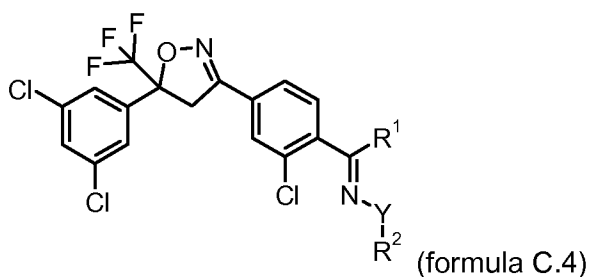
Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
3-1	H	C(=O)NH-CH ₃	NH	4.174	476.95
3-2	H	C(=O)NH-CH ₂ CH ₃	NH	4.322	491.05
3-3	H	C(=O)NH-CH ₂ CF ₃	NH	4.417	544.95
3-4	H	C(=O)NH-cyclopropyl	NH	3.724*	503.00
3-5	H	C(=O)NH-CH ₂ cyclopropyl	NH	3.832	517.00

- 5 *this chromatogram was measured using the long method with a total run-time of 6 minutes.

C.4 Compound examples 4

10

Compound examples 4-1 to 4-55 correspond to compound formula C.4:



- 15 wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.4 below.

Table C.4

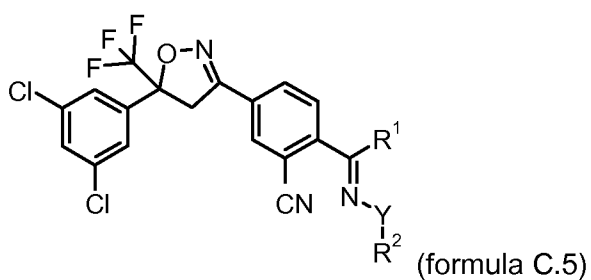
Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
4-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.167	560.95
4-2	H	C(=O)-NH-cyclopropyl	NH	4.449	519.00
4-3	H	C(=O)-NH-CH ₂ -cyclopropyl	NH	4.584	533.00
4-4	H	C(=O)NH-CH ₃	NH	4.301	493.00
4-5	H	C(=O)NH-CH ₂ CH ₃	NH	4.435	507.00

4-6	H	C(=O)NH-CH ₂ CH ₂ CH(CH ₃) ₂	NH	4.834	549.10
4-7	H	C(=O)NH-CH ₂ CH(CH ₃) ₂	NH	4.703	535.10
4-8	H	C(=O)NH-CH ₂ CH ₂ -thiophene-2-yl	NH	4.718	589.10
4-9	H	C(=O)-thiomorpholine-4-yl	NH	4.366	565.00
4-10	H	C(=O)NH-CH ₂ CH ₂ -pyridine-2-yl	NH	3.790	584.00
4-11	H	C(=O)NH-CH ₂ -tetrahydrofuran-2-yl	NH	4.449	563.05
4-12	H	C(=O)NH-3-thioly-1,1-dioxide	NH	4.126	596.90
4-13	H	C(=O)NH-CH ₂ CH ₂ CH ₂ -1-imidazolyl	NH	3.770	587.05
4-14	H	C(=O)NH-CH ₂ -furan-2-yl	NH	4.511	559.00
4-15	H	C(=O)NH-cyclopentyl	NH	4.756	547.00
4-16	H	C(=O)NH-CH ₂ C(=O)NH-cyclopropyl	NH	4.105	576.10
4-17	H	C(=O)NH-CH ₂ CH ₂ CH ₃	NH	4.571	522.95
4-18	H	C(=O)NH-CH ₂ CH ₂ CF ₃	NH	4.576	574.95
4-19	H	C(=O)NH-CH ₂ CHF ₂	NH	4.422	542.95
4-20	H	C(=O)NH-CH ₂ CH ₂ OCH ₃	NH	4.396	537.00
4-21	H	C(=O)NH-CH ₂ CH ₂ SCH ₃	NH	4.596	555.00
4-22	H	C(=O)NH-CH ₂ CH ₂ SCF ₃	NH	4.802	608.90
4-23	H	C(=O)NH-CH ₂ CH=CCl ₂	NH	4.747	588.90
4-24	H	C(=O)NH-2-trifluoromethyl-thiazole-4-yl	NH	4.967	632.00
4-25	H	C(=O)NH-CH ₂ -2-chloropyrimidine-4-yl	NH	4.528	606.00
4-26	H	C(=O)NH ₂	NH	4.177	480.90
4-27	H	C(=S)NH-CH ₂ CH ₃	NH	4.779	523.00
4-28	H	C(=S)NH-CH ₂ CF ₃	NH	4.820	578.90
4-29	H	C(=S)NH-CH ₃	NH	4.607	510.90
4-30	H	4-CH ₃ -thiazole-2-yl	NH	4.200	534.95
4-31	H	C(=O)NH-CH ₂ C ₆ H ₅	NH	4.560	570.90
4-32	H	C(=O)NH-CH ₂ -pyridine-3-yl	NH	3.659	570.00
4-33	H	C(=O)NH-CH(CH ₃)CH ₂ SCH ₃	NH	4.574	569.00
4-34	H	C(=O)NH-CH(CH ₃)CH ₂ OCH ₃	NH	4.469	551.00
4-35	H	C(=O)NH-CH ₂ CH ₂ OC ₆ H ₅	NH	4.720	599.00
4-36	H	C(=O)NH-CH(CH ₃)C ₆ H ₅	NH	4.777	585.00

4-37	H	C(=S)NH ₂	NH	4.167	496.74
4-38	H	C(=O)NH-CH(CH ₃)CF ₃	NH	4.509	574.90
4-39	H	C(=O)NH-CH(CH ₃)cyclopropyl	NH	4.576	546.90
4-40	H	C(=O)NH-CH ₂ CH ₂ CF=CF ₂	NH	4.493	586.90
4-41	H	C(=O)NH-C(CH ₃) ₂ CH ₂ SCH ₃	NH	4.690	582.90
4-42	H	C(=O)NH-CH(CH ₃)CH ₂ OC ₆ H ₅	NH	4,822	615.00
4-43	H	C(=O)NH-1-(C ₆ H ₅)cyclopropyl 1-yl	NH	4.737	576.00
4-44	H	pyridine-2-yl	NH	3.821	515.00
4-45	H	6-chloro-pyridine-2-yl	NH	4.919	548.90
4-46	H	5-chloro-pyridine-2-yl	NH	4.689	548.95
4-47	H	C(=O)NH-CH(CH ₃) pyridine-3- yl	NH	3.698	586.00
4-48	H	C(=O)NH- CH(CH ₃)CH ₂ S(=O)CH ₃	NH	3.990	584.90
4-49	H	C(=O)NH-CH(CH ₃)CH ₂ S(=O) ₂ CH ₃	NH	4.170	601.00
4-50	H	4,5-(CH ₃) ₂ -thiazole-2-yl	NH	4.342	548.95
4-51	H	thiazole-2-yl	NH	4.339	520.95
4-52	H	6-CF ₃ -pyridine-2-yl	NH	4.392	580.90
4-53	H	4-CF ₃ -thiazole-2-yl	NH	4.958	586.90
4-54	H	C(=O)NH-C(CH ₃) ₂ CH ₂ S(=O) ₂ CH ₃	NH	4.361	615.00
4-55	H	C(=O)NH-C(CH ₃) ₂ CH ₂ S(=O)CH ₃	NH	4.164	599.00

C.5 Compound examples 5

5 Compound examples 5-1 to 5-13 correspond to compound formula C.5:



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.5 below.

