



(51) International Patent Classification:

C07D 249/08 (2006.01) *C07D 275/02* (2006.01)
A01N 43/80 (2006.01) *C07D 291/04* (2006.01)

(21) International Application Number:

PCT/EP2013/074394

(22) International Filing Date:

21 November 2013 (21.11.2013)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

12193612.4 21 November 2012 (21.11.2012) EP

(71) Applicant: SYNGENTA PARTICIPATIONS AG
[CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).(72) Inventors: CASSAYRE, Jérôme Yves; Syngenta Crop
Protection, Münchwilen AG, Schaffhauserstrasse, CH-
4332 Stein (CH). EL QACEMI, Myriem; Syngenta Crop
Protection, Münchwilen AG, Schaffhauserstrasse, CH-
4332 Stein (CH).(74) Agent: HERRMANN, Jörg; WRO-1004-6-22, Schwar-
zwaldallee 215, CH-4058 Basel (CH).(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,

BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ,
OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA,
SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ,
UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,
TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV,
MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

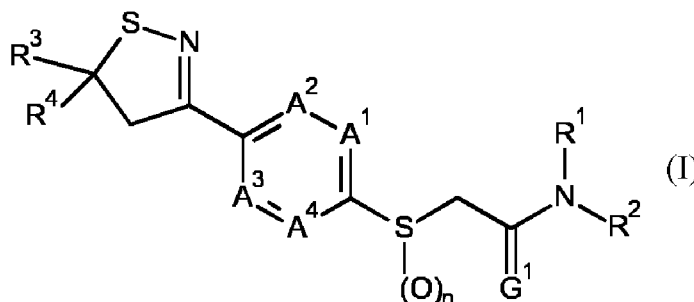
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a
patent (Rule 4.17(ii))
- of inventorship (Rule 4.17(iv))

Published:

- with international search report (Art. 21(3))

(54) Title: INSECTICIDAL COMPOUNDS BASED ON ARYLTHIOACETAMIDE DERIVATIVES



(57) Abstract: The present invention provides compounds of formula (I) wherein R^1 , R^2 , R^3 , R^4 , G^1 , n , A^1 , A^2 , A^3 , and A^4 are as defined in the claims. The invention also relates to processes and intermediates for preparing these compounds, to insecticidal, acaricidal, nematocidal and molluscicidal compositions comprising these compounds and to methods of using these compounds to control insect, acarine, nematode and mollusc pests.

INSECTICIDAL COMPOUNDS BASED ON ARYLTHIOACETAMIDE DERIVATIVES

The present invention relates to arylthioacetamides and derivatives thereof, to processes and intermediates for preparing these compounds, to insecticidal, acaricidal, nematocidal and molluscicidal compositions comprising these compounds and to methods of using these compounds to control insect,

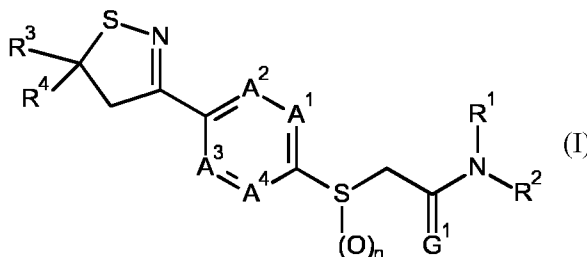
5 acarine, nematode and mollusc pests.

Certain compounds with insecticidal properties are disclosed, for example, in JP2007106756. However there is a continuing need to find new biologically active compounds as well as new biologically active compounds displaying superior properties for use as agrochemical active ingredients, for example greater biological activity, different spectrum of activity, increased safety profile, or

10 increased biodegradability.

It has now surprisingly been found that certain isothiazoline derivatives have highly potent insecticidal properties.

Accordingly in a first aspect the invention provides compounds of formula I



15 wherein

A^1 is $C-R^{5b}$;

A^2 , A^3 and A^4 are independently of one another C-H, $C-R^{5a}$ or nitrogen;

G^1 is oxygen or sulfur;

n is 0, 1 or 2;

20 R^1 is hydrogen, C_1 - C_8 alkyl, C_1 - C_8 alkyl substituted by one to five R^6 , C_1 - C_8 alkoxy, C_1 - C_8 alkylcarbonyl or C_1 - C_8 alkoxycarbonyl;

R^2 is C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_2 - C_8 alkenyl or C_2 - C_8 alkenyl substituted by one to five R^6 , C_2 - C_8 alkynyl or C_2 - C_8 alkynyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene or C_3 - C_{10} cycloalkyl- C_1 -

25 C_4 alkylene substituted by one to five R^7 , aryl- C_1 - C_4 alkylene or aryl- C_1 - C_4 alkylene wherein the aryl moiety is substituted by one to five R^8 , heterocyclyl- C_1 - C_4 alkylene or heterocyclyl- C_1 - C_4 alkylene wherein the heterocyclyl moiety is substituted by one to five R^8 , aryl or aryl substituted by one to five R^8 , heterocyclyl or heterocyclyl substituted by one to five R^8 , C_1 - C_8 alkylaminocarbonyl- C_1 - C_4 alkylene, C_1 - C_8 haloalkylaminocarbonyl- C_1 - C_4 alkylene, C_3 - C_8 cycloalkyl-aminocarbonyl- C_1 - C_4 alkylene, C_1 - C_6 alkyl-O-
30 $N=CH$ - or C_1 - C_6 haloalkyl-O- $N=CH$ -, C_1 - C_6 alkyl-O- $N=CH$ - C_1 - C_4 alkylene or C_1 - C_6 haloalkyl-O- $N=CH$ - C_1 - C_4 alkylene, cyano, or C_1 - C_8 alkylsulfonyl;

R^3 is C_1 - C_8 haloalkyl;

R^4 is aryl or aryl substituted by one to five R^9 , or heteroaryl or heteroaryl substituted by one to five R^9 ;

each R^{5a} is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkylthio, C₁-C₈haloalkylthio, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl- or C₁-C₈haloalkylsulfonyl-;

5 R^{5b} is halogen, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷,

or R^{5a} and R^{5b}, together form a -CH=CH-CH=CH- bridge or a -N=CH-CH=CH- bridge;

each R⁶ is independently halogen, cyano, nitro, hydroxy, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, mercapto, C₁-C₈alkylthio, C₁-C₈haloalkylthio,

10 C₁-C₈alkylsulfinyl, C₁-C₈haloalkylsulfinyl, C₁-C₈alkylsulfonyl, or C₁-C₈haloalkylsulfonyl;

each R⁷ is independently halogen, cyano, C₁-C₈alkyl or C₁-C₈haloalkyl;

each R⁸ and R⁹ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy, C₁-C₈haloalkoxy, mercapto, C₁-C₈alkylthio, C₁-C₈haloalkylthio, C₁-C₈alkylsulfinyl, C₁-C₈haloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈haloalkylsulfonyl,

15 C₈haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, aryl or aryl substituted by one to five R¹⁰ or heterocyclyl or heterocyclyl substituted by one to five R¹⁰;

each R¹⁰ is independently halogen, cyano, nitro, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy;

or a salt or *N*-oxide thereof.

20 The compounds of formula (I) may exist in different geometric or optical isomers or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

The compounds of the invention may contain one or more asymmetric carbon atoms, for example, in the -CR³R⁴- group and may exist as enantiomers (or as pairs of diastereoisomers) or as

25 mixtures of such. Further, where any group is SO, the compounds of the invention are sulfoxides, which can also exist in two enantiomeric forms.

Alkyl groups (either alone or as part of a larger group, such as alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylcarbonyl or alkoxycarbonyl) can be in the form of a straight or branched chain and are, for example, methyl, ethyl, propyl, prop-2-yl, butyl, but-2-yl, 2-methyl-prop-1-yl or 2-methyl-prop-2-yl. The alkyl groups are preferably C₁-C₆, more preferably C₁-C₄, most preferably C₁-C₃ alkyl groups. Where an alkyl moiety is said to be substituted, the alkyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Alkylene groups can be in the form of a straight or branched chain and are, for example, -CH₂-, -CH₂-CH₂-, -CH(CH₃)-, -CH₂-CH₂-CH₂-, -CH(CH₃)-CH₂- or -CH(CH₂CH₃)-. The alkylene groups are 35 preferably C₁-C₃, more preferably C₁-C₂, most preferably C₁ alkylene groups.

Alkenyl groups can be in the form of straight or branched chains, and can be, where appropriate, of either the (*E*)- or (*Z*)-configuration. Examples are vinyl and allyl. The alkenyl groups are preferably C₂-C₆, more preferably C₂-C₄, most preferably C₂-C₃ alkenyl groups. For the avoidance of doubt, alkenyl

groups contain one or more carbon-carbon double bonds, each of which may be located at any point on the chain.

Alkynyl groups can be in the form of straight or branched chains. Examples are ethynyl and propargyl. The alkynyl groups are preferably C₂-C₆, more preferably C₂-C₄, most preferably C₂-C₃ alkynyl groups. For the avoidance of doubt, alkynyl groups contain one or more carbon-carbon triple bonds, each of which may be located at any point on the chain.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups (either alone or as part of a larger group, such as haloalkoxy, haloalkylthio, haloalkylsulfinyl or haloalkylsulfonyl) are alkyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, difluoromethyl, trifluoromethyl, chlorodifluoromethyl or 2,2,2-trifluoro-ethyl.

Haloalkenyl groups are alkenyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, 2,2-difluoro-vinyl or 1,2-dichloro-2-fluoro-vinyl.

Haloalkynyl groups are alkynyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, 1-chloro-prop-2-ynyl.

Cycloalkyl groups or carbocyclic rings can be in mono- or bi-cyclic form and are, for example, cyclopropyl, cyclobutyl, cyclohexyl and bicyclo[2.2.1]heptan-2-yl. The cycloalkyl groups are preferably C₃-C₈, more preferably C₃-C₆ cycloalkyl groups. Where a cycloalkyl moiety is said to be substituted, the cycloalkyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Aryl groups (either alone or as part of a larger group, such as aryl-alkylene) are aromatic ring systems which can be in mono-, bi- or tricyclic form. Examples of such rings include phenyl, naphthyl, anthracenyl, indenyl or phenanthrenyl. Preferred aryl groups are phenyl and naphthyl, phenyl being most preferred. Where an aryl moiety is said to be substituted, the aryl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Heteroaryl groups (either alone or as part of a larger group, such as heteroaryl-alkylene) are aromatic ring systems containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three heteroatoms and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulfur. Examples of monocyclic groups include pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl (e.g. 1,2,4 triazolyl), furanyl, thiophenyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl and thiadiazolyl. Examples of bicyclic groups include purinyl, quinoliny, cinnoliny, quinoxaliny, indolyl, indazolyl, benzimidazolyl, benzothiophenyl and benzothiazolyl. Monocyclic heteroaryl groups are preferred, pyridyl being most preferred. Where a heteroaryl moiety is said to be substituted, the heteroaryl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Heterocyclyl groups or heterocyclic rings (either alone or as part of a larger group, such as heterocyclyl-alkylene) are defined to include heteroaryl groups and in addition their unsaturated or

partially unsaturated analogues. Examples of monocyclic groups include isoxazolyl, thietanyl, pyrrolidinyl, tetrahydrofuranyl, [1,3]dioxolanyl, piperidinyl, piperazinyl, [1,4]dioxanyl, and morpholinyl or their oxidised versions such as 1-oxo-thietanyl and 1,1-dioxo-thietanyl. Examples of bicyclic groups include 2,3-dihydro-benzofuranyl, benzo[1,4]dioxolanyl, benzo[1,3]dioxolanyl, chromenyl, and 2,3-dihydro-benzo[1,4]dioxinyl. Where a heterocyclyl moiety is said to be substituted, the heterocyclyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Preferred values of A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 , R^4 , R^{5a} , R^{5b} , R^6 , R^7 , R^8 , R^9 , R^{10} and R^{12} are, in any combination, as set out below.

Preferably no more than two of A^2 , A^3 and A^4 are nitrogen.

10 Preferably A^2 is C-H, C- R^{5a} or N, more preferably A^2 is C-H or C- R^{5a} , most preferably A^2 is C-H.

Preferably A^3 is C-H or N, most preferably A^3 is C-H.

Preferably A^4 is C-H or N, most preferably A^4 is C-H.

In one group of compounds A^2 , A^3 and A^4 are C-H or N, wherein no more than two of A^2 , A^3 and A^4 are N and wherein A^3 and A^4 are not both N. Preferably A^2 is C-H and A^3 and A^4 are C-H or one of A^3 and A^4 is N. More preferably A^2 , A^3 and A^4 are C-H.

Preferably G^1 is oxygen.

Preferably R^1 is hydrogen, methyl, ethyl, methylcarbonyl or methoxycarbonyl, more preferably hydrogen, methyl or ethyl, even more preferably hydrogen or methyl, most preferably hydrogen.

Preferably, R^2 is C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_2 - C_8 alkenyl or C_2 - C_8 alkenyl substituted by one to five R^6 , C_2 - C_8 alkynyl or C_2 - C_8 alkynyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene or C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene substituted by one to five R^7 , aryl- C_1 - C_4 alkylene or aryl- C_1 - C_4 alkylene wherein the aryl moiety is substituted by one to five R^8 , heterocyclyl- C_1 - C_4 alkylene or heterocyclyl- C_1 - C_4 alkylene wherein the heterocyclyl moiety is substituted by one to five R^8 , aryl or aryl substituted by one to five R^8 , heterocyclyl or heterocyclyl substituted by one to five R^8 , C_1 - C_8 alkylaminocarbonyl- C_1 - C_4 alkylene, C_1 - C_8 haloalkylaminocarbonyl- C_1 - C_4 alkylene, C_3 - C_8 cycloalkyl-aminocarbonyl- C_1 - C_4 alkylene, wherein each aryl group is a phenyl group and each heterocyclyl group is independently selected from pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrahydrothiophenyl, tetrazolyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, quinolinyl, cinnolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzothiophenyl, benzothiazolyl, oxetanyl, thietanyl, oxo-thietanyl, dioxo-thietanyl, pyrrolidinyl, tetrahydrofuranyl, [1,3]dioxolanyl, piperidinyl, piperazinyl, [1,4]dioxanyl, and morpholinyl, 2,3-dihydro-benzofuranyl, benzo[1,3]dioxolanyl, and 2,3-dihydro-benzo[1,4]dioxinyl.

More preferably R^2 is C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_2 - C_8 alkenyl or C_2 - C_8 alkenyl substituted by one to five R^6 , C_2 - C_8 alkynyl or C_2 - C_8 alkynyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene or C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene substituted by one to five R^7 , phenyl- C_1 - C_4 alkylene or phenyl- C_1 - C_4 alkylene wherein the phenyl moiety is substituted by one to five R^8 , pyridyl- C_1 - C_4 alkylene or pyridyl- C_1 -

C₄alkylene wherein the pyridyl moiety is substituted by one to four R⁸, oxetanyl or oxetanyl substituted by one to five R⁸, thietanyl-C₁-C₄alkylene or thietanyl-C₁-C₄alkylene wherein the thietanyl moiety is substituted by one to five R⁸, oxo-thietanyl-C₁-C₄alkylene or oxo-thietanyl-C₁-C₄alkylene wherein the oxo-thietanyl moiety is substituted by one to five R⁸, dioxo-thietanyl-C₁-C₄alkylene or dioxo-thietanyl-C₁-C₄alkylene wherein the dioxo-thietanyl moiety is substituted by one to five R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄alkylene or C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄alkylene,

Even more preferably R² is C₁-C₄alkyl or C₁-C₄alkyl substituted by one to five R⁶, C₂-C₄alkenyl or C₂-C₄alkenyl substituted by one to five R⁶, C₂-C₄alkynyl or C₂-C₄alkynyl substituted by one to five R⁶, C₃-C₆cycloalkyl or C₃-C₆cycloalkyl substituted by one to five R⁷, C₃-C₆cycloalkyl-methylene or C₃-C₆cycloalkyl-methylene substituted by one to five R⁷, phenyl-C₁-C₂alkylene or phenyl-C₁-C₂alkylene wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₂alkylene or pyridyl-C₁-C₂alkylene wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸.

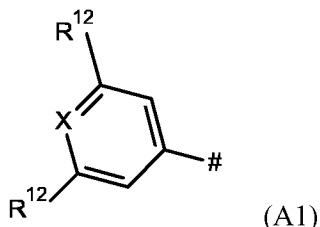
Most preferably R² is C₁-C₄alkyl or C₁-C₄alkyl substituted by one to five halogen, C₃-C₆cycloalkyl or C₃-C₆cycloalkyl substituted by one to five groups independently selected from halogen, methyl and halomethyl, C₃-C₆cycloalkyl-methylene or C₃-C₆cycloalkyl-methylene substituted by one to five groups independently selected from halogen, methyl and halomethyl, C₂-C₄alkenyl or C₂-C₄alkenyl substituted by one to five halogen, C₂-C₄alkynyl or C₂-C₄alkynyl substituted by one to five halogen. Examples of specific groups include ethyl, propyl, butyl, fluoroethyl, fluoropropyl, fluorobutyl, difluoroethyl, difluoropropyl, difluorobutyl, trifluoroethyl, trifluoropropyl, trifluorobutyl, cyclopropyl, cyclobutyl, cyclopropylmethylene, cyclobutylmethylene, allyl, propargyl.

Preferably R³ is chlorodifluoromethyl or trifluoromethyl, most preferably trifluoromethyl.

Preferably R⁴ is aryl or aryl substituted by one to three R⁹, more preferably R⁴ is phenyl or phenyl substituted by one to three R⁹, even more preferably R⁴ is phenyl substituted by one to three R⁹, more preferably R⁴ is

3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-chlorophenyl-, 3,4-dichlorophenyl-, 3-chloro-4-bromophenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-dichloro-4-iodophenyl-, 3,4,5-trifluorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-fluorophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,4-dichloro-5-(trifluoromethyl)phenyl-, 3,5-bis(trifluoromethyl)phenyl-, 4-chloro-3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl, more preferably 3,5-dichlorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-

4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl-, or 3,4-dichlorophenyl-. In one group of compounds R^4 is group A1



wherein X is $C-R^{12}$ or nitrogen (preferably $C-R^{12}$) and each R^{12} is independently hydrogen, halogen or trihalomethyl, wherein at least two R^{12} are not hydrogen.

Preferably each R^{5a} is independently halogen, cyano, nitro, C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkenyl, more preferably halogen, cyano, nitro, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_3 - C_8 cycloalkyl, C_1 - C_8 haloalkyl, even more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, cyclopropyl, vinyl, most preferably bromo, chloro, fluoro, cyclopropyl, trifluoromethyl, vinyl or methyl.

Preferably R^{5b} is halogen, C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkenyl, more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, cyclopropyl, vinyl, even more preferably bromo, chloro, fluoro, cyclopropyl, trifluoromethyl, vinyl or methyl, most preferably bromo, chloro, or methyl, most preferably chloro, bromo or methyl. In one group of compounds R^{5b} is bromo. In one group of compounds R^{5b} is chloro. In one group of compounds R^{5b} is methyl. In one group of compounds R^{5b} is chloro or bromo.

Preferably each R^6 is independently halogen, nitro, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, trifluoromethoxy, even more preferably chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy or trifluoromethoxy, most preferably bromo, chloro, fluoro, or trifluoromethyl.

Preferably each R^7 is independently chloro, fluoro, cyano, or methyl or trifluoromethyl, most preferably fluoro, cyano, or methyl.

Preferably each R^8 is independently halogen, cyano, nitro, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkenyl, C_2 - C_8 haloalkenyl, C_2 - C_8 alkynyl, C_2 - C_8 haloalkynyl, hydroxy, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, mercapto, C_1 - C_8 alkylthio, C_1 - C_8 haloalkylthio, C_1 - C_8 alkylsulfinyl, C_1 - C_8 haloalkylsulfinyl, C_1 - C_8 alkylsulfonyl, C_1 - C_8 haloalkylsulfonyl, C_1 - C_8 alkylcarbonyl, C_1 - C_8 alkoxycarbonyl, aryl or aryl substituted by one to five R^{10} or heterocyclyl or heterocyclyl substituted by one to five R^{10} , more preferably each R^8 is independently halogen, cyano, nitro, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy or trifluoromethoxy, most preferably bromo, chloro, fluoro, cyano or methyl.

Preferably each R^9 is independently halogen, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, C_1 - C_8 alkylthio or C_1 - C_8 haloalkylthio, more preferably bromo, chloro, fluoro, trifluoromethyl, methoxy or methylthio, most preferably trifluoromethyl, bromo or chloro.

Preferably each R¹⁰ is independently bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy or trifluoromethoxy, more preferably bromo, chloro, fluoro, nitro or methyl, most preferably chloro, fluoro or methyl.

In one group of compounds n is 0. In another group of compounds n is 1. In another group of compounds n is 2. Preferably n is 0.

In one group of compounds A² is C-H and A³ and A⁴ are C-H or one of A³ and A⁴ is N; G¹ is O, R³ is chlorodifluoromethyl or trifluoromethyl; R⁴ is 3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-chlorophenyl-, 3,4-dichlorophenyl-, 3-chloro-4-bromophenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-dichloro-4-iodophenyl-, 3,4,5-trifluorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-fluorophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,4-dichloro-5-(trifluoromethyl)phenyl-, 3,5-bis(trifluoromethyl)phenyl-, 4-chloro-3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl, in particular 3,5-dibromo-phenyl, 3,5-dichloro-phenyl, 3,5-bis-(trifluoromethyl)-phenyl, 4-bromo-3,5-dichlorophenyl, 3-bromo-5-(trifluoromethyl)phenyl- or 3,4,5-trichloro-phenyl.

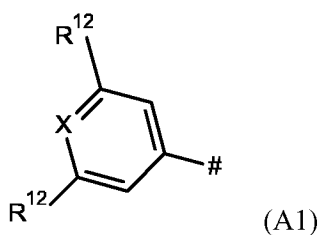
In one group of compounds A² is C-H and A³ and A⁴ are C-H or one of A³ and A⁴ is N; G¹ is O, R¹ is H, R³ is chlorodifluoromethyl or trifluoromethyl; R⁴ is 3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-chlorophenyl-, 3,4-dichlorophenyl-, 3-chloro-4-bromophenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-dichloro-4-iodophenyl-, 3,4,5-trifluorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-fluorophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,4-dichloro-5-(trifluoromethyl)phenyl-, 3,5-bis(trifluoromethyl)phenyl-, 4-chloro-3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl, in particular 3,5-dibromo-phenyl, 3,5-dichloro-phenyl, 3,5-bis-(trifluoromethyl)-phenyl, 4-bromo-3,5-dichlorophenyl, 3-bromo-5-(trifluoromethyl)phenyl- or 3,4,5-trichloro-phenyl.

In one group of compounds A², A³ and A⁴ are C-H; G¹ is O, R¹ is H, R³ is chlorodifluoromethyl or trifluoromethyl; R⁴ is 3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-chlorophenyl-, 3,4-dichlorophenyl-, 3-chloro-4-bromophenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-dichloro-4-iodophenyl-, 3,4,5-trifluorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-fluorophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,4-dichloro-5-(trifluoromethyl)phenyl-, 3,5-bis(trifluoromethyl)phenyl-, 4-chloro-3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl, in particular 3,5-dibromo-phenyl, 3,5-dichloro-phenyl, 3,5-bis-(trifluoromethyl)-phenyl, 4-bromo-3,5-dichlorophenyl, 3-bromo-5-(trifluoromethyl)phenyl- or 3,4,5-trichloro-phenyl.

In one group of compounds A², A³ and A⁴ are C-H; G¹ is O, R¹ is H, R³ is chlorodifluoromethyl or trifluoromethyl; R⁴ is 3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-chlorophenyl-, 3,4-dichlorophenyl-, 3-chloro-4-bromophenyl-, 3,5-dichloro-4-fluorophenyl-, 3,4,5-trichlorophenyl-, 3,5-

dichloro-4-iodophenyl-, 3,4,5-trifluorophenyl-, 3-chloro-5-bromophenyl-, 3-chloro-5-fluorophenyl-, 3-chloro-5-(trifluoromethyl)phenyl-, 3,4-dichloro-5-(trifluoromethyl)phenyl-, 3,5-bis(trifluoromethyl)phenyl-, 4-chloro-3,5-bis(trifluoromethyl)phenyl-, 3-(trifluoromethyl)phenyl-, 2,6-dichloro-4-pyridyl-, 2,6-bis(trifluoromethyl)-4-pyridyl-, 3,5-dichloro-4-bromophenyl-, 3-bromo-5-(trifluoromethyl)phenyl-, 3,5-dibromophenyl, in particular 3,5-dibromo-phenyl, 3,5-dichloro-phenyl, 3,5-bis-(trifluoromethyl)-phenyl, 4-bromo-3,5-dichlorophenyl, 3-bromo-5-(trifluoromethyl)phenyl- or 3,4,5-trichloro-phenyl; R^{5b} is bromo, chloro, or methyl.

In one group of compounds A^1 is $C-R^{5b}$; A^2 , A^3 and A^4 are C-H; G^1 is O; R^1 is hydrogen; R^2 is C_1 - C_4 alkyl or C_1 - C_4 alkyl substituted by one to five halogen, C_3 - C_6 cycloalkyl or C_3 - C_6 cycloalkyl substituted by one to five groups independently selected from halogen, methyl and halomethyl, C_3 - C_6 cycloalkyl-methylene or C_3 - C_6 cycloalkyl-methylene substituted by one to five groups independently selected from halogen, methyl and halomethyl, C_2 - C_4 alkenyl or C_2 - C_4 alkenyl substituted by one to five halogen, C_2 - C_4 alkynyl or C_2 - C_4 alkynyl substituted by one to five halogen; R^3 is chlorodifluoromethyl or trifluoromethyl; R^4 is group A1



wherein X is $C-R^{12}$ or nitrogen (preferably $C-R^{12}$) and each R^{12} is independently hydrogen, halogen or trihalomethyl, wherein at least two R^{12} are not hydrogen; R^{5b} is chloro, bromo or methyl.

In one group of compounds A^1 is $C-R^{5b}$; A^2 , A^3 and A^4 are C-H; G^1 is O; R^1 is hydrogen; R^2 is C_1 - C_4 alkyl or C_1 - C_4 alkyl substituted by one to five halogen, C_3 - C_6 cycloalkyl or C_3 - C_6 cycloalkyl substituted by one to five groups independently selected from halogen, methyl and halomethyl, C_3 - C_6 cycloalkyl-methylene or C_3 - C_6 cycloalkyl-methylene substituted by one to five groups independently selected from halogen, methyl and halomethyl, C_2 - C_4 alkenyl or C_2 - C_4 alkenyl substituted by one to five halogen, C_2 - C_4 alkynyl or C_2 - C_4 alkynyl substituted by one to five halogen; R^3 is trifluoromethyl; R^4 is group A1 wherein X is $C-R^{12}$ or nitrogen (preferably $C-R^{12}$) and each R^{12} is independently hydrogen, halogen or trihalomethyl, wherein at least two R^{12} are not hydrogen; R^{5b} is chloro, bromo or methyl.

In one group of compounds A^1 is $C-R^{5b}$; A^2 , A^3 and A^4 are C-H; G^1 is oxygen; R^1 is hydrogen; R^2 is ethyl, propyl, butyl, fluoroethyl, fluoropropyl, fluorobutyl, difluoroethyl, difluoropropyl, difluorobutyl, trifluoroethyl, trifluoropropyl, trifluorobutyl, cyclopropyl, cyclobutyl, cyclopropylmethylene, cyclobutylmethylene, allyl or propargyl; R^3 is chlorodifluoromethyl or trifluoromethyl; R^4 is group A1, wherein X is $C-R^{12}$ and each R^{12} is independently hydrogen, halogen or trihalomethyl, wherein at least two R^{12} are not hydrogen; R^{5b} is chloro, bromo or methyl.

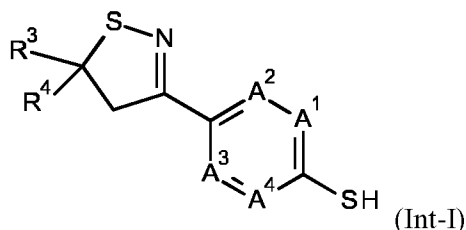
In one group of compounds A^1 is $C-R^{5b}$; A^2 , A^3 and A^4 are C-H; G^1 is O; R^1 is hydrogen; R^2 is C_1 - C_4 alkyl or C_1 - C_4 alkyl substituted by one to five halogen; R^3 is trifluoromethyl; R^4 is group A1 wherein

X is C-R¹² or nitrogen (preferably C-R¹²) and each R¹² is independently hydrogen, halogen or trihalomethyl, wherein at least two R¹² are not hydrogen; R^{5b} is chloro, bromo or methyl.

The earlier described preferred substituent values are applicable to the groups of compounds described above, where feasible.

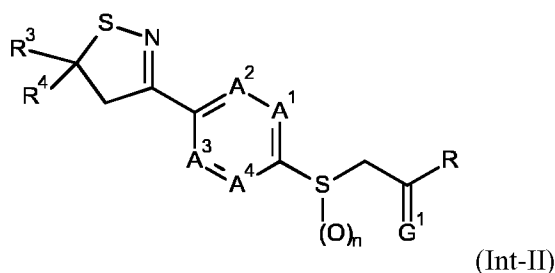
5 Certain intermediates are novel and are also included as further aspects of the invention.

In a further aspect the invention provides compounds of formula Int-I



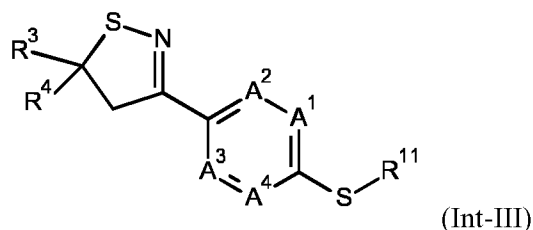
wherein A¹, A², A³, A⁴, R³ and R⁴ are as defined for the compound of formula I or a salt or N-oxide thereof. Preferred definitions of A¹, A², A³, A⁴, R³ and R⁴ are as defined for compounds of formula I.

10 In a further aspect the invention provides compounds of formula Int-II



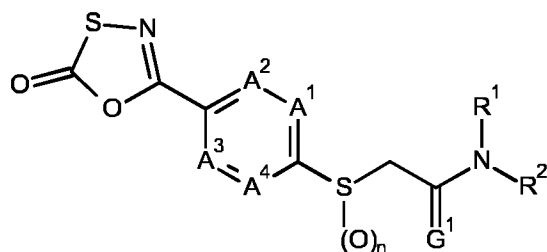
wherein A¹, A², A³, A⁴, R³, R⁴, G¹ and n are as defined for the compound of formula I, and R is OH, C₁-C₆alkoxy, Cl, F or Br or a salt or N-oxide thereof. Preferred definitions of A¹, A², A³, A⁴, R³, R⁴, G¹ and n are as defined for compounds of formula I.

15 In a further aspect the invention provides compounds of formula Int-III



wherein A¹, A², A³, A⁴, R³ and R⁴ are as defined for the compound of formula I, R¹¹ is C₁-C₆alkyl or C₁-C₆alkyl optionally substituted by aryl or a salt or N-oxide thereof. Preferred definitions of A¹, A², A³, A⁴, R³ and R⁴ are as defined for compounds of formula I. Aryl is e.g. phenyl or phenyl optionally substituted
 20 by one to three groups selected from cyano, halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄methoxy or C₁-C₄halomethoxy.

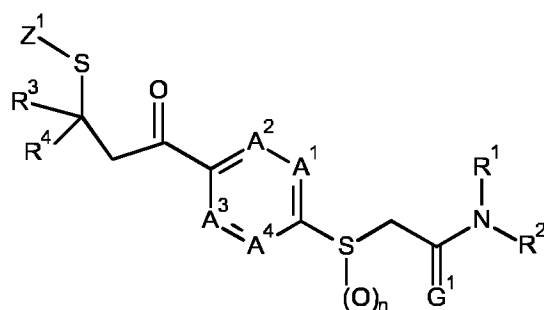
In a further aspect the invention provides compounds of formula Int-IV



(Int-IV)

wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 and R^2 are as defined for the compound of formula I, or a salt or *N*-oxide thereof. Preferred definitions of A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 and R^2 are as defined for compounds of formula I.

5 In a further aspect the invention provides compounds of formula Int-V

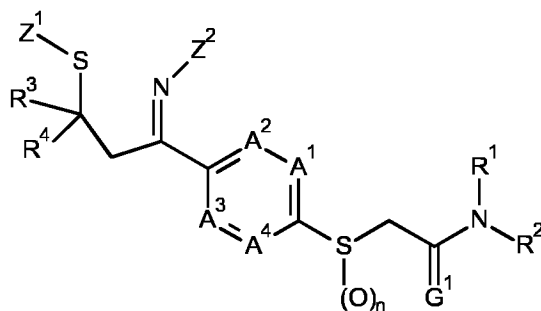


(Int-V)

wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for the compound of formula I, Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-, C_1 - C_8 alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or *N*-oxide thereof.

10 Preferred definitions of A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for compounds of formula I. Z^1 is preferably hydrogen, benzyl, halogen (preferably chloro) or cyano. Aryl is preferably phenyl and is preferably optionally substituted by one or more groups selected from halogen, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy or C_1 - C_4 haloalkoxy.