Table C.5

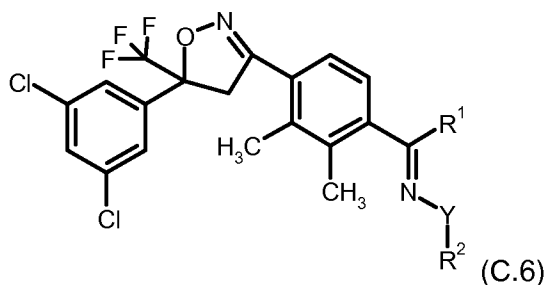
Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
5-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.394	552.00
5-2	H	C(=O)NH-CH ₃	NH	4.128	484.00
5-3	H	C(=O)NH-CH ₂ CH ₃	NH	4.288	498.05
5-4	H	C(=O)NH-cyclopropyl	NH	4.310	510.05
5-5	H	C(=O)NH-CH ₂ -cyclopropyl	NH	4.447	524.05
5-6	H	C(=O)NH ₂	NH	3.953	469.95
5-7	H	C(=O)NH-CH ₂ CH ₂ CF ₃	NH	4.424	566.10
5-8	H	C(=O)NH-CH ₂ CHF ₂	NH	4.269	534.05
5-9	H	C(=O)NH-CH(CH ₃) ₂	NH	4.439	512.05
5-10	H	C(=O)NH-CH ₂ CH(CH ₃) ₂	NH	4.566	526.05
5-11	H	C(=O)NH-CH ₂ -tetrahydrofuran-2-yl	NH	4.257	554.05
5-12	H	C(=O)NH-CH ₂ CH ₂ CH ₂ OCH ₃	NH	4.261	542.05
5-13	H	C(=O)NH-CH ₂ CH ₂ OCH ₃	NH	4.166	528.05

5

C.6 Compound examples 6

Compound examples 6-1 to 6-7 correspond to compounds of formula C.6:

10



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.6 below.

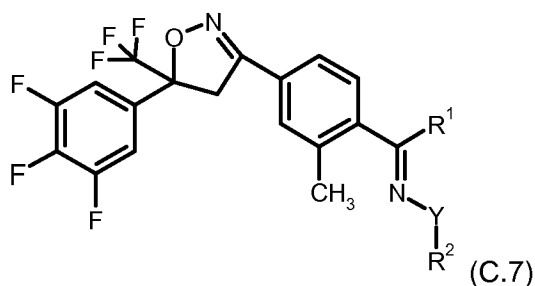
15

Table C.6

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
6-1	H	C(=S)NH-CH ₂ CF ₃	NH	4.571	570.90
6-2	H	C(=O)NH-CH ₂ CH ₃	NH	4.283	501.00
6-3	H	C(=O)NH-CH ₃	NH	4.231	487.05
6-4	H	C(=S)NH-CH ₃	NH	4.485	503.00
6-5	H	C(=S)NH-CH ₂ CH ₃	NH	4.609	517.05
6-6	H	C(=O)NH-cyclopropyl	NH	4.383	513.05
6-7	H	C(=O)NH-CH ₂ CF ₃	NH	4.364	555.00

C.7 Compound examples 7

- 5 Compound examples 7-1 to 7-8 correspond to compounds of formula C.7:



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.7

- 10 below.

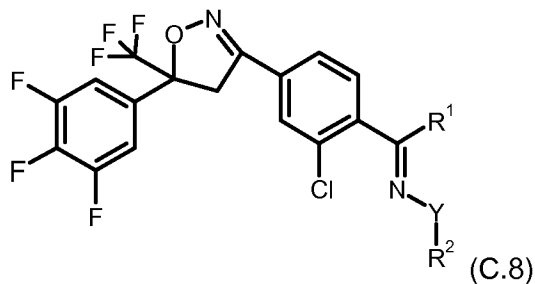
Table C.7

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
7-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.025	527.00
7-2	H	C(=O)NH-CH ₂ -cyclopropyl	NH	4.078	499.00
7-3	H	C(=O)NH-cyclopropyl	NH	3.939	485.00
7-4	H	C(=O)NH-CH ₂ CH ₃	NH	3.920	473.00
7-5	H	C(=O)NH-CH ₃	NH	3.861	459.05
7-6	H	C(=S)NH-CH ₃	NH	4.110	475.05
7-7	H	C(=S)NH-CH ₂ CH ₃	NH	4.263	489.05
7-8	H	C(=S)NH-CH ₂ CF ₃	NH	4.234	543.00

C.8 Compound examples 8

Compound examples 8-1 to 8-8 correspond to compounds of formula C.8:

5



wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.8 below.

10

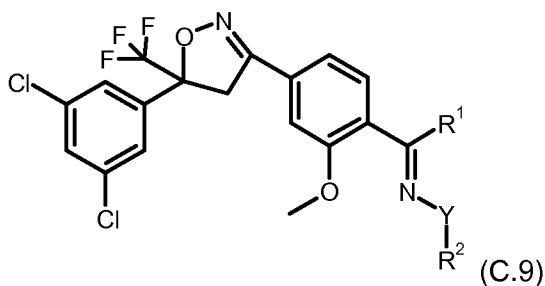
Table C.8

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
8-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.271	546.95
8-2	H	C(=O)NH-CH ₂ -cyclopropyl	NH	4.205	519.00
8-3	H	C(=O)NH-cyclopropyl	NH	4.160	505.10
8-4	H	C(=O)NH-CH ₂ CH ₃	NH	4.149	493.10
8-5	H	C(=O)NH-CH ₃	NH	4.002	479.10
8-6	H	C(=S)NH-CH ₃	NH	4.253	495.00
8-7	H	C(=S)NH-CH ₂ CH ₃	NH	4.399	509.00
8-8	H	C(=S)NH-CH ₂ CF ₃	NH	4.339	562.90

C.9 Compound examples 9

15

Compound examples 9-1 to 9-15 correspond to compounds of formula C.9:



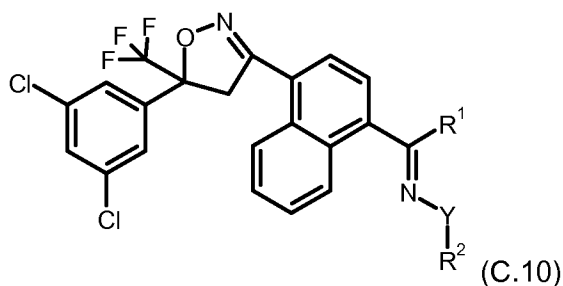
wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.9 below.