In a further aspect the invention provides compounds of formula Int-VI



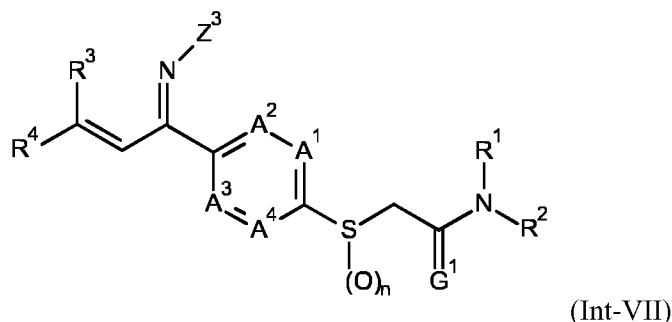
(Int-VI)

15 wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for the compound of formula I, Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-, C_1 - C_8 alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio-, and Z^2 is hydrogen or hydroxyl or C_1 - C_8 alkoxy- or C_1 - C_8 alkylsulfonyloxy- or arylsulfonyloxy- or aryl- C_1 - C_4 alkylene- or aryl or a salt or *N*-oxide thereof. Preferred definitions of A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for compounds of formula I. Z^1 is preferably hydrogen, benzyl, halogen (preferably chloro) or cyano. Aryl is

20

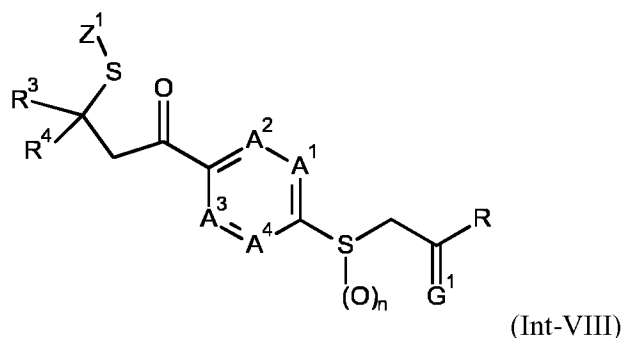
preferably phenyl and is preferably optionally substituted by one or more groups selected from halogen, cyano, C₁-C₄alkyl, C₁-C₄ haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

In a further aspect the invention provides compounds of formula Int-VII



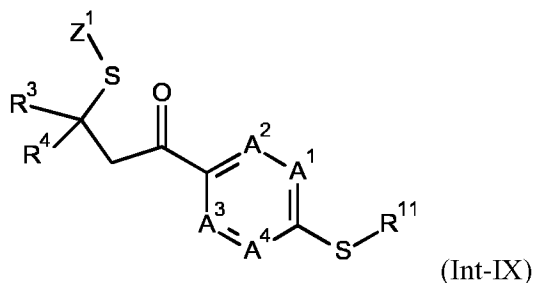
- 5 wherein A¹, A², A³, A⁴, G¹, n, R¹, R², R³ and R⁴ are as defined for the compound of formula I, and Z³ is thiol or optionally substituted aryl-C₁-C₈alkylsulfinyl- or a salt or *N*-oxide thereof. Preferred definitions of A¹, A², A³, A⁴, G¹, n, R¹, R², R³ and R⁴ are as defined for compounds of formula I. Aryl is preferably phenyl and is preferably optionally substituted by one or more groups selected from halogen, cyano, C₁-C₄alkyl, C₁-C₄ haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

- 10 In a further aspect the invention provides compounds of formula Int-VIII



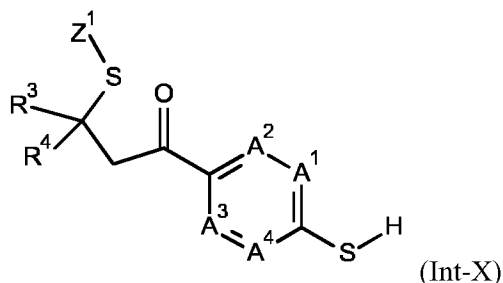
- wherein A¹, A², A³, A⁴, R³, R⁴, n, G¹ and n are as defined for the compound of formula I, and R is OH, C₁-C₆alkoxy, Cl, F or Br, Z¹ is hydrogen, halogen, cyano, C₁-C₈alkyl, optionally substituted aryl-C₁-C₄alkylene-, C₁-C₈alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or *N*-oxide thereof. Preferred definitions of A¹, A², A³, A⁴, G¹, n, R³ and R⁴ are as defined for compounds of formula I. Z¹ is preferably hydrogen, benzyl, halogen (preferably chloro) or cyano. Aryl is preferably phenyl and is preferably optionally substituted by one or more groups selected from halogen, cyano, C₁-C₄alkyl, C₁-C₄ haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

In a further aspect the invention provides compounds of formula Int-IX



wherein A^1 , A^2 , A^3 , A^4 , R^3 and R^4 are as defined for the compound of formula I, R^{11} is C_1 - C_6 alkyl or C_1 - C_6 alkyl optionally substituted by aryl, and Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-, C_1 - C_8 alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or *N*-oxide thereof. Preferred definitions of A^1 , A^2 , A^3 , A^4 , R^3 and R^4 are as defined for compounds of formula I. Aryl is e.g. phenyl or phenyl optionally substituted by one to three groups selected from cyano, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 methoxy or C_1 - C_4 halomethoxy.

In a further aspect the invention provides compounds of formula Int-X



wherein A^1 , A^2 , A^3 , A^4 , R^3 and R^4 are as defined for the compound of formula I, and Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-, C_1 - C_8 alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or *N*-oxide thereof. Preferred definitions of A^1 , A^2 , A^3 , A^4 , R^3 and R^4 are as defined for compounds of formula I. Aryl is e.g. phenyl or phenyl optionally substituted by one to three groups selected from cyano, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 methoxy or C_1 - C_4 halomethoxy.

A leaving group as referred to herein may be for example a halogen, C_1 - C_8 alkoxy, C_1 - C_8 alkylsulfonyloxy, C_1 - C_8 haloalkylsulfonyloxy, C_1 - C_8 arylsulfonyloxy, optionally substituted C_1 - C_8 arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. $-N_2^+ Cl^-$, $-N_2^+ BF_4^-$, $-N_2^+ Br^-$, $-N_2^+ PF_6^-$), phosphonate esters (e.g. $-OP(O)(OR)_2$, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride.

Tables 1 to 162 below illustrate compounds of the invention.

Table P

	R5b	n	R2
1	methyl-	0	methyl-
2	chloro-	0	methyl-
3	bromo-	0	methyl-
4	trifluoromethyl-	0	methyl-
5	methyl-	1	methyl-
6	chloro-	1	methyl-
7	bromo-	1	methyl-
8	trifluoromethyl-	1	methyl-
9	methyl-	2	methyl-
10	chloro-	2	methyl-
11	bromo-	2	methyl-
12	trifluoromethyl-	2	methyl-

13	methyl-	0	ethyl-
14	chloro-	0	ethyl-
15	bromo-	0	ethyl-
16	trifluoromethyl-	0	ethyl-
17	methyl-	1	ethyl-
18	chloro-	1	ethyl-
19	bromo-	1	ethyl-
20	trifluoromethyl-	1	ethyl-
21	methyl-	2	ethyl-
22	chloro-	2	ethyl-
23	bromo-	2	ethyl-
24	trifluoromethyl-	2	ethyl-
25	methyl-	0	2-propyl-
26	chloro-	0	2-propyl-
27	bromo-	0	2-propyl-
28	trifluoromethyl-	0	2-propyl-
29	methyl-	1	2-propyl-
30	chloro-	1	2-propyl-
31	bromo-	1	2-propyl-
32	trifluoromethyl-	1	2-propyl-
33	methyl-	2	2-propyl-
34	chloro-	2	2-propyl-
35	bromo-	2	2-propyl-
36	trifluoromethyl-	2	2-propyl-
37	methyl-	0	n-propyl-
38	chloro-	0	n-propyl-
39	bromo-	0	n-propyl-
40	trifluoromethyl-	0	n-propyl-
41	methyl-	1	n-propyl-
42	chloro-	1	n-propyl-
43	bromo-	1	n-propyl-
44	trifluoromethyl-	1	n-propyl-
45	methyl-	2	n-propyl-
46	chloro-	2	n-propyl-
47	bromo-	2	n-propyl-
48	trifluoromethyl-	2	n-propyl-
49	methyl-	0	n-butyl-
50	chloro-	0	n-butyl-
51	bromo-	0	n-butyl-
52	trifluoromethyl-	0	n-butyl-
53	methyl-	1	n-butyl-
54	chloro-	1	n-butyl-
55	bromo-	1	n-butyl-
56	trifluoromethyl-	1	n-butyl-
57	methyl-	2	n-butyl-
58	chloro-	2	n-butyl-
59	bromo-	2	n-butyl-

60	trifluoromethyl-	2	n-butyl-
61	methyl-	0	s-butyl-
62	chloro-	0	s-butyl-
63	bromo-	0	s-butyl-
64	trifluoromethyl-	0	s-butyl-
65	methyl-	1	s-butyl-
66	chloro-	1	s-butyl-
67	bromo-	1	s-butyl-
68	trifluoromethyl-	1	s-butyl-
69	methyl-	2	s-butyl-
70	chloro-	2	s-butyl-
71	bromo-	2	s-butyl-
72	trifluoromethyl-	2	s-butyl-
73	methyl-	0	t-butyl-
74	chloro-	0	t-butyl-
75	bromo-	0	t-butyl-
76	trifluoromethyl-	0	t-butyl-
77	methyl-	1	t-butyl-
78	chloro-	1	t-butyl-
79	bromo-	1	t-butyl-
80	trifluoromethyl-	1	t-butyl-
81	methyl-	2	t-butyl-
82	chloro-	2	t-butyl-
83	bromo-	2	t-butyl-
84	trifluoromethyl-	2	t-butyl-
85	methyl-	0	2,2,2-trifluoro-ethyl-
86	chloro-	0	2,2,2-trifluoro-ethyl-
87	bromo-	0	2,2,2-trifluoro-ethyl-
88	trifluoromethyl-	0	2,2,2-trifluoro-ethyl-
89	methyl-	1	2,2,2-trifluoro-ethyl-
90	chloro-	1	2,2,2-trifluoro-ethyl-
91	bromo-	1	2,2,2-trifluoro-ethyl-
92	trifluoromethyl-	1	2,2,2-trifluoro-ethyl-
93	methyl-	2	2,2,2-trifluoro-ethyl-
94	chloro-	2	2,2,2-trifluoro-ethyl-
95	bromo-	2	2,2,2-trifluoro-ethyl-
96	trifluoromethyl-	2	2,2,2-trifluoro-ethyl-
97	methyl-	0	2,2-difluoro-ethyl-
98	chloro-	0	2,2-difluoro-ethyl-
99	bromo-	0	2,2-difluoro-ethyl-
100	trifluoromethyl-	0	2,2-difluoro-ethyl-
101	methyl-	1	2,2-difluoro-ethyl-
102	chloro-	1	2,2-difluoro-ethyl-
103	bromo-	1	2,2-difluoro-ethyl-
104	trifluoromethyl-	1	2,2-difluoro-ethyl-
105	methyl-	2	2,2-difluoro-ethyl-
106	chloro-	2	2,2-difluoro-ethyl-

107	bromo-	2	2,2-difluoro-ethyl-
108	trifluoromethyl-	2	2,2-difluoro-ethyl-
109	methyl-	0	2-fluoro-ethyl-
110	chloro-	0	2-fluoro-ethyl-
111	bromo-	0	2-fluoro-ethyl-
112	trifluoromethyl-	0	2-fluoro-ethyl-
113	methyl-	1	2-fluoro-ethyl-
114	chloro-	1	2-fluoro-ethyl-
115	bromo-	1	2-fluoro-ethyl-
116	trifluoromethyl-	1	2-fluoro-ethyl-
117	methyl-	2	2-fluoro-ethyl-
118	chloro-	2	2-fluoro-ethyl-
119	bromo-	2	2-fluoro-ethyl-
120	trifluoromethyl-	2	2-fluoro-ethyl-
121	methyl-	0	2-fluoropropyl-
122	chloro-	0	2-fluoropropyl-
123	bromo-	0	2-fluoropropyl-
124	trifluoromethyl-	0	2-fluoropropyl-
125	methyl-	1	2-fluoropropyl-
126	chloro-	1	2-fluoropropyl-
127	bromo-	1	2-fluoropropyl-
128	trifluoromethyl-	1	2-fluoropropyl-
129	methyl-	2	2-fluoropropyl-
130	chloro-	2	2-fluoropropyl-
131	bromo-	2	2-fluoropropyl-
132	trifluoromethyl-	2	2-fluoropropyl-
133	methyl-	0	3,3,3-trifluoro-propyl-
134	chloro-	0	3,3,3-trifluoro-propyl-
135	bromo-	0	3,3,3-trifluoro-propyl-
136	trifluoromethyl-	0	3,3,3-trifluoro-propyl-
137	methyl-	1	3,3,3-trifluoro-propyl-
138	chloro-	1	3,3,3-trifluoro-propyl-
139	bromo-	1	3,3,3-trifluoro-propyl-
140	trifluoromethyl-	1	3,3,3-trifluoro-propyl-
141	methyl-	2	3,3,3-trifluoro-propyl-
142	chloro-	2	3,3,3-trifluoro-propyl-
143	bromo-	2	3,3,3-trifluoro-propyl-
144	trifluoromethyl-	2	3,3,3-trifluoro-propyl-
145	methyl-	0	3,3,3-trifluoro-butyl-
146	chloro-	0	3,3,3-trifluoro-butyl-
147	bromo-	0	3,3,3-trifluoro-butyl-
148	trifluoromethyl-	0	3,3,3-trifluoro-butyl-
149	methyl-	1	3,3,3-trifluoro-butyl-
150	chloro-	1	3,3,3-trifluoro-butyl-
151	bromo-	1	3,3,3-trifluoro-butyl-
152	trifluoromethyl-	1	3,3,3-trifluoro-butyl-
153	methyl-	2	3,3,3-trifluoro-butyl-

154	chloro-	2	3,3,3-trifluoro-butyl-
155	bromo-	2	3,3,3-trifluoro-butyl-
156	trifluoromethyl-	2	3,3,3-trifluoro-butyl-
157	methyl-	0	2-cyanoethyl-
158	chloro-	0	2-cyanoethyl-
159	bromo-	0	2-cyanoethyl-
160	trifluoromethyl-	0	2-cyanoethyl-
161	methyl-	1	2-cyanoethyl-
162	chloro-	1	2-cyanoethyl-
163	bromo-	1	2-cyanoethyl-
164	trifluoromethyl-	1	2-cyanoethyl-
165	methyl-	2	2-cyanoethyl-
166	chloro-	2	2-cyanoethyl-
167	bromo-	2	2-cyanoethyl-
168	trifluoromethyl-	2	2-cyanoethyl-
169	methyl-	0	cyanomethyl-
170	chloro-	0	cyanomethyl-
171	bromo-	0	cyanomethyl-
172	trifluoromethyl-	0	cyanomethyl-
173	methyl-	1	cyanomethyl-
174	chloro-	1	cyanomethyl-
175	bromo-	1	cyanomethyl-
176	trifluoromethyl-	1	cyanomethyl-
177	methyl-	2	cyanomethyl-
178	chloro-	2	cyanomethyl-
179	bromo-	2	cyanomethyl-
180	trifluoromethyl-	2	cyanomethyl-
181	methyl-	0	2-cyano-propan-2-yl-l-
182	chloro-	0	2-cyano-propan-2-yl-l-
183	bromo-	0	2-cyano-propan-2-yl-l-
184	trifluoromethyl-	0	2-cyano-propan-2-yl-l-
185	methyl-	1	2-cyano-propan-2-yl-l-
186	chloro-	1	2-cyano-propan-2-yl-l-
187	bromo-	1	2-cyano-propan-2-yl-l-
188	trifluoromethyl-	1	2-cyano-propan-2-yl-l-
189	methyl-	2	2-cyano-propan-2-yl-l-
190	chloro-	2	2-cyano-propan-2-yl-l-
191	bromo-	2	2-cyano-propan-2-yl-l-
192	trifluoromethyl-	2	2-cyano-propan-2-yl-l-
193	methyl-	0	1-methoxy-prop-2-yl-
194	chloro-	0	1-methoxy-prop-2-yl-
195	bromo-	0	1-methoxy-prop-2-yl-
196	trifluoromethyl-	0	1-methoxy-prop-2-yl-
197	methyl-	1	1-methoxy-prop-2-yl-
198	chloro-	1	1-methoxy-prop-2-yl-
199	bromo-	1	1-methoxy-prop-2-yl-
200	trifluoromethyl-	1	1-methoxy-prop-2-yl-

201	methyl-	2	1-methoxy-prop-2-yl-
202	chloro-	2	1-methoxy-prop-2-yl-
203	bromo-	2	1-methoxy-prop-2-yl-
204	trifluoromethyl-	2	1-methoxy-prop-2-yl-
205	methyl-	0	2-methylsulfanyl-ethyl-
206	chloro-	0	2-methylsulfanyl-ethyl-
207	bromo-	0	2-methylsulfanyl-ethyl-
208	trifluoromethyl-	0	2-methylsulfanyl-ethyl-
209	methyl-	1	2-methylsulfanyl-ethyl-
210	chloro-	1	2-methylsulfanyl-ethyl-
211	bromo-	1	2-methylsulfanyl-ethyl-
212	trifluoromethyl-	1	2-methylsulfanyl-ethyl-
213	methyl-	2	2-methylsulfanyl-ethyl-
214	chloro-	2	2-methylsulfanyl-ethyl-
215	bromo-	2	2-methylsulfanyl-ethyl-
216	trifluoromethyl-	2	2-methylsulfanyl-ethyl-
217	methyl-	0	2-methylsulfanyl-prop-3-yl-
218	chloro-	0	2-methylsulfanyl-prop-3-yl-
219	bromo-	0	2-methylsulfanyl-prop-3-yl-
220	trifluoromethyl-	0	2-methylsulfanyl-prop-3-yl-
221	methyl-	1	2-methylsulfanyl-prop-3-yl-
222	chloro-	1	2-methylsulfanyl-prop-3-yl-
223	bromo-	1	2-methylsulfanyl-prop-3-yl-
224	trifluoromethyl-	1	2-methylsulfanyl-prop-3-yl-
225	methyl-	2	2-methylsulfanyl-prop-3-yl-
226	chloro-	2	2-methylsulfanyl-prop-3-yl-
227	bromo-	2	2-methylsulfanyl-prop-3-yl-
228	trifluoromethyl-	2	2-methylsulfanyl-prop-3-yl-
229	methyl-	0	allyl-
230	chloro-	0	allyl-
231	bromo-	0	allyl-
232	trifluoromethyl-	0	allyl-
233	methyl-	1	allyl-
234	chloro-	1	allyl-
235	bromo-	1	allyl-
236	trifluoromethyl-	1	allyl-
237	methyl-	2	allyl-
238	chloro-	2	allyl-
239	bromo-	2	allyl-
240	trifluoromethyl-	2	allyl-
241	methyl-	0	3,3-dichloroallyl-
242	chloro-	0	3,3-dichloroallyl-
243	bromo-	0	3,3-dichloroallyl-
244	trifluoromethyl-	0	3,3-dichloroallyl-
245	methyl-	1	3,3-dichloroallyl-
246	chloro-	1	3,3-dichloroallyl-
247	bromo-	1	3,3-dichloroallyl-

248	trifluoromethyl-	1	3,3-dichloroallyl-
249	methyl-	2	3,3-dichloroallyl-
250	chloro-	2	3,3-dichloroallyl-
251	bromo-	2	3,3-dichloroallyl-
252	trifluoromethyl-	2	3,3-dichloroallyl-
253	methyl-	0	2-butenyl-
254	chloro-	0	2-butenyl-
255	bromo-	0	2-butenyl-
256	trifluoromethyl-	0	2-butenyl-
257	methyl-	1	2-butenyl-
258	chloro-	1	2-butenyl-
259	bromo-	1	2-butenyl-
260	trifluoromethyl-	1	2-butenyl-
261	methyl-	2	2-butenyl-
262	chloro-	2	2-butenyl-
263	bromo-	2	2-butenyl-
264	trifluoromethyl-	2	2-butenyl-
265	methyl-	0	2-chloroallyl-
266	chloro-	0	2-chloroallyl-
267	bromo-	0	2-chloroallyl-
268	trifluoromethyl-	0	2-chloroallyl-
269	methyl-	1	2-chloroallyl-
270	chloro-	1	2-chloroallyl-
271	bromo-	1	2-chloroallyl-
272	trifluoromethyl-	1	2-chloroallyl-
273	methyl-	2	2-chloroallyl-
274	chloro-	2	2-chloroallyl-
275	bromo-	2	2-chloroallyl-
276	trifluoromethyl-	2	2-chloroallyl-
277	methyl-	0	propargyl-
278	chloro-	0	propargyl-
279	bromo-	0	propargyl-
280	trifluoromethyl-	0	propargyl-
281	methyl-	1	propargyl-
282	chloro-	1	propargyl-
283	bromo-	1	propargyl-
284	trifluoromethyl-	1	propargyl-
285	methyl-	2	propargyl-
286	chloro-	2	propargyl-
287	bromo-	2	propargyl-
288	trifluoromethyl-	2	propargyl-
289	methyl-	0	but-2-ynyl-
290	chloro-	0	but-2-ynyl-
291	bromo-	0	but-2-ynyl-
292	trifluoromethyl-	0	but-2-ynyl-
293	methyl-	1	but-2-ynyl-
294	chloro-	1	but-2-ynyl-

295	bromo-	1	but-2-ynyl-
296	trifluoromethyl-	1	but-2-ynyl-
297	methyl-	2	but-2-ynyl-
298	chloro-	2	but-2-ynyl-
299	bromo-	2	but-2-ynyl-
300	trifluoromethyl-	2	but-2-ynyl-
301	methyl-	0	but-3-ynyl-
302	chloro-	0	but-3-ynyl-
303	bromo-	0	but-3-ynyl-
304	trifluoromethyl-	0	but-3-ynyl-
305	methyl-	1	but-3-ynyl-
306	chloro-	1	but-3-ynyl-
307	bromo-	1	but-3-ynyl-
308	trifluoromethyl-	1	but-3-ynyl-
309	methyl-	2	but-3-ynyl-
310	chloro-	2	but-3-ynyl-
311	bromo-	2	but-3-ynyl-
312	trifluoromethyl-	2	but-3-ynyl-
313	methyl-	0	benzyl-
314	chloro-	0	benzyl-
315	bromo-	0	benzyl-
316	trifluoromethyl-	0	benzyl-
317	methyl-	1	benzyl-
318	chloro-	1	benzyl-
319	bromo-	1	benzyl-
320	trifluoromethyl-	1	benzyl-
321	methyl-	2	benzyl-
322	chloro-	2	benzyl-
323	bromo-	2	benzyl-
324	trifluoromethyl-	2	benzyl-
325	methyl-	0	cyclopropyl-
326	chloro-	0	cyclopropyl-
327	bromo-	0	cyclopropyl-
328	trifluoromethyl-	0	cyclopropyl-
329	methyl-	1	cyclopropyl-
330	chloro-	1	cyclopropyl-
331	bromo-	1	cyclopropyl-
332	trifluoromethyl-	1	cyclopropyl-
333	methyl-	2	cyclopropyl-
334	chloro-	2	cyclopropyl-
335	bromo-	2	cyclopropyl-
336	trifluoromethyl-	2	cyclopropyl-
337	methyl-	0	cyclobutyl-
338	chloro-	0	cyclobutyl-
339	bromo-	0	cyclobutyl-
340	trifluoromethyl-	0	cyclobutyl-
341	methyl-	1	cyclobutyl-

342	chloro-	1	cyclobutyl-
343	bromo-	1	cyclobutyl-
344	trifluoromethyl-	1	cyclobutyl-
345	methyl-	2	cyclobutyl-
346	chloro-	2	cyclobutyl-
347	bromo-	2	cyclobutyl-
348	trifluoromethyl-	2	cyclobutyl-
349	methyl-	0	cyclopentyl-
350	chloro-	0	cyclopentyl-
351	bromo-	0	cyclopentyl-
352	trifluoromethyl-	0	cyclopentyl-
353	methyl-	1	cyclopentyl-
354	chloro-	1	cyclopentyl-
355	bromo-	1	cyclopentyl-
356	trifluoromethyl-	1	cyclopentyl-
357	methyl-	2	cyclopentyl-
358	chloro-	2	cyclopentyl-
359	bromo-	2	cyclopentyl-
360	trifluoromethyl-	2	cyclopentyl-
361	methyl-	0	cyclopropyl-methyl-
362	chloro-	0	cyclopropyl-methyl-
363	bromo-	0	cyclopropyl-methyl-
364	trifluoromethyl-	0	cyclopropyl-methyl-
365	methyl-	1	cyclopropyl-methyl-
366	chloro-	1	cyclopropyl-methyl-
367	bromo-	1	cyclopropyl-methyl-
368	trifluoromethyl-	1	cyclopropyl-methyl-
369	methyl-	2	cyclopropyl-methyl-
370	chloro-	2	cyclopropyl-methyl-
371	bromo-	2	cyclopropyl-methyl-
372	trifluoromethyl-	2	cyclopropyl-methyl-
373	methyl-	0	cyclobutyl-methyl-
374	chloro-	0	cyclobutyl-methyl-
375	bromo-	0	cyclobutyl-methyl-
376	trifluoromethyl-	0	cyclobutyl-methyl-
377	methyl-	1	cyclobutyl-methyl-
378	chloro-	1	cyclobutyl-methyl-
379	bromo-	1	cyclobutyl-methyl-
380	trifluoromethyl-	1	cyclobutyl-methyl-
381	methyl-	2	cyclobutyl-methyl-
382	chloro-	2	cyclobutyl-methyl-
383	bromo-	2	cyclobutyl-methyl-
384	trifluoromethyl-	2	cyclobutyl-methyl-
385	methyl-	0	thietan-3-yl-
386	chloro-	0	thietan-3-yl-
387	bromo-	0	thietan-3-yl-
388	trifluoromethyl-	0	thietan-3-yl-

389	methyl-	1	thietan-3-yl-
390	chloro-	1	thietan-3-yl-
391	bromo-	1	thietan-3-yl-
392	trifluoromethyl-	1	thietan-3-yl-
393	methyl-	2	thietan-3-yl-
394	chloro-	2	thietan-3-yl-
395	bromo-	2	thietan-3-yl-
396	trifluoromethyl-	2	thietan-3-yl-
397	methyl-	0	1-oxo-thietan-3-yl-
398	chloro-	0	1-oxo-thietan-3-yl-
399	bromo-	0	1-oxo-thietan-3-yl-
400	trifluoromethyl-	0	1-oxo-thietan-3-yl-
401	methyl-	1	1-oxo-thietan-3-yl-
402	chloro-	1	1-oxo-thietan-3-yl-
403	bromo-	1	1-oxo-thietan-3-yl-
404	trifluoromethyl-	1	1-oxo-thietan-3-yl-
405	methyl-	2	1-oxo-thietan-3-yl-
406	chloro-	2	1-oxo-thietan-3-yl-
407	bromo-	2	1-oxo-thietan-3-yl-
408	trifluoromethyl-	2	1-oxo-thietan-3-yl-
409	methyl-	0	1,1-dioxo-thietan-3-yl-
410	chloro-	0	1,1-dioxo-thietan-3-yl-
411	bromo-	0	1,1-dioxo-thietan-3-yl-
412	trifluoromethyl-	0	1,1-dioxo-thietan-3-yl-
413	methyl-	1	1,1-dioxo-thietan-3-yl-
414	chloro-	1	1,1-dioxo-thietan-3-yl-
415	bromo-	1	1,1-dioxo-thietan-3-yl-
416	trifluoromethyl-	1	1,1-dioxo-thietan-3-yl-
417	methyl-	2	1,1-dioxo-thietan-3-yl-
418	chloro-	2	1,1-dioxo-thietan-3-yl-
419	bromo-	2	1,1-dioxo-thietan-3-yl-
420	trifluoromethyl-	2	1,1-dioxo-thietan-3-yl-
421	methyl-	0	3-methyl-thietan-3-yl-
422	chloro-	0	3-methyl-thietan-3-yl-
423	bromo-	0	3-methyl-thietan-3-yl-
424	trifluoromethyl-	0	3-methyl-thietan-3-yl-
425	methyl-	1	3-methyl-thietan-3-yl-
426	chloro-	1	3-methyl-thietan-3-yl-
427	bromo-	1	3-methyl-thietan-3-yl-
428	trifluoromethyl-	1	3-methyl-thietan-3-yl-
429	methyl-	2	3-methyl-thietan-3-yl-
430	chloro-	2	3-methyl-thietan-3-yl-
431	bromo-	2	3-methyl-thietan-3-yl-
432	trifluoromethyl-	2	3-methyl-thietan-3-yl-
433	methyl-	0	thietan-3-ylmethyl-
434	chloro-	0	thietan-3-ylmethyl-
435	bromo-	0	thietan-3-ylmethyl-

436	trifluoromethyl-	0	thietan-3-ylmethyl-
437	methyl-	1	thietan-3-ylmethyl-
438	chloro-	1	thietan-3-ylmethyl-
439	bromo-	1	thietan-3-ylmethyl-
440	trifluoromethyl-	1	thietan-3-ylmethyl-
441	methyl-	2	thietan-3-ylmethyl-
442	chloro-	2	thietan-3-ylmethyl-
443	bromo-	2	thietan-3-ylmethyl-
444	trifluoromethyl-	2	thietan-3-ylmethyl-
445	methyl-	0	(1,1-dioxothietan-3-yl)methyl-
446	chloro-	0	(1,1-dioxothietan-3-yl)methyl-
447	bromo-	0	(1,1-dioxothietan-3-yl)methyl-
448	trifluoromethyl-	0	(1,1-dioxothietan-3-yl)methyl-
449	methyl-	1	(1,1-dioxothietan-3-yl)methyl-
450	chloro-	1	(1,1-dioxothietan-3-yl)methyl-
451	bromo-	1	(1,1-dioxothietan-3-yl)methyl-
452	trifluoromethyl-	1	(1,1-dioxothietan-3-yl)methyl-
453	methyl-	2	(1,1-dioxothietan-3-yl)methyl-
454	chloro-	2	(1,1-dioxothietan-3-yl)methyl-
455	bromo-	2	(1,1-dioxothietan-3-yl)methyl-
456	trifluoromethyl-	2	(1,1-dioxothietan-3-yl)methyl-
457	methyl-	0	(1-oxothietan-3-yl)methyl-
458	chloro-	0	(1-oxothietan-3-yl)methyl-
459	bromo-	0	(1-oxothietan-3-yl)methyl-
460	trifluoromethyl-	0	(1-oxothietan-3-yl)methyl-
461	methyl-	1	(1-oxothietan-3-yl)methyl-
462	chloro-	1	(1-oxothietan-3-yl)methyl-
463	bromo-	1	(1-oxothietan-3-yl)methyl-
464	trifluoromethyl-	1	(1-oxothietan-3-yl)methyl-
465	methyl-	2	(1-oxothietan-3-yl)methyl-
466	chloro-	2	(1-oxothietan-3-yl)methyl-
467	bromo-	2	(1-oxothietan-3-yl)methyl-
468	trifluoromethyl-	2	(1-oxothietan-3-yl)methyl-
469	methyl-	0	cis-1-oxo-thietan-3-yl-
470	chloro-	0	cis-1-oxo-thietan-3-yl-
471	bromo-	0	cis-1-oxo-thietan-3-yl-
472	trifluoromethyl-	0	cis-1-oxo-thietan-3-yl-
473	methyl-	1	cis-1-oxo-thietan-3-yl-
474	chloro-	1	cis-1-oxo-thietan-3-yl-
475	bromo-	1	cis-1-oxo-thietan-3-yl-
476	trifluoromethyl-	1	cis-1-oxo-thietan-3-yl-
477	methyl-	2	cis-1-oxo-thietan-3-yl-
478	chloro-	2	cis-1-oxo-thietan-3-yl-
479	bromo-	2	cis-1-oxo-thietan-3-yl-
480	trifluoromethyl-	2	cis-1-oxo-thietan-3-yl-
481	methyl-	0	cis-1-oxo-thietan-3-ylmethyl-
482	chloro-	0	cis-1-oxo-thietan-3-ylmethyl-

483	bromo-	0	cis-1-oxo-thietan-3-ylmethyl-
484	trifluoromethyl-	0	cis-1-oxo-thietan-3-ylmethyl-
485	methyl-	1	cis-1-oxo-thietan-3-ylmethyl-
486	chloro-	1	cis-1-oxo-thietan-3-ylmethyl-
487	bromo-	1	cis-1-oxo-thietan-3-ylmethyl-
488	trifluoromethyl-	1	cis-1-oxo-thietan-3-ylmethyl-
489	methyl-	2	cis-1-oxo-thietan-3-ylmethyl-
490	chloro-	2	cis-1-oxo-thietan-3-ylmethyl-
491	bromo-	2	cis-1-oxo-thietan-3-ylmethyl-
492	trifluoromethyl-	2	cis-1-oxo-thietan-3-ylmethyl-
493	methyl-	0	(pyrid-2-yl)-methyl-
494	chloro-	0	(pyrid-2-yl)-methyl-
495	bromo-	0	(pyrid-2-yl)-methyl-
496	trifluoromethyl-	0	(pyrid-2-yl)-methyl-
497	methyl-	1	(pyrid-2-yl)-methyl-
498	chloro-	1	(pyrid-2-yl)-methyl-
499	bromo-	1	(pyrid-2-yl)-methyl-
500	trifluoromethyl-	1	(pyrid-2-yl)-methyl-
501	methyl-	2	(pyrid-2-yl)-methyl-
502	chloro-	2	(pyrid-2-yl)-methyl-
503	bromo-	2	(pyrid-2-yl)-methyl-
504	trifluoromethyl-	2	(pyrid-2-yl)-methyl-
505	methyl-	0	(pyrid-3-yl)-methyl-
506	chloro-	0	(pyrid-3-yl)-methyl-
507	bromo-	0	(pyrid-3-yl)-methyl-
508	trifluoromethyl-	0	(pyrid-3-yl)-methyl-
509	methyl-	1	(pyrid-3-yl)-methyl-
510	chloro-	1	(pyrid-3-yl)-methyl-
511	bromo-	1	(pyrid-3-yl)-methyl-
512	trifluoromethyl-	1	(pyrid-3-yl)-methyl-
513	methyl-	2	(pyrid-3-yl)-methyl-
514	chloro-	2	(pyrid-3-yl)-methyl-
515	bromo-	2	(pyrid-3-yl)-methyl-
516	trifluoromethyl-	2	(pyrid-3-yl)-methyl-
517	methyl-	0	(pyrid-4-yl)-methyl-
518	chloro-	0	(pyrid-4-yl)-methyl-
519	bromo-	0	(pyrid-4-yl)-methyl-
520	trifluoromethyl-	0	(pyrid-4-yl)-methyl-
521	methyl-	1	(pyrid-4-yl)-methyl-
522	chloro-	1	(pyrid-4-yl)-methyl-
523	bromo-	1	(pyrid-4-yl)-methyl-
524	trifluoromethyl-	1	(pyrid-4-yl)-methyl-
525	methyl-	2	(pyrid-4-yl)-methyl-
526	chloro-	2	(pyrid-4-yl)-methyl-
527	bromo-	2	(pyrid-4-yl)-methyl-
528	trifluoromethyl-	2	(pyrid-4-yl)-methyl-
529	methyl-	0	oxetan-3yl-