5 Table C.9

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
9-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.389	556.95
9-2	H	C(=O)NH-CH ₂ CH ₃	NH	4.291	503.05
9-3	H	C(=O)NH-CH ₃	NH	4.153	489.05
9-4	H	C(=O)NH-CH ₂ C ₆ H ₅	NH	4.535	565.00
9-5	H	C(=O)NH-CH ₂ -pyridine-3-yl	NH	3.543	566.00
9-6	H	C(=O)NH-CH(CH ₃)CH ₂ SCH ₃	NH	4.400	562.90
9-7	H	C(=O)NH-CH ₂ CH ₂ OC ₆ H ₅	NH	4.506	595.00
9-8	H	C(=O)NH-CH(CH ₃)CH ₂ OCH ₃	NH	4.245	547.00
9-9	H	C(=O)NH-CH(CH ₃)C ₆ H ₅	NH	4.552	579.00
9-10	H	C(=O)NH-CH(CH ₃)CF ₃	NH	4.519	571.00
9-11	H	C(=O)NH-CH(CH ₃)cyclopropyl	NH	4.566	543.00
9-12	H	C(=O)NH-CH ₂ CH ₂ CF=CF ₂	NH	4.490	583.00
9-13	H	C(=O)NH-CH(CH ₃)CH ₂ OC ₆ H ₅	NH	4.715	609.00
9-14	H	C(=O)NH-1-(C ₆ H ₅)cyclopropyl 1-yl	NH	4.635	591.00
9-15	H	C(=O)NH-C(CH ₃) ₂ CH ₂ SCH ₃	NH	4.946	577.00

C.10 Compound examples 10

10 Compound examples 10-1 to 10-6 correspond to compounds of formula C.10:



wherein R¹, R² and Y of each synthesized compound is defined in one row of table

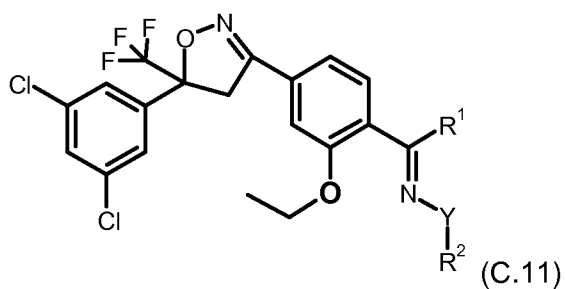
15 C.10 below.

Table C.10

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
10-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.598	577.00
10-2	H	C(=O)NH-CH ₃	NH	4.291	508.90
10-3	H	C(=O)NH-CH ₂ CH ₃	NH	4.527	523.00
10-4	H	C(=O)NH-cyclopropyl	NH	4.527	535.10
10-5	H	C(=O)NH-CH ₂ cyclopropyl	NH	4.675	549.10
10-6	H	C(=S)NH-CH ₃	NH	4.596	524.95

5 C.11 Compound examples 11

Compound examples 11-1 to 11-3 correspond to compounds of formula C.11:



10

wherein R¹, R² and Y of each synthesized compound is defined in one row of table C.11 below.

Table C.11

Compound Ex.	R ¹	R ²	Y	R _t (min)	[M + H]
11-1	H	C(=O)NH-CH ₂ CF ₃	NH	4.556	571.10
11-2	H	C(=O)NH-CH ₃	NH	4.322	503.10
11-3	H	C(=O)NH-CH ₂ CH ₃	NH	4.464	517.10

15

B. Biological examples: Evaluation of pesticidal activity:

The activity of the compounds of formula III of the present invention could be demonstrated and evaluated by the following biological test.

B.1 Tobacco budworm (*Heliothis virescens*) I

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For evaluating control of tobacco budworm (*Heliothis virescens*) the test unit consisted of 96-well-microtiter plates containing an insect diet and 15-25 *H. virescens* eggs.

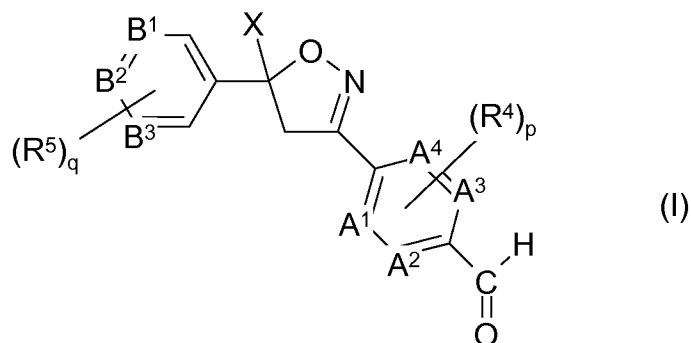
The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the
10 insect diet at 10 µl, using a custom built micro atomizer, at two replications. After application, microtiter plates are incubated at about 28 ± 1°C and about 80 ± 5 % relative humidity for 5 days. Egg and larval mortality is then visually assessed.