530	chloro-	0	oxetan-3yl-
531	bromo-	0	oxetan-3yl-
532	trifluoromethyl-	0	oxetan-3yl-
533	methyl-	1	oxetan-3yl-
534	chloro-	1	oxetan-3yl-
535	bromo-	1	oxetan-3yl-
536	trifluoromethyl-	1	oxetan-3yl-
537	methyl-	2	oxetan-3yl-
538	chloro-	2	oxetan-3yl-
539	bromo-	2	oxetan-3yl-
540	trifluoromethyl-	2	oxetan-3yl-
541	methyl-	0	oxetan-3ylmethyl-
542	chloro-	0	oxetan-3ylmethyl-
543	bromo-	0	oxetan-3ylmethyl-
544	trifluoromethyl-	0	oxetan-3ylmethyl-
545	methyl-	1	oxetan-3ylmethyl-
546	chloro-	1	oxetan-3ylmethyl-
547	bromo-	1	oxetan-3ylmethyl-
548	trifluoromethyl-	1	oxetan-3ylmethyl-
549	methyl-	2	oxetan-3ylmethyl-
550	chloro-	2	oxetan-3ylmethyl-
551	bromo-	2	oxetan-3ylmethyl-
552	trifluoromethyl-	2	oxetan-3ylmethyl-
553	methyl-	0	(tetrahydrofuran-2-yl)-methyl-
554	chloro-	0	(tetrahydrofuran-2-yl)-methyl-
555	bromo-	0	(tetrahydrofuran-2-yl)-methyl-
556	trifluoromethyl-	0	(tetrahydrofuran-2-yl)-methyl-
557	methyl-	1	(tetrahydrofuran-2-yl)-methyl-
558	chloro-	1	(tetrahydrofuran-2-yl)-methyl-
559	bromo-	1	(tetrahydrofuran-2-yl)-methyl-
560	trifluoromethyl-	1	(tetrahydrofuran-2-yl)-methyl-
561	methyl-	2	(tetrahydrofuran-2-yl)-methyl-
562	chloro-	2	(tetrahydrofuran-2-yl)-methyl-
563	bromo-	2	(tetrahydrofuran-2-yl)-methyl-
564	trifluoromethyl-	2	(tetrahydrofuran-2-yl)-methyl-
565	methyl-	0	(tetrahydrofuran-3-yl)-methyl-
566	chloro-	0	(tetrahydrofuran-3-yl)-methyl-
567	bromo-	0	(tetrahydrofuran-3-yl)-methyl-
568	trifluoromethyl-	0	(tetrahydrofuran-3-yl)-methyl-
569	methyl-	1	(tetrahydrofuran-3-yl)-methyl-
570	chloro-	1	(tetrahydrofuran-3-yl)-methyl-
571	bromo-	1	(tetrahydrofuran-3-yl)-methyl-
572	trifluoromethyl-	1	(tetrahydrofuran-3-yl)-methyl-
573	methyl-	2	(tetrahydrofuran-3-yl)-methyl-
574	chloro-	2	(tetrahydrofuran-3-yl)-methyl-
575	bromo-	2	(tetrahydrofuran-3-yl)-methyl-
576	trifluoromethyl-	2	(tetrahydrofuran-3-yl)-methyl-

577	methyl-	0	(4-fluorophenyl)-methyl-
578	chloro-	0	(4-fluorophenyl)-methyl-
579	bromo-	0	(4-fluorophenyl)-methyl-
580	trifluoromethyl-	0	(4-fluorophenyl)-methyl-
581	methyl-	1	(4-fluorophenyl)-methyl-
582	chloro-	1	(4-fluorophenyl)-methyl-
583	bromo-	1	(4-fluorophenyl)-methyl-
584	trifluoromethyl-	1	(4-fluorophenyl)-methyl-
585	methyl-	2	(4-fluorophenyl)-methyl-
586	chloro-	2	(4-fluorophenyl)-methyl-
587	bromo-	2	(4-fluorophenyl)-methyl-
588	trifluoromethyl-	2	(4-fluorophenyl)-methyl-
589	methyl-	0	(4-chlorophenyl)-methyl-
590	chloro-	0	(4-chlorophenyl)-methyl-
591	bromo-	0	(4-chlorophenyl)-methyl-
592	trifluoromethyl-	0	(4-chlorophenyl)-methyl-
593	methyl-	1	(4-chlorophenyl)-methyl-
594	chloro-	1	(4-chlorophenyl)-methyl-
595	bromo-	1	(4-chlorophenyl)-methyl-
596	trifluoromethyl-	1	(4-chlorophenyl)-methyl-
597	methyl-	2	(4-chlorophenyl)-methyl-
598	chloro-	2	(4-chlorophenyl)-methyl-
599	bromo-	2	(4-chlorophenyl)-methyl-
600	trifluoromethyl-	2	(4-chlorophenyl)-methyl-
601	methyl-	0	4-fluorophenyl-
602	chloro-	0	4-fluorophenyl-
603	bromo-	0	4-fluorophenyl-
604	trifluoromethyl-	0	4-fluorophenyl-
605	methyl-	1	4-fluorophenyl-
606	chloro-	1	4-fluorophenyl-
607	bromo-	1	4-fluorophenyl-
608	trifluoromethyl-	1	4-fluorophenyl-
609	methyl-	2	4-fluorophenyl-
610	chloro-	2	4-fluorophenyl-
611	bromo-	2	4-fluorophenyl-
612	trifluoromethyl-	2	4-fluorophenyl-
613	methyl-	0	4-chlorophenyl-
614	chloro-	0	4-chlorophenyl-
615	bromo-	0	4-chlorophenyl-
616	trifluoromethyl-	0	4-chlorophenyl-
617	methyl-	1	4-chlorophenyl-
618	chloro-	1	4-chlorophenyl-
619	bromo-	1	4-chlorophenyl-
620	trifluoromethyl-	1	4-chlorophenyl-
621	methyl-	2	4-chlorophenyl-
622	chloro-	2	4-chlorophenyl-
623	bromo-	2	4-chlorophenyl-

624	trifluoromethyl-	2	4-chlorophenyl-
625	methyl-	0	2-furylmethyl-
626	chloro-	0	2-furylmethyl-
627	bromo-	0	2-furylmethyl-
628	trifluoromethyl-	0	2-furylmethyl-
629	methyl-	1	2-furylmethyl-
630	chloro-	1	2-furylmethyl-
631	bromo-	1	2-furylmethyl-
632	trifluoromethyl-	1	2-furylmethyl-
633	methyl-	2	2-furylmethyl-
634	chloro-	2	2-furylmethyl-
635	bromo-	2	2-furylmethyl-
636	trifluoromethyl-	2	2-furylmethyl-
637	methyl-	0	(4-chloro-pyrid-2-yl)-methyl-
638	chloro-	0	(4-chloro-pyrid-2-yl)-methyl-
639	bromo-	0	(4-chloro-pyrid-2-yl)-methyl-
640	trifluoromethyl-	0	(4-chloro-pyrid-2-yl)-methyl-
641	methyl-	1	(4-chloro-pyrid-2-yl)-methyl-
642	chloro-	1	(4-chloro-pyrid-2-yl)-methyl-
643	bromo-	1	(4-chloro-pyrid-2-yl)-methyl-
644	trifluoromethyl-	1	(4-chloro-pyrid-2-yl)-methyl-
645	methyl-	2	(4-chloro-pyrid-2-yl)-methyl-
646	chloro-	2	(4-chloro-pyrid-2-yl)-methyl-
647	bromo-	2	(4-chloro-pyrid-2-yl)-methyl-
648	trifluoromethyl-	2	(4-chloro-pyrid-2-yl)-methyl-
649	methyl-	0	(4-chloro-pyrid-3-yl)-methyl-
650	chloro-	0	(4-chloro-pyrid-3-yl)-methyl-
651	bromo-	0	(4-chloro-pyrid-3-yl)-methyl-
652	trifluoromethyl-	0	(4-chloro-pyrid-3-yl)-methyl-
653	methyl-	1	(4-chloro-pyrid-3-yl)-methyl-
654	chloro-	1	(4-chloro-pyrid-3-yl)-methyl-
655	bromo-	1	(4-chloro-pyrid-3-yl)-methyl-
656	trifluoromethyl-	1	(4-chloro-pyrid-3-yl)-methyl-
657	methyl-	2	(4-chloro-pyrid-3-yl)-methyl-
658	chloro-	2	(4-chloro-pyrid-3-yl)-methyl-
659	bromo-	2	(4-chloro-pyrid-3-yl)-methyl-
660	trifluoromethyl-	2	(4-chloro-pyrid-3-yl)-methyl-
661	methyl-	0	(3-chloro-pyrid-4-yl)-methyl-
662	chloro-	0	(3-chloro-pyrid-4-yl)-methyl-
663	bromo-	0	(3-chloro-pyrid-4-yl)-methyl-
664	trifluoromethyl-	0	(3-chloro-pyrid-4-yl)-methyl-
665	methyl-	1	(3-chloro-pyrid-4-yl)-methyl-
666	chloro-	1	(3-chloro-pyrid-4-yl)-methyl-
667	bromo-	1	(3-chloro-pyrid-4-yl)-methyl-
668	trifluoromethyl-	1	(3-chloro-pyrid-4-yl)-methyl-
669	methyl-	2	(3-chloro-pyrid-4-yl)-methyl-
670	chloro-	2	(3-chloro-pyrid-4-yl)-methyl-

671	bromo-	2	(3-chloro-pyrid-4-yl)-methyl-
672	trifluoromethyl-	2	(3-chloro-pyrid-4-yl)-methyl-

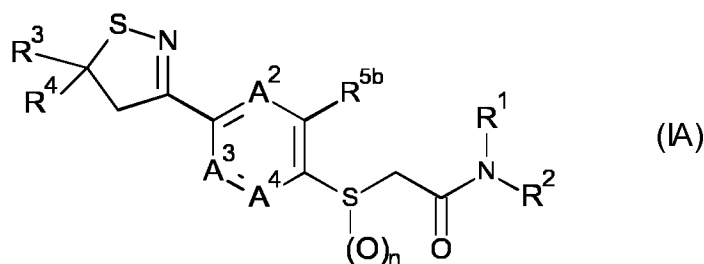
Table 1

Table 1 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 2

Table 2 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 3

Table 3 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 4

Table 4 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 5

Table 5 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 6

Table 6 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 7

Table 7 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 8

Table 8 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 9

Table 9 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 10

Table 10 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 11

Table 11 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 12

Table 12 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 13

Table 13 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 14

Table 14 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 15

Table 15 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 16

Table 16 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 17

Table 17 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 18

Table 18 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 19

Table 19 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 20

Table 20 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 21

Table 21 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 22

Table 22 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 23

Table 23 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 24

Table 24 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 25

Table 25 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 26

Table 26 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 27

Table 27 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 28

Table 28 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 29

Table 29 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 30

Table 30 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 31

Table 31 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 32

Table 32 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 33

Table 33 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 34

Table 34 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 35

Table 35 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 36

Table 36 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 37

Table 37 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 38

Table 38 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 39

Table 39 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 40

Table 40 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 41

Table 41 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 42

Table 42 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 43

Table 43 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 44

Table 44 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 45

Table 45 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 46

Table 46 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 47

Table 47 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 48

Table 48 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 49

Table 49 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 50

Table 50 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 51

Table 51 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 52

Table 52 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 53

Table 53 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 54

Table 54 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 55

Table 55 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 56

Table 56 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 57

Table 57 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 58

Table 58 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 59

Table 59 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 60

Table 60 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 61

Table 61 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 62

Table 62 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 63

Table 63 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 64

Table 64 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 65

Table 65 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 66

Table 66 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 67

Table 67 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 68

Table 68 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 69

Table 69 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 70

Table 70 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 71

Table 71 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 72

Table 72 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 73

Table 73 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 74

Table 74 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 75

Table 75 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 76

Table 76 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 77

Table 77 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 78

Table 78 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 79

Table 79 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 80

Table 80 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 81

Table 81 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 82

Table 82 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 83

Table 83 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 84

Table 84 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 85

Table 85 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 86

Table 86 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 87

Table 87 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 88

Table 88 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 89

Table 89 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 90

Table 90 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 91

Table 91 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 92

Table 92 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 93

Table 93 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 94

Table 94 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 95

Table 95 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 96

Table 96 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 97

Table 97 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 98

Table 98 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 99

Table 99 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 100

Table 100 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 101

Table 101 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 102

Table 102 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 103

Table 103 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 104

Table 104 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 105

Table 105 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 106

Table 106 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 107

Table 107 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in Table P.

Table 108

Table 108 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is N, A4 is CH, and R5b, n and R2 are as defined in

Table P.

Table 109

Table 109 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 110

Table 110 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 111

Table 111 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 112

Table 112 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 113

Table 113 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 114

Table 114 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 115

Table 115 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 116

Table 116 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 117

Table 117 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 118

Table 118 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 119

Table 119 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 120

Table 120 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4-dichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 121

Table 121 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 122

Table 122 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 123

Table 123 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 124

Table 124 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 125

Table 125 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 126

Table 126 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-dichloro-4-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 127

Table 127 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 128

Table 128 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 129

Table 129 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 130

Table 130 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 131

Table 131 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 132

Table 132 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,4,5-trichlorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 133

Table 133 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 134

Table 134 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 135

Table 135 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 136

Table 136 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 137

Table 137 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 138

Table 138 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-fluorophenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 139

Table 139 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 140

Table 140 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 141

Table 141 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 142

Table 142 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 143

Table 143 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 144

Table 144 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-chloro-5-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 145

Table 145 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 146

Table 146 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 147

Table 147 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 148

Table 148 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 149

Table 149 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 150

Table 150 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3,5-bis(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 151

Table 151 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 152

Table 152 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 153

Table 153 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 154

Table 154 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 155

Table 155 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 156

Table 156 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-(trifluoromethyl)phenyl-, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 157

Table 157 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 158

Table 158 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 159

Table 159 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is trifluoromethyl-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Table 160

Table 160 provides 672 compounds of formula IA wherein R1 is hydrogen, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

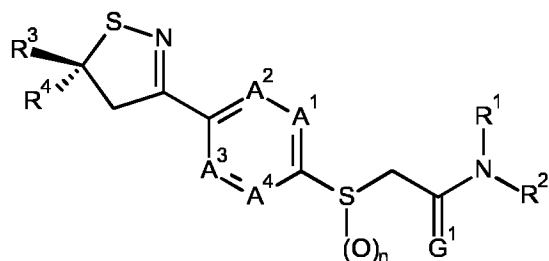
Table 161

Table 161 provides 672 compounds of formula IA wherein R1 is methyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

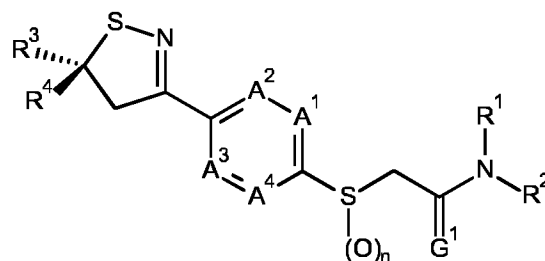
Table 162

Table 162 provides 672 compounds of formula IA wherein R1 is ethyl-, R3 is difluorochloro-, R4 is 3-bromo-5-(trifluoromethyl)phenyl, A2 is CH, A3 is CH, A4 is N, and R5b, n and R2 are as defined in Table P.

Compounds of formula I include at least one chiral centre and may exist as compounds of formula I* or compounds of formula I**.



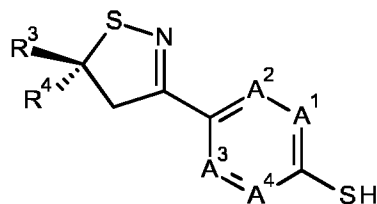
I*



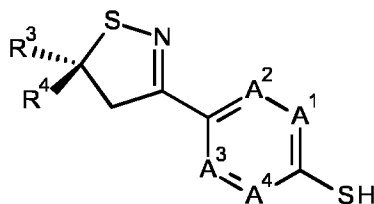
I**

Each compound listed in Tables 1 to 162 represents a specific disclosure corresponding to the compound of formula I* and a specific disclosure corresponding to the compound of formula I**. Compounds of formula I** are more biologically active than compounds of formula I*. The invention includes mixtures of compounds I* and I** in any ratio e.g. in a molar ratio of 1:99 to 99:1, e.g. 10:1 to 1:10, e.g. a substantially 50:50 molar ratio. In an enantiomerically (or epimerically) enriched mixture of formula I**, the molar proportion of compound I** compared to the total amount of both enantiomers (or epimers) is for example greater than 50%, e.g. at least 55, 60, 65, 70, 75, 80, 85, 90, 95, 96, 97, 98 or at least 99%. Enantiomerically (or epimerically) enriched mixtures of formula I** are preferred. Each compound disclosed in Tables 1 to 162 represents a specific disclosure of a compound according to formula I*, as well as a specific disclosure of a compound according to formula I**.

Likewise, the compound of formula Int-I may exist as a compound of formula Int-I* and Int-I**.



Int-I*

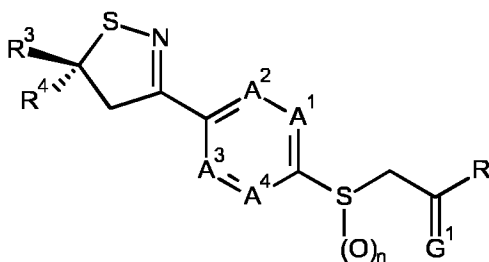


Int-I**

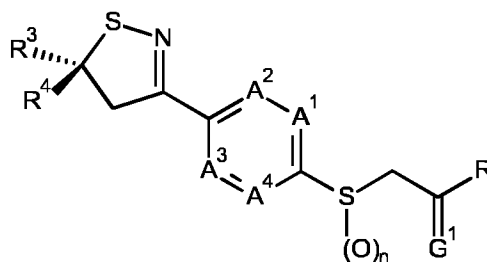
The invention includes mixtures of compounds Int-I* and Int-I** in any ratio e.g. in a molar ratio of 1:99 to 99:1, e.g. 10:1 to 1:10, e.g. a substantially 50:50 molar ratio. In an enantiomerically (or epimerically) enriched mixture of formula Int-I**, the molar proportion of compound Int-I** compared to the total amount of both enantiomers (or epimers) is for example greater than 50%, e.g. at least 55, 60, 65, 70, 75, 80, 85, 90, 95, 96, 97, 98 or at least 99%. Enantiomerically (or epimerically) enriched mixtures of formula Int-I** are preferred.

Likewise, the compound of formula Int-II may exist as a compound of formula Int-II* and Int-

10 II**



Int-II*

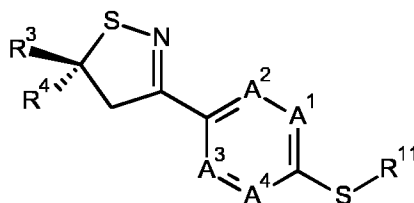


Int-II**

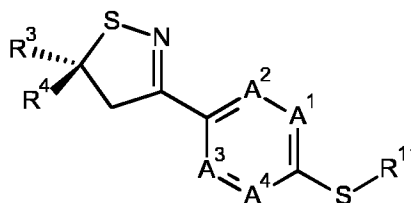
The invention includes mixtures of compounds Int-II* and Int-II** in any ratio e.g. in a molar ratio of 1:99 to 99:1, e.g. 10:1 to 1:10, e.g. a substantially 50:50 molar ratio. In an enantiomerically (or epimerically) enriched mixture of formula Int-II**, the molar proportion of compound Int-II** compared to the total amount of both enantiomers (or epimers) is for example greater than 50%, e.g. at least 55, 60, 65, 70, 75, 80, 85, 90, 95, 96, 97, 98 or at least 99%. Enantiomerically (or epimerically) enriched mixtures of formula Int-II** are preferred.

Likewise, the compound of formula Int-III may exist as a compound of formula Int-III* and Int-

20 III**



Int-III*



Int-III**

The invention includes mixtures of compounds Int-III* and Int-III** in any ratio e.g. in a molar ratio of 1:99 to 99:1, e.g. 10:1 to 1:10, e.g. a substantially 50:50 molar ratio. In an enantiomerically (or epimerically) enriched mixture of formula Int-III**, the molar proportion of compound Int-III**

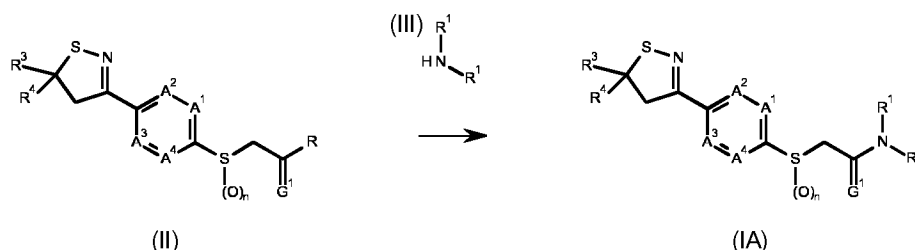
25

compared to the total amount of both enantiomers (or epimers) is for example greater than 50%, e.g. at least 55, 60, 65, 70, 75, 80, 85, 90, 95, 96, 97, 98 or at least 99%. Enantiomerically (or epimerically) enriched mixtures of formula Int-III** are preferred.

The compounds of the invention may be made by a variety of methods as shown in the following

5 Schemes.

Scheme 1



1) Compounds of formula (IA) wherein G¹ is oxygen, can be prepared by reacting a compound of
 10 formula (II) wherein G¹ is oxygen and R is OH, C₁-C₆alkoxy or Cl, F or Br, with an amine of formula
 (III) as shown in **Scheme 1**. When R is OH such reactions are usually carried out in the presence of a
 coupling reagent, such as N,N'-dicyclohexylcarbodiimide ("DCC"), 1-ethyl-3-(3-dimethylamino-propyl)-
 carbodiimide hydrochloride ("EDC") or bis(2-oxo-3-oxazolidinyl)phosphonic chloride ("BOP-Cl"), in the
 presence of a base, and optionally in the presence of a nucleophilic catalyst, such as hydroxybenzotriazole
 15 ("HOBT"). When R is Cl, such reactions are usually carried out in the presence of a base, and optionally
 in the presence of a nucleophilic catalyst. Alternatively, it is possible to conduct the reaction in a biphasic
 system comprising an organic solvent, preferably ethyl acetate, and an aqueous solvent, preferably a
 solution of sodium hydrogen carbonate. When R is C₁-C₆alkoxy it is sometimes possible to convert the
 ester directly to the amide by heating the ester and amine together in a thermal process. Suitable bases
 20 include pyridine, triethylamine, 4-(dimethylamino)-pyridine ("DMAP") or diisopropylethylamine
 (Hunig's base). Preferred solvents are N,N-dimethylacetamide, tetrahydrofuran, dioxane, 1,2-
 dimethoxyethane, ethyl acetate and toluene. The reaction is carried out at a temperature of from 0°C to
 100°C, preferably from 15°C to 30°C, in particular at ambient temperature. Amines of formula (III) are
 either known in the literature or can be prepared using methods known to a person skilled in the art. Some
 25 of these methods are described in the preparation Examples.

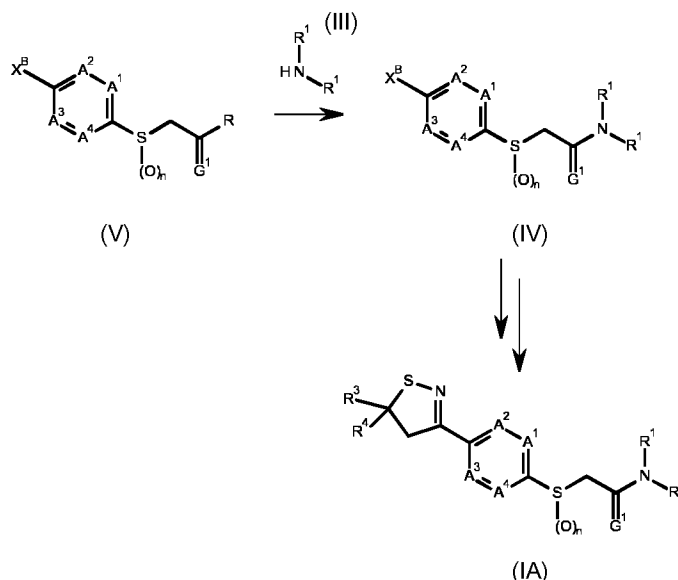
2) Acid halides of formula (II), wherein G¹ is oxygen and R is Cl, F or Br, may be made from
 carboxylic acids of formula (II), wherein G¹ is oxygen and R is OH, under standard conditions, as
 described for example in WO2009/080250.

3) Carboxylic acids of formula (II), wherein G¹ is oxygen and R is OH, may be formed from
 30 esters of formula (II), wherein G¹ is oxygen and R is C₁-C₆alkoxy as described for example in
 WO2009/080250.

4) Compounds of formula (IA), wherein G¹ is sulfur, may be made by treatment of a compound
 of formula (II), wherein G¹ is oxygen and R is OH, C₁-C₆alkoxy or Cl, F or Br, with a thio-transfer

reagent such as Lawesson's reagent or phosphorus pentasulfide prior to elaborating to compounds of formula (I), as described under 1).

Scheme 2

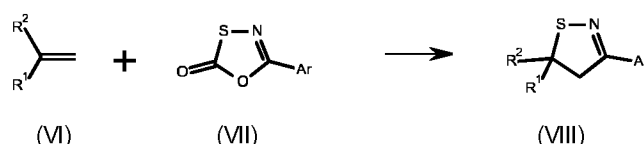


5

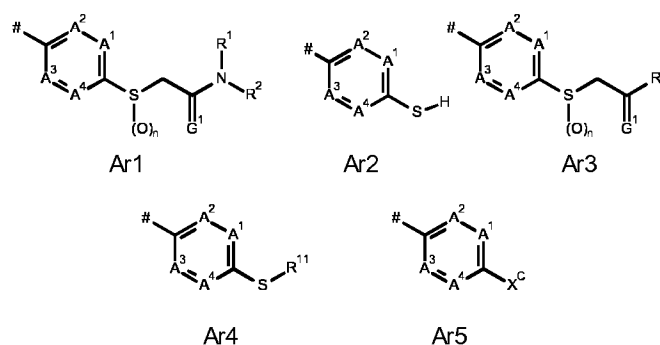
5) Alternatively, compounds of formula (IA) wherein G¹ is oxygen, can be prepared by various methods from an intermediate of formula (IV) as shown in **Scheme 2** wherein G¹ is oxygen and X^B is a leaving group, for example a halogen, such as bromo or X^B is cyano, formyl, acid, amide or acetyl according to similar methods to those described in WO2009/080250. An intermediate of formula (IV) can be prepared for example from an intermediate of formula (V) as described in Scheme 1.

10

Scheme 3



In scheme 3 Ar stands for group Ar1, Ar2, Ar3, Ar4 or A5:



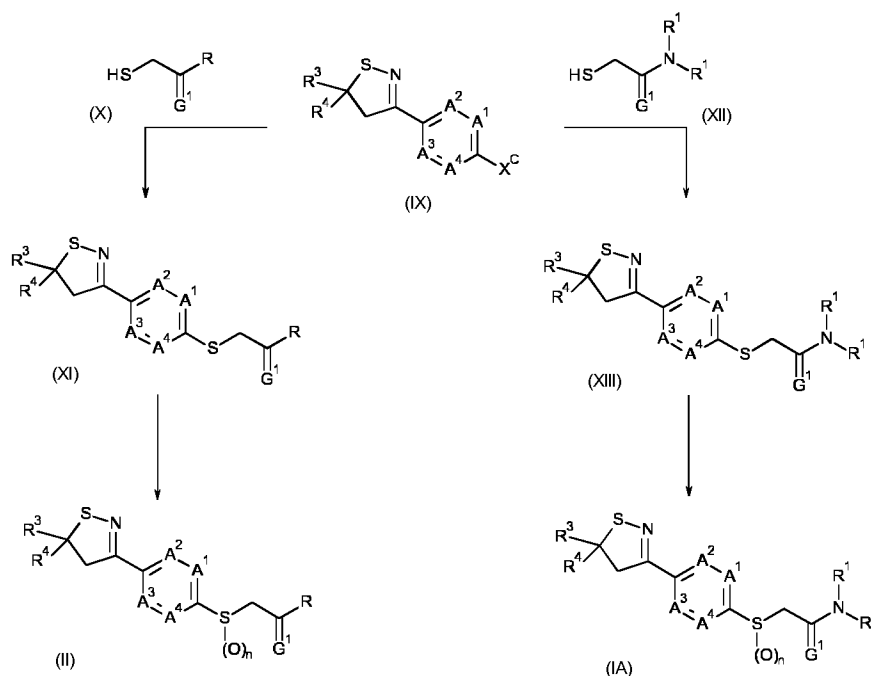
15

wherein A¹, A², A³, A⁴, R¹, R², R¹¹, G¹, R and n are as defined for compounds of formula I, Int-I, Int-II and Int-III and X^C is a leaving group for example a halogen, C₁-C₈alkoxy, C₁-C₈alkylsulfonyloxy, C₁-C₈haloalkylsulfonyloxy, C₁-C₈arylsulfonyloxy, optionally substituted C₁-C₈arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. -N₂⁺ Cl⁻, -N₂⁺ BF₄⁻, -N₂⁺ Br⁻, -N₂⁺ PF₆⁻), phosphonate esters

(e.g. $-\text{OP}(\text{O})(\text{OR})_2$, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride.

6) Compounds of formula (VIII) wherein Ar stands for group A or group A1 can be prepared by reacting a compound of formula (VII) with the vinyl compound of formula (VI) optionally in the presence of a suitable solvent, for example *N,N*-dimethylformamide, xylene, toluene, chlorobenzene or dichlorobenzene. The reaction can be performed under microwave heating preferably at temperatures up to 200°C and preferably under neat conditions using a large excess of the compound of formula VI (e.g. 40 equivalents). Vinyl compounds of formula (VI) are commercially available or can be made by methods known to a person skilled in the art. Compounds of formula (VII) can be made by methods known to a person skilled in the art, as described in journal of Organic Chemistry (1981), 46(4), 771.

Scheme 4



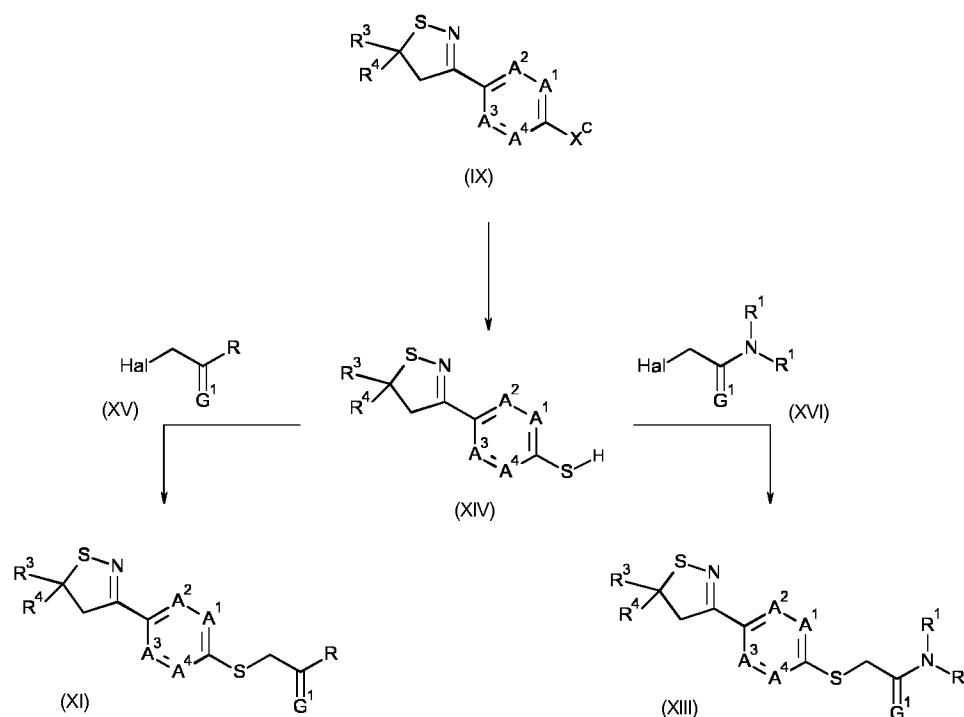
7) Compounds of formula (IA) and (II) wherein G¹ is oxygen, R is OH or C₁-C₆alkoxy, and n is 1 or 2, can be prepared respectively by oxidation of compound of formula (XI) and (XIII) wherein G¹ is oxygen. Such reactions can be carried out by treatment with an oxidising reagent, such as potassium permanganate, 3-chloroperoxybenzoic acid ("MCPBA"), sodium periodate / ruthenium(II) oxide, hydrogen peroxide, oxone and sodium hypochlorite. One equivalent of oxidising reagent is required to convert a sulfide to a sulfoxide, or a sulfoxide to a sulfone. Two equivalents of oxidising reagent are required to convert a sulfide to a sulfone. Preferred solvents are ethanol, methanol, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, ethyl acetate, toluene, dichloromethane and water, or mixtures thereof. The reaction is optionally carried out in the presence of a base, for example a carbonate, such as sodium hydrogen carbonate. The reaction is carried out at a temperature of from 0°C to 100°C, preferably from 15°C to 30°C, in particular at ambient temperature.

8) Compounds of formula (XI) wherein G^1 is oxygen, R is OH or C_1 - C_6 alkoxy, and n is 1 or 2 can be prepared by reacting an intermediate of formula (IX) wherein X^C is a leaving group for example a halogen, C_1 - C_8 alkoxy, C_1 - C_8 alkylsulfonyloxy, C_1 - C_8 haloalkylsulfonyloxy, C_1 - C_8 arylsulfonyloxy, optionally substituted C_1 - C_8 arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. $-N_2^+ Cl^-$, $-N_2^+ BF_4^-$, $-N_2^+ Br^-$, $-N_2^+ PF_6^-$), phosphonate esters (e.g. $-OP(O)(OR)_2$, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride. Preferably X^C is a leaving group, for example a halogen, such as fluoro, chloro or bromo, with a mercaptan of formula (X) wherein R is OH or C_1 - C_6 alkoxy, for example methoxy or tert-butyloxy. Such reactions can be carried out in the presence of a base such as an amine or a carbonate, for example potassium or cesium carbonate in solvents such as acetonitrile, dimethylformamide, dimethylsulfoxide, dimethylacetamide at a temperature of from 0°C to 150°C, preferably from 25°C to 100°C. Examples of such reactions can be found in Synlett 2006, 8, 1255-1259, and specific examples are described in the experimental section. Alternatively, the reaction can be carried out in the presence of a catalyst, for example a palladium catalyst, and a ligand, for example a phosphine ligand in a solvent such as tetrahydrofuran, dioxane, 1,2-dimethoxyethane, ethyl acetate, or toluene at a temperature of from 0°C to 150°C, preferably from 80°C to 130°C. This reaction can be carried out under microwave irradiation, as described for example in WO 2007102059, and specific examples are described in the experimental section.

9) Similarly, compounds of formula (XIII) wherein G^1 is oxygen and n is 1 or 2 can be prepared by reacting an intermediate of formula (IX) wherein X^C is a leaving group for example a halogen, C_1 - C_8 alkoxy, C_1 - C_8 alkylsulfonyloxy, C_1 - C_8 haloalkylsulfonyloxy, C_1 - C_8 arylsulfonyloxy, optionally substituted C_1 - C_8 arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. $-N_2^+ Cl^-$, $-N_2^+ BF_4^-$, $-N_2^+ Br^-$, $-N_2^+ PF_6^-$), phosphonate esters (e.g. $-OP(O)(OR)_2$, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride, with a mercaptan of formula (XII).

10) Compounds of formula (IX) and (X) are either known compounds, such a methyl thioglycolate or can be prepared by known methods to a person skilled in the art.

Scheme 5

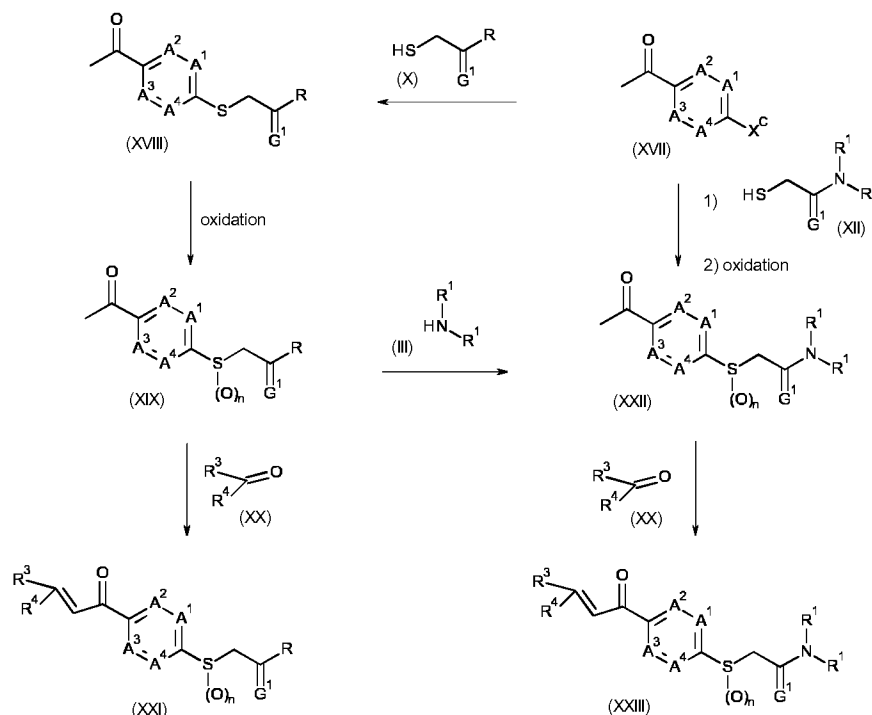


11) Alternatively, compounds of formula (XI) wherein G¹ is oxygen, R is OH or C₁-C₆alkoxy can be prepared by alkylation of an intermediate of formula (XIV) with a reagent of formula (XV) wherein Hal is chloro or bromo. Such reactions can be carried out under well established methods, described for example in Journal of Organic Chemistry, 45(24), 4961-5; 1980.

12) Similarly, compounds of formula (XIII) wherein G¹ is oxygen, can be prepared by alkylation of an intermediate of formula (XIV) with a reagent of formula (XVI) wherein Hal is chloro or bromo.

13) Compounds of formula (XIV) can be prepared by reaction of an intermediate of formula (IX) wherein X^C is a leaving group for example a halogen, C₁-C₈alkoxy, C₁-C₈alkylsulfonyloxy, C₁-C₈haloalkylsulfonyloxy, C₁-C₈arylsulfonyloxy, optionally substituted C₁-C₈arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. -N₂⁺ Cl⁻, -N₂⁺ BF₄⁻, -N₂⁺ Br⁻, -N₂⁺ PF₆⁻), phosphonate esters (e.g. -OP(O)(OR)₂, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride. When X^C is a leaving group such as chloro, bromo or iodo, the reaction can be carried out with a transition metal catalyst, such as copper, nickel and palladium, a sulfur source such as sulfur, thiourea, and alkali thiosulfate. Such reactions can be carried out under well established methods, described for example in U.S. 5338886 (Ni and thiourea), Tetrahedron Letters (2011), 52, 205-208 (palladium and thiourea) and Chinese Journal of Chemistry (2010), 28, 1441-1443 (copper and thiourea). Alternatively compounds of formula (XI) can be prepared by reaction of an intermediate of formula (IX) wherein X^C is a halogen, such as fluoro and chloro and an excess of alkyl alkyl thiolate, such as sodium thiolate at a temperature between 50°C and a 180°C. This reaction can be carried out, as described for example in Synthesis (1983), (9), 751-5.

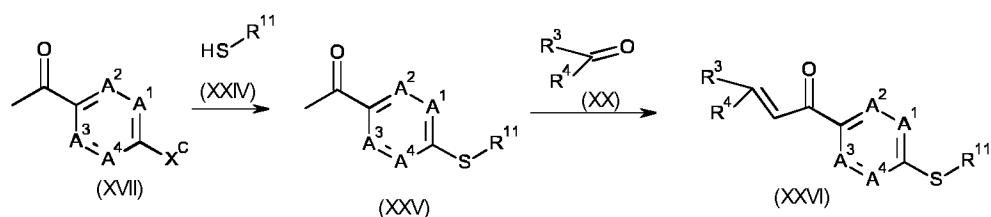
Scheme 6



5 14) Compounds of formula (XIX) wherein G^1 is oxygen, R is OH or $\text{C}_1\text{-C}_6$ alkoxy, which can be prepared according to the methods described in Schemes 1-4, may be converted to compounds of formula (XXI) wherein G^1 is oxygen, R is OH or $\text{C}_1\text{-C}_6$ alkoxy by reaction with a ketone of formula (XVIII) according to methods described for example in WO2009/080250.

10 15) Similarly, Compounds of formula (XXIII) wherein G^1 is oxygen can be obtained from compounds of formula (XXII) wherein G^1 is oxygen, which can be obtained according to methods described in Schemes 1-4.

Scheme 7



15 16) Compounds of formula (XXV) wherein R^{11} is $\text{C}_1\text{-C}_6$ alkyl or $\text{C}_1\text{-C}_6$ alkyl optionally substituted by an aryl, can be prepared by reacting an intermediate of formula (XVII) wherein X^B is a leaving group, for example a halogen, such as fluoro, chloro or bromo, with a mercaptan of formula (XXIV) wherein R^{11} is $\text{C}_1\text{-C}_6$ alkyl or $\text{C}_1\text{-C}_6$ alkyl optionally substituted by an aryl, for example tert-butyl. Such reactions can be carried out in the presence of a base such as an amine or a carbonate, for example potassium or cesium carbonate in solvents such as acetonitrile, dimethylformamide, dimethylsulfoxide, dimethylacetamide at a temperature of from 0°C to 150°C , preferably from 25°C to 100°C . Examples of such reactions can be

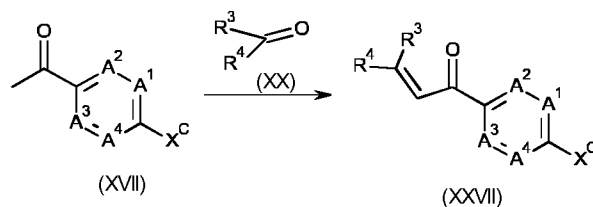
20

found in Synlett 2006, 8, 1255-1259, and specific examples are described in the experimental section. Alternatively, the reaction can be carried out in the presence of a catalyst, for a example a palladium catalyst, and a ligand, for example a phosphine ligand in a solvent such as tetrahydrofuran, dioxane, 1,2-dimethoxyethane, ethyl acetate, or toluene at a temperature of from 0°C to 150°C, preferably from 80°C to 130°C. This reaction can be carried out under microwave irradiation, as described for example in WO2007/102059, and specific examples are described in the experimental section.

17) Compounds of formula (XXV) wherein R¹¹ is C₁-C₆alkyl or C₁-C₆alkyl optionally substituted by an aryl, may be converted to compounds of formula (XXVI) wherein R¹¹ is C₁-C₆alkyl or C₁-C₆alkyl optionally substituted by an aryl, by reaction with a ketone of formula (XX) according to methods described for example in WO2009/080250.

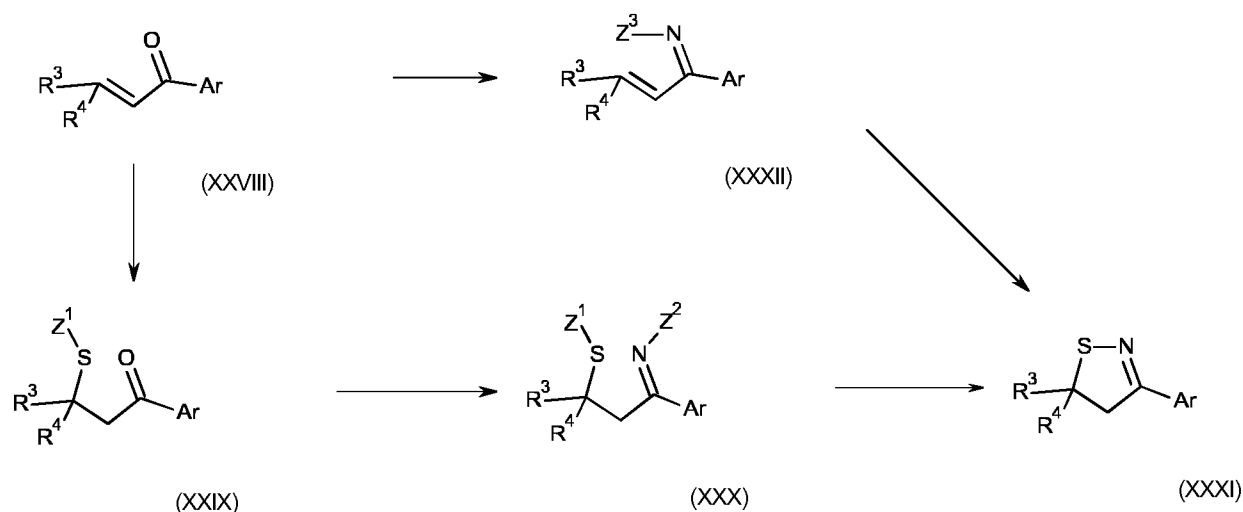
18) Subsequently, compounds of formula (XXVI) wherein R¹¹ is C₁-C₆alkyl or C₁-C₆alkyl optionally substituted by an aryl, can be converted into compounds of formula (XXVII) wherein R¹¹ is H. Such reactions can be carried out in the presence of an acid or a Lewis acid such as an sulfonic acid, carboxylic acid for example methanesulfonic acid, paratoluenesulfonic acid, trifluoroacetic acid, aluminum trichloride or mercury(II) acetate in solvents such as acetic acid, trifluoroacetic acid, toluene, dichloromethane, water at a temperature of from -78°C to 150°C, preferably from 0°C to 110°C. Examples of such reactions can be found in Organometallics, 2007, 26, 897-909 and Chemical Communications 2009, 5236-5238, and specific examples are described in the experimental section.

20 Scheme 8



19) Compounds of formula (XVII) wherein X^C is a leaving group for example a halogen, C₁-C₈alkoxy, C₁-C₈alkylsulfonyloxy, C₁-C₈haloalkylsulfonyloxy, C₁-C₈arylsulfonyloxy, optionally substituted C₁-C₈arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. -N₂⁺ Cl⁻, -N₂⁺ BF₄⁻, -N₂⁺ Br⁻, -N₂⁺ PF₆⁻), phosphonate esters (e.g. -OP(O)(OR)₂, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride may be converted to compounds of formula (XXVII) by reaction with a ketone of formula (XX) according to methods described for example in WO2009/080250.

Scheme 9



In scheme 8 Ar is defined as in scheme 3

20) Compounds of formula (XXIX) wherein Ar is as defined for compounds (I) and Z¹ is hydrogen, halogen, cyano, C₁-C₈alkyl, aryl-C₁-C₄alkylene-, C₁-C₈alkylcarbonyl-, arylsulfonyl- or arylthio-, can be obtained by reacting an unsaturated ketone of formula (XXVIII) wherein G¹ is oxygen, with a sulfur nucleophile, such as thioacetic acid, hydrogen sulfide, sodium sulfide, ammonium sulfide, thiourea, benzylmercaptan, Sodium benzenethiosulfonate, sodium thiomethoxide or tert-butyl mercaptan as shown on Scheme 7. Such reactions can be performed optionally in the presence of a base, such as sodium hydroxide, sodium ethoxide, sodium methoxide, sodium tert-butoxide or potassium hydroxide. Sometimes, such reactions can also be performed in the presence of an acid, for example p-toluenesulfonic acid, hydrochloric acid, acetic acid, in a solvent, such as methanol, ethanol, N,N-dimethylformamide, toluene, dichloromethane, ethyl acetate, acetonitrile or chlorobenzene or water, or mixtures thereof, at a temperature of from 0°C to 100°C, preferably from ambient temperature to 80°C. Such conditions are described, for example, in Journal of the American Chemical Society (1949), 71, 3554-5 or in Tetrahedron: Asymmetry (2003), 14(1), 113-117 and Journal of Organic Chemistry (1996), 61, 1986.

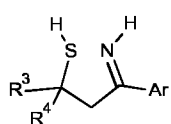
21) Compounds of formula (XXXII) wherein P is as defined for compounds (I) and Z³ is thiol or aryl substituted C₁-C₈alkylsulfinyl-, can be made by reaction of the ketone of formula (XXVIII) with an amine, such as triphenylmethanesulfenamide. Such reactions are usually carried out in the presence of an acid or not, for example p-toluenesulfonic acid, hydrochloric acid, acetic acid, optionally in the presence of a solvent, for example an alcohol, such as methanol or ethanol, or toluene, dichloromethane, water, or mixtures thereof. The reaction can be carried out in the presence or the absence of a dehydrating agent, such as anhydrous magnesium sulfate or molecular sieves. It can also be performed using a Dean Stark or Soxhlet apparatus that enables a constant removal of the water formed during the reaction. The reaction is carried out at a temperature of from 0°C to 100°C, preferably from 15°C to 30°C, in particular at ambient temperature.

22) Compounds of formula (XXX) wherein P is as defined for compounds (I) and Z² is hydrogen or hydroxyl or C₁-C₈alkoxy- or C₁-C₈alkylsulfonyloxy- or C₁-C₈arylsulfonyloxy- or aryl-C₁-

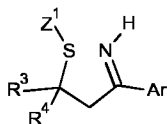
C₄alkylene-or aryl, can be made by reaction of the ketone of formula (XXIX) with an amine, such as hydroxylamine hydrochloride, methoxylamine or ammonia. Such reactions are carried out in the presence of a base, for example an organic base, such as triethylamine or sodium acetate, or an inorganic base, such as sodium hydrogen carbonate, optionally in the presence of a solvent, for example an alcohol, such as methanol or ethanol, or water, or mixtures thereof. Such reactions can also be carried out in the presence of an acid or not, for example p-toluenesulfonic acid, hydrochloric acid, acetic acid, optionally in the presence of a solvent, for example an alcohol, such as methanol or ethanol, or toluene, dichloromethane, water, or mixtures thereof. The reaction can be carried out in the presence or the absence of a dehydrating agent, such as anhydrous magnesium sulfate or molecular sieves. It can also be performed using a Dean Stark or Soxhlet apparatus that enables a constant removal of the water formed during the reaction. The reaction is carried out at a temperature of from 0°C to 100°C, preferably from 15°C to 30°C, in particular at ambient temperature.

23) Compounds of formula (I) can be obtained by cyclising a compound of formula (XXXII) wherein Z³ is thiol. Such reactions are usually carried out in the presence of an acid or not, for example p-toluenesulfonic acid, optionally in the presence of a solvent, for example dichloroethane, methanol, ethanol, toluene, dichloromethane or chlorobenzene. The reaction is carried out at a temperature of from 0°C to 200°C, preferably from 25°C to 100°C. Alternatively, compounds of formula (I) wherein P is as defined for compounds (I) can be obtained by cyclising a compound of formula (XXXII) wherein Z³ is aryl substituted C₁-C₈alkylsulfinyl-. Such reactions are usually carried out in the presence of an acid or not, for example p-toluenesulfonic acid, trifluoroacetic acid or hydrochloric acid, optionally in the presence of a solvent, for example dichloroethane, methanol, ethanol, toluene, dichloromethane or chlorobenzene. The reaction is carried out at a temperature of from 0°C to 200°C, preferably from 25°C to 100°C. Such reactions usually involve first the deprotection of the thiol to give a compound of formula (XXXII) wherein Z³ is thiol, followed by the cyclization.

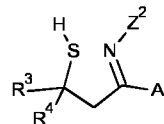
24) Compounds of formula (I) can be obtained from compound of formula (XXX) wherein Z¹ is hydrogen or C₁-C₈alkyl or aryl-C₁-C₄alkylene-or C₁-C₈alkylcarbonyl- or arylsulfonyl- or arylthio-, and Z² is hydrogen or hydroxyl or C₁-C₈alkoxy- or C₁-C₈alkylsulfonyloxy- or C₁-C₈arylsulfonyloxy- or aryl-C₁-C₄alkylene-or aryl. Such reactions usually involve the deprotection of Z¹ and of Z² or of both groups. The reaction can then involve the following intermediates (with substituents defined as for compounds of formula I and Ar as defined in Scheme 3):



(XXXa)



(XXXb)



(XXXc)

Depending on the nature of Z¹ and Z², the deprotection conditions are different and can be made by methods known to a person skilled in the art or as described in T. W. Green, P. G. M. Wuts, *Protective Groups in Organic Synthesis*, Wiley-Interscience, New York, 1999, 564-566, 740-743.

25) Compounds of formula (I) can be obtained from compound of formula (XXXa) from an oxidation step. Such reactions are usually carried out in the presence of an oxidant, for example iodine, bromine, thionyl chloride, Bis(trifluoroacetoxy)iodobenzene; The reaction can be carried out in the presence of an acid or not, such as trifluoroacetic acid or acetic acid, optionally in the presence of a solvent, for example dichloroethane, dimethylsulfoxide, *N,N*-dimethylformamide, methanol, ethanol, toluene, dichloromethane, ethyl acetate or chlorobenzene. The reaction is carried out at a temperature of from 0°C to 200°C, preferably from 25°C to 100°C. Such transformations, including reaction conditions and suitable catalyst, are described in Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1985), (1), 153-7 and Organic Letters (2006), 8(21), 4811-4813. Similarly, compounds of formula (I-I) wherein P is as defined for compounds (I) can be obtained from a compound of formula (XXXb) wherein Z¹ is arylsulfonyl- or arylthio-, by an oxidation step, are described in Journal of Organic Chemistry (1990), 55(13), 4156-62.

26) Compounds of formula (I) can be obtained from compound of formula (XXXc) wherein Z² is C₁-C₈alkoxy-. Such reactions are usually carried out in the presence of a copper (I) reagent, such as copper-3-methylsalicylate. The reaction can be carried out in the presence of a solvent, for example dichloroethane, dimethylsulfoxide, *N,N*-dimethylformamide, methanol, ethanol, toluene, dichloromethane, ethyl acetate or chlorobenzene. The reaction is carried out at a temperature of from 0°C to 200°C, preferably from 25°C to 100°C, or under microwave heating conditions. Such transformations are described in Journal of the American Chemical Society (2011), 133, 6403-6410.

27) Alternatively, compounds of formula (I) can be obtained directly from a compound of formula (XXIX) wherein Z¹ is hydrogen. Such reactions are usually carried out in the presence of chloramines, formed in situ from ammonia and chlorine or sodium hypochlorite or hypochlorous acid, optionally in the presence of a solvent, for example dichloroethane, methanol, ethanol, toluene, dichloromethane or chlorobenzene. The reaction is carried out at a temperature of from -80°C to 40°C, preferably below -40°C.

28) Alternatively, compounds of formula (I) can be obtained directly from a compound of formula (XVII) wherein Z¹ is halogen, cyano, arylsulfonyl- or arylthio-. Such reactions are usually carried out in the presence of ammonia, optionally in the presence of a solvent, for example dichloroethane, tetrahydrofuran, methanol, ethanol, toluene, dichloromethane or chlorobenzene. The reaction is carried out at a temperature of from -80°C to 80°C.

29) Alternatively, compounds of formula (I) can be obtained directly from a compound of formula (XVII) wherein Z¹ is aryl-C₁-C₄alkylene. Such reactions are usually carried out in two steps- The first one involves the treatment of a compound of formula (XXIX) wherein Z¹ is aryl-C₁-C₄alkylene by a suitable oxidant, such as sulfonyl chloride or chlorine, in the presence of a solvent, for example dichloroethane, methanol, ethanol, toluene, dichloromethane or chlorobenzene, to provide a compound of formula (XXIX) wherein Z¹ is chlorine. The second step then involves the treatment of a compound of formula (XXIX) wherein Z¹ is chlorine by an ammonia source, such as ammonia or ammonium bromide in the presence of a base, in the presence of a solvent, for example dichloroethane, methanol, ethanol,

toluene, tetrahydrofuran, dichloromethane or chlorobenzene. Both steps are usually carried out at a temperature of from -80°C to 80°C.

30) Alternatively, compounds of formula (I) can be obtained directly from a compound of formula (XXIX) wherein Z^1 is hydrogen. Such reactions are usually carried out in the presence of a suitable nitrogen electrophile, such as Hydroxylamine-O-sulfonic acid. Such reactions are carried out in the presence of a base, for example an organic base, such as triethylamine or sodium acetate, or an inorganic base, such as sodium hydrogen carbonate, sodium hydroxide or potassium hydroxide optionally in the presence of a solvent, for example tetrahydrofuran, toluene, an alcohol, such as methanol or ethanol, or water, or mixtures thereof. The reaction is carried out at a temperature of from -80°C to 80°C.

The compounds of formula (I) can be used to combat and control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be combated and controlled by the use of the compound of the invention include those pests associated with agriculture (which term includes the growing of crops for food and fiber products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies). The compounds of the invention may be used for example on turf, ornamentals, such as flowers, shrubs, broad-leaved trees or evergreens, for example conifers, as well as for tree injection, pest management and the like. Compositions comprising the compound of formula I may be used on ornamental garden plants (e.g. flowers, shrubs, broad-leaved trees or evergreens), e.g. to control aphids, whitefly, scales, mealybug, beetles and caterpillars. Compositions comprising the compound of formula I may be used on garden plants (e.g. flowers, shrubs, broad-leaved trees or evergreens), on indoor plants (e.g. flowers and shrubs) and on indoor pest e.g. to control aphids, whitefly, scales, mealybug, beetles and caterpillars.

Furthermore, the compounds of the invention may be effective against harmful insects, without substantially imposing any harmful side effects to cultivated plants. Application of the compounds of the invention may increase the harvest yields, and may improve the quality of the harvested material. The compounds of the invention may have favourable properties with respect to amount applied, residue formulation, selectivity, toxicity, production methodology, high activity, wide spectrum of control, safety, control of resistant organisms, e.g. pests that are resistant to organic phosphorus agents and/or carbamate agents.

Examples of pest species which may be controlled by the compounds of formula (I) include: coleopterans, for example, *Callosobruchus chinensis*, *Sitophilus zeamais*, *Tribolium castaneum*, *Epilachna vigintioctomaculata*, *Agriotes fuscicollis*, *Anomala rufocuprea*, *Leptinotarsa decemlineata*, *Diabrotica* spp., *Monochamus alternatus*, *Lissorhoptrus oryzophilus*, *Lyctus bruneus*, *Aulacophora femoralis*; lepidopterans, for example, *Lymantria dispar*, *Malacosoma neustria*, *Pieris rapae*, *Spodoptera litura*, *Mamestra brassicae*, *Chilo suppressalis*, *Pyrausta nubilalis*, *Ephestia cautella*,

Adoxophyes orana, *Carpocapsa pomonella*, *Agrotis fucosa*, *Galleria mellonella*, *Plutella maculipennis*, *Heliothis virescens*, *Phyllocnistis citrella*; hemipterans, for example, *Nephotettix cincticeps*, *Nilaparvata lugens*, *Pseudococcus comstocki*, *Unaspis yanonensis*, *Myzus persicas*, *Aphis pomi*, *Aphis gossypii*, *Rhopalosiphum pseudobrassicarum*, *Stephanitis nashi*, *Nezara* spp., *Trialeurodes vaporariorum*, *Psylla* spp.;
 5 *thysanopterans*, for example, *Thrips palmi*, *Franklinella occidentalis*; *orthopterans*, for example, *Blattella germanica*, *Periplaneta americana*, *Gryllotalpa africana*, *Locusta migratoria migratorioides*; *isopterans*, for example, *Reticulitermes speratus*, *Coptotermes formosanus*; *dipterans*, for example, *Musca domestica*, *Aedes aegypti*, *Hylemyia platura*, *Culex pipiens*, *Anopheles sinensis*, *Culex tritaeniorhynchus*, *Liriomyza trifolii*; *acari*, for example, *Tetranychus cinnabarinus*, *Tetranychus urticae*, *Panonychus citri*,
 10 *Aculops pelekassi*, *Tarsonemus* spp.; *nematodes*, for example, *Meloidogyne incognita*, *Bursaphelenchus lignicola* Mamiya et Kiyohara, *Aphelenchoides besseyi*, *Heterodera glycines*, *Pratylenchus* spp..

Examples of further pest species which may be controlled by the compounds of formula (I) include: from the order of the *Anoplura* (*Phthiraptera*), for example, *Damalinea* spp., *Haematopinus* spp., *Linognathus* spp., *Pediculus* spp., *Trichodectes* spp.; from the class of the *Arachnida*, for example,
 15 *Acarus siro*, *Aceria sheldoni*, *Aculops* spp., *Aculus* spp., *Amblyomma* spp., *Argas* spp., *Boophilus* spp., *Brevipalpus* spp., *Bryobia praetiosa*, *Chorioptes* spp., *Dermanyssus gallinae*, *Eotetranychus* spp., *Epitrimerus pyri*, *Eutetranychus* spp., *Eriophyes* spp., *Hemitarsonemus* spp., *Hyalomma* spp., *Ixodes* spp., *Latrodectus mactans*, *Metatetranychus* spp., *Oligonychus* spp., *Ornithodoros* spp., *Panonychus* spp., *Phyllocoptruta oleivora*, *Polyphagotarsonemus latus*, *Psoroptes* spp., *Rhipicephalus* spp., *Rhizoglyphus*
 20 spp., *Sarcoptes* spp., *Scorpio maurus*, *Stenotarsonemus* spp., *Tarsonemus* spp., *Tetranychus* spp., *Vasates lycopersici*; from the class of the *Bivalva*, for example, *Dreissena* spp.; from the order of the *Chilopoda*, for example, *Geophilus* spp., *Scutigera* spp.; from the order of the *Coleoptera*, for example, *Acanthoscehdes obtectus*, *Adoretus* spp., *Agelastica alni*, *Agriotes* spp., *Amphimallon solstitialis*, *Anobium punctatum*, *Anoplophora* spp., *Anthonomus* spp., *Anthrenus* spp., *Apogonia* spp., *Atomaria* spp.,
 25 *Attagenus* spp., *Bruchidius obtectus*, *Bruchus* spp., *Ceuthorrhynchus* spp., *Cleonus mendicus*, *Conoderus* spp., *Cosmopolites* spp., *Costelytra zealandica*, *Curculio* spp., *Cryptorhynchus lapathi*, *Dermestes* spp., *Diabrotica* spp., *Epilachna* spp., *Faustinus cubae*, *Gibbium psyllioides*, *Heteronychus arator*, *Hylamorpha elegans*, *Hylotrupes bajulus*, *Hypera postica*, *Hypothenemus* spp., *Lachnosterna consanguinea*, *Leptinotarsa decemlineata*, *Lissorhoptrus oryzophilus*, *Lixus* spp., *Lyctus* spp., *Meligethes*
 30 *aeneus*, *Melolontha melolontha*, *Migdolus* spp., *Monochamus* spp., *Naupactus xanthographus*, *Niptus hololeucus*, *Oryctes rhinoceros*, *Oryzaephilus surinamensis*, *Otiorrhynchus sulcatus*, *Oxycetonia jucunda*, *Phaedon cochleariae*, *Phyllophaga* spp., *Popillia japonica*, *Premnotrypes* spp., *Psylliodes chrysocephala*, *Ptinus* spp., *Rhizobius ventralis*, *Rhizopertha dominica*, *Sitophilus* spp., *Sphenophorus* spp., *Sternechus* spp., *Symphyletes* spp., *Tenebrio molitor*, *Tribolium* spp., *Trogoderma* spp., *Tychius*
 35 spp., *Xylotrechus* spp., *Zabrus* spp.; from the order of the *Collembola*, for example, *Onychiurus armatus*; from the order of the *Dermaptera*, for example, *Forficula auricularia*; from the order of the *Diplopoda*, for example, *Blaniulus guttulatus*; from the order of the *Diptera*, for example, *Aedes* spp., *Anopheles* spp., *Bibio hortulanus*, *Calliphora erythrocephala*, *Ceratitis capitata*, *Chrysomyia* spp., *Cochliomyia* spp.,

- Cordylobia anthropophaga*, *Culex* spp., *Cuterebra* spp., *Dacus oleae*, *Dermatobia hominis*, *Drosophila* spp., *Fannia* spp., *Gastrophilus* spp., *Hylemyia* spp., *Hyppobosca* spp., *Hypoderma* spp., *Liriomyza* spp., *Lucilia* spp., *Musca* spp., *Nezara* spp., *Oestrus* spp., *Oscinella frit*, *Pegomyia hyoscyami*, *Phorbia* spp., *Stomoxys* spp., *Tabanus* spp., *Tannia* spp., *Tipula paludosa*, *Wohlfahrtia* spp.; from the class of the
- 5 *Gastropoda*, for example, *Arion* spp., *Biomphalaria* spp., *Bulinus* spp., *Deroceras* spp., *Galba* spp., *Lymnaea* spp., *Oncomelania* spp., *Succinea* spp.; from the class of the *helminths*, for example, *Ancylostoma duodenale*, *Ancylostoma ceylanicum*, *Acylostoma braziliensis*, *Ancylostoma* spp., *Ascaris lubricoides*, *Ascaris* spp., *Brugia malayi*, *Brugia timori*, *Bunostomum* spp., *Chabertia* spp., *Clonorchis* spp., *Cooperia* spp., *Dicrocoelium* spp., *Dictyocaulus filaria*, *Diphyllbothrium latum*, *Dracunculus*
- 10 *medinensis*, *Echinococcus granulosus*, *Echinococcus multilocularis*, *Enterobius vermicularis*, *Faciola* spp., *Haemonchus* spp., *Heterakis* spp., *Hymenolepis nana*, *Hyostromylus* spp., *Loa Loa*, *Nematodirus* spp., *Oesophagostomum* spp., *Opisthorchis* spp., *Onchocerca volvulus*, *Ostertagia* spp., *Paragonimus* spp., *Schistosoma* spp., *Strongyloides fuelleborni*, *Strongyloides stercoralis*, *Strongyloides* spp., *Taenia saginata*, *Taenia solium*, *Trichinella spiralis*, *Trichinella nativa*, *Trichinella britovi*, *Trichinella nelsoni*,
- 15 *Trichinella pseudospiralis*, *Trichostrongylus* spp., *Trichuris trichuria*, *Wuchereria bancrofti*; it may be furthermore possible to control protozoa, such as *Eimeria*; from the order of the *Heteroptera*, for example, *Anasa tristis*, *Antestiopsis* spp., *Blissus* spp., *Calocoris* spp., *Campylomma livida*, *Cavelerius* spp., *Cimex* spp., *Creontiades dilutus*, *Dasynus piperis*, *Dichelops furcatus*, *Diconocoris hewetti*, *Dysdercus* spp., *Euschistus* spp., *Eurygaster* spp., *Heliopeltis* spp., *Horcias nobilellus*, *Leptocoris* spp.,
- 20 *Leptoglossus phyllopus*, *Lygus* spp., *Macropes excavatus*, *Miridae*, *Nezara* spp., *Oebalus* spp., *Pentomidae*, *Piesma quadrata*, *Piezodorus* spp., *Psallus seriatus*, *Pseudacysta perseae*, *Rhodnius* spp., *Sahlbergella singularis*, *Scotinophora* spp., *Stephanitis nashi*, *Tibraca* spp., *Triatoma* spp.; from the order of the *Homoptera*, for example, *Acyrtosiphon* spp., *Aeneolamia* spp., *Agonosceles* spp., *Aleurodes* spp., *Aleurolobus barodensis*, *Aleurothrix* spp., *Amrasca* spp., *Anuraphis cardui*, *Aonidiella* spp.,
- 25 *Aphanostigma piri*, *Aphis* spp., *Arboridia apicalis*, *Aspidiella* spp., *Aspidiotus* spp., *Atanus* spp., *Aulacorthum solani*, *Bemisia* spp., *Brachycaudus helichrysi*, *Brachycolus* spp., *Brevicoryne brassicae*, *Calligypona marginata*, *Carneocephala fulgida*, *Ceratovacuna lanigera*, *Cercopidae*, *Ceroplastes* spp., *Chaetosiphon fragaefolii*, *Chionaspis tegalensis*, *Chlorita onukii*, *Chromaphis juglandicola*, *Chrysomphalus ficus*, *Cicadulina mbila*, *Cocomytilus halli*, *Coccus* spp., *Cryptomyzus ribis*, *Dalbulus*
- 30 spp., *Dialeurodes* spp., *Diaphorina* spp., *Diaspis* spp., *Doralis* spp., *Drosicha* spp., *Dysaphis* spp., *Dysmicoccus* spp., *Empoasca* spp., *Eriosoma* spp., *Erythroneura* spp., *Euscelis bilobatus*, *Geococcus coffeae*, *Homalodisca coagulata*, *Hyalopterus arundinis*, *Icerya* spp., *Idiocerus* spp., *Idioscopus* spp., *Laodelphax striatellus*, *Lecanium* spp., *Lepidosaphes* spp., *Lipaphis erysimi*, *Macrosiphum* spp., *Mahanarva fimbriolata*, *Melanaphis sacchari*, *Metcalfiella* spp., *Metopolophium dirhodum*, *Monellia*
- 35 *costalis*, *Monelliopsis pecanis*, *Myzus* spp., *Nasonovia ribisnigri*, *Nephotettix* spp., *Nilaparvata lugens*, *Oncometopia* spp., *Orthezia praelonga*, *Parabemisia myricae*, *Paratrioza* spp., *Parlatoria* spp., *Pemphigus* spp., *Peregrinus maidis*, *Phenacoccus* spp., *Phloeomyzus passerinii*, *Phorodon humuli*, *Phylloxera* spp., *Pinnaspis aspidistrae*, *Planococcus* spp., *Protopulvinaria pyriformis*, *Pseudaaulacaspis*

- pentagona*, *Pseudococcus* spp., *Psylla* spp., *Pteromalus* spp., *Pyrilla* spp., *Quadraspidotus* spp., *Quesada* *gigas*, *Rastrococcus* spp., *Rhopalosiphum* spp., *Saissetia* spp., *Scaphoides titanus*, *Schizaphis graminum*, *Selenaspidus articulatus*, *Sogata* spp., *Sogatella furcifera*, *Sogatodes* spp., *Stictocephala festina*, *Tenalaphara malayensis*, *Tinocallis caryaefoliae*, *Tomaspis* spp., *Toxoptera* spp., *Trialeurodes*
- 5 *vaporariorum*, *Trioza* spp., *Typhlocyba* spp., *Unaspis* spp., *Viteus vitifolii*; from the order of the *Hymenoptera*, for example, *Diprion* spp., *Hoplocampa* spp., *Lasius* spp., *Mono- morium pharaonis*, *Vespa* spp.; from the order of the *Isopoda*, for example, *Armadillidium vulgare*, *Oniscus asellus*, *Porcellio scaber*; from the order of the *Isoptera*, for example, *Reticulitermes* spp., *Odontotermes* spp.; from the order of the *Lepidoptera*, for example, *Acronicta major*, *Aedia leucomelas*, *Agrotis* spp.,
- 10 *Alabama argillacea*, *Anticarsia* spp., *Barathra brassicae*, *Bucculatrix thurberiella*, *Bupalus piniarius*, *Cacoecia podana*, *Capua reticulana*, *Carpocapsa pomonella*, *Cheimatobia brumata*, *Chilo* spp., *Choristoneura fumiferana*, *Clysia ambiguella*, *Cnaphalocerus* spp., *Earias insulana*, *Ephestia kuehniella*, *Euproctis chrysorrhoea*, *Euxoa* spp., *Feltia* spp., *Galleria mellonella*, *Helicoverpa* spp., *Heliothis* spp., *Hofmannophila pseudospretella*, *Homona magnanima*, *Hyponomeuta padella*, *Laphygma* spp.,
- 15 *Lithocolletis blancardella*, *Lithophane antennata*, *Loxagrotis albicosta*, *Lymantria* spp., *Malacosoma neustria*, *Mamestra brassicae*, *Mocis repanda*, *Mythimna separata*, *Oria* spp., *Oulema oryzae*, *Panolis flammea*, *Pectinophora gossypiella*, *Phyllocnistis citrella*, *Pieris* spp., *Plutella xylostella*, *Prodenia* spp., *Pseudaletia* spp., *Pseudoplusia includens*, *Pyrausta nubilalis*, *Spodoptera* spp., *Thermesia gemmatilis*, *Tinea pellionella*, *Tineola bisselliella*, *Tortrix viridana*, *Trichoplusia* spp.; from the order of the
- 20 *Orthoptera*, for example, *Acheta domesticus*, *Blatta orientalis*, *Blattella germanica*, *Gryllotalpa* spp., *Leucophaea maderae*, *Locusta* spp., *Melanoplus* spp., *Periplaneta americana*, *Schistocerca gregaria*; from the order of the *Siphonaptera*, for example, *Ceratophyllus* spp., *Xenopsylla cheopis*. From the order of the *Symphyla*, for example, *Scutigera* spp.; from the order of the *Thysanoptera*, for example, *Baliothrips biformis*, *Enneothrips flavens*, *Frankliniella* spp., *Heliothrips* spp., *Hercinothrips femoralis*,
- 25 *Kakothrips* spp., *Rhipiphorothrips cruentatus*, *Scirtothrips* spp., *Taeniothrips cardamoni*, *Thrips* spp.; from the order of the *Thysanura*, for example, *Lepisma saccharina*. The phytoparasitic nematodes include, for example, *Anguina* spp., *Aphelenchoides* spp., *Belonoaimus* spp., *Bursaphelenchus* spp., *Ditylenchus dipsaci*, *Globodera* spp., *Helicotylenchus* spp., *Heterodera* spp., *Longidorus* spp., *Meloidogyne* spp., *Pratylenchus* spp., *Radopholus similis*, *Rotylenchus* spp., *Trichodorus* spp.,
- 30 *Tylenchorhynchus* spp., *Tylenchulus* spp., *Tylenchulus semipenetrans*, *Xiphinema* spp.