In this test, the compounds 1-1, 1-2, 1-3, 1-4, 1-5, 1-6, 1-7, 1-8, 1-9, 1-10, 1-11, 1-12,
15 1-13, 1-14, 1-15, 1-16, 1-17, 1-18, 1-19, 1-20, 1-21, 1-22, 1-23, 1-26, 1-27, 1-28, 1-29,
1-30, 1-31, 1-32, 1-33, 1-34, 1-35, 1-36, 1-39, 1-40, 1-41, 1-42, 1-43, 1-44, 1-45, 1-47,
1-48, 1-49, 1-51, 1-52, 1-53, 1-54, 1-55, 1-56, 1-57, 1-58, 1-59, 1-60, 1-62, 1-63, 1-64,
1-65, 1-66, 1-67, 1-68, 1-69, 1-70, 1-71, 1-72, 1-73, 1-74, 1-75, 1-76, 1-77, 1-79, 1-80,
1-81, 1-82, 1-83, 1-84, 1-85, 1-86, 1-87, 1-88, 1-89, 1-90, 1-91, 1-92, 1-93, 1-94, 1-95,
20 2-1, 2-2, 2-3, 2-4, 2-5, 2-6, 2-7, 2-8, 2-9, 2-10, 2-11, 2-12, 2-13, 2-14, 2-15, 2-16, 2-17,
2-18, 2-19, 3-1, 3-2, 3-3, 4-1, 4-2, 4-3, 4-4, 4-5, 4-6, 4-7, 4-8, 4-9, 4-10, 4-11, 4-12, 4-
13, 4-14, 4-15, 4-16, 4-17, 4-18, 4-19, 4-20, 4-21, 4-22, 4-23, 4-24, 4-25, 4-26, 4-27, 4-
28, 4-29, 4-30, 4-31, 4-32, 4-33, 4-34, 4-35, 4-36, 4-37, 4-38, 4-39, 4-40, 4-41, 4-42, 4-
43, 4-44, 4-45, 4-46, 4-47, 4-48, 4-49, 4-50, 4-51, 4-52, 4-53, 4-54, 4-55, 5-1, 5-2, 5-3,
25 5-4, 5-5, 5-6, 5-9, 5-10, 5-11, 5-12, 5-13, 5-14, 6-1, 6-2, 6-3, 6-4, 6-5, 6-6, 6-7, 7-1, 7-2,
7-3, 7-4, 7-5, 7-6, 7-7, 7-8, 8-1, 8-2, 8-3, 8-4, 8-5, 8-6, 8-7, 8-8, 9-1, 9-2, 9-3, 9-4, 9-5,
9-6, 9-7, 9-8, 9-9, 9-10, 9-11, 9-12, 9-13, 9-14, 9-15, 10-1, 10-2, 10-3, 10-4, 10-5, 10-6,
10-6, 11-1, 11-2 and 11-3, at 2500 ppm, respectively showed a mortality of at least
75% in comparison with untreated controls.

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We claim:

1. A process for producing a carbonyl compound of formula I



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wherein

A¹, A², A³ and A⁴ are N or CH, with the proviso that at most three of A¹, A², A³ and A⁴ are N;

10

B¹, B² and B³ are N or CH, with the proviso that at most two of B¹, B² and B³ are N;

15

X is selected from the group consisting of C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-haloalkoxy-C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-haloalkenyl, C₂-C₄-alkynyl, C₂-C₄-haloalkynyl, C₃-C₆-cycloalkyl and C₃-C₆-halocycloalkyl;

20

each R⁴ is independently selected from the group consisting of fluorine; chlorine; cyano; azido; nitro; -SCN; SF₅; C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷;

25

-S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; C(=O)R⁶; -C(=O)OR⁷; -C(=NR⁸)H; -C(=NR⁸)R⁶; -C(=O)N(R⁸)R⁹; C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-

30

membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

or two radicals R⁴ bound on adjacent carbon atoms may be together a group selected from -CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-, -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-,
 5 -CH₂OCH₂CH₂-, -OCH₂CH₂O-, -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-,
 -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-, -CH₂C(=O)O-, -C(=O)OCH₂-,
 -O(CH₂)O-, -SCH₂CH₂CH₂-, -SCH=CHCH₂-, -CH₂SCH₂CH₂-, -SCH₂CH₂S-,
 -SCH₂SCH₂-, -CH₂CH₂S-, -CH=CHS-, -CH₂SCH₂-, -CH₂C(=S)S-,
 -C(=S)SCH₂-, -S(CH₂)S-, -CH₂CH₂NR⁸-, -CH₂CH=N-, -CH=CH-NR⁸-,
 10 -OCH=N- and -SCH=N-, thus forming, together with the carbon atoms to which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the above groups may be replaced by one or more substituents selected from fluorine, chlorine, methyl, halomethyl, hydroxyl, methoxy and halomethoxy or one or more CH₂ groups of the above groups may be re-
 15 placed by a C=O group;

each R⁵ is independently selected from the group consisting of fluorine, chlorine, cyano, azido, nitro, -SCN, SF₅, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶, C₃-C₈-
 20 cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶, C₂-C₆-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶, C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶, -Si(R¹⁴)₂R¹³, -OR⁷, -SR⁷,
 25 -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, N(R⁸)C(=O)R⁶, -C(=O)R⁶, -C(=O)OR⁷,
 -C(=S)R⁶, -C(=S)OR⁷, -C(=NR⁸)R⁶, -C(=O)N(R⁸)R⁹, -C(=S)N(R⁸)R⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from
 30 N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

each R⁶ is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF₅, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -Si(R¹⁴)₂R¹³, -OR⁷,
 35 -OSO₂R⁷, -SR⁷, -S(O)_mR⁷, -S(O)_nN(R⁸)R⁹, -N(R⁸)R⁹, -C(=O)N(R⁸)R⁹,
 -C(=S)N(R⁸)R⁹, -C(=O)OR⁷, -C(=O)R¹⁹, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂,

as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

and, in case R^6 is bound to a cycloalkyl group or to a heterocyclic ring formed by R^1 and R^2 together with the atoms to which they are bound, R^6 may additionally be selected from the group consisting of C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -haloalkenyl, C_2 - C_6 -alkynyl, C_2 - C_6 -haloalkynyl and benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} ;

and in groups $-C(=O)R^6$, $-C(=S)R^6$, $-C(=NR^8)R^6$ and $-N(R^8)C(=O)R^6$, R^6 may additionally be selected from hydrogen, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -haloalkenyl, C_2 - C_6 -alkynyl, C_2 - C_6 -haloalkynyl and benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} ;

or two geminally bound radicals R^6 together form a group selected from $=CR^{11}R^{12}$, $=S(O)_mR^7$, $=S(O)_mN(R^8)R^9$, $=NR^8$, $=NOR^7$ and $=NNR^8$;

or two radicals R^6 , together with the carbon atoms to which they are bound, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members;

each R^7 is independently selected from the group consisting of hydrogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl, C_1 - C_6 -haloalkylsulfonyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkyl- C_1 - C_4 -alkyl, C_3 - C_8 -halocycloalkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -haloalkenyl, C_2 - C_6 -alkynyl, C_2 - C_6 -haloalkynyl, $-Si(R^{14})_2R^{13}$, $-SR^8$, $-S(O)_mR^7$, $-S(O)_nN(R^8)R^9$, $-N(R^8)R^9$, $-N=CR^{15}R^{16}$, $-C(=O)R^{17}$, $-C(=O)N(R^8)R^9$, $-C(=S)N(R^8)R^9$, $-C(=O)OR^{17}$, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R^{10} , and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2 , as ring members, where the heterocyclic ring may be substituted by one or more radicals R^{10} ;

with the proviso that R^7 is not C_1 - C_6 -alkoxy or C_1 - C_6 -haloalkoxy if it is bound to an oxygen atom;

each R⁸ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, where the alkyl moiety in the four last-
5 mentioned radicals may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl-C₁-C₄-alkyl where the cycloalkyl moiety may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkenyl which may be partially or
10 fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-
15 membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