In particular, the compounds of the invention may be used to control the following pest species:

- Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettix cincticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocoris* spp. (stinkbugs), *Frankliniella occidentalis*
- 35 (thrip), *Thrips* spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies), *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm),

Sylepta derogata (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp. (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus*
 5 *cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito), *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta*
 10 *orientalis* (cockroach), termites of the Mastotermitidae (for example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulfureus*), *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinea* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot nematodes),
 15 *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp. (citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal nematodes) and *Deroceras reticulatum* (slug).

The compound of formula I may be used for pest control on various plants, including soybean
 20 (e.g. in some cases 10-70g/ha), corn (e.g. in some cases 10-70g/ha), sugarcane (e.g. in some cases 20-200g/ha), alfalfa (e.g. in some cases 10-70g/ha), brassicas (e.g. in some cases 10-50g/ha), oilseed rape (e.g. canola) (e.g. in some cases 20-70g/ha), potatoes (including sweet potatoes) (e.g. in some cases 10-70g/ha), cotton (e.g. in some cases 10-70g/ha), rice (e.g. in some cases 10-70g/ha), coffee (e.g. in some cases 30-150g/ha), citrus (e.g. in some cases 60-200g/ha), almonds (e.g. in some cases 40-180g/ha),
 25 fruiting vegetables, cucurbits and pulses (e.g. tomatoes, pepper, chili, eggplant, cucumber, squash etc.) (e.g. in some cases 10-80g/ha), tea (e.g. in some cases 20-150g/ha), bulb vegetables (e.g. onion, leek etc.) (e.g. in some cases 30-90g/ha), grapes (e.g. in some cases 30-180g/ha), pome fruit (e.g. apples, pears etc.) (e.g. in some cases 30-180g/ha), and stone fruit (e.g. pears, plums etc.) (e.g. in some cases 30-180g/ha).

The compounds of the invention may be used for pest control on various plants, including
 30 soybean, corn, sugarcane, alfalfa, brassicas, oilseed rape (e.g. canola), potatoes (including sweet potatoes), cotton, rice, coffee, citrus, almonds, fruiting vegetables, cucurbits and pulses (e.g. tomatoes, pepper, chili, eggplant, cucumber, squash etc.), tea, bulb vegetables (e.g. onion, leek etc.), grapes, pome fruit (e.g. apples, pears etc.), stone fruit (e.g. pears, plums etc.), and cereals.

The compounds of the invention may be used on soybean to control, for example, *Elasmopalpus*
 35 *lignosellus*, *Diloboderus abderus*, *Diabrotica speciosa*, *Trialeurodes* spp., *Bemisia* spp., aphids, *Sternechus subsignatus*, *Formicidae*, *Agrotis ypsilon*, *Julus* spp., *Murgantia* spp., *Halyomorpha* spp., *Thyanta* spp., *Megascelis* spp., *Procornitermes* spp., *Gryllotalpidae*, *Nezara viridula*, *Piezodorus* spp., *Acrosternum* spp., *Neomegalotomus* spp., *Cerotoma trifurcata*, *Popillia japonica*, *Edessa* spp., *Liogenys*

fuscus, *Euschistus heros*, stalk borer, *Scaptocoris castanea*, *phyllophaga* spp., *Migdolus* spp., *Pseudoplusia includens*, *Anticarsia gemmatilis*, *Epinotia* spp., *Rachiplusia* spp., *Spodoptera* spp., *Bemisia tabaci*, *Tetranychus* spp., *Agriotes* spp., *Euschistus* spp.. The compounds of the invention are preferably used on soybean to control *Diloboderus abderus*, *Diabrotica speciosa*, *Trialeurodes* spp.,

5 *Bemisia* spp., *Nezara viridula*, *Piezodorus* spp., *Acrosternum* spp., *Cerotoma trifurcata*, *Popillia japonica*, *Euschistus heros*, *Scaptocoris castanea*, *phyllophaga* spp., *Migdolus* spp., *Agriotes* spp., *Euschistus* spp..

The compounds of the invention may be used on corn to control, for example, *Euschistus heros*, *Euschistus* spp., *Dichelops furcatus*, *Diloboderus abderus*, *Thyanta* spp., *Elasmopalpus lignosellus*,

10 *Halyomorpha* spp., *Spodoptera frugiperda*, *Nezara viridula*, *Cerotoma trifurcata*, *Popillia japonica*, *Agrotis ypsilon*, *Diabrotica speciosa*, aphids, *Heteroptera*, *Procornitermes* spp., *Scaptocoris castanea*, *Formicidae*, *Julus* spp., *Dalbulus maidis*, *Diabrotica virgifera*, *Diabrotica* spp., *Mocis latipes*, *Bemisia tabaci*, *heliethis* spp., *Tetranychus* spp., *thrips* spp., *phyllophaga* spp., *Migdolus* spp., *scaptocoris* spp., *Liogenys fuscus*, *Spodoptera* spp., *Ostrinia* spp., *Sesamia* spp., wireworms, *Agriotes* spp., *Halotydeus*

15 *destructor*. The compounds of the invention are preferably used on corn to control *Euschistus heros*, *Euschistus* spp., *Dichelops furcatus*, *Diloboderus abderus*, *Nezara viridula*, *Cerotoma trifurcata*, *Popillia japonica*, *Diabrotica speciosa*, *Diabrotica virgifera*, *Diabrotica* spp., *Tetranychus* spp., *Thrips* spp., *Phyllophaga* spp., *Migdolus* spp., *Scaptocoris* spp., *Agriotes* spp..

The compounds of the invention may be used on sugar cane to control, for example,

20 *Sphenophorus* spp., termites, *Migdolus* spp., *Diloboderus* spp., *Telchin licus*, *Diatrea saccharalis*, *Mahanarva* spp., Mealybugs.

The compounds of the invention may be used on alfalfa to control, for example, *Hypera brunneipennis*, *Hypera postica*, *Colias eurytheme*, *Collops* spp., *Empoasca solana*, *Epitrix* spp., *Geocoris* spp., *Lygus hesperus*, *Lygus lineolaris*, *Spissistilus* spp., *Spodoptera* spp., Aphids, *Trichoplusia ni*. The

25 compounds of the invention are preferably used on alfalfa to control *Hypera brunneipennis*, *Hypera postica*, *Empoasca solana*, *Epitrix* spp., *Lygus hesperus*, *Lygus lineolaris*, *Trichoplusia ni*.

The compounds of the invention may be used on brassicas to control, for example, *Plutella xylostella*, *Pieris* spp., *Mamestra* spp., *Plusia* spp., *Trichoplusia ni*, *Phyllotreta* spp., *Spodoptera* spp., *Empoasca* spp., *thrips* spp., *Delia* spp., *Murgantia* spp., *Trialeurodes* spp., *Bemisia* spp., *Microtheca* spp.,

30 Aphids. The compounds of the invention are preferably used on brassicas to control *Plutella xylostella*, *Pieris* spp., *Plusia* spp., *Trichoplusia ni*, *Phyllotreta* spp., *Thrips* spp..

The compounds of the invention may be used on oil seed rape, e.g. canola, to control, for example, *Meligethes* spp., *Ceutorhynchus napi*, *Halotydeus destructor*, *Psyllioides* spp..

The compounds of the invention may be used on potatoes, including sweet potatoes, to control,

35 for example, *Empoasca* spp., *Leptinotarsa* spp., *Diabrotica speciosa*, *Phthorimaea* spp., *Paratrioza* spp., *Maladera matrida*, *Agriotes* spp., Aphids, wireworms. The compounds of the invention are preferably used on potatoes, including sweet potatoes, to control *Empoasca* spp., *Leptinotarsa* spp., *Diabrotica speciosa*, *Phthorimaea* spp., *Paratrioza* spp., *Agriotes* spp..

The compounds of the invention may be used on cotton to control, for example, *Anthonomus grandis*, *Pectinophora* spp., *heliiothis* spp., *Spodoptera* spp., *Tetranychus* spp., *Empoasca* spp., *Thrips* spp., *Bemisia tabaci*, *Trialeurodes* spp., Aphids, *Lygus* spp., *phyllophaga* spp., *Scaptocoris* spp., *Austroasca viridigrisea*, *Creontiades* spp., *Nezara* spp., *Piezodorus* spp., *Halotydeus destructor*,

- 5 *Oxycaraenus hyalinipennis*, *Dysdercus cingulatus*. The compounds of the invention are preferably used on cotton to control *Anthonomus grandis*, *Tetranychus* spp., *Empoasca* spp., *thrips* spp., *Lygus* spp., *phyllophaga* spp., *Scaptocoris* spp..

- The compounds of the invention may be used on rice to control, for example, *Leptocorisa* spp., *Cnaphalocrosis* spp., *Chilo* spp., *Scirpophaga* spp., *Lissorhoptrus* spp., *Oebalus pugnax*, *Scotinophara*
 10 spp., *Nephotettix malayanus*, *Nephotettix nigropictus*, *Nephotettix parvus*, *Nephotettix virescens*, *Nephotettix* spp., Mealybugs, *Sogatella furcifera*, *Nilaparvata lugens*, *Orseolia* spp., *Cnaphalocrocis medinalis*, *Marasmia* spp., *Stenchaetothrips biformis*, *Thrips* spp., *Hydrellia philippina*, Grasshoppers, *Pomacea canaliculata*, *Scirpophaga innotata*, *Chilo suppressalis*, *Chilo auricilius*, *Chilo polychrysus*, *Sesamia inferens*, *Laodelphax striatellus*, *Nymphula depunctalis*, *Oulema oryzae*, Stinkbugs. The
 15 compounds of the invention are preferably used on rice to control *Leptocorisa* spp., *Lissorhoptrus* spp., *Oebalus pugnax*, *Nephotettix malayanus*, *Nephotettix nigropictus*, *Nephotettix parvus*, *Nephotettix virescens*, *Nephotettix* spp., *Sogatella furcifera*, *Stenchaetothrips biformis*, *Thrips* spp., *Hydrellia philippina*, Grasshoppers, *Pomacea canaliculata*, *Scirpophaga innotata*, *Chilo suppressalis*, *Chilo polychrysus*, *Oulema oryzae*.

- 20 The compounds of the invention may be used on coffee to control, for example, *Hypothenemus Hampei*, *Perileucoptera Coffeella*, *Tetranychus* spp., *Brevipalpus* spp., Mealybugs. The compounds of the invention are preferably used on coffee to control *Hypothenemus Hampei*, *Perileucoptera Coffeella*.

- The compounds of the invention may be used on citrus to control, for example, *Panonychus citri*, *Phyllocoptruta oleivora*, *Brevipalpus* spp., *Diaphorina citri*, *Scirtothrips* spp., *Thrips* spp., *Unaspis* spp.,
 25 *Ceratitis capitata*, *Phyllocnistis* spp., Aphids, Hardscales, Softscales, Mealybugs. The compounds of the invention are preferably used on citrus to control *Panonychus citri*, *Phyllocoptruta oleivora*, *Brevipalpus* spp., *Diaphorina citri*, *Scirtothrips* spp., *thrips* spp., *Phyllocnistis* spp..

The compounds of the invention may be used on almonds to control, for example, *Amyelois transitella*, *Tetranychus* spp..

- 30 The compounds of the invention may be used on fruiting vegetables, cucurbits and pulses, including tomatoes, pepper, chili, eggplant, cucumber, squash etc., to control, for example, *Thrips* spp., *Tetranychus* spp., *Polyphagotarsonemus* spp., *Aculops* spp., *Empoasca* spp., *Spodoptera* spp., *heliiothis* spp., *Tuta absoluta*, *Liriomyza* spp., *Bemisia tabaci*, *Trialeurodes* spp., Aphids, *Paratrioza* spp., *Frankliniella occidentalis*, *Frankliniella* spp., *Anthonomus* spp., *Phyllotreta* spp., *Amrasca* spp.,
 35 *Epilachna* spp., *Halyomorpha* spp., *Scirtothrips* spp., *Leucinodes* spp., *Neoleucinodes* spp. *Maruca* spp., Fruit flies, Stinkbugs, *Lepidopteras*, *Coleopteras*. The compounds of the invention are preferably used on fruiting vegetables, cucurbits and pulses, including tomatoes, pepper, chili, eggplant, cucumber, squash etc., to control *Thrips* spp., *Tetranychus* spp., *Polyphagotarsonemus* spp., *Aculops* spp., *Empoasca* spp.,

Spodoptera spp., *heliiothis* spp., *Tuta absoluta*, *Liriomyza* spp., *Paratrioza* spp., *Frankliniella occidentalis*, *Frankliniella* spp., *Amrasca* spp., *Scirtothrips* spp., *Leucinodes* spp., *Neoleucinodes* spp..

The compounds of the invention may be used on tea to control, for example, *Pseudaaulacaspis* spp., *Empoasca* spp., *Scirtothrips* spp., *Caloptilia theivora*, *Tetranychus* spp.. The compounds of the invention are preferably used on tea to control *Empoasca* spp., *Scirtothrips* spp..

The compounds of the invention may be used on bulb vegetables, including onion, leek etc. to control, for example, *Thrips* spp., *Spodoptera* spp., *heliiothis* spp.. The compounds of the invention are preferably used on bulb vegetables, including onion, leek etc. to control *Thrips* spp..

The compounds of the invention may be used on grapes to control, for example, *Empoasca* spp., *Lobesia* spp., *Eupoecilia ambiguella*, *Frankliniella* spp., *Thrips* spp., *Tetranychus* spp., *Rhipiphorothrips Cruentatus*, *Eotetranychus Willamettei*, *Erythroneura Elegantula*, *Scaphoides* spp., *Scelodonta strigicollis*, Mealybugs. The compounds of the invention are preferably used on grapes to control *Frankliniella* spp., *Thrips* spp., *Tetranychus* spp., *Rhipiphorothrips Cruentatus*, *Scaphoides* spp..

The compounds of the invention may be used on pome fruit, including apples, pears etc., to control, for example, *Cacopsylla* spp., *Psylla* spp., *Panonychus ulmi*, *Cydia pomonella*, *Lepidopteras*, Aphids, Hardscales, Softscales. The compounds of the invention are preferably used on pome fruit, including apples, pears etc., to control *Cacopsylla* spp., *Psylla* spp., *Panonychus ulmi*.

The compounds of the invention may be used on stone fruit to control, for example, *Grapholita molesta*, *Scirtothrips* spp., *Thrips* spp., *Frankliniella* spp., *Tetranychus* spp., Aphids, Hardscales, Softscales, Mealybugs. The compounds of the invention are preferably used on stone fruit to control *Scirtothrips* spp., *Thrips* spp., *Frankliniella* spp., *Tetranychus* spp..

The compounds of the invention may be used on cereals to control, for example, Aphids, Stinkbugs, earthmites, *Eurygaster integriceps*, *Zabrus tenebrioides*, *Anisoplia austriaca*, *Chaetocnema aridula*, *Phyllotreta* spp., *Oulema melanopus*, *Oscinella* spp., *Delia* spp., *Mayetiola* spp., *Contarinia* spp., *Cephus* spp., *Steneotarsonemus* spp., *Apamea* spp..

In another embodiment compounds of formula I and mixtures of the invention may be used on rice to control *Baliothrips biformis* (Thrips), *Chilo* spp. (e.g. *Chilo polychrysus* (Dark headed striped borer), *Chilo suppressalis* (Rice stemborer), *Chilo indicus* (Paddy stem borer), *Chilo polychrysus* (Dark-headed rice borer), *Chilo suppressalis* (Stripe stem borer)), *Cnaphalocrocis medinalis* (Rice leaf folder), *Dicladispa armigera* (Hispa), *Hydrellia philipina* (Rice whorl-maggot), *Laodelphax* spp. (Smaller brown planthopper) (e.g. *Laodelphax striatellus*), *Lema oryzae* (Rice leafbeetle), *Leptocorsia acuta* (Rice bug), *Leptocorsia oratorius* (rice bug), *Lissorhoptrus oryzophilus* (rice water weevil), *Mythemina separata* (armyworm), *Nephotettix* spp. (Green leafhopper) (e.g. *Nephotettix cincticeps*, *Nephotettix malayanus*, *Nephotettix nigropictus*, *Nephotettix parvus*, *Nephotettix virescens*), *Nilaparvata lugens* (Brown Planthopper), *Nymphula depunctalis* (Rice caseworm), *Orseolia oryzae* (Rice Gall midge), *Oulema oryzae* (Rice leafbeetle), *Scirpophaga incertulas* (Yellow Stemborer), *Scirpophaga innotata* (White Stemborer), *Scotinophara coarctata* (Rice black bug), *Sogaella frucifera* (White-backed planthopper), *Steneotarsonemus spinki*.

The compounds of the invention may be used to control animal housing pests including: Ants, Bedbugs (adult), Bees, Beetles, Boxelder Bugs, Carpenter Bees, Carpet Beetles, Centipedes, Cigarette, Beetles, Clover Mites, Cockroaches, Confused Flour Beetle, Crickets, Earwigs, Firebrats, Fleas, Flies, Lesser Grain Borers, Millipedes, Mosquitoes, Red Flour Beetles, Rice Weevils, Saw-toothed Grain
 5 Beetles, Silverfish, Sowbugs, Spiders, Termites, Ticks, Wasps, Cockroaches, Crickets, Flies, Litter Beetles (such as Darkling, Hide, and Carrion), Mosquitoes, Pillbugs, Scorpions, Spiders, Spider Mites (Twospotted, Spruce), Ticks.

The compounds of the invention may be used to control ornamental pests including: Ants (Including Imported fire ants), Armyworms, Azalea caterpillars, Aphids, Bagworms, Black vine weevils
 10 (adult), Boxelder bugs, Budworms, California oakworms, Cankerworms, Cockroaches, Crickets, Cutworms, Eastern tent caterpillars, Elm leaf beetles, European sawflies, Fall webworms, Flea beetles, Forest tent caterpillars, Gypsy moth larvae, Japanese beetles (adults), June beetles (adults), Lace bugs, Leaf-feeding caterpillars, Leafhoppers, Leafminers (adults), Leaf rollers, Leaf skeletonizers, Midges, Mosquitoes, Oleander moth larvae, Pillbugs, Pine sawflies, Pine shoot beetles, Pinetip moths, Plant bugs,
 15 Root weevils, Sawflies, Scale insects (crawlers), Spiders, Spittlebugs, Striped beetles, Striped oakworms, Thrips, Tip moths, Tussock moth larvae, Wasps, Broadmites, Brown softscales, California redscales (crawlers), Clover mites, Mealybugs, Pineneedlescales (crawlers), Spider mites, Whiteflies

The compounds of the invention may be used to control turf pests including: Ants (Including Imported fire ants, Armyworms, Centipedes, Crickets, Cutworms, Earwigs, Fleas (adult), Grasshoppers,
 20 Japanese beetles (adult), Millipedes, Mites, Mosquitoes (adult), Pillbugs, Sod webworms, Sow bugs, Ticks (including species which transmit Lyme disease), Bluegrass billbugs (adult), Black turfgrass ataeinus (adult), Chiggers, Fleas (adult), Grubs (suppression), Hyperodes weevils (adult), Mole crickets (nymphs and young adults), Mole Crickets (mature adults), Chinch Bugs.

The compounds of formula (I) and mixture of the invention, in particular those in the tables
 25 above, may be used for soil applications, including as a seed application, to target at least the following: sucking pests such as aphids, thrips, brown plant hopper (e.g. on rice), sting bugs, white flies (e.g. on cotton and vegetables), mites; on soil pests such as corn root worm, wireworms, white grubs, zabrus, termites (e.g. on sugar cane, soy, pasture), maggots, cabbage root fly, red legged earth mite; on lepidoptera, such as spodoptera, cutworms, *elasmoplus*, *plutella* (e.g. brassica), stem borers, leaf miners,
 30 flea beetle, *Sternechus*; on nematicides, such as *Heterodera glycines* (e.g. on soybean), *Pratylenchus brachyurus* (e.g. on corn), *P. zeae* (e.g. on corn), *P. penetrans* (e.g. on corn), *Meloidogyne incognita* (e.g. on vegetables), *Heterodera schachtii* (e.g. on sugar beet), *Rotylenchus reniformis* (e.g. on cotton), *Heterodera avenae* (e.g. on cereals), *Pratylenchus neglectus* (e.g. on cereals), *thornei* (e.g. on cereals).

The compounds of formula (I) and mixture of the invention, in particular those in the tables above
 35 may be used for seed applications at least on the following: soil grubs for corn, soybeans, sugarcane: *Migdolus spp*; *Phyllophaga spp.*; *Diloboderus spp*; *Cyclocephala spp*; *Lyogenys fuscus*; sugarcane weevils: *Sphenophorus levis* & *Metamasius hemipterus*; termites for soybeans, sugarcane, pasture, others: *Heterotermes tenuis*; *Heterotermes longiceps*; *Cornitermes cumulans*; *Procornitermes triacifer* ;

Neocapritermes opacus; *Neocapritermes parvus*; corn root worms for corn and potatoes: *Diabrotica spp.*, seed Maggot: *Delia platura*; soil stinkbugs: *Scaptocoris castanea*; wireworms: *Agriotes spp*; *Athous spp* *Hipnodes bicolor*; *Ctenicera destructor*; *Limonius canu*; *Limonius californicus*; rice water weevil: *Lissorhoptrus oryzophilus*; Red Legged earth mites: *Halotydeus destructor*.

5 The invention therefore provides a method of combating and/or controlling an animal pest, e.g. an invertebrate animal pest, which comprises applying to the pest, to a locus of the pest, or to a plant susceptible to attack by the pest a pesticidally effective amount of a compound of formula (I). In particular, the invention provides a method of combating and/or controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I),
10 to a pest, a locus of pest, preferably a plant, or to a plant susceptible to attack by a pest, The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The term "plant" as used herein includes seedlings, bushes and trees. Crops are to be understood as also including those crops which have been rendered tolerant to herbicides or classes of herbicides (e.g. ALS-, GS-, EPSPS-, PPO- and HPPD-inhibitors) by conventional methods of breeding or by genetic
15 engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding is Clearfield® summer rape (canola). Examples of crops that have been rendered tolerant to herbicides by genetic engineering methods include e.g. glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady® and
20 LibertyLink®.

The compounds of the invention may be applied to plant parts. Plant parts are to be understood as meaning all parts and organs of plants above and below the ground, such as shoot, leaf, flower and root, examples which may be mentioned being leaves, needles, stalks, stems, flowers, fruit bodies, fruits, seeds, roots, tubers and rhizomes. The plant parts also include harvested material, and vegetative and generative
25 propagation material, for example cuttings, tubers, rhizomes, offshoots and seeds. Treatment according to the invention of the plants and plant parts with the active compounds is carried out directly or by allowing the compounds to act on their surroundings, habitat or storage space by the customary treatment methods, for example by immersion, spraying, evaporation, fogging, scattering, painting on, injecting and, in the case of propagation material, in particular in the case of seed, also by applying one or more coats.

30 Compounds of formula I may be used on transgenic plants (including cultivars) obtained by genetic engineering methods and/or by conventional methods. These are understood as meaning plants having novel properties ("traits") which have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques. Depending on the plant species or plant cultivars, their location and growth conditions (soils, climate, vegetation period, diet), the treatment according to the invention may
35 also result in superadditive "synergistic") effects.

Thus, for example, reduced application rates and/or a widening of the activity spectrum and/or an increase in the activity of the substances and compositions which can be used according to the invention, better plant growth, increased tolerance to high or low temperatures, increased tolerance to drought or to

water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest yields, higher quality and/or a higher nutritional value of the harvested products, better storage stability and/or processability of the harvested products are possible, which exceed the effects which were actually to be expected.

5 The preferred transgenic plants or plant cultivars which are to be treated according to the invention include all plants which, by virtue of the genetic modification, received genetic material which imparts particularly advantageous, useful traits to these plants. Examples of such traits are better plant growth, increased tolerance to high or low temperatures, increased tolerance to drought or to water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest
10 yields, higher quality and/or a higher nutritional value of the harvested products, better storage stability and/or processability of the harvested products.

Further and particularly emphasized examples of such traits are a better defence of the plants against animal and microbial pests, such as against insects, mites, phytopathogenic fungi, bacteria and/or viruses, and also increased tolerance of the plants to certain herbicidally active compounds.

15 Examples of transgenic plants which may be mentioned are the important crop plants, such as cereals (wheat, rice), maize, soybean, potatoes, sugar beet, tomatoes, peas and other vegetable varieties, cotton, tobacco, oilseed rape and also fruit plants (with the fruits apples, pears, citrus fruits and grapes).

Compounds of formula I may be used on transgenic plants that are capable of producing one or more pesticidal proteins which confer upon the transgenic plant tolerance or resistance to harmful pests,
20 e.g. insect pests, nematode pests and the like. Such pesticidal proteins include, without limitation, Cry proteins from *Bacillus thuringiensis* Cry1Ab, Cry1Ac, Cry1F, Cry2Ab, Cry2Ae, Cry3A, Cry3Bb, or Cry9C; engineered proteins such as modified Cry3A (US Patent 7,030,295) or Cry1A.105; or vegetative insecticidal proteins such as Vip1, Vip2 or Vip3. A full list of Bt Cry proteins and VIPs useful in the invention can be found on the worldwide web at *Bacillus thuringiensis* Toxin Nomenclature Database
25 maintained by the University of Sussex (*see also*, Crickmore *et al.* (1998) *Microbiol. Mol. Biol. Rev.* 62:807-813). Other pesticidal proteins useful in the invention include proteins of bacteria colonizing nematodes, e.g. *Photorhabdus spp.* or *Xenorhabdus spp.*; toxins produced by animals, such as scorpion toxins, arachnid toxins, wasp toxins, or other insect-specific neurotoxins; toxins produced by fungi, such as *Streptomyces* toxins, plant lectins, such as pea or barley lectins; agglutinins; proteinase inhibitors, such as
30 trypsin inhibitors, serine protease inhibitors, patatin, cystatin or papain inhibitors; ribosome-inactivating proteins (RIP), such as ricin, maize-RIP, abrin, luffin, saporin or bryodin; steroid metabolism enzymes, such as 3-hydroxysteroid oxidase, ecdysteroid-IDP-glycosyl-transferase, cholesterol oxidases, ecdysone inhibitors or HMG-CoA-reductase; ion channel blockers, such as blockers of sodium or calcium channels; juvenile hormone esterase; diuretic hormone receptors (helicokinin receptors); stilben synthase,
35 bibenzyl synthase, chitinases or glucanases. Further examples of such pesticidal proteins or transgenic plants capable of synthesizing such proteins are disclosed, e.g., in EP-A 374753, WO 93/007278, WO 95/34656, EP-A 427529, EP-A 451878, WO 03/18810 and WO 03/52073. The methods for producing such transgenic plants are generally known to the person skilled in the art and some of which are

commercially available such as Agrisure®CB (corn producing Cry1Ab), Agrisure®RW (corn producing mCry3A), Agrisure® Viptera (corn hybrids producing Vip3Aa); Agrisure300GT (corn hybrids producing Cry1Ab and mCry3A); YieldGard® (corn hybrids producing the Cry1Ab protein), YieldGard® Plus (corn hybrids producing Cry1Ab and Cry3Bb1), Genuity® SmartStax® (corn hybrids with Cry1A.105, Cry2Ab2, Cry1F, Cry34/35, Cry3Bb) ; Herculex® I (corn hybrids producing Cry1Fa) and Herculex®RW (corn hybrids producing Cry34Ab1, Cry35Ab1 and the enzyme Phosphinothricin-N-Acetyltransferase [PAT]) ; NuCOTN®33B (cotton cultivars producing Cry1Ac), Bollgard®I (cotton cultivars producing Cry1Ac), Bollgard®II (cotton cultivars producing Cry1Ac and Cry2Ab2) and VIPCOT® (cotton cultivars producing a Vip3Aa). Soybean Cyst Nematode resistance soybean (SCN® - Syngenta) and soybean with Aphid resistant trait (AMT®) are also of interest.

Further examples of such transgenic crops are:

1. **Bt11 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a truncated CryIA(b) toxin. Bt11 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
2. **Bt176 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a CryIA(b) toxin. Bt176 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
3. **MIR604 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Maize which has been rendered insect-resistant by transgenic expression of a modified CryIIIA toxin. This toxin is Cry3A055 modified by insertion of a cathepsin-D-protease recognition sequence. The preparation of such transgenic maize plants is described in WO 03/018810.
4. **MON 863 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON 863 expresses a CryIIIB(b1) toxin and has resistance to certain Coleoptera insects.
5. **IPC 531 Cotton** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.
6. **1507 Maize** from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.
7. **NK603 × MON 810 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810

Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4, which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a CryIA(b) toxin obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain Lepidoptera, include the European corn borer.

- 5 Further examples of transgenic plants, and of very high interest, are those carrying traits conferring resistance to 2.4D (e.g. Enlist®) (e.g. WO 2011066384), glyphosate (e.g. Roundup Ready®, Roundup Ready 2 Yield®), sulfonylurea (e.g. STS®), glufosinate (e.g. Liberty Link®, Ignite®), Dicamba (Monsanto), HPPD tolerance (e.g. isoxaflutole herbicide) (Bayer CropScience, Syngenta). Double or triple stacks of any of the traits described here are also of interest, including glyphosate and sulfonyl-urea
- 10 tolerance ((e.g. Optimum GAT®), plants stacked with STS® and Roundup Ready® or plants stacked with STS® and Roundup Ready 2 Yield®), dicamba and glyphosate tolerance (Monsanto). Of particular interest are soybean plants carrying trains conferring resistance to 2.4D (e.g. Enlist®), glyphosate (e.g. Roundup Ready®, Roundup Ready 2 Yield®), sulfonylurea (e.g. STS®), glufosinate (e.g. Liberty Link®, Ignite®), Dicamba (Monsanto) HPPD tolerance (e.g. isoxaflutole herbicide) (Bayer CropScience,
- 15 Syngenta). Double or triple stack in soybean plants of any of the traits described here are also of interest, including glyphosate and sulfonyl-urea tolerance (e.g. Optimum GAT®, plants stacked with STS® and Roundup Ready® or Roundup Ready 2 Yield®), dicamba and glyphosate tolerance (Monsanto).

- Transgenic crops of insect-resistant plants are also described in BATS (Zentrum für Biosicherheit und Nachhaltigkeit, Zentrum BATS, Clarastrasse 13, 4058 Basel, Switzerland) Report 2003,
- 20 (<http://bats.ch>).

- Examples of cotton transgenic events include MON 531 / 757 / 1076 (Bollgard I® - Monsanto), MON1445 (Roundup ready cotton® - Monsanto), MON531 x MON1445 (Bollgard I + RR® - Monsanto), MON15985 (Genuity Bollgard II cotton® - Monsanto), MON88913 (Genuity RR FLEX cotton® - Monsanto), MON15985 x MON1445 (Genuity Bollgard II + RR FELX cotton® - Monsanto),
- 25 MON15983 x MON88913 (Genuity Bollgard II + RR FLEX cotton® - Monsanto), MON15985 (FibreMax Bollgard II Cotton® - Monsanto), LL25 (FibreMax LL cotton® - BCS Stoneville), GHB614 (FibreMax GlyTol cotton® - BCS Stoneville), LL25 x MON15985 (FibreMax LL Bollgard II cotton® - BCS Stoneville / Monsanto), GHB614 x LL25 (FibreMax LL GlyTol cotton® - BCS Stoneville), GHB614 x LL25 x MON15985 (FibreMax RR GlyTol Bollgard II cotton® - BCS Stoneville),
- 30 MON88913 x MON15985 (FibreMax LL GlyTol Bollgard II cotton® - Monsanto), MON88913 (FibreMax RR Flex cotton® - Monsanto), GHB119 + T304-40 (Twinlink® - BCS Stoneville), GHB119 + T304-40 x LL25 x GHB614 (Twinlink LL GT® - BCS Stoneville), 3006-210-23 x 281-24-236 (PhytoGen Widestrike Insect Protection® - Dow), 3006-210-23 x 281-24-236 x MON88913 (PhytoGen Widestrike Insect Protection + RR FLEX -® Dow / Monsanto), 3006-210-23 x 281-24-236 x MON1445
- 35 ((PhytoGen Widestrike Insect Protection + RR® - Dow / Monsanto), MON1445 (PhytoGen Roundup Ready® - Monsanto), MON88913 (PhytoGen Roundup Ready FLEX® - Monsanto), COT102 x COT67B (Vipcot® - Syngenta), COT102 x COT67B x MON88913 (Vipcot RR FLEX® - Syngenta /

Monsanto), 281-24-236 (Dow), 3006-210-23 (Dow), COT102 (Syngenta), COT67B (Syngenta), T304-40 (BCS Stoneville).

Examples of Soy transgenic events include MON87701 x MON89788 (Genuity Roundup ready 2 Yield soybeans® - Monsanto), MON89788 (Roundup Ready2Yield®, RR2Y® - Monsanto), MON87708 (Monsanto), 40-3-2 (Roundup Ready®, RR1® - Monsanto), MON87701 (Monsanto), DAS-68416 (Enlist Weed Control System® - Dow), DP356043 (Optimum GAT® - Pioneer), A5547-127 (LibertyLink soybean® - Bayercropscience), A2704-12 (Bayercropscience), GU262 (Bayercropscience), W62 W98 (Bayercropscience), CRV127 (Cultivance® - BASF / EMBRAPA) SYHT0H2 (WO2012/082548).

Examples of Maize transgenic events include T25 (LibertyLink®, LL® - Bayercropscience), DHT-1 (Dow), TC1507 (Herculex I® - Dow), DAS59122-7 (Herculex RW® - Dow), TC1507 + DAS59122-7 - Herculex Xtra® - Dow), TC1507 x DAS-59122-7 x NK603 (Herculex Xtra + RR® - Dow), TC1507 x DAS-59122- x MON88017 x MON89034 (Genuity Smartstax corn®, Genuity Smartstax RIB complete® - Monsanto / Dow), MON89034 x NK603 (Genuity VT double PRO® - Monsanto), MON89034 + MON88017 (Genuity VT Triple PRO® - Monsanto), NK603 (Roundup Ready 2®, RR2® - Monsanto), MON810 (YieldGard BT®, Yieldgard cornborer® - Monsanto), MON810 x NK603 (YieldGard cornborer RR Corn 2® - Monsanto), MON810 x MON863 (YieldGard Plus® - Monsanto), MON863 x MON810 x NK603 (YieldGard Plus + RR Corn2® / YieldGard RR Maize® - Monsanto), MON863 x NK603 (YieldGard Rotworm + RR Corn 2® - Monsanto), MON863 (YieldBard RW® - Monsanto), MON89034 (YieldGard RW® - Monsanto), MON88017 (YieldGard VT RW® - Monsanto), MON810 + MON88017 (YieldGard VT Triple® - Monsanto), MON88017 + MON89034 (YieldGard VT Triple Pro® - Monsanto), Bt11 + MIR604 + GA21 (Agrisure 3000® - Syngenta), Bt11 + TC1507 + MIR604 + 5307 + GA21 (Syngenta), Bt11 + TC1507 + MIR604 + DAS59122 + GA21 (Agrisure 3122® - Syngenta), BT11 (Agrisure CB® - Syngenta), GA21 - (Agrisure GT® - Syngenta), MIR604 (Agrisure RW® - Syngenta), Bt11 + MIR162 (Agrisure TL VIP® - Syngenta), BT11 + MIR162 + GA21 (Agrisure Viptra 3110® - Syngenta), BT11 + MIR162 + MIR604 (Agrisure TM 3100® - Syngenta), Event3272 + BT11 + MIR604 + GA21 (Syngenta), BT11 + MIR1692 + MIR604 + GA21 (Agrisure Viptera 3111® - Syngenta), BT11 + MIR 162 + TC1507 + GA21 (Agrisure Viptera 3220® - Syngenta), BT11 + MIR162 + TC1507 + MIR604 + 5307 + GA21 (Agrisure Viptera 3222® - Syngenta), MIR162 (Syngenta), BT11 + GA21 + MIR162 + MIR604 + 5307 (Syngenta), 5307 (Syngenta).

In order to apply a compound of formula (I) as an insecticide, acaricide, nematocide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound

of formula (I) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is generally used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram
5 of seed.

In another aspect the present invention provides a composition comprising a pesticidally effective amount of a compound of formula (I), in particular an insecticidal, acaricidal, nematocidal or molluscicidal composition comprising an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition
10 is preferably an insecticidal, acaricidal, nematocidal or molluscicidal composition.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions
15 (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more
20 solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulfur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more
25 water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulfate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

30 Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

35 Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by

adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulfates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, 5 polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallization in a spray tank).

10 Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as 15 cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), *N*-alkylpyrrolidones (such as *N*-methylpyrrolidone or *N*-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound 20 of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other 25 appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME 30 may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely 35 divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the

particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurized, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerization stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulfuric acid (for example sodium lauryl sulfate), salts of sulfonated aromatic compounds (for example sodium dodecylbenzenesulfonate, calcium dodecylbenzenesulfonate, butyl naphthalene sulfonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulfonates), ether sulfates, alcohol ether sulfates (for example sodium laureth-3-sulfate), ether carboxylates (for example sodium laureth-3-

carboxylate), phosphate esters (products from the reaction between one or more fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulfosuccinamates, paraffin or olefine sulfonates, taurates and lignosulfonates.

5 Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

 Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial
10 esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

 Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or
15 attapulgate).

 A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media
20 in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapor or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

25 A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

 Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate
30 being added to water before use. These concentrates, which may include DCs, SCs, ECs, EWs, MEs, SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by
35 weight) depending upon the purpose for which they are to be used.

 A compound of formula (I) may be used in mixtures with fertilizers (for example nitrogen-, potassium- or phosphorus-containing fertilizers). Suitable formulation types include granules of fertilizer. The mixtures preferably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertilizer composition comprising a fertilizer and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth
5 regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, e.g. a insecticide, fungicide or herbicide, or a synergist or plant growth regulator where appropriate. An additional active ingredient may provide a composition having a broader spectrum of activity or increased persistence at a locus; synergize
10 the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition.

Examples of suitable pesticides include the following

- 15 a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin and gamma cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, S-bioallethrin, fenfluthrin, prallethrin, acrinathrin, etofenprox or
5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl- 3-(2-oxothiolan-3-ylidenemethyl)cyclopropane
20 carboxylate;
- b) Organophosphates, such as profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos, phosalone, terbufos, fensulfthion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;
- 25 c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;
- d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron, diafenthion, lufenuron, novaluron, noviflumuron or chlorfluazuron;
- 30 e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
- f) Pyrazoles, such as tebufenpyrad, tolfenpyrad, ethiprole, pyriprole, fipronil, and fenpyroximate;
- g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad, azadirachtin, milbemectin, lepimectin or spinetoram;
- h) Hormones or pheromones;
- 35 i) Organochlorine compounds, such as endosulfan (in particular alpha-endosulfan), benzene hexachloride, DDT, chlordane or dieldrin;
- j) Amidines, such as chlordimeform or amitraz;
- k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;

l) Neonicotinoid compounds, such as imidacloprid, thiacloprid, acetamiprid, nitenpyram, dinotefuran, thiamethoxam, clothianidin, or nithiazine;

m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;

n) Diphenyl ethers, such as diofenolan or pyriproxifen;

5 o) Pyrazolines such as Indoxacarb or metaflumizone;

p) Ketoenols, such as Spirotetramat, spirotetramat or spiromesifen;

q) Diamides, such as flubendiamide, chlorantraniliprole (Rynaxypyr®) or cyantraniliprole;

r) Essential oils such as Bugoil® - (PlantImpact); or

s) a compound selected from buprofezine, flonicamid, acequinocyl, bifenthrin, cyenopyrafen,

10 cyflumetofen, etoxazole, flometoquin, fluacrypyrim, fluensulfone, flufenoxim, flupyradifluorone, harpin, iodomethane, dodecadienol, pyridaben, pyridalyl, pyrimidifen, flupyradifurone, 4-[(6-Chloro-pyridin-3-ylmethyl)-(2,2-difluoro-ethyl)-amino]-5H-furan-2-one (DE 102006015467), CAS: 915972-17-7 (WO 2006129714; WO2011/147953; WO2011/147952), CAS: 26914-55-8 (WO 2007020986), chlorfenapyr, pymetrozine, sulfoxaflor and pyriproxyfen.

15 In addition to the major chemical classes of pesticide listed above, other pesticides having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticide (combinations such as cartap) or hopper specific insecticides (combinations such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for
20 particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, to give combinations such as clofentezine, flubenzimine, hexythiazox or tetradifon ; acaricidal motilicides, to give combinations such as dicofol or propargite ; acaricides, to give combinations such as bromopropylate or chlorobenzilate ; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

25 Examples of fungicidal compounds and combinations which may be included in the composition of the invention are (E)-N-methyl-2-[2-(2,5-dimethylphenoxy)methyl]phenyl]-2-methoxy-iminoacetamide (SSF-129), 4-bromo-2-cyano-N,N-dimethyl-6-trifluoromethylbenzimidazole-1-sulfonamide, α -[N-(3-chloro-2,6-xylyl)-2-methoxyacetamido]- γ -butyrolactone, 4-chloro-2-cyano-N,N-dimethyl-5-p-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid), 3-5-dichloro-N-(3-chloro-1-ethyl-1-methyl-
30 2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), N-allyl-4,5-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500), N-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), N-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704) (e.g. acibenzolar-S-methyl), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, biloxazol, bitertanol, bixafen, blastidion S, boscalid, bromuconazole, bupirimate,
35 captafol, captan, carbendazim, carbendazim , chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds to give combinations such as copper oxychloride, copper oxyquinolate, copper sulfate, copper tallate and Bordeaux mixture, cyclufenamid, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulfide

1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumerimor, *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimeflazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimo 1, ethyl-(*Z*)-*N*-benzyl-*N*-([methyl(methyl-
5 thioethylideneaminooxycarbonyl)amino]thio)- β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenciclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluopyram, fluoxastrobin, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, fluxapyroxad, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole,
10 imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, isopyrazam, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY248908, mancozeb, mandipropamid, maneb, mefenoxam, metalaxyl, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*isopropyl*, nuarimol, ofurace,
15 organomercury compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, penflufen, pen thiopyrad, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxinD, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, prothioconazole, pyrazophos, pyrifenoxy, pyrimethanil, pyraclostrobin, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds,
20 quinomethionate, quinoxifen, quintozone, sedaxane, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulfur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin,
25 zineb and ziram, N-[9-(dichloromethylene)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-5-yl]-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide [1072957-71-1], 1-methyl-3-difluoromethyl-1H-pyrazole-4-carboxylic acid (2-dichloromethylene-3-ethyl-1-methyl-indan-4-yl)-amide, and 1-methyl-3-difluoromethyl-4H-pyrazole-4-carboxylic acid [2-(2,4-dichloro-phenyl)-2-methoxy-1-methyl-ethyl]-amide .

30 The active ingredients combinations described above comprising a compound selected of the invention, in particular from Tables 1 to 162 and an active ingredient as described above are preferably combined in a mixing ratio of from 100:1 to 1:6000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a ratio of from 4:1 to 2:1 being likewise
35 preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or

4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on other hand, molar ratios.

In addition, biological agents may be included in the composition of the invention e.g. *Bacillus* species such as *Bacillus firmus*, *Bacillus cereus*, *Bacillus subtilis*, and *Pasteuria* species such as *Pasteuria penetrans* and *Pasteuria nishizawae*. A suitable *Bacillus firmus* strain is strain CNCM I-1582 which is commercially available as BioNemTM. A suitable *Bacillus cereus* strain is strain CNCM I-1562. Of both *Bacillus* strains more details can be found in US 6,406,690. Other biological organisms that may be included in the compositions of the invention are bacteria such as *Streptomyces spp.* such as *S. avermitilis*, and fungi such as *Pochonia spp.* such as *P. chlamydosporia*. Also of interest are *Metarhizium* spp. such as *M. anisopliae*; *Pochonia spp.* such as *P. chlamydosporia*.

The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIXTM.

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The compounds of the invention are also useful in the field of animal health, e.g. they may be used against parasitic invertebrate pests, more preferably against parasitic invertebrate pests in or on an animal. Examples of pests include nematodes, trematodes, cestodes, flies, mites, ticks, lice, fleas, true bugs and maggots. The animal may be a non-human animal, e.g. an animal associated with agriculture, e.g. a cow, a pig, a sheep, a goat, a horse, or a donkey, or a companion animal, e.g. a dog or a cat.

In a further aspect the invention provides a compound of the invention for use in a method of therapeutic treatment.

In a further aspect the invention relates to a method of controlling parasitic invertebrate pests in or on an animal comprising administering a pesticidally effective amount of a compound of the invention. The administration may be for example oral administration, parenteral administration or external administration, e.g. to the surface of the animal body. In a further aspect the invention relates to a compound of the invention for controlling parasitic invertebrate pests in or on an animal. In a further

aspect the invention relates to use of a compound of the invention in the manufacture of a medicament for controlling parasitic invertebrate pests in or on an animal

In a further aspect, the invention relates to a method of controlling parasitic invertebrate pests comprising administering a pesticidally effective amount of a compound of the invention to the
5 environment in which an animal resides.

In a further aspect the invention relates to a method of protecting an animal from a parasitic invertebrate pest comprising administering to the animal a pesticidally effective amount of a compound of the invention. In a further aspect the invention relates to a compound of the invention for use in protecting an animal from a parasitic invertebrate pest. In a further aspect the invention relates to use of a compound
10 of the invention in the manufacture of a medicament for protecting an animal from a parasitic invertebrate pest.

In a further aspect the invention provides a method of treating an animal suffering from a parasitic invertebrate pest comprising administering to the animal a pesticidally effective amount of a compound of the invention. In a further aspect the invention relates to a compound of the invention for
15 use in treating an animal suffering from a parasitic invertebrate pest. In a further aspect the invention relates to use of a compound of the invention in the manufacture of a medicament for treating an animal suffering from a parasitic invertebrate pest.

In a further aspect, the invention provides a pharmaceutical composition comprising a compound of the invention and a pharmaceutically suitable excipient.

20 The compounds of the invention may be used alone or in combination with one or more other biologically active ingredients.

In one aspect the invention provides a combination product comprising a pesticidally effective amount of a component A and a pesticidally effective amount of component B wherein component A is a compound of the invention and component B is a compound as described below.

25 The compounds of the invention may be used in combination with anthelmintic agents. Such anthelmintic agents include, compounds selected from the macrocyclic lactone class of compounds such as ivermectin, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, moxidectin, nemadectin and milbemycin derivatives as described in EP- 357460, EP-444964 and EP-594291. Additional anthelmintic agents include semisynthetic and biosynthetic avermectin/milbemycin derivatives
30 such as those described in US-5015630, WO-9415944 and WO-9522552. Additional anthelmintic agents include the benzimidazoles such as albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, and other members of the class. Additional anthelmintic agents include imidazothiazoles and tetrahydropyrimidines such as tetramisole, levamisole, pyrantel pamoate, oxantel or morantel. Additional anthelmintic agents include flukicides, such as
35 triclabendazole and clorsulon and the cestocides, such as praziquantel and epsiprantel.

The compounds of the invention may be used in combination with derivatives and analogues of the paraherquamide/marcfortine class of anthelmintic agents, as well as the antiparasitic oxazolines such as those disclosed in US-5478855, US- 4639771 and DE-19520936.

The compounds of the invention may be used in combination with derivatives and analogues of the general class of dioxomorpholine antiparasitic agents as described in WO-9615121 and also with anthelmintic active cyclic depsipeptides such as those described in WO-9611945, WO-9319053, WO-9325543, EP-626375, EP-382173, WO-9419334, EP-382173, and EP-503538.

5 The compounds of the invention may be used in combination with other ectoparasiticides; for example, fipronil; pyrethroids; organophosphates; insect growth regulators such as lufenuron; ecdysone agonists such as tebufenozide and the like; neonicotinoids such as imidacloprid and the like.

The compounds of the invention may be used in combination with terpene alkaloids, for example those described in International Patent Application Publication Numbers WO95/19363 or WO04/72086,
10 particularly the compounds disclosed therein.

Other examples of such biologically active compounds that the compounds of the invention may be used in combination with include but are not restricted to the following:

Organophosphates: acephate, azamethiphos, azinphos-ethyl, azinphos- methyl, bromophos, bromophos-ethyl, cadusafos, chlorethoxyphos, chlorpyrifos, chlorfenvinphos, chlormephos, demeton,
15 demeton-S-methyl, demeton-S-methyl sulphone, dialifos, diazinon, dichlorvos, dicotophos, dimethoate, disulfoton, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitrothion, fensulfothion, fenthion, flupyrazofos, fonofos, formothion, fosthiazate, heptenophos, isazophos, isothioate, isoxathion, malathion, methacriphos, methamidophos, methidathion, methyl- parathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, paraoxon, parathion, parathion-methyl, phenthoate, phosalone,
20 phosfolan, phosphocarb, phosmet, phosphamidon, phorate, phoxim, pirimiphos, pirimiphos- methyl, profenofos, propaphos, proetamphos, prothiofos, pyraclofos, pyridapenthion, quinalphos, sulprophos, temephos, terbufos, tebupirimfos, tetrachlorvinphos, thimeton, triazophos, trichlorfon, vamidothion.

Carbamates: alanycarb, aldicarb, 2-sec-butylphenyl methylcarbamate, benfuracarb, carbaryl, carbofuran, carbosulfan, cloethocarb, ethiofencarb, fenoxycarb, fenthio carb, furathiocarb, HCN-801,
25 isoprocarb, indoxacarb, methiocarb, methomyl, 5-methyl-m-cumenylbutyryl(methyl)carbamate, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, triazamate, UC-51717.

Pyrethroids: acrinathin, allethrin, alphametrin, 5-benzyl-3-furylmethyl (E) - (1 R)-cis-2,2-dimethyl-3-(2-oxothiolo-3-ylidenemethyl)cyclopropanecarboxylate, bifenthrin, beta - cyfluthrin, cyfluthrin, a-cypermethrin, beta -cypermethrin, bioallethrin, bioallethrin((S)-
30 cyclopentylisomer), bioresmethrin, bifenthrin, NCI-85193, cycloprothrin, cyhalothrin, cythithrin, cyphenothrin, deltamethrin, empenethrin, esfenvalerate, ethofenprox, fenfluthrin, fenpropathrin, fenvalerate, flucythrinate, flumethrin, fluvalinate (D isomer), imiprothrin, cyhalothrin, lambda-cyhalothrin, permethrin, phenothrin, prallethrin, pyrethrins (natural products), resmethrin, tetramethrin, transfluthrin, theta-cypermethrin, silafluofen, t-fluvalinate, tefluthrin, tralomethrin, Zeta-cypermethrin.

35 Arthropod growth regulators: a) chitin synthesis inhibitors: benzoylureas: chlorfluazuron, diflubenzuron, fluazuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, teflubenzuron, triflumuron, buprofezin, diofenolan, hexythiazox, etoxazole, chlorfentazine; b) ecdysone

antagonists: halofenozide, methoxyfenozide, tebufenozide; c) juvenoids: pyriproxyfen, methoprene (including S-methoprene), fenoxycarb; d) lipid biosynthesis inhibitors: spiroticlofen.

Other antiparasitics: acequinocyl, amitraz, AKD-1022, ANS-118, azadirachtin, *Bacillus thuringiensis*, bensultap, bifenazate, binapacryl, bromopropylate, BTG-504, BTG-505, camphechlor, 5 cartap, chlorobenzilate, chlordimeform, chlorfenapyr, chromafenozide, clothianidine, cyromazine, diaclofen, diafenthiuron, DBI-3204, dinactin, dihydroxymethyl-dihydroxypyrrolidine, dinobuton, dinocap, endosulfan, ethiprole, ethofenprox, fenazaquin, flumite, MTI- 800, fenpyroximate, fluacrypyrim, flubenzimine, flubrocyclopyrrolate, flufenprol, fluproxyfen, halofenprox, hydramethylnon, IKI- 220, kanemite, NC-196, neem guard, nidinortofuran, nitenpyram, SD-35651, WL-108477, piridaryl, 10 propargite, prothifonbut, pymethrozine, pyridaben, Buprofezin, pyrimidifen, NC-1111, R-195, RH-0345, RH-2485, RYI-210, S-1283, S-1833, SI-8601, silafluofen, silomadin, spinosad, tebufenpyrad, tetradifon, tetranactin, thiacloprid, thiocyclam, thiamethoxam, tolfenpyrad, triazamate, triethoxyspinosyn, trinactin, verbutin, vertalec, YI-5301.

Fungicides: acibenzolar, aldimorph, ampropylfos, andoprime, azaconazole, azoxystrobin, 15 benalaxyl, benomyl, bialaphos, blastidyn-S, Bordeaux mixture, bromuconazole, bupirimate, carpropamid, captan, captan, carbendazim, chlorfenazole, chloroneb, chloropicrin, chlorothalonil, chlozolinate, copper oxychloride, copper salts, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, cyprofuram, RH-7281, diclocymet, diclobutrazole, diclomezin, dicloran, difenoconazole, RP-407213, dimethomorph, domoxystrobin, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole, 20 famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fluazinam, fludioxonil, flumetover, flumorf/flumorlin, fentin hydroxide, fluoxastrobin, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl- aluminium, furalaxyl, furametapir, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, 25 metominostrobin/fenominostrobin, metrafenone, myclobutanil, neo-asozin, nicobifen, orysastrobin, oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, proquinazid, prothioconazole, pyrifenoxy, pyraclostrobin, pyrimethanil, pyroquilon, quinoxifen, spiromamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, tiadinil, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin, vinclozin.

30 Biological agents: *Bacillus thuringiensis* ssp. *aizawai*, *kurstaki*, *Bacillus thuringiensis* delta endotoxin, baculovirus, entomopathogenic bacteria, virus and fungi.

Bactericides: chlortetracycline, oxytetracycline, streptomycin.

Other biological agents: enrofloxacin, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, 35 cefovecin, tulathromycin, ceftiofur, carprofen, metaflumizone, praziquantel, triclofenazole.

When used in combination with other active ingredients, the compounds of the invention are preferably used in combination with the following (where "Tx" means a compound of formula (I), and in particular a compound selected from Tables 1 to 150, which may result in a synergistic combination with

the given active ingredient): imidacloprid, enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, fipronil, ivermectin, omeprazole, tiamulin, benazepril, milbemycin, cyromazine, thiamethoxam, pyriprole, deltamethrin, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen,

- 5 metaflumizone, moxidectin, methoprene (including S-methoprene), clorsulon, pyrantel, amitraz, triclabendazole, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, nemadectin, albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, tetramisole, levamisole, pyrantel pamoate, oxantel, morantel, triclabendazole, epsiprantel, fipronil, lufenuron, ecdysone or tebufenozide; more preferably, enrofloxacin, praziquantel, pyrantel
10 embonate, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, moxidectin, clorsulon, pyrantel, eprinomectin, doramectin, selamectin, nemadectin, albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, tetramisole, levamisole, pyrantel pamoate, oxantel, morantel,
15 triclabendazole, epsiprantel, lufenuron or ecdysone; even more preferably enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, moxidectin, clorsulon or pyrantel.

Examples of ratios of the compound of formula I to any mixing partner described herein include
20 100:1 to 1:6000, 50:1 to 1:50, 20:1 to 1:20, even more especially from 10:1 to 1:10, 5:1 to 1:5, 2:1 to 1:2, 4:1 to 2:1, 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or 4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and
25 also, on other hand, molar ratios.

Of particular note is a combination where the additional active ingredient has a different site of action from the compound of formula I. In certain instances, a combination with at least one other parasitic invertebrate pest control active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a combination product
30 of the invention may comprise a pesticidally effective amount of a compound of formula I and pesticidally effective amount of at least one additional parasitic invertebrate pest control active ingredient having a similar spectrum of control but a different site of action.

One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding non salt forms, salts
35 share the biological utility of the non salt forms.

Thus a wide variety of salts of compounds of the invention (and active ingredients used in combination with the active ingredients of the invention) may be useful for control of invertebrate pests and animal parasites. Salts include acid-addition salts with inorganic or organic acids such as

hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids.

The compounds of the invention also include N-oxides. Accordingly, the invention comprises combinations of compounds of the invention including N-oxides and salts thereof and an additional active
5 ingredient including N-oxides and salts thereof.

The compositions for use in animal health may also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids (some of which may be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such polyorganosiloxanes), sedimentation of active
10 ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), wash-off (film formers or stickers), evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Examples of formulation
15 auxiliaries and additives include those listed in McCutcheon 's Volume 2: Functional Materials, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

The compounds of the invention can be applied without other adjuvants, but most often application will be of a formulation comprising one or more active ingredients with suitable carriers,
20 diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. One method of application involves spraying a water dispersion or refined oil solution of the combination products. Compositions with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy. Such sprays can be applied from spray containers such as a can, a bottle or other container, either by means of a pump or by
25 releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further comprise propellants, foaming agents, etc. as the case may be. Of note is a spray composition comprising a pesticidally effective amount of a compound of the invention and a carrier. One embodiment of such a spray composition comprises a pesticidally effective amount of a compound of the
30 invention and a propellant. Representative propellants include, but are not limited to, methane, ethane, propane, butane, isobutane, butene, pentane, isopentane, neopentane, pentene, hydrofluorocarbons, chlorofluorocarbons, dimethyl ether, and mixtures of the foregoing. Of note is a spray composition (and a method utilizing such a spray composition dispensed from a spray container) used to control at least one parasitic invertebrate pest selected from the group consisting of mosquitoes, black flies, stable flies, deer
35 flies, horse flies, wasps, yellow jackets, hornets, ticks, spiders, ants, gnats, and the like, including individually or in combinations.

The controlling of animal parasites includes controlling external parasites that are parasitic to the surface of the body of the host animal (e.g., shoulders, armpits, abdomen, inner part of the thighs) and

internal parasites that are parasitic to the inside of the body of the host animal (e.g., stomach, intestine, lung, veins, under the skin, lymphatic tissue). External parasitic or disease transmitting pests include, for example, chiggers, ticks, lice, mosquitoes, flies, mites and fleas. Internal parasites include heartworms, hookworms and helminths. The compounds of the invention may be particularly suitable for combating
5 external parasitic pests. The compounds of the invention may be suitable for systemic and/or non-systemic control of infestation or infection by parasites on animals.

The compounds of the invention may be suitable for combating parasitic invertebrate pests that infest animal subjects including those in the wild, livestock and agricultural working animals. Livestock is the term used to refer (singularly or plurally) to a domesticated animal intentionally reared in an
10 agricultural setting to make produce such as food or fiber, or for its labor; examples of livestock include cattle, sheep, goats, horses, pigs, donkeys, camels, buffalo, rabbits, hens, turkeys, ducks and geese (e.g., raised for meat, milk, butter, eggs, fur, leather, feathers and/or wool), cultured fish, honeybees. By combating parasites, fatalities and performance reduction (in terms of meat, milk, wool, skins, eggs, etc.) are reduced, so that applying the compounds of the invention allows more economic and simple
15 husbandry of animals.

By controlling these pests it is intended to reduce deaths and improve performance (in the case of meat, milk, wool, hides, eggs, honey and the like) and health of the host animal. Also, controlling parasites may help to prevent the transmittance of infectious agents, the term "controlling" referring to the veterinary field, meaning that the active compounds are effective in reducing the incidence of the
20 respective parasite in an animal infected with such parasites to innocuous levels, e.g. the active compound is effective in killing the respective parasite, inhibiting its growth, or inhibiting its proliferation.

The compounds of the invention may be suitable for combating parasitic invertebrate pests that infest companion animals and pets (e.g., dogs, cats, pet birds and aquarium fish), research and experimental animals (e.g., hamsters, guinea pigs, rats and mice), as well as animals raised for/in zoos,
25 wild habitats and/or circuses.

In an embodiment of this invention, the animal is preferably a vertebrate, and more preferably a mammal, avian or fish. In a particular embodiment, the animal subject is a mammal (including great apes, such as humans). Other mammalian subjects include primates (e.g., monkeys), bovine (e.g., cattle or dairy cows), porcine (e.g., hogs or pigs), ovine (e.g., goats or sheep), equine (e.g., horses), canine (e.g., dogs),
30 feline (e.g., house cats), camels, deer, donkeys, buffalos, antelopes, rabbits, and rodents (e.g., guinea pigs, squirrels, rats, mice, gerbils, and hamsters). Avians include Anatidae (swans, ducks and geese), Columbidae (e.g., doves and pigeons), Phasianidae (e.g., partridges, grouse and turkeys), Thesienidae (e.g., domestic chickens), Psittacines (e.g., parakeets, macaws, and parrots), game birds, and ratites (e.g., ostriches).

Birds treated or protected by the compounds of the invention can be associated with either
35 commercial or noncommercial aviculture. These include Anatidae, such as swans, geese, and ducks, Columbidae, such as doves and domestic pigeons, Phasianidae, such as partridge, grouse and turkeys,

Thesienidae, such as domestic chickens, and Psittacines, such as parakeets, macaws and parrots raised for the pet or collector market, among others.

For purposes of the present invention, the term "fish" is understood to include without limitation, the Teleosti grouping of fish, i.e., teleosts. Both the Salmoniformes order (which includes the Salmonidae family) and the Perciformes order (which includes the Centrarchidae family) are contained within the Teleosti grouping. Examples of potential fish recipients include the Salmonidae, Serranidae, Sparidae, Cichlidae, and Centrarchidae, among others.

Other animals are also contemplated to benefit from the inventive methods, including marsupials (such as kangaroos), reptiles (such as farmed turtles), and other economically important domestic animals for which the inventive methods are safe and effective in treating or preventing parasite infection or infestation.

Examples of parasitic invertebrate pests controlled by administering a pesticidally effective amount of the compounds of the invention to an animal to be protected include ectoparasites (arthropods, acarines, etc.) and endoparasites (helminths, e.g., nematodes, trematodes, cestodes, acanthocephalans, etc. and protozoae, such as coccidia).

The disease or group of diseases described generally as helminthiasis is due to infection of an animal host with parasitic worms known as helminths. The term 'helminths' is meant to include nematodes, trematodes, cestodes and acanthocephalans. Helminthiasis is a prevalent and serious economic problem with domesticated animals such as swine, sheep, horses, cattle, goats, dogs, cats and poultry.

Among the helminths, the group of worms described as nematodes causes widespread and at times serious infection in various species of animals.

Nematodes that are contemplated to be treated by the compounds of the invention include, without limitation, the following genera: *Acanthocheilonema*, *Aelurostrongylus*, *Ancylostoma*, *Angiostrongylus*, *Ascaridia*, *Ascaris*, *Brugia*, *Bunostomum*, *Capillaria*, *Chabertia*, *Cooperia*, *Crenosoma*, *Dictyocaulus*, *Diectophyme*, *Dipetalonema*, *Diphyllbothrium*, *Dirofilaria*, *Dracunculus*, *Enterobius*, *Filaroides*, *Haemonchus*, *Heterakis*, *Lagochilascaris*, *Loa*, *Mansonella*, *Muellerius*, *Necator*, *Nematodirus*, *Oesophagostomum*, *Ostertagia*, *Oxyuris*, *Parafilaria*, *Parascaris*, *Physaloptera*, *Protostrongylus*, *Setaria*, *Spirocerca*, *Stephanofilaria*, *Strongyloides*, *Strongylus*, *Thelazia*, *Toxascaris*, *Toxocara*, *Trichinella*, *Trichonema*, *Trichostrongylus*, *Trichuris*, *Uncinaria* and *Wuchereria*.

Of the above, the most common genera of nematodes infecting the animals referred to above are *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Nematodirus*, *Cooperia*, *Ascaris*, *Bunostomum*, *Oesophagostomum*, *Chabertia*, *Trichuris*, *Strongylus*, *Trichonema*, *Dictyocaulus*, *Capillaria*, *Heterakis*, *Toxocara*, *Ascaridia*, *Oxyuris*, *Ancylostoma*, *Uncinaria*, *Toxascaris* and *Parascaris*. Certain of these, such as *Nematodirus*, *Cooperia* and *Oesophagostomum* attack primarily the intestinal tract while others, such as *Haemonchus* and *Ostertagia*, are more prevalent in the stomach while others such as *Dictyocaulus* are found in the lungs. Still other parasites may be located in other tissues such as the heart and blood vessels, subcutaneous and lymphatic tissue and the like.

Trematodes that are contemplated to be treated by the invention and by the inventive methods include, without limitation, the following genera: *Alaria*, *Fasciola*, *Nanophyetus*, *Opisthorchis*, *Paragonimus* and *Schistosoma*.

Cestodes that are contemplated to be treated by the invention and by the inventive methods include, without limitation, the following genera: *Diphyllbothrium*, *Diplydium*, *Spirometra* and *Taenia*.

The most common genera of parasites of the gastrointestinal tract of humans are *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* and *Enterobius*. Other medically important genera of parasites which are found in the blood or other tissues and organs outside the gastrointestinal tract are the filarial worms such as *Wuchereria*, *Brugia*, *Onchocerca* and *Loa*, as well as *Dracunculus* and extra intestinal stages of the intestinal worms *Strongyloides* and *Trichinella*.

Numerous other helminth genera and species are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in Textbook of Veterinary Clinical Parasitology, Volume 1, Helminths, E. J. L. Soulsby, F. A. Davis Co., Philadelphia, Pa.; Helminths, Arthropods and Protozoa, (6th Edition of Monnig's Veterinary Helminthology and Entomology), E. J. L. Soulsby, Williams and Wilkins Co., Baltimore, Md.

The compounds of the invention may be effective against a number of animal ectoparasites (e.g., arthropod ectoparasites of mammals and birds in particular insects such as flies (stinging and licking), parasitic fly larvae, lice, hair lice, bird lice, fleas and the like; or acarids, such as ticks, for examples hard ticks or soft ticks, or mites, such as scab mites, harvest mites, bird mites and the like).

Insect and acarine pests include, e.g., biting insects such as flies and mosquitoes, mites, ticks, lice, fleas, true bugs, parasitic maggots, and the like.

Adult flies include, e.g., the horn fly or *Haematobia irritans*, the horse fly or *Tabanus* spp., the stable fly or *Stomoxys calcitrans*, the black fly or *Simulium* spp., the deer fly or *Chrysops* spp., the louse fly or *Melophagus ovinus*, and the tsetse fly or *Glossina* spp. Parasitic fly maggots include, e.g., the bot fly (*Oestrus ovis* and *Cuterebra* spp.), the blow fly or *Phaenicia* spp., the screwworm or *Cochliomyia hominivorax*, the cattle grub or *Hypoderma* spp., the fleece worm and the *Gastrophilus* of horses. Mosquitoes include, for example, *Culex* spp., *Anopheles* spp. and *Aedes* spp.

Mites include *Mesostigmaphthalpha* spp. e.g., *mesostigmatids* such as the chicken mite, *Dermaphanyssus galphallinalphae*; itch or scab mites such as *Sarcoptidae* spp. for example, *Salpharcoptes scalphabiei*; mange mites such as *Psoroptidae* spp. including *Chorioptes bovis* and *Psoroptes ovis*; chiggers e.g., *Trombiculidae* spp. for example the North American chigger, *Trombiculalpha alphalfreddugesii*.

Ticks include, e.g., soft-bodied ticks including Argasidae spp. for example *Argalphas* spp. and *Ornithodoros* spp.; hard-bodied ticks including *Ixodidae* spp., for example *Rhipicephalus* *sanguineus*, *Dermacentor variabilis*, *Dermacentor andersoni*, *Amblyomma americanum*, *Ixodes scapularis* and other *Rhipicephalus* spp. (including the former *Boophilus* genera).

Lice include, e.g., sucking lice, e.g., *Menopon* spp. and *Bovicola* spp.; biting lice, e.g., *Haematopinus* spp., *Linognathus* spp. and *Solenopotes* spp.