20 each R⁹ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, where the alkyl moiety in the four last-
25 mentioned radicals may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl-C₁-C₄-alkyl where the cycloalkyl moiety may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkenyl which may be partially or
30 fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₆-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic
35 ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

or R⁸ and R⁹ together form a group =CR¹¹R¹²;

or R⁸ and R⁹, together with the nitrogen atom to which they are bound, may form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

each R¹⁰ is independently selected from the group consisting of fluorine, chlorine, cyano, azido, nitro, -SCN, SF₅, C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R¹⁹, -Si(R¹⁴)₂R¹³, -OR²⁰, -SR²⁰, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², -N(R²¹)R²², C(=O)R¹⁹, -C(=O)OR²⁰, -C(=NR²¹)R²², -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy; and a 3-, 4-, 5-, 6- or 7-membered saturated or unsaturated heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, which may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

or two radicals R¹⁰ bound on adjacent atoms together form a group selected from -CH₂CH₂CH₂CH₂-, -CH=CH-CH=CH-, -N=CH-CH=CH-, -CH=N-CH=CH-, -N=CH-N=CH-, -OCH₂CH₂CH₂-, -OCH=CHCH₂-, -CH₂OCH₂CH₂-, -OCH₂CH₂O-, -OCH₂OCH₂-, -CH₂CH₂CH₂-, -CH=CHCH₂-, -CH₂CH₂O-, -CH=CHO-, -CH₂OCH₂-, -CH₂C(=O)O-, -C(=O)OCH₂-, -O(CH₂)O-, -SCH₂CH₂CH₂-, -SCH=CHCH₂-, -CH₂SCH₂CH₂-, -SCH₂CH₂S-, -SCH₂SCH₂-, -CH₂CH₂S-, -CH=CHS-, -CH₂SCH₂-, -CH₂C(=S)S-, -C(=S)SCH₂-, -S(CH₂)S-, -CH₂CH₂NR²¹-, -CH₂CH=N-, -CH=CH-NR²¹-, -OCH=N- and -SCH=N-, thus forming, together with the atoms to which they are bound, a 5- or 6-membered ring, where the hydrogen atoms of the above groups may be replaced by one or more substituents selected from fluorine, chlorine, methyl, halomethyl, hydroxyl, methoxy and halomethoxy

or one or more CH₂ groups of the above groups may be replaced by a C=O group;

5 R¹¹, R¹² are, independently of each other and independently of each occurrence, selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, -C(=O)R¹⁹, -C(=O)OR²⁰, -C(=NR²¹)R²², -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², phenyl which may be substituted by 1, 2, 3, 4, or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, which may be substituted by one or more radicals R¹⁰;

15 R¹³, R¹⁴ are, independently of each other and independently of each occurrence, selected from the group consisting of C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, phenyl and benzyl;

20 R¹⁵, R¹⁶ are, independently of each other and independently of each occurrence, selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-C₁-C₆-alkyl, phenyl which may be substituted by 1, 2, 3, 4, or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, which may be substituted by one or more radicals R¹⁰;

30 each R¹⁷ is independently selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₁-C₆-haloalkoxy-C₁-C₆-alkyl, phenyl and benzyl;

35 each R¹⁹ is independently selected from the group consisting of cyano, azido, nitro, -SCN, SF₅, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, -Si(R¹⁴)₂R¹³, -OR²⁰, -OSO₂R²⁰, -SR²⁰, -S(O)_mR²⁰, -S(O)_nN(R²¹)R²², -N(R²¹)R²², -C(=O)N(R²¹)R²², -C(=S)N(R²¹)R²², -C(=O)OR²⁰, -C(=O)R²⁰, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine,

5 cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

10 and, in case R¹⁹ is bound to a cycloalkyl group, R¹⁹ may additionally be selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl and C₂-C₆-haloalkynyl;

15 and in groups -C(=O)R¹⁹, R¹⁹ may additionally be selected from hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, and C₂-C₆-haloalkynyl;

or two geminally bound radicals R¹⁹ together form a group selected from =CR¹¹R¹², =S(O)_mR²⁰, =S(O)_mN(R²¹)R²², =NR²¹, =NOR²⁰ and =NNR²¹;

20 or two radicals R¹⁹, together with the carbon atoms to which they are bound, form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partially unsaturated carbocyclic or heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members;

25 each R²⁰ is independently selected from the group consisting of hydrogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-haloalkylsulfonyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, -Si(R¹⁴)₂R¹³, C₁-C₆-alkylaminosulfonyl, amino, C₁-C₆-alkylamino, di-(C₁-C₆-alkyl)-amino, C₁-C₆-alkylcarbonyl, C₁-C₆-haloalkylcarbonyl, aminocarbonyl, C₁-C₆-alkylaminocarbonyl, di-(C₁-C₆-alkyl)-aminocarbonyl, C₁-C₆-alkoxycarbonyl, C₁-C₆-haloalkoxycarbonyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and

a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

with the proviso that R²⁰ is not C₁-C₆-alkoxy or C₁-C₆-haloalkoxy if it is bound to an oxygen atom;

R²¹ and R²² are independently of each other and independently of each occurrence selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₃-C₈-cycloalkyl-C₁-C₄-alkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, benzyl which may be substituted by 1, 2, 3, 4 or 5 radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals independently selected from fluorine, chlorine, cyano, nitro, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

or R²¹ and R²², together with the nitrogen atom to which they are bound, may form a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring which may additionally containing 1 or 2 further heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals selected from fluorine, chlorine, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy;

each m is independently 1 or 2;

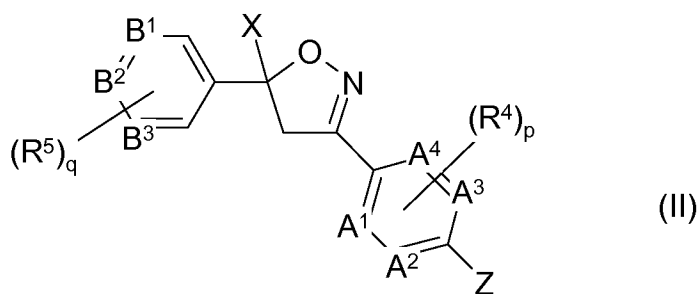
each n is independently 0, 1 or 2;

p is 0, 1, 2, 3 or 4; and

q is 0, 1, 2, 3, 4 or 5;