Fleas include, e.g., *Ctenocephalides* spp., such as dog flea (*Ctenocephalides canis*) and cat flea (*Ctenocephalides felis*); *Xenopsylla* spp. such as oriental rat flea (*Xenopsylla cheopis*); and *Pulex* spp. such as human flea (*Pulex irritans*).

True bugs include, e.g., *Cimicidae* or e.g., the common bed bug (*Cimex lectularius*); *Triatominae* spp. including triatomid bugs also known as kissing bugs; for example *Rhodnius prolixus* and *Triatoma* spp.

Generally, flies, fleas, lice, mosquitoes, gnats, mites, ticks and helminths cause tremendous losses to the livestock and companion animal sectors. Arthropod parasites also are a nuisance to humans and can vector disease-causing organisms in humans and animals.

Numerous other parasitic invertebrate pests are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in Medical and Veterinary Entomology, D. S. Kettle, John Wiley AND Sons, New York and Toronto; Control of Arthropod Pests of Livestock: A Review of Technology, R. O. Drummand, J. E. George, and S. E. Kunz, CRC Press, Boca Raton, Fla.

The compounds of the invention may also be effective against ectoparasites, e.g. insects such as flies (stinging and licking), parasitic fly larvae, lice, hair lice, bird lice, fleas and the like; or acarids, such as ticks, for examples hard ticks or soft ticks, or mites, such as scab mites, harvest mites, bird mites and the like. These include e.g. flies such as *Haematobia (Lyperosia) irritans* (horn fly), *Simulium* spp. (blackfly), *Glossina* spp. (tsetse flies), *Hydrotaea irritans* (head fly), *Musca autumnalis* (face fly), *Musca domestica* (house fly), *Morellia simplex* (sweat fly), *Tabanus* spp. (horse fly), *Hypoderma bovis*, *Hypoderma lineatum*, *Lucilia sericata*, *Lucilia cuprina* (green blowfly), *Calliphora* spp. (blowfly), *Protophormia* spp., *Oestrus ovis* (nasal botfly), *Culicoides* spp. (midges), *Hippobosca equine*, *Gastrophilus intestinalis*, *Gastrophilus haemorrhoidalis* and *Gastrophilus nasalis*; lice such as *Bovicola (Damalinia) bovis*, *Bovicola equi*, *Haematopinus asini*, *Felicola subrostratus*, *Heterodoxus spiniger*, *Lignonathus setosus* and *Trichodectes canis*; keds such as *Melophagus ovinus*; and mites such as *Psoroptes* spp., *Sarcoptes scabiei*, *Chorioptes bovis*, *Demodex equi*, *Cheyletiella* spp., *Notoedres cati*, *Trombicula* spp. and *Otodectes cyanotis* (ear mites).

Examples of species of animal health pesets include those from the order of the *Anoplurida*, for example *Haematopinus* spp., *Linognathus* spp., *Pediculus* spp., *Phtirus* spp., *Solenopotes* spp.; particular examples are: *Linognathus setosus*, *Linognathus vituli*, *Linognathus ovillus*, *Linognathus oviformis*, *Linognathus pedalis*, *Linognathus stenopsis*, *Haematopinus asini macrocephalus*, *Haematopinus eurysternus*, *Haematopinus suis*, *Pediculus humanus capitis*, *Pediculus humanus corporis*, *Phylloera vastatrix*, *Phthirus pubis*, *Solenopotes capillatus*; from the order of the *Mallophagida* and the suborders *Amblycerina* and *Ischnocerina*, for example *Trimenopon* spp., *Menopon* spp., *Trinoton* spp., *Bovicola* spp., *Werneckiella* spp., *Lepikentron* spp., *Damalina* spp., *Trichodectes* spp., *Felicola* spp.; particular examples are: *Bovicola bovis*, *Bovicola ovis*, *Bovicola limbata*, *Damalina bovis*, *Trichodectes canis*, *Felicola subrostratus*, *Bovicola caprae*, *Lepikentron ovis*, *Werneckiella equi*; from the order of the *Diptera* and the suborders *Nematocerina* and *Brachycerina*, for example *Aedes* spp., *Anopheles* spp.,

Culex spp., *Simulium* spp., *Eusimulium* spp., *Phlebotomus* spp., *Lutzomyia* spp., *Culicoides* spp.,
Chrysops spp., *Odagmia* spp., *Wilhelmia* spp., *Hybomitra* spp., *Atylotus* spp., *Tabanus* spp., *Haematopota*
spp., *Philipomyia* spp., *Braula* spp., *Musca* spp., *Hydrotaea* spp., *Stomoxys* spp., *Haematobia* spp.,
Morellia spp., *Fannia* spp., *Glossina* spp., *Calliphora* spp., *Lucilia* spp., *Chrysomyia* spp., *Wohlfahrtia*
5 spp., *Sarcophaga* spp., *Oestrus* spp., *Hypoderma* spp., *Gasterophilus* spp., *Hippobosca* spp., *Lipoptena*
spp., *Melophagus* spp., *Rhinoestrus* spp., *Tipula* spp.; particular examples are: *Aedes aegypti*, *Aedes*
albopictus, *Aedes taeniorhynchus*, *Anopheles gambiae*, *Anopheles maculipennis*, *Calliphora*
erythrocephala, *Chrysozona pluvialis*, *Culex quinquefasciatus*, *Culex pipiens*, *Culex tarsalis*, *Fannia*
canicularis, *Sarcophaga carnaria*, *Stomoxys calcitrans*, *Tipula paludosa*, *Lucilia cuprina*, *Lucilia*
10 *sericata*, *Simulium reptans*, *Phlebotomus papatasi*, *Phlebotomus longipalpis*, *Odagmia ornata*, *Wilhelmia*
equina, *Boophthora erythrocephala*, *Tabanus bromius*, *Tabanus spodopterus*, *Tabanus atratus*, *Tabanus*
sudeticus, *Hybomitra ciurea*, *Chrysops caecutiens*, *Chrysops relictus*, *Haematopota pluvialis*,
Haematopota italica, *Musca autumnalis*, *Musca domestica*, *Haematobia irritans irritans*, *Haematobia*
irritans exigua, *Haematobia stimulans*, *Hydrotaea irritans*, *Hydrotaea albipuncta*, *Chrysomya*
15 *chloropyga*, *Chrysomya bezziana*, *Oestrus ovis*, *Hypoderma bovis*, *Hypoderma lineatum*, *Przhevalskiana*
silenus, *Dermatobia hominis*, *Melophagus ovinus*, *Lipoptena capreoli*, *Lipoptena cervi*, *Hippobosca*
variegata, *Hippobosca equina*, *Gasterophilus intestinalis*, *Gasterophilus haemorroidalis*, *Gasterophilus*
inermis, *Gasterophilus nasalis*, *Gasterophilus nigricornis*, *Gasterophilus pecorum*, *Braula coeca*; from
the order of the *Siphonapterida*, for example *Pulex* spp., *Ctenocephalides* spp., *Tunga* spp., *Xenopsylla*
20 spp., *Ceratophyllus* spp.; particular examples are: *Ctenocephalides canis*, *Ctenocephalides felis*, *Pulex*
irritans, *Tunga penetrans*, *Xenopsylla cheopis*; from the order of the *Heteropterida*, for example *Cimex*
spp., *Triatoma* spp., *Rhodnius* spp., *Panstrongylus* spp; from the order of the *Blattarida*, for example
Blatta orientalis, *Periplaneta americana*, *Blattella germanica*, *Supella* spp. (e.g. *Supella longipalpa*);
from the subclass of the *Acari* (*Acarina*) and the orders of the *Meta-* and *Mesostigmata*, for example
25 *Argas* spp., *Ornithodoros* spp., *Otobius* spp., *Ixodes* spp., *Amblyomma* spp., *Rhipicephalus* (*Boophilus*)
spp *Dermacentor* spp., *Haemophysalis* spp., *Hyalomma* spp., *Dermanyssus* spp., *Rhipicephalus* spp. (the
original genus of multi host ticks) *Ornithonyssus* spp., *Pneumonyssus* spp., *Raillietia* spp., *Pneumonyssus*
spp., *Sternostoma* spp., *Varroa* spp., *Acarapis* spp.; particular examples are: *Argas persicus*, *Argas*
reflexus, *Ornithodoros moubata*, *Otobius megnini*, *Rhipicephalus* (*Boophilus*) *microplus*, *Rhipicephalus*
30 (*Boophilus*) *decoloratus*, *Rhipicephalus* (*Boophilus*) *annulatus*, *Rhipicephalus* (*Boophilus*) *calceratus*,
Hyalomma anatolicum, *Hyalomma aegypticum*, *Hyalomma marginatum*, *Hyalomma transiens*,
Rhipicephalus evertsi, *Ixodes ricinus*, *Ixodes hexagonus*, *Ixodes canisuga*, *Ixodes pilosus*, *Ixodes*
rubicundus, *Ixodes scapularis*, *Ixodes holocyclus*, *Haemaphysalis concinna*, *Haemaphysalis punctata*,
Haemaphysalis cinnabarina, *Haemaphysalis otophila*, *Haemaphysalis leachi*, *Haemaphysalis longicorni*,
35 *Dermacentor marginatus*, *Dermacentor reticulatus*, *Dermacentor pictus*, *Dermacentor albipictus*,
Dermacentor andersoni, *Dermacentor variabilis*, *Hyalomma mauritanicum*, *Rhipicephalus sanguineus*,
Rhipicephalus bursa, *Rhipicephalus appendiculatus*, *Rhipicephalus capensis*, *Rhipicephalus turanicus*,
Rhipicephalus zambeziensis, *Amblyomma americanum*, *Amblyomma variegatum*, *Amblyomma*

- maculatum*, *Amblyomma hebraeum*, *Amblyomma cajennense*, *Dermanyssus gallinae*, *Ornithonyssus bursa*, *Ornithonyssus sylviae*, *Varroa jacobsoni*; from the order of the *Actiniedida* (*Prostigmata*) and *Acaridida* (*Astigmata*), for example *Acarapis* spp., *Cheyletiella* spp., *Ornithocheyletia* spp., *Myobia* spp., *Psorergates* spp., *Demodex* spp., *Trombicula* spp., *Listrophorus* spp., *Acarus* spp., *Tyrophagus* spp.,
- 5 *Caloglyphus* spp., *Hypodectes* spp., *Pterolichus* spp., *Psoroptes* spp., *Chorioptes* spp., *Otodectes* spp., *Sarcoptes* spp., *Notoedres* spp., *Knemidocoptes* spp., *Cytodites* spp., *Laminosioptes* spp.; particular examples are: *Cheyletiella yasguri*, *Cheyletiella blakei*, *Demodex canis*, *Demodex bovis*, *Demodex ovis*, *Demodex caprae*, *Demodex equi*, *Demodex caballi*, *Demodex suis*, *Neotrombicula autumnalis*, *Neotrombicula desaleri*, *Neoschongastia xerothermobia*, *Trombicula akamushi*, *Otodectes cynotis*,
- 10 *Notoedres cati*, *Sarcoptes canis*, *Sarcoptes bovis*, *Sarcoptes ovis*, *Sarcoptes rupicaprae* (*S. caprae*), *Sarcoptes equi*, *Sarcoptes suis*, *Psoroptes ovis*, *Psoroptes cuniculi*, *Psoroptes equi*, *Chorioptes bovis*, *Psoergates ovis*, *Pneumonyssoides mange*, *Pneumonyssoides caninum*, *Acarapis woodi*; *Gasterophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., *Ctenocephalides canis*, *Cimex lecturarius*, *Ctenocephalides felis*, *Lucilia cuprina*; examples of acari include *Ornithodoros* spp., *Ixodes* spp.,
- 15 *Boophilus* spp..

Treatments of the invention are by conventional means such as by enteral administration in the form of, for example, tablets, capsules, drinks, drenching preparations, granulates, pastes, boli, feed-through procedures, or suppositories; or by parenteral administration, such as, for example, by injection (including intramuscular, subcutaneous, intravenous, intraperitoneal) or implants; or by nasal

20 administration; or by dermal application in the form of, for example, bathing or dipping, spraying, pouring-on and spotting-on, washing, dusting, and with the aid of active-compound-comprising shaped articles such as collars, ear tags, tail tags, limb bands, halters, marking devices and the like.

When compounds of the invention are applied in combination with an additional biologically active ingredient, they may be administered separately e.g. as separate compositions. In this case, the

25 biologically active ingredients may be administered simultaneously or sequentially. Alternatively, the biologically active ingredients may be components of one composition.

The compounds of the invention may be administered in a controlled release form, for example in subcutaneous or orally administered slow release formulations.

Typically a parasitocidal composition according to the present invention comprises a compound

30 of the invention, optionally in combination with an additional biologically active ingredient, or N-oxides or salts thereof, with one or more pharmaceutically or veterinarily acceptable carriers comprising excipients and auxiliaries selected with regard to the intended route of administration (e.g., oral or parenteral administration such as injection) and in accordance with standard practice. In addition, a suitable carrier is selected on the basis of compatibility with the one or more active ingredients in the

35 composition, including such considerations as stability relative to pH and moisture content. Therefore of note are compounds of the invention for protecting an animal from an invertebrate parasitic pest comprising a parasitically effective amount of a compound of the invention, optionally in combination with an additional biologically active ingredient and at least one carrier.

For parenteral administration including intravenous, intramuscular and subcutaneous injection, the compounds of the invention can be formulated in suspension, solution or emulsion in oily or aqueous vehicles, and may contain adjuncts such as suspending, stabilizing and/or dispersing agents.

The compounds of the invention may also be formulated for bolus injection or continuous
5 infusion. Pharmaceutical compositions for injection include aqueous solutions of water-soluble forms of active ingredients (e.g., a salt of an active compound), preferably in physiologically compatible buffers containing other excipients or auxiliaries as are known in the art of pharmaceutical formulation. Additionally, suspensions of the active compounds may be prepared in a lipophilic vehicle. Suitable lipophilic vehicles include fatty oils such as sesame oil, synthetic fatty acid esters such as ethyl oleate and
10 triglycerides, or materials such as liposomes.

Aqueous injection suspensions may contain substances that increase the viscosity of the suspension, such as sodium carboxymethyl cellulose, sorbitol, or dextran. Formulations for injection may be presented in unit dosage form, e.g., in ampoules or in multi-dose containers. Alternatively, the active ingredient may be in powder form for constitution with a suitable vehicle, e.g., sterile, pyrogen-free
15 water, before use.

In addition to the formulations described supra, the compounds of the invention may also be formulated as a depot preparation. Such long acting formulations may be administered by implantation (for example, subcutaneously or intramuscularly) or by intramuscular or subcutaneous injection.

The compounds of the invention may be formulated for this route of administration with suitable
20 polymeric or hydrophobic materials (for instance, in an emulsion with a pharmacologically acceptable oil), with ion exchange resins, or as a sparingly soluble derivative such as, without limitation, a sparingly soluble salt.

For administration by inhalation, the compounds of the invention can be delivered in the form of an aerosol spray using a pressurized pack or a nebulizer and a suitable propellant, e.g., without limitation,
25 dichlorodifluoromethane, trichlorofluoromethane, dichlorotetrafluoroethane or carbon dioxide. In the case of a pressurized aerosol, the dosage unit may be controlled by providing a valve to deliver a metered amount. Capsules and cartridges of, for example, gelatin for use in an inhaler or insufflator may be formulated containing a powder mix of the compound and a suitable powder base such as lactose or starch.

30 The compounds of the invention may have favourable pharmacokinetic and pharmacodynamic properties providing systemic availability from oral administration and ingestion. Therefore after ingestion by the animal to be protected, parasitically effective concentrations of a compound of the invention in the bloodstream may protect the treated animal from blood-sucking pests such as fleas, ticks and lice. Therefore of note is a composition for protecting an animal from an invertebrate parasite pest in
35 a form for oral administration (i.e. comprising, in addition to a parasitically effective amount of a compound of the invention, one or more carriers selected from binders and fillers suitable for oral administration and feed concentrate carriers).

For oral administration in the form of solutions (the most readily available form for absorption), emulsions, suspensions, pastes, gels, capsules, tablets, boluses, powders, granules, rumen-retention and feed/water/lick blocks, the compounds of the invention can be formulated with binders/fillers known in the art to be suitable for oral administration compositions, such as sugars and sugar derivatives (e.g.,
5 lactose, sucrose, mannitol, sorbitol), starch (e.g., maize starch, wheat starch, rice starch, potato starch), cellulose and derivatives (e.g., methylcellulose, carboxymethylcellulose, ethylhydroxycellulose), protein derivatives (e.g., zein, gelatin), and synthetic polymers (e.g., polyvinyl alcohol, polyvinylpyrrolidone). If desired, lubricants (e.g., magnesium stearate), disintegrating agents (e.g., cross-linked
polyvinylpyrrolidinone, agar, alginic acid) and dyes or pigments can be added. Pastes and gels often also
10 contain adhesives (e.g., acacia, alginic acid, bentonite, cellulose, xanthan gum, colloidal magnesium aluminum silicate) to aid in keeping the composition in contact with the oral cavity and not being easily ejected.

In one embodiment a composition of the present invention is formulated into a chewable and/or edible product (e.g., a chewable treat or edible tablet). Such a product would ideally have a taste, texture
15 and/or aroma favored by the animal to be protected so as to facilitate oral administration of the compounds of the invention.

If the parasiticial compositions are in the form of feed concentrates, the carrier is typically selected from high-performance feed, feed cereals or protein concentrates.
Such feed concentrate-containing compositions can, in addition to the parasiticial active ingredients,
20 comprise additives promoting animal health or growth, improving quality of meat from animals for slaughter or otherwise useful to animal husbandry.
These additives can include, for example, vitamins, antibiotics, chemotherapeutics, bacteriostats, fungistats, coccidiostats and hormones.

The compound of the invention may also be formulated in rectal compositions such as
25 suppositories or retention enemas, using, e.g., conventional suppository bases such as cocoa butter or other glycerides.

The formulations for the method of this invention may include an antioxidant, such as BHT (butylated hydroxytoluene). The antioxidant is generally present in amounts of at 0.1- 5 percent (wt/vol). Some of the formulations require a solubilizer, such as oleic acid, to dissolve the active agent, particularly
30 if spinosad is included. Common spreading agents used in these pour-on formulations include isopropyl myristate, isopropyl palmitate, caprylic/capric acid esters of saturated C₁₂-C₁₈ fatty alcohols, oleic acid, oleyl ester, ethyl oleate, triglycerides, silicone oils and dipropylene glycol methyl ether. The pour-on formulations for the method of this invention are prepared according to known techniques. Where the pour-on is a solution, the parasiticide/insecticide is mixed with the carrier or vehicle, using heat and
35 stirring if required. Auxiliary or additional ingredients can be added to the mixture of active agent and carrier, or they can be mixed with the active agent prior to the addition of the carrier. Pour-on formulations in the form of emulsions or suspensions are similarly prepared using known techniques.

Other delivery systems for relatively hydrophobic pharmaceutical compounds may be employed. Liposomes and emulsions are well-known examples of delivery vehicles or carriers for hydrophobic drugs. In addition, organic solvents such as dimethylsulfoxide may be used, if needed.

The rate of application required for effective parasitic invertebrate pest control (e.g. "pesticidally effective amount") will depend on such factors as the species of parasitic invertebrate pest to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. One skilled in the art can easily determine the pesticidally effective amount necessary for the desired level of parasitic invertebrate pest control.

In general for veterinary use, the compounds of the invention are administered in a pesticidally effective amount to an animal, particularly a homeothermic animal, to be protected from parasitic invertebrate pests.

A pesticidally effective amount is the amount of active ingredient needed to achieve an observable effect diminishing the occurrence or activity of the target parasitic invertebrate pest. One skilled in the art will appreciate that the pesticidally effective dose can vary for the various compounds and compositions useful for the method of the present invention, the desired pesticidal effect and duration, the target parasitic invertebrate pest species, the animal to be protected, the mode of application and the like, and the amount needed to achieve a particular result can be determined through simple experimentation.

For oral or parenteral administration to animals, a dose of the compositions of the present invention administered at suitable intervals typically ranges from about 0.01 mg/kg to about 100 mg/kg, and preferably from about 0.01 mg/kg to about 30 mg/kg of animal body weight.

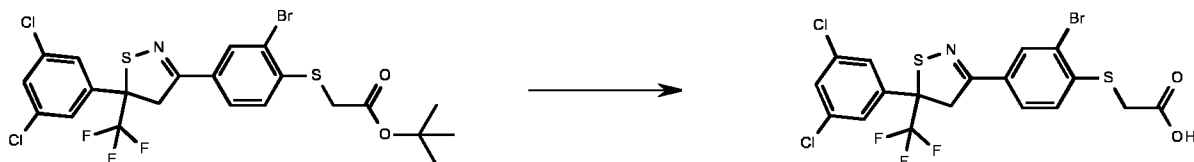
Suitable intervals for the administration of the compositions of the present invention to animals range from about daily to about yearly. Of note are administration intervals ranging from about weekly to about once every 6 months. Of particular note are monthly administration intervals (i.e. administering the compounds to the animal once every month).

The following Examples illustrate, but do not limit, the invention.

The compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which can be verified by the person skilled in the art using the experimental procedures outlined in the Examples, using lower application rates if necessary, for example 50 ppm, 12.5 ppm, 6 ppm, 3 ppm, 1.5 ppm or 0.8 ppm.

The following abbreviations were used in this section: DMF: dimethylformamide; THF: tetrahydrofuran; EtOAc : ethyl acetate; s = singlet; bs = broad singlet; d = doublet; dd = double doublet; dt = double triplet; t = triplet, tt = triple triplet, q = quartet, sept = septet; m = multiplet; Me = methyl; Et = ethyl; Pr = propyl; Bu = butyl; M.p. = melting point; RT = retention time, $[M+H]^+$ = molecular mass of the molecular cation, $[M-H]^-$ = molecular mass of the molecular anion.

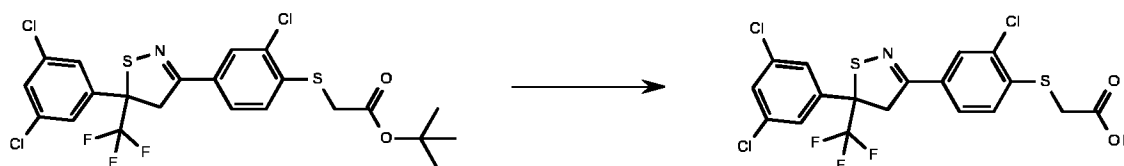
Preparation of 2-[2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetic acid



- 5 To a solution of tert-butyl 2-[2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate (260 mg) in dichloromethane (2.6 mL) was added trifluoroacetic acid (0.26 mL). The reaction mixture was stirred at room temperature for 20 hours then more trifluoroacetic acid (0.40 mL) was added. The reaction mixture was stirred at room temperature for 90 minutes then the solution was diluted with ethyl acetate. The solution was extracted with water and ethyl acetate. The
- 10 organic extract was washed with water, dried over magnesium sulfate and concentrated to give a residue that was triturated in dichloromethane. The filtrate was purified by chromatography on silica gel (eluent: cyclohexane/ethyl acetate) to give 2-[2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetic acid (77 mg) as a yellow powder.

^{19}F NMR (DMSO d_6 , 376MHz): δ = -75.12 ppm

15

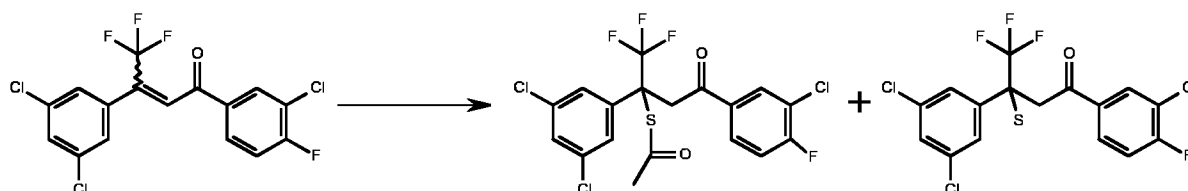


Similarly, 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetic acid could be prepared, starting from tert-butyl 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate.

- 20 ^1H NMR (DMSO d_6 , 400MHz): δ = ^1H NMR (DMSO- d_6 , 400MHz): δ = 13.03 (s, 1 H), 7.92 (d, J =1.8 Hz, 1 H), 7.72 - 7.85 (m, 2 H), 7.56 (d, J =1.5 Hz, 2 H), 7.42 (d, J =8.4 Hz, 1 H), 4.55 (d, J =18.7 Hz, 1 H), 4.33 (d, J =18.7 Hz, 1 H), 4.04 ppm (s, 2 H)

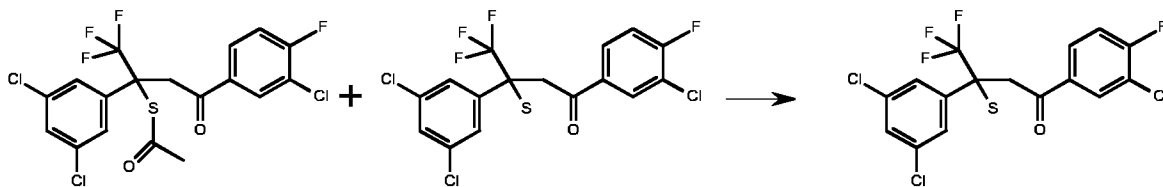
^{19}F NMR (DMSO d_6 , 376MHz): δ = -75.09 ppm

- 25 Preparation of S-[3-(3-chloro-4-fluoro-phenyl)-1-(3,5-dichlorophenyl)-3-oxo-1-(trifluoromethyl)propyl]ethanethioate and 1-(3-chloro-4-fluoro-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one



To (E)-1-(3-chloro-4-fluoro-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-but-2-en-1-one (8.44 g) was

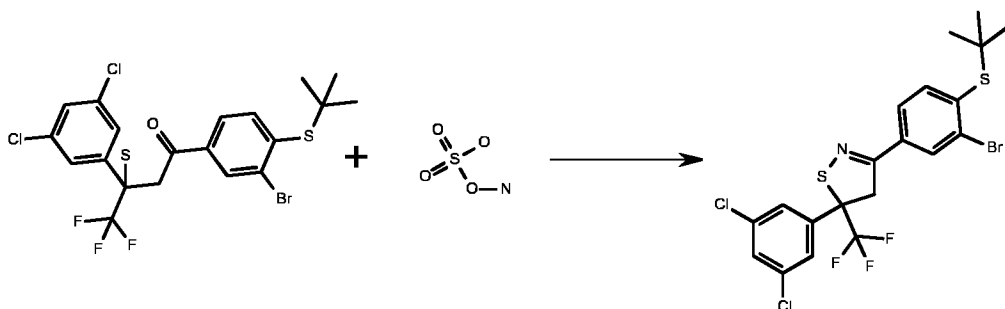
added thioacetic acid (6 eq.) under argon. The solution was stirred at room temperature then 2 drops of triethylamine were added. The solution was stirred at room temperature for 16 hours. The mixture was then diluted with dichloromethane then absorbed on isolute. The residue was purified by chromatography on silica gel (eluent: cyclohexane / dichloromethane) to give a first fraction containing a mixture of S-[3-(3-chloro-4-fluoro-phenyl)-1-(3,5-dichlorophenyl)-3-oxo-1-(trifluoromethyl)propyl] ethanethioate and 1-(3-chloro-4-fluoro-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one (7.58g, 1.95 g and 5.63 g respectively). This residue was used as such in the following step.



- 10 A solution of the preceding mixture in aniline (19.5 mL) was stirred at room temperature for 2 hours. The solution was then diluted by addition of ethyl acetate and a solution of hydrochloric acid (6 M). The solution was extracted with ethyl acetate. The organic extract was washed with water, dried over magnesium sulfate and concentrated to give a residue that was purified by chromatography on silica gel (eluent: cyclohexane/ethyl acetate) to give 1-(3-chloro-4-fluoro-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one (5.68 g) as the main product.

^1H NMR (CDCl_3 , 400MHz): δ = 8.01 (dd, $J=7.0$, 2.2 Hz, 1 H), 7.86 (ddd, $J=8.7$, 4.5, 2.2 Hz, 1 H), 7.55 (d, $J=0.7$ Hz, 2 H), 7.34 - 7.38 (m, 2 H), 4.21 - 4.28 (m, 1 H), 3.94 (d, $J=18.3$ Hz, 1 H), 3.25 (s, 1 H), 1.44 ppm (s, 9 H)

20 Preparation of 3-(3-bromo-4-tert-butylsulfanyl-phenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole

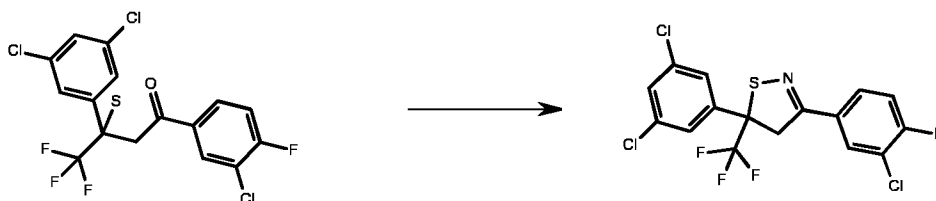


- To a solution of 1-(3-bromo-4-tert-butylsulfanyl-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one (2.39 g) in a minimum amount of tetrahydrofuran, was added amino hydrogen sulfate (2 equiv), then a solution of potassium hydroxide (5.6 equiv.) in water (98 mL) at room temperature. After stirring at room temperature for 30 minutes, a solution of hydrochloric acid (1N) was added, the mixture was diluted with ethyl acetate, and washed with brine. The collected organic phases were dried over magnesium sulfate, filtered and the filtrate was evaporated under vacuo. The residue was

purified by chromatography on silica gel (eluent: cyclohexane/dichloromethane) to give 3-(3-bromo-4-tert-butylsulfanyl-phenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole (2.01g).

^1H NMR (CDCl_3 , 400MHz): δ = 8.05 (1 H), 7.75-7.62 (m, 2 H), 7.45 (m, 1H), 7.31 (m, 2 H), 4.19 (d, 1 H), 3.86 (d, 1 H), 1.40 ppm (s, 9 H)

5 ^{19}F NMR (CDCl_3 , 376MHz): δ = -74.68 ppm



Using the same sequence, starting from 1-(3-chloro-4-fluoro-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one, 3-(3-chloro-4-fluoro-phenyl)-5-(3,5-dichlorophenyl)-5-

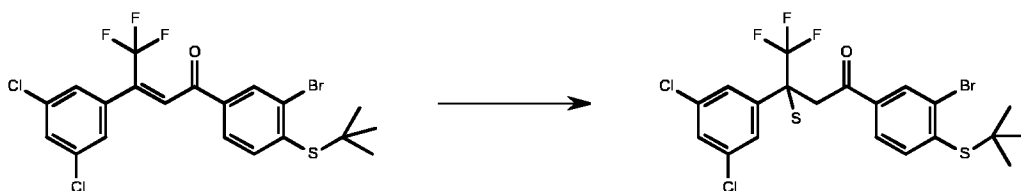
10 (trifluoromethyl)-4H-isothiazole could be obtained as a white powder.

mp = 147°C-149°C.

^1H NMR (CDCl_3 , 400MHz): δ = 7.83 (dd, J =7.0, 2.2 Hz, 1 H), 7.66 (ddd, J =8.6, 4.6, 2.2 Hz, 1 H), 7.42 (t, J =1.7 Hz, 1 H), 7.30 (d, J =1.1 Hz, 2 H), 7.21 (t, J =8.4 Hz, 1 H), 4.17 (d, J =17.2 Hz, 1 H), 3.84 ppm (d, J =17.6 Hz, 1 H).

15

Preparation of 1-(3-bromo-4-tert-butylsulfanyl-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one



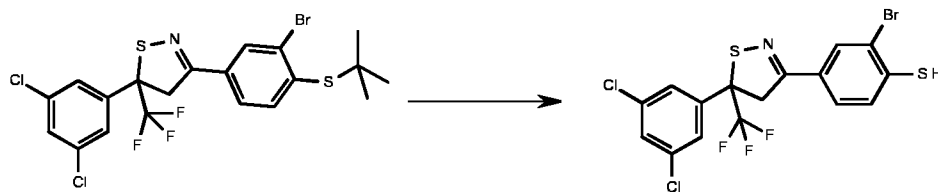
20 To (Z)-1-(3-bromo-4-tert-butylsulfanyl-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-but-2-en-1-one (4 g) was added thioacetic acid (6 eq.) and triethylamine (32 μL). The solution was stirred at room temperature under argon for 24 hours, then the mixture was diluted in dichloromethane, absorbed on isolate and evaporated under vacuo. The residue was purified by chromatography on silica gel (eluent: cyclohexane / dichloromethane) to give 1-(3-bromo-4-tert-butylsulfanyl-phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluoro-3-sulfanyl-butan-1-one (2.39 g)

^1H NMR (CDCl_3 , 400MHz): δ = 8.17 (d, J =1.8 Hz, 1 H), 7.71 - 7.83 (m, 2 H), 7.56 (d, J =1.1 Hz, 2 H), 7.36 (t, J =1.8 Hz, 1 H), 4.26 (d, J =18.3 Hz, 1 H), 3.95 (d, J =18.3 Hz, 1 H), 3.25 (s, 1 H), 1.44 ppm (s, 9 H)

^{19}F NMR (CDCl_3 , 376MHz): δ = -73.56 ppm.

30

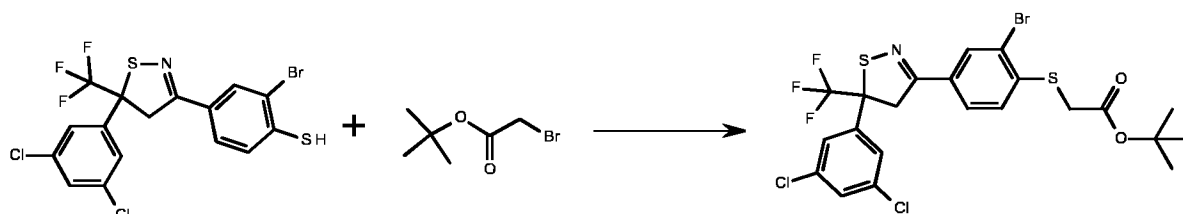
Preparation of 2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]benzenethiol



To a solution of 3-(3-bromo-4-tert-butylsulfanylphenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole (500 mg)

To an ice-cooled solution of aluminum trichloride (0.6 equiv.) in toluene (7mL), was added dropwise a solution of 3-(3-bromo-4-tert-butylsulfanylphenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole (500 mg) in Toluene (4mL) at 0°C. The solution was then stirred at room temperature for 5 hours then more aluminum trichloride (108 mg) was added. The solution was then stirred at room temperature for 10 minutes then was poured into ice and the suspension was extracted with ethyl acetate. The organic extract was washed with hydrochloric acid (1M), then brine, it was dried over magnesium sulfate and concentrated under vacuo. The residue was purified by chromatography on silica gel (eluent: cyclohexane / ethyl acetate) to give 2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]benzenethiol (351 mg). ¹H NMR (CDCl₃, 400MHz): δ = 7.91 (d, *J*=2.2 Hz, 1 H), 7.57 (m, 1 H), 7.36 - 7.45 (m, 2 H), 7.29 (m, 2 H), 4.08 - 4.20 (m, 2 H), 3.75 - 3.89 ppm (m, 1 H).

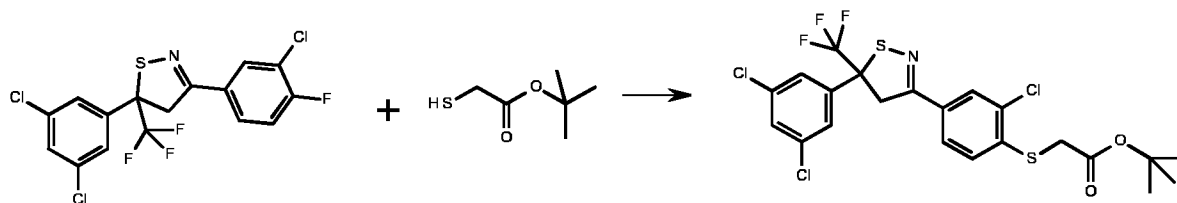
15 Preparation of tert-butyl 2-[2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate



To a solution of 2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]benzenethiol (350 mg) in tetrahydrofuran (1.5 mL) was added at 0°C potassium tert-butoxide (1 equiv.) then a solution of tert-butyl 2-bromoacetate (1 equiv.) in tetrahydrofuran (250μL). The reaction was stirred for 40 minutes then brine was added to the solution. The suspension was extracted with ethyl acetate. The organic extract was washed with brine, it was dried over magnesium sulfate, filtered and concentrated under vacuo. The residue was purified by chromatography on silica gel (eluent: cyclohexane / ethyl acetate) to give tert-butyl 2-[2-bromo-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate (229 mg).

¹H NMR (CDCl₃, 400MHz): δ = 7.92 (d, *J*=1.8 Hz, 1 H), 7.67 (dd, *J*=8.3, 2.0 Hz, 1 H), 7.41 (t, *J*=1.8 Hz, 1 H), 7.33 (d, *J*=8.4 Hz, 1 H), 7.29 - 7.32 (m, 2 H), 4.16 (d, *J*=17.6 Hz, 1 H), 3.83 (d, *J*=17.2 Hz, 1 H), 3.67 (s, 2 H), 1.46 ppm (s, 9 H)

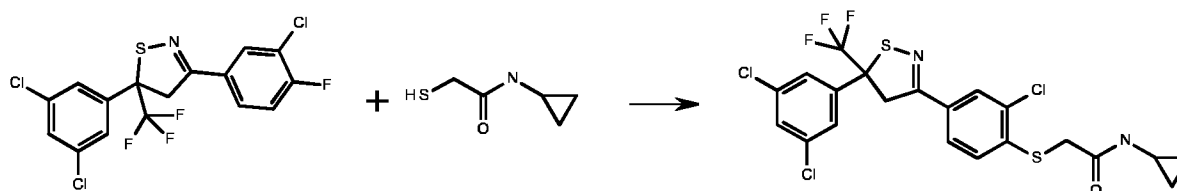
Preparation of tert-butyl 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate



To a solution of 3-(3-chloro-4-fluoro-phenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole (800 mg) in N,N-dimethylformamide (8 mL) was added cesium carbonate (1.5 equiv.) then a solution of tert-butyl 2-sulfanylacetate (1.5 equiv.) in N,N-dimethylformamide (4 mL). The reaction was stirred at room temperature for 2 hours then more tert-butyl 2-sulfanylacetate (0.1 mL) was added. The reaction was stirred at room temperature for 4 hours then water was added to the solution. The suspension was extracted with ethyl acetate. The organic extract was washed with water, it was dried over magnesium sulfate, filtered and concentrated under vacuo. The residue was triturated in tertbutyl methylether to give a precipitate, containing mainly tert-butyl 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanylacetate (470 mg) as a white powder.

¹H NMR (CDCl₃, 400MHz): δ = 7.75 (d, *J*=1.8 Hz, 1 H), 7.61 (dd, *J*=8.3, 2.0 Hz, 1 H), 7.41 (t, *J*=1.8 Hz, 1 H), 7.37 (d, *J*=8.4 Hz, 1 H), 7.28 - 7.32 (m, 2 H), 4.16 (d, *J*=17.2 Hz, 1 H), 3.83 (d, *J*=17.2 Hz, 1 H), 3.67 (s, 2 H), 1.45 ppm (s, 9 H).

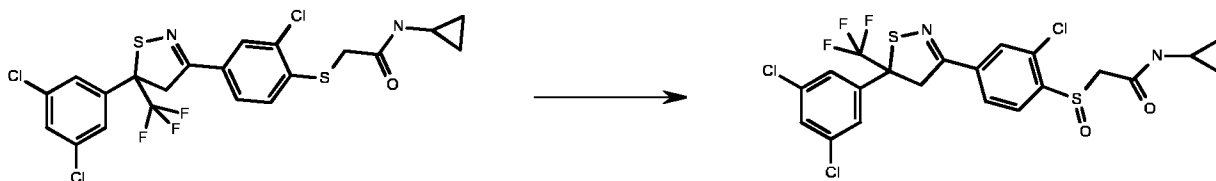
Preparation of 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfanyl-N-cyclopropyl-acetamide



To a solution of 3-(3-chloro-4-fluoro-phenyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazole (800 mg) in N,N-dimethylformamide (8 mL) was added cesium carbonate (1.5 equiv.) then a solution of N-cyclopropyl-2-sulfanylacetamide (1.5 equiv.) in N,N-dimethylformamide (4 mL). The reaction was stirred at room temperature for 2.5 hours then more N-cyclopropyl-2-sulfanylacetamide (100 mg) was added. The reaction was stirred at room temperature for 4 hours then water was added to the solution. The suspension was extracted with ethyl acetate. The organic extract was washed with water, it was dried over magnesium sulfate, filtered and concentrated under vacuo. The residue was triturated in tertbutyl methylether to give a precipitate that contained mainly the desired compound, as a white solid (594 mg).

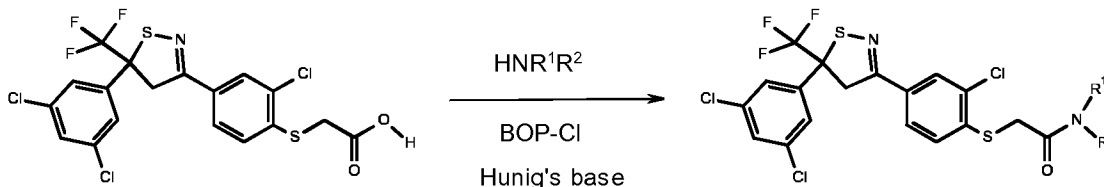
¹H NMR (CDCl₃, 400MHz): δ = 7.80 (d, *J*=1.8 Hz, 1 H), 7.59 (dd, *J*=8.1, 1.8 Hz, 1 H), 7.41 (t, *J*=1.8 Hz, 1 H), 7.28 - 7.33 (m, 2 H), 7.20 (d, *J*=8.4 Hz, 1 H), 6.68 (brs., 1 H), 4.16 (d, *J*=17.6 Hz, 1 H), 3.83 (d, *J*=17.6 Hz, 1 H), 3.68 (s, 2 H), 2.69 (dd, *J*=7.3, 3.7 Hz, 1 H), 0.67 - 0.79 (m, 2 H), 0.38 - 0.52 ppm (m, 2 H).

Preparation of 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfinyl-N-cyclopropyl-acetamide (compound B1)



- 5 To a solution of 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfinyl-N-cyclopropyl-acetamide (100 mg) in dichloromethane (4 mL), 3-Chloroperoxybenzoic acid (0.5 equiv.) was added dropwise at 0°C. The reaction mixture was stirred at 0°C for one hour. A saturated solution of sodium hydrogenocarbonate was added. The mixture was extracted with ethyl acetate. The organic phases were collected, dried over magnesium sulphate, filtered and concentrated under vacuo. The residue was purified by chromatography on silica gel (eluent: cyclohexane / ethyl acetate) to give 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfinyl-N-cyclopropyl-acetamide (29 mg).
- ¹H NMR (CDCl₃, 400MHz): δ = 7.81 - 7.89 (m, 3 H), 7.42 (t, *J*=1.7 Hz, 1 H), 7.29 - 7.33 (m, 2 H), 6.81 (br. s., 1 H), 4.20 (dd, *J*=17.6, 1.5 Hz, 1 H), 3.78 - 3.92 (m, 2 H), 3.67 (dd, *J*=14.1, 0.9 Hz, 1 H), 2.64 (td, *J*=3.5, 1.5 Hz, 1 H), 0.69 - 0.82 (m, 2 H), 0.53 - 0.49 ppm (m, 2 H).

Example: Method for preparing compounds of the invention from a carboxylic acid



- To a solution of the 2-[2-chloro-4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isothiazol-3-yl]phenyl]sulfinylacetic acid (20 μmol) in dimethylacetamide (0.4 ml) was added successively a solution of an amine of formula HNR¹R² (24 μmol), for example cyclopropyl in the case of Compound No. A22 of Table A, in dimethylacetamide (0.3 ml), diisopropylethylamine (Hunig's Base) (0.030 ml), and a solution of bis(2-oxo-3-oxazolidinyl)phosphonic chloride ("BOP-Cl") (11.2 mg) in dimethylacetamide (0.2 ml). The reaction mixture was stirred at 80°C for 16 hours. Then the reaction mixture was diluted with acetonitrile (0.6 ml) and a sample was used for LC-MS analysis. The remaining mixture was further diluted with acetonitrile / dimethylformamide (4:1) (0.8 ml) and purified by HPLC. This method was used to prepare a number of compounds (Compound Nos. A1 to A77 of Table A) in parallel.

UPLC Method H

- ACQUITY SQD Mass Spectrometer from Waters (Single quadrupole mass spectrometer)
- Ionisation method: Electrospray
- Polarity: positive ions

Capillary (kV) 3.00, Cone (V) 20.00, Extractor (V) 3.00, Source Temperature (°C) 150, Desolvation Temperature (°C) 400, Cone Gas Flow (L/Hr) 60, Desolvation Gas Flow (L/Hr) 700

Mass range: 100 to 800 Da

DAD Wavelength range (nm): 210 to 400

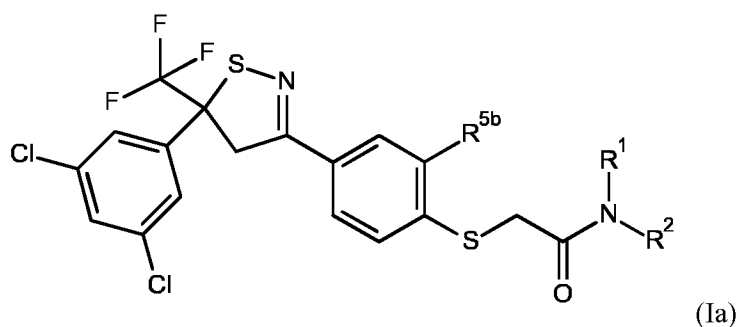
5 Method Waters ACQUITY UPLC with the following HPLC gradient conditions

(Solvent A: Water/Methanol 9:1, 0.1% formic acid and Solvent B: Acetonitrile, 0.1% formic acid)

	Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
	0	100	0	0.75
	2.5	0	100	0.75
10	2.8	0	100	0.75
	3.0	100	0	0.75

Type of column: Waters ACQUITY UPLC HSS T3; Column length: 30 mm; Internal diameter of column: 2.1 mm; Particle Size: 1.8 micron; Temperature: 60°C.

15 Table A: Compounds of formula Ia:



Comp No.	R ¹	R ²	R ^{5b}	RT (min) Using method H	[M+H] ⁺
A01	H	cyclopropyl-	Cl	2.21	538.6
A02	H	(E)-3-chloroallyl-	Cl	2.28	573.1
A03	H	2-fluoro-1-methylethyl-	Cl	2.24	559.2
A04	H	2-fluoropropyl-	Cl	2.23	559.2
A05	H	1-cyano-1-methylethyl-	Cl	2.21	566.2
A06	H	2-fluoroethyl-	Cl	2.17	545.1
A07	H	2,2-difluoroethyl-	Cl	2.2	563.1
A08	H	cyanomethyl	Cl	2.12	537.6
A09	H	propyl	Cl	2.28	541.2
A10	H	isopropyl	Cl	2.28	541.2
A11	H	2-methylallyl-	Cl	2.3	553.2
A12	H	isobutyl-	Cl	2.34	554.6
A13	H	2-methylbutyl-	Cl	2.41	569.2
A14	H	cyclopropylmethyl-	Cl	2.29	553.2

Comp No.	R ¹	R ²	R ^{5b}	RT (min) Using method H	[M+H] ⁺
A15	H	cyclobutyl	Cl	2.31	553.2
A16	H	1,2-dimethylpropyl-	Cl	2.4	569.2
A17	H	methyl	Cl	2.13	513.2
A18	H	allyl	Cl	2.24	538.6
A19	H	2-chloroallyl-	Cl	2.29	573.1
A20	H	2,2,2-trifluoro-ethyl-	Cl	2.26	581.1
A21	H	1-cyano-1-methylethyl-	Cl	2.3	565.1
A22	H	1-cyanoethyl-	Cl	2.17	551.6
A23	H	(E)-3-chloroallyl-	Br	2.3	617.1
A24	H	2-fluoro-1-methylethyl-	Br	2.25	603.2
A25	H	2-fluoropropyl-	Br	2.24	603.1
A26	H	1-cyano-1-methylethyl-	Br	2.22	610.1
A27	H	2-fluoroethyl-	Br	2.19	589.1
A28	H	2,2-difluoroethyl-	Br	2.22	607.1
A29	H	cyanomethyl	Br	2.13	582.1
A30	H	propyl	Br	2.3	585.1
A31	H	isopropyl	Br	2.3	585.1
A32	H	2-methylallyl-	Br	2.32	597.2
A33	H	isobutyl-	Br	2.36	599.2
A34	H	2-methylbutyl-	Br	2.42	613.1
A35	H	cyclopropyl	Br	2.23	583.1
A36	H	cyclopropylmethyl-	Br	2.31	597.1
A37	H	cyclobutyl	Br	2.33	597.1
A38	H	1,2-dimethylpropyl-	Br	2.42	613.2
A39	H	methyl	Br	2.15	557.1
A40	H	allyl	Br	2.26	583.1
A41	H	2-chloroallyl-	Br	2.31	616.6
A42	H	2,2,2-trifluoro-ethyl-	Br	2.27	625.1
A43	H	1-cyano-1-methylethyl-	Br	2.32	609.1
A44	H	1-cyanoethyl-	Br	2.19	596.1

Biological examples***Spodoptera littoralis*** (Egyptian cotton leaf worm)

- 5 Cotton leaf discs were placed on agar in 24-well microtiter plates and sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with five L1 larvae. The samples were assessed for mortality, anti-feedant effect, and growth inhibition 3 days after infestation. Control of *Spodoptera littoralis* by a test sample is noted when at least one of mortality, anti-feedant effect, and growth inhibition is higher than the untreated sample.
- 10 The following compounds resulted in at least 80% control at an application rate of 200 ppm: A01, B1, A02, A03, A04, A05, A06, A07, A08, A09, A10, A11, A12, A14, A15, A17, A18, A19, A20, A21, A22, A23, A24, A25, A28, A29, A30, A31, A32, A35, A36, A37, A39, A40, A41, A42, A43, A44

Heliothis virescens (Tobacco budworm):

- 15 Eggs (0-24 h old) were placed in 24-well microtiter plates on artificial diet and treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. The samples were assessed for egg mortality, larval mortality and growth inhibition 5 days after infestation. Control of *Heliothis virescens* by a test sample is noted when at least one of egg mortality, larval mortality and growth inhibition is higher than the untreated sample.
- 20 The following compounds resulted in at least 80% control at an application rate of 200 ppm: A01, B1, A02, A03, A04, A05, A06, A07, A08, A09, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, A36, A37, A39, A40, A41, A42, A43, A44

25 ***Plutella xylostella*** (Diamond back moth):

- 24-well microtiter plates with artificial diet were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. After drying, the plates were infested with L2 larvae (10 to 15 per well). The samples were assessed for mortality and growth inhibition 5 days after infestation. Control of *Plutella xylostella* by a test sample is noted when at least one of mortality and growth inhibition is
- 30 higher than the untreated sample.
- The following compounds resulted in at least 80% control at an application rate of 200 ppm: A01, B1, A02, A03, A04, A06, A07, A08, A09, A10, A11, A12, A13, A14, A15, A17, A18, A19, A20, A21, A22, A23, A24, A25, A27, A28, A29, A30, A31, A32, A33, A34, A35, A36, A37, A39, A40, A41, A42, A43, A44

35

Diabrotica balteata (Corn root worm):

24-well microtiter plates with artificial diet were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. After drying, the plates were infested with L2 larvae (6 to 10

per well). The samples were assessed for mortality and growth inhibition 5 days after infestation. Control of *Diabrotica balteata* by a test sample is noted when at least one of mortality and growth inhibition is higher than the untreated sample.

The following compounds resulted in at least 80% control at an application rate of A01, B1, A02, A03, A04, A05, A06, A07, A08, A09, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A27, A28, A29, A30, A31, A32, A33, A35, A36, A37, A38, A39, A40, A41, A42, A43, A44

Thrips tabaci (Onion thrips):

Sunflower leaf discs were placed on agar in 24-well microtiter plates and sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with a thrips population of mixed ages. The samples were assessed for mortality 6 days after infestation. The following compounds resulted in at least 80% control at an application rate of 200 ppm A01, B1, A02, A03, A04, A06, A07, A08, A09, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A27, A28, A29, A30, A31, A32, A33, A34, A35, A36, A37, A39, A40, A41, A42, A43, A44

Tetranychus urticae (Two-spotted spider mite):

Bean leaf discs on agar in 24-well microtiter plates were sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with a mite population of mixed ages. The samples were assessed for mortality (mobile stages) 8 days after infestation. The following compounds resulted in at least 80% control at an application rate of 200 ppm: A01, B1, A02, A03, A04, A05, A06, A07, A08, A09, A10, A11, A12, A14, A15, A16, A17, A18, A19, A20, A21, A23, A24, A25, A28, A29, A30, A31, A32, A35, A36, A39, A40, A41, A42, A44

Test to determine biological safety profile

Spodoptera littoralis (Egyptian cotton leafworm)

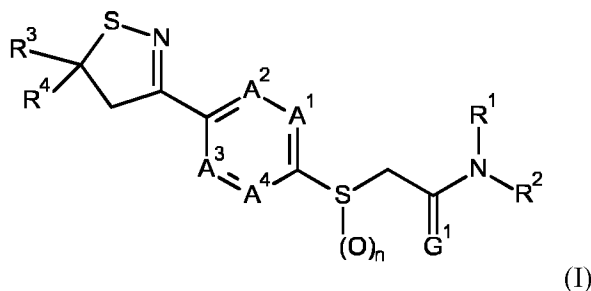
Cotton plants in the 5 leaf stage (around 5 weeks old) are treated in an automated turn table spray chamber. Plants were stored in the greenhouse at 26°C and 14h day length during the whole test period. 2, 10 and 16 days after treatment, 4 leaves from each sample were excised, placed into 14cm plastic petri dishes on wet filter paper. The infestation of 10 L-3 *Spodoptera littoralis* is made immediately afterwards. The samples were assessed for mortality, growth inhibition and anti-feedant effect after an incubation period of 6 days at 27°C (without light). Control of *Spodoptera littoralis* by a test sample is noted when at least one of mortality, growth inhibition and anti-feedant effect is higher than the untreated sample.

Tetranychus urticae (Two spotted spider mite)

Bean plants are infested with mite populations of mixed ages. 1 day after infestation, plants are treated in a spray chamber with diluted test solutions. 1 and 10 days later, samples are checked for mortality.

Claims:

1. A compound of formula I



wherein

A¹ is C-R^{5b}:

A², A³ and A⁴ are independently of one another C-H, C-R^{5a} or nitrogen;

G¹ is oxygen or sulfur;

10 n is 0, 1 or 2;

R¹ is hydrogen, C₁-C₈alkyl, C₁-C₈alkyl substituted by one to five R⁶, C₁-C₈alkoxy, C₁-C₈alkylcarbonyl or C₁-C₈alkoxycarbonyl;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₂-C₈alkenyl or C₂-C₈alkenyl substituted by one to five R⁶, C₂-C₈alkynyl or C₂-C₈alkynyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-

15 C₁₀cycloalkyl substituted by one to five R⁷, C₃-C₁₀cycloalkyl-C₁-C₄alkylene or C₃-C₁₀cycloalkyl-C₁-C₄alkylene substituted by one to five R⁷, aryl-C₁-C₄alkylene or aryl-C₁-C₄alkylene wherein the aryl moiety is substituted by one to five R⁸, heterocyclyl-C₁-C₄alkylene or heterocyclyl-C₁-C₄alkylene wherein the heterocyclyl moiety is substituted by one to five R⁸, aryl or aryl substituted by one to five R⁸, heterocyclyl or heterocyclyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄alkylene, C₁-C₆alkyl-O-N=CH- or C₁-C₆haloalkyl-O-N=CH-, C₁-C₆alkyl-O-N=CH-C₁-C₄alkylene or C₁-C₆haloalkyl-O-N=CH-C₁-C₄alkylene, cyano or C₁-C₈alkylsulfonyl;

R³ is C₁-C₈haloalkyl;

R⁴ is aryl or aryl substituted by one to five R⁹, or heteroaryl or heteroaryl substituted by one to five R⁹;

25 each R^{5a} is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₁-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkylthio, C₁-C₈haloalkylthio, C₁-C₈alkylsulfinyl, C₁-C₈haloalkylsulfinyl, C₁-C₈alkylsulfonyl- or C₁-C₈haloalkylsulfonyl-;

R^{5b} is halogen, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-

30 C₈haloalkynyl, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷,
or R^{5a} and R^{5b}, together form a -CH=CH-CH=CH- bridge or a -N=CH-CH=CH- bridge;

each R⁶ is independently halogen, cyano, nitro, hydroxy, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, mercapto, C₁-C₈alkylthio, C₁-C₈haloalkylthio, C₁-C₈alkylsulfinyl, C₁-C₈haloalkylsulfinyl, C₁-C₈alkylsulfonyl or C₁-C₈haloalkylsulfonyl;

each R⁷ is independently halogen, cyano, C₁-C₈alkyl or C₁-C₈haloalkyl;

- 5 each R⁸ and R⁹ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₃-C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy, C₁-C₈haloalkoxy, mercapto, C₁-C₈alkylthio, C₁-C₈haloalkylthio, C₁-C₈alkylsulfinyl, C₁-C₈haloalkylsulfinyl, C₁-C₈alkylsulfonyl, C₁-C₈haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, aryl or aryl substituted by one to five R¹⁰ or heterocyclyl or heterocyclyl substituted by one to five R¹⁰;
- 10 each R¹⁰ is independently halogen, cyano, nitro, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy;
- or a salt or *N*-oxide thereof.

2. A compound according to claim 1, wherein R^{5b} is bromo, chloro, or methyl.

15

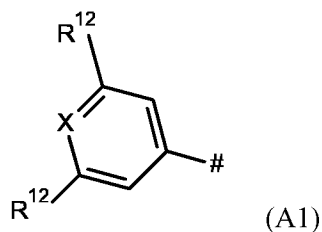
3. A compound according to claim 1 or claim 2, wherein R² is C₁-C₄alkyl or C₁-C₄alkyl substituted by one to five R⁶, C₂-C₄alkenyl or C₂-C₄alkenyl substituted by one to five R⁶, C₂-C₄alkynyl or C₂-C₄alkynyl substituted by one to five R⁶, C₃-C₆cycloalkyl or C₃-C₆cycloalkyl substituted by one to five R⁷, C₃-C₆cycloalkyl-methylene or C₃-C₆cycloalkyl-methylene substituted by one to five R⁷, phenyl-C₁-C₂alkylene or phenyl-C₁-C₂alkylene wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₂alkylene or pyridyl-C₁-C₂alkylene wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸.
- 20

- 25 4. A compound according to claim 1 or claim 2, wherein R² is C₁-C₄alkyl or C₁-C₄alkyl substituted by one to five halogen, C₃-C₆cycloalkyl or C₃-C₆cycloalkyl substituted by one to five groups independently selected from halogen, methyl and halomethyl, C₃-C₆cycloalkyl-methylene or C₃-C₆cycloalkyl-methylene substituted by one to five groups independently selected from halogen, methyl and halomethyl, C₂-C₄alkenyl or C₂-C₄alkenyl substituted by one to five halogen, C₂-C₄alkynyl or C₂-C₄alkynyl substituted by one to five halogen.
- 30

5. A compound according to any one of claims 1 to 4, wherein R³ is chlorodifluoromethyl or trifluoromethyl.

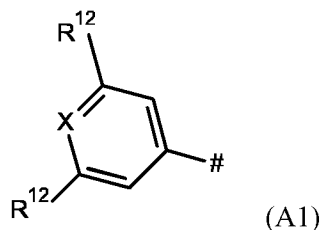
- 35 6. A compound according to any one of claims 1 to 5, wherein R⁴ is group A1

97



wherein X is C-R¹² or nitrogen and each R¹² is independently hydrogen, halogen or trihalomethyl, wherein at least two R¹² are not hydrogen.

- 5 7. A compound according to any one of claims 1 to 6, wherein A¹ is C-R^{5b}; A², A³ and A⁴ are C-H; G¹ is oxygen; R¹ is hydrogen; R² is C₁-C₄alkyl or C₁-C₄alkyl substituted by one to five halogen, C₃-C₆cycloalkyl or C₃-C₆cycloalkyl substituted by one to five groups independently selected from halogen, methyl and halomethyl, C₃-C₆cycloalkyl-methylene or C₃-C₆cycloalkyl-methylene substituted by one to five groups independently selected from halogen, methyl and halomethyl C₂-C₄alkenyl or C₂-C₄alkenyl
 10 substituted by one to five halogen, C₂-C₄alkynyl or C₂-C₄alkynyl substituted by one to five halogen; R³ is chlorodifluoromethyl or trifluoromethyl; R⁴ is group A1

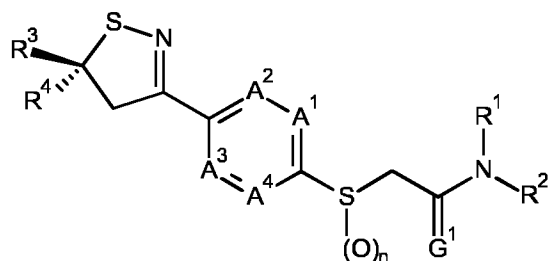


wherein X is C-R¹² or nitrogen and each R¹² is independently hydrogen, halogen or trihalomethyl, wherein at least two R¹² are not hydrogen; R^{5b} is chloro, bromo or methyl.

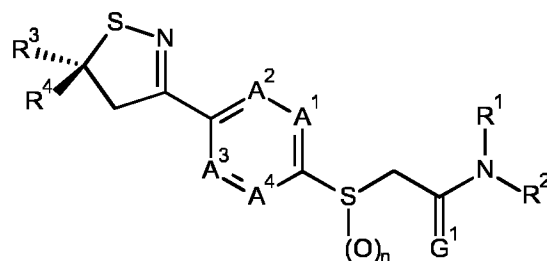
15

8. A compound according to claim 7, wherein A¹ is C-R^{5b}; A², A³ and A⁴ are C-H; G¹ is oxygen; R¹ is hydrogen; R² is ethyl, propyl, butyl, fluoroethyl, fluoropropyl, fluorobutyl, difluoroethyl, difluoropropyl, difluorobutyl, trifluoroethyl, trifluoropropyl, trifluorobutyl, cyclopropyl, cyclobutyl, cyclopropylmethylene, cyclobutylmethylene, allyl or propargyl; R³ is chlorodifluoromethyl or
 20 trifluoromethyl; R⁴ is group A1, wherein X is C-R¹² and each R¹² is independently hydrogen, halogen or trihalomethyl, wherein at least two R¹² are not hydrogen; R^{5b} is chloro, bromo or methyl.

9. A mixture comprising a compound of formula I* and a compound of formula I**



I*



I**

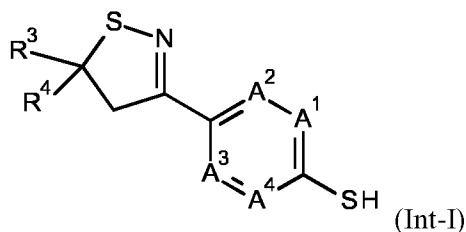
wherein A¹, A², A³, A⁴, R¹, R², R³, R⁴, G¹ and n are as defined in any one of claims 1 to 8, and wherein the molar proportion of the compound of formula I** compared to the total amount of both the compound of formula I* and I** is greater than 50%.

10. A mixture according to claim 9, wherein A¹, A², A³, A⁴, Y¹, Y², Y³, R¹, R², R³, R⁴ and n are as defined in claim 7, and wherein the molar proportion of the compound of formula I** compared to the total amount of both the compound of formula I* and I** is greater than 70%.

10

11. A mixture according to claim 9, wherein A¹, A², A³, A⁴, Y¹, Y², Y³, R¹, R², R³, R⁴ and n are as defined in claim 8, and wherein the molar proportion of the compound of formula I** compared to the total amount of both the compound of formula I* and I** is greater than 70%.

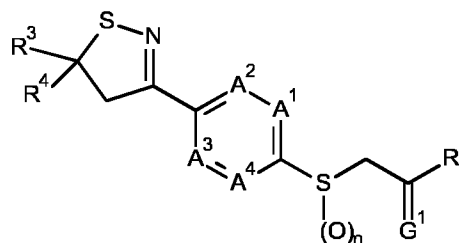
15 12. A compound of formula Int-I



(Int-I)

wherein A¹, A², A³, A⁴, R³ and R⁴ are as defined for the compound of formula I in any one of claims 1 to 8 or a salt or N-oxide thereof; or

a compound of formula Int-II

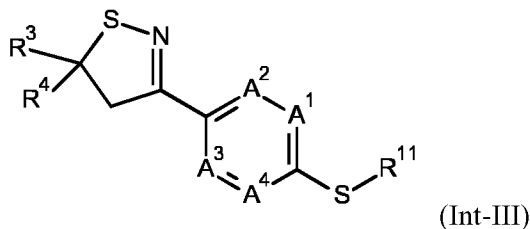


(Int-II)

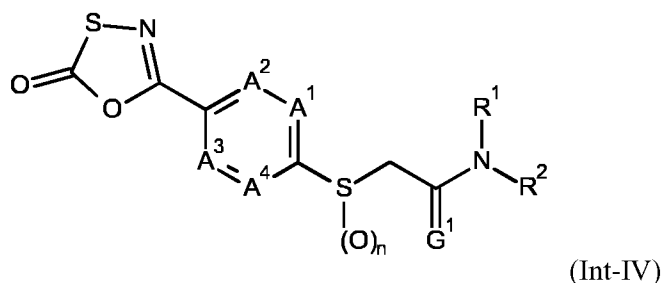
wherein A¹, A², A³, A⁴, R³, R⁴, G¹ and n are as defined for the compound of formula I in any one of claims 1 to 10, and R is OH, C₁-C₆alkoxy, Cl, F or Br or a salt or N-oxide thereof; or

a compound of formula Int-III

20

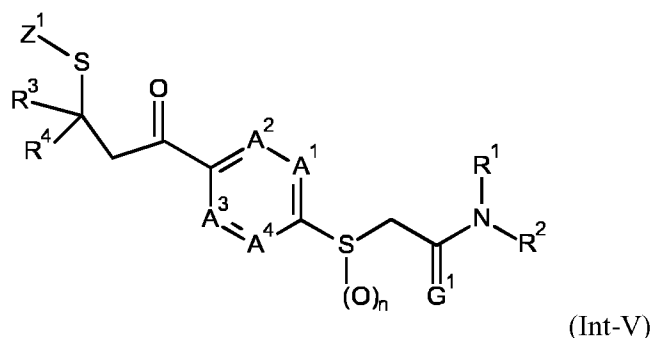


wherein A^1 , A^2 , A^3 , A^4 , R^3 and R^4 are as defined for the compound of formula I in any one of claims 1 to 8, R^{11} is C_1 - C_6 alkyl or C_1 - C_6 alkyl optionally substituted by aryl or a salt or N-oxide thereof; or
a compound of formula Int-IV



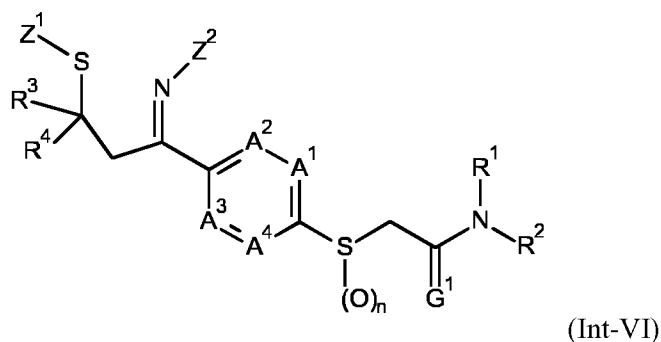
wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 and R^2 are as defined for the compound of formula I in any one of claims 1 to 8, or a salt or N-oxide thereof; or

a compound of formula Int-V



wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for the compound of formula I in any one of claims 1 to 8, Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-, C_1 - C_8 alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or N-oxide thereof; or

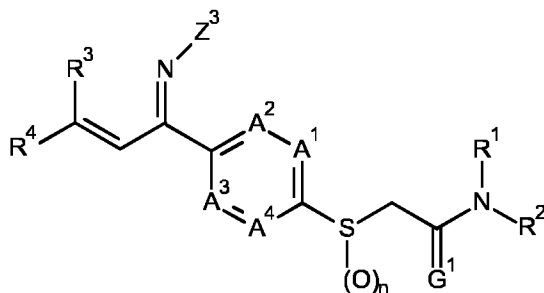
a compound of formula Int-VI



wherein A^1 , A^2 , A^3 , A^4 , G^1 , n , R^1 , R^2 , R^3 and R^4 are as defined for the compound of formula I in any one of claims 1 to 8, Z^1 is hydrogen, halogen, cyano, C_1 - C_8 alkyl, optionally substituted aryl- C_1 - C_4 alkylene-,

C₁-C₈alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio-, and Z² is hydrogen or hydroxyl or C₁-C₈alkoxy- or C₁-C₈alkylsulfonyloxy- or arylsulfonyloxy- or aryl-C₁-C₄alkylene- or aryl or a salt or N-oxide thereof; or

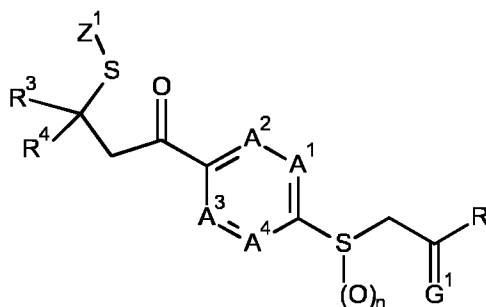
a compound of formula Int-VII



(Int-VII)

wherein A¹, A², A³, A⁴, G¹, n, R¹, R², R³ and R⁴ are as defined for the compound of formula I in any one of claims 1 to 8, and Z³ is thiol or optionally