5 comprising following step:

reacting a compound of formula II



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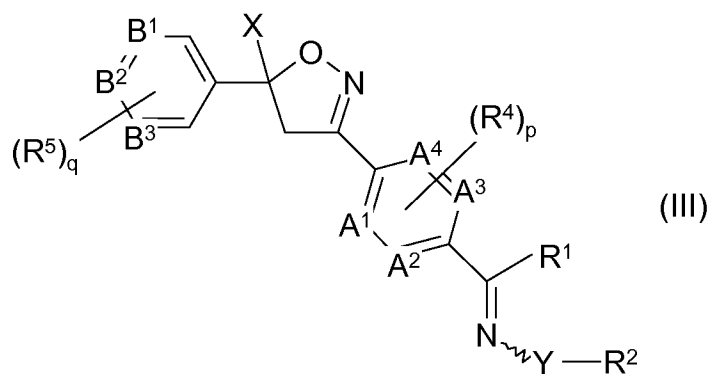
wherein A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, p and q are as defined above and

Z is selected from halogen and -OSO₂-R^{z1}, where R^{z1} is C₁-C₄-alkyl, C₁-C₄-haloalkyl or phenyl which may be substituted by 1, 2 or 3 radicals selected from C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

15

with carbon monoxide and hydrogen in the presence of a transition metal complex.

20 2. A process for producing imine compounds of the formula III



wherein

25 Y is O, N-R³, S(O)_n or a chemical bond;

5 R¹ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₁-C₁₀-alkoxy; C₁-C₁₀-haloalkoxy; C₁-C₁₀-alkylthio; C₁-C₁₀-haloalkylthio; C₁-C₁₀-alkylsulfinyl; C₁-C₁₀-haloalkylsulfinyl; C₁-C₁₀-alkylsulfonyl; C₁-C₁₀-haloalkylsulfonyl; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a C-bound 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

20 R² is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; -N(R⁸)R⁹; -N(R⁸)C(=O)R⁶; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

with the proviso that R² is not -OR⁷ if Y is O;

35 or R¹ and R², together with the atoms to which they are bound, form a partially unsaturated or aromatic 5- or 6-membered heterocyclic ring which, apart from the nitrogen atom of the imine group and the group Y if this is different from a chemical bond, optionally contains 1 or 2 further heteroa-

toms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may carry 1, 2 or 3 substituents R⁶;

5 R³ is selected from the group consisting of hydrogen; cyano; C₁-C₁₀-alkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₃-C₈-cycloalkyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkenyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶; C₂-C₁₀-alkynyl which may be partially or fully halogenated and/or may be substituted by one or more radicals R⁶;
 10 -N(R⁸)R⁹; -Si(R¹⁴)₂R¹³; -OR⁷; -SR⁷; -S(O)_mR⁷; -S(O)_nN(R⁸)R⁹; -C(=O)R⁶; -C(=O)OR⁷; -C(=O)N(R⁸)R⁹; -C(=S)R⁶; -C(=S)OR⁷; -C(=S)N(R⁸)R⁹; -C(=NR⁸)R⁶; phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰; and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

20 or R² and R³ together form a group =CR¹¹R¹²; =S(O)_mR⁷; =S(O)_nN(R⁸)R⁹; =NR⁸; or =NOR⁷;

25 or R² and R³ together form a C₂-C₇ alkylene chain, thus forming, together with the nitrogen atom to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where the alkylene chain may be interrupted by 1 or 2 O, S and/or NR¹⁸ and/or 1 or 2 of the CH₂ groups of the alkylene chain may be replaced by a group C=O, C=S and/or C=NR¹⁸; and/or the alkylene chain may be substituted by one or more radicals selected from the group consisting of halogen, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₃-C₈-cycloalkyl, C₃-C₈-halocycloalkyl, C₂-C₆-alkenyl, C₂-C₆-haloalkenyl, C₂-C₆-alkynyl, C₂-C₆-haloalkynyl, phenyl which may be substituted by 1, 2, 3, 4 or 5 radicals R¹⁰, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO₂, as ring members, where the heterocyclic ring may be substituted by one or more radicals R¹⁰;

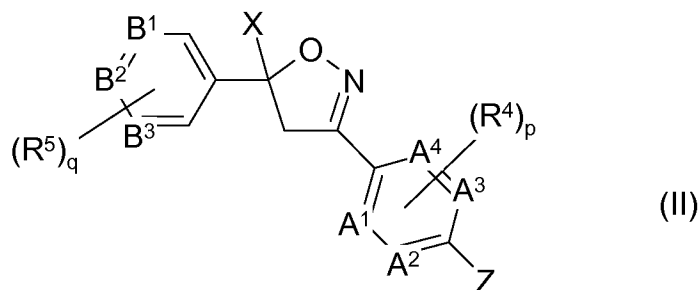
each R¹⁸ is independently defined like R³;

and A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁹, R²⁰, R²¹, R²², m, n, p and q are as defined in claim 1;

comprising following step:

5

reacting a compound of formula II



10 wherein A¹, A², A³, A⁴, B¹, B², B³, X, R⁴, R⁵, p and q are as defined above and Z is selected from halogen and -OSO₂-R^{z1}, where R^{z1} is C₁-C₄-alkyl, C₁-C₄-haloalkyl or phenyl which may be substituted by 1, 2 or 3 radicals selected from C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

15 with carbon monoxide and hydrogen in the presence of a transition metal complex catalyst.

3. The process as claimed in any of the preceding claims, where Z is Br, I or -OSO₂-R^{z1}, where R^{z1} is as defined in any of claims 1 or 2.

20

4. The process as claimed in claim 3, where Z is Br, I or -OSO₂-R^{z1}, where R^{z1} is selected from CH₃, CF₃ and 4-methylphenyl, and is preferably Br.

5. The process as claimed in any of the preceding claims, where carbon monoxide and hydrogen are used in a molar ratio of from 20:1 to 1:10.

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6. The process as claimed in claim 5, where carbon monoxide and hydrogen are used in a molar ratio of from 2:1 to 1:2 and are preferably used in the form of synthesis gas.

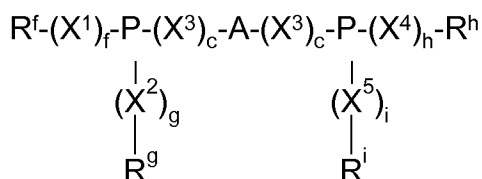
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7. The process as claimed in any of the preceding claims, where the catalyst is a group VIII metal complex.

8. The process as claimed in claim 7, where the metal is selected from Pd, Pt, Ni, Rh, Ir and Ru and is preferably Pd.
9. The process as claimed in any of the preceding claims, where the catalyst contains a monodentate and/or bidentate ligand.
10. The process as claimed in any of the preceding claims, where the catalyst contains a phosphorus-containing ligand.
11. The process as claimed in claim 10, where the phosphorus-containing ligand is a monodentate ligand selected from phosphorus compounds of formula $PR^aR^bR^c$, where
- R^a , R^b and R^c , independently of each other, are selected from C_3 - C_{12} -alkyl, C_3 - C_{12} -alkoxy, where the alkyl moieties in the 2 last-mentioned radicals may carry 1, 2 or 3 substituents R^d ; C_3 - C_{10} -cycloalkyl, C_3 - C_{10} -cycloalkoxy, heterocycl, heterocycloxy, C_5 - C_{18} -polycycl, C_5 - C_{18} -polycycloxy, aryl, aryloxy, hetaryl and hetaryloxy, where the cycloalkyl, heterocycl, polycycl, aryl and hetaryl moieties in the 10 last-mentioned radicals may carry 1, 2, 3 or 4 substituents R^e ;
- or
- R^a and R^b together with the phosphorus atom to which they are bound form a 5-, 6-, 7- or 8-membered heterocyclic ring which may be additionally fused to one, two or three C_3 - C_{10} -cycloalkyl, heterocycl, aryl or hetaryl groups, where the heterocyclic ring and, if present, the fused-on groups may each independently carry one, two, three or four substituents R^e ;
- each R^d is independently selected from C_3 - C_{10} -cycloalkyl, C_3 - C_{10} -cycloalkoxy, heterocycl, heterocycloxy, aryl, aryloxy, hetaryl, hetaryloxy, C_1 - C_6 -alkoxy, OH, SH, COOH, carboxylate, SO_3H , sulfonate, NE^1E^2 , $NE^1E^2E^3X^-$, halogen, nitro, acyl and cyano;
- each R^e is independently selected from C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl, C_3 - C_{10} -cycloalkoxy, heterocycl, heterocycloxy, aryl, aryloxy, hetaryl, hetaryloxy, C_1 - C_6 -alkoxy, OH, SH, COOH, carboxylate, SO_3H , sulfonate, NE^1E^2 , $NE^1E^2E^3X^-$, halogen, nitro, acyl and cyano;
- E^1 , E^2 and E^3 are identical or different radicals selected from hydrogen, C_1 - C_6 -alkyl, C_3 - C_{10} -cycloalkyl and aryl; and
- X^- is an anion equivalent.
12. The process as claimed in claim 11, where R^a , R^b and R^c , independently of each other, are selected from C_3 - C_{12} -alkyl, cyclohexyl, adamantyl, phenyl and

phenoxy, where the cyclohexyl, adamantyl and phenyl moiety in the 4 last-mentioned radicals may carry 1, 2 or 3 substituents selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

- 5 13. The process as claimed in claim 10, where the phosphorus-containing ligand is a bidentate ligand selected from phosphorus compounds of formula



where

- 10 X¹, X², X³, X⁴ and X⁵, independently of each other and independently of each occurrence, are selected from O, S, NRⁱ and a group SiR^kR^l, where Rⁱ, R^k and R^l, independently of each other, are selected from hydrogen, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, heterocyclyl, aryl and hetaryl;

c, f, g, h and i are independently 0 or 1;

- 15 R^f, R^g, R^h and Rⁱ, independently of each other, are selected from C₃-C₁₂-alkyl which may carry 1, 2 or 3 substituents R^d; C₃-C₁₀-cycloalkyl, heterocyclyl, C₅-C₁₈-polycyclyl, aryl and hetaryl, where the cycloalkyl, heterocyclyl, polycyclyl, aryl and hetaryl moieties in the 5 last-mentioned radicals may carry 1, 2, 3 or 4 substituents R^e;

- 20 where R^d and R^e are as defined in claim 11;

or

in case X¹ and X² are O or NRⁱ and f and g are 1, R^f together with R^g form a C₂-C₅-alkylene group; and/or in case X⁴ and X⁵ are O or NRⁱ and h and g are 1, R^h together with Rⁱ form a C₂-C₅-alkylene group; and

- 25 A is a bridging group.

14. The process as claimed in claim 13, where the bridging group A is selected from divalent aliphatic groups, divalent alicyclic groups, divalent heterocyclic groups, divalent aliphatic-alicyclic groups, divalent aromatic groups, divalent araliphatic groups, divalent heteroaromatic groups, divalent heteroaromatic-aliphatic groups and metallocene groups.
- 30

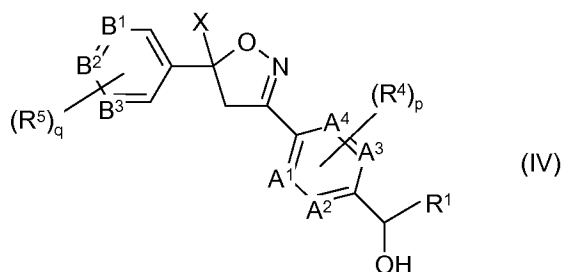
15. The process as claimed in claim 14, where the bridging group A is selected from C₂-C₆-alkylene, binaphthenediyl, xanthenediyl and ferrocenediyl, where the cyclic moieties in the 3 last-mentioned radicals may carry 1, 2, 3, 4, 5 or 6 radicals
- 35

selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.

- 5 16. The process as claimed in any of claims 13 to 15, where R^f, R^g, R^h and Rⁱ, independently of each other, are selected from C₃-C₁₂-alkyl, cyclohexyl, adamantyl, phenyl, phenoxy and indolyl, where the phenyl moiety in phenyl and phenoxy and the indolyl radical may carry 1, 2 or 3 substituents selected from C₁-C₆-alkyl and C₁-C₄-alkoxy.
- 10 17. The process as claimed in any of the preceding claims, where the catalyst is produced by bringing the transition metal or a salt thereof and the ligand in a molar ratio of from 10:1 to 1:100 into contact with each other.
- 15 18. The process as claimed in any of the preceding claims, where the catalyst is used in such an amount that the metal is present in an amount of 0.001 to 10 mol-%, relative to 100 mol-% of compound II.
19. The process as claimed in any of the preceding claims, where reaction is carried out at 1 to 100 bar.
- 20 20. The process as claimed in any of the preceding claims, where reaction is carried out at 50 to 170 °C.
- 25 21. The process as claimed in any of the preceding claims, where reaction is carried out in the presence of a base.
22. The process as claimed in claim 21, where the base is selected from aliphatic mono and polyamines, aromatic amines, alkanol amines, nitrogen-containing heterocyclic compounds and inorganic bases.
- 30 23. The process as claimed in any of claims 21 and 22 where the base is used in an amount of 0.1 to 10 mole equivalents, relative to 1 mole of compound II.
24. The process as claimed in any of claims 2 to 23, for producing a compound of formula III, wherein R¹ is hydrogen, further comprising following step:
35 reacting the carbonyl compound of formula I with a compound NH₂-Y-R².
25. The process as claimed in claim 24, comprising following step:

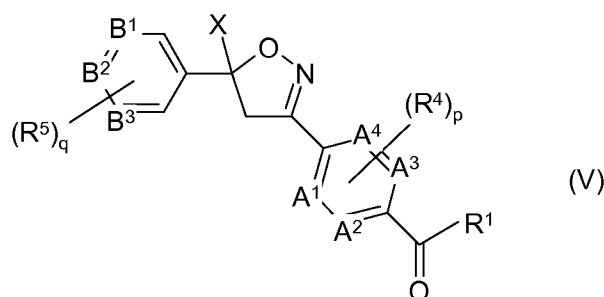
reacting a compound II with carbon monoxide and hydrogen in the presence of a transition metal complex and of a compound $\text{NH}_2\text{-Y-R}^2$.

26. The process as claimed in any of claims 2 to 23, for producing a compound of formula III, wherein R^1 is not hydrogen, further comprising following steps:
- 5 - reacting a compound of formula II with a Grignard reagent $\text{R}^1\text{-MgHal}$, where Hal is Cl, Br or I, or an organolithium compound $\text{R}^1\text{-Li}$ to obtain an alcohol of formula IV



10

- oxidizing the alcohol of formula IV to obtain a carbonyl compound V



15

and

- reacting the carbonyl compound of formula V with a compound $\text{NH}_2\text{-Y-R}^2$.

27. The process as claimed in any of the preceding claims, where A^1 , A^3 and A^4 are CH and A^2 is CH or N and is preferably CH.
28. The process as claimed in any of the preceding claims, where B^1 , B^2 and B^3 are CH or B^1 and B^2 are CH and B^3 is N.
29. The process as claimed in any of the preceding claims, where X is trifluoromethyl.
30. The process as claimed in any of the preceding claims, where Y is NR^3 .

20

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31. The process as claimed in any of the preceding claims, where R¹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl which may be partially or fully halogenated and/or may be substituted by 1 or 2 radicals R⁶, and C₃-C₆-cycloalkyl, which may be partially or fully halogenated and/or may be substituted by 1 or 2 radicals R⁶ and is in particular selected from hydrogen and C₁-C₆-alkyl.
32. The process as claimed in any of the preceding claims, where R² is CO-N(R⁸)R⁹, CS-N(R⁸)R⁹ or CO-R⁶, and is in particular CO-N(R⁸)R⁹.
33. The process as claimed in any of the preceding claims, where R³ is selected from the group consisting of hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl and -C(=O)R⁶.
34. The process as claimed in claim 33, where R³ is selected from the group consisting of hydrogen, C₁-C₄-alkyl and C₁-C₄-haloalkyl and is in particular hydrogen.
35. The process as claimed in any of the preceding claims, where each R⁴ is independently selected from Cl, F; cyano; C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy or two radicals R⁴ bound on adjacent carbon atoms form together a group -CH=CH-CH=CH-, and is in particular selected from Cl, F; cyano; C₁-C₆-alkyl and C₁-C₆-haloalkyl.
36. The process as claimed in any of the preceding claims, where each R⁵ is independently selected from the group consisting of Cl, F, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy and C₁-C₆-haloalkoxy.
37. The process as claimed in claim 36, where each R⁵ is independently selected from the group consisting of Cl, F, C₁-C₄-alkyl and C₁-C₂-haloalkyl.
38. The process as claimed in claim 37, where each R⁵ is independently selected from the group consisting of chlorine and fluorine.
39. Imine compounds of formula (III) or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof as defined in any of claims 2 or 27 to 38 obtainable by a process according to any of claims 2 to 38.
40. An agricultural composition comprising at least one imine compound of the formula III as defined in any of claims 2 or 27 to 38, obtainable by a process according to any of claims 2 to 38, or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof, and at least one inert liquid and/or solid agricultur-

ally acceptable carrier.

- 5 41. A veterinary composition comprising at least one imine compound of the formula III as defined in any of claims 2 or 27 to 38, obtainable by a process according to any of claims 2 to 38, or an enantiomer, diastereoisomer and/or a veterinarily acceptable salt thereof, and at least one inert liquid and/or solid veterinarily acceptable carrier.
- 10 42. The use of an imine compound of formula III as defined in any of claims 2 or 27 to 38, obtainable by a process according to any of claims 2 to 38, or an enantiomer, diastereoisomer and/or an agriculturally or veterinarily acceptable salt thereof, for combating invertebrate pests.
- 15 43. The use of an imine compound of formula III as defined in any of claims 2 or 27 to 38, obtainable according to any of claims 2 to 38, or an enantiomer, diastereoisomer and/or a veterinarily acceptable salt thereof, for treating or protecting an animal from infestation or infection by invertebrate pests.
- 20 44. Plant propagation material, comprising at least one compound of the formula III as defined in any of claims 2 or 27 to 38, obtainable by a process according to any of claims 2 to 38, or an enantiomer, diastereoisomer and/or an agriculturally acceptable salt thereof.
- 25 45. The plant propagation material according to claim 44, wherein the plant propagation material are seeds.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2011/060388

A. CLASSIFICATION OF SUBJECT MATTER INV. C07D261/08 C07D409/12 C07D413/12 C07D417/12 ADD.		
According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED		
Minimum documentation searched (classification system followed by classification symbols) C07D		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2008/122375 A2 (BAYER CROPSCIENCE AG [DE]; MIHARA JUN [JP]; MURATA TETSUYA [JP]; YAMAZ) 16 October 2008 (2008-10-16) Synthesis Example 6-1 -----	1-45
A	WO 2009/049846 A1 (SYNGENTA PARTICIPATIONS AG [CH]; ZAMBACH WERNER [CH]; RENOLD PETER [CH] 23 April 2009 (2009-04-23) the whole document -----	1-45
X,P	WO 2010/072781 A2 (BASF SE [DE]; KOERBER KARSTEN [DE]; KAISER FLORIAN [DE]; POHLMAN MATTH) 1 July 2010 (2010-07-01) Numerous imine compounds of formula (I) claims 31-39 -----	39-45
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex.		
* Special categories of cited documents :		
"A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed		"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. "&" document member of the same patent family
Date of the actual completion of the international search 27 October 2011		Date of mailing of the international search report 03/11/2011
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer Sarakinis, Georgios

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Information on patent family members

International application No

PCT/EP2011/060388

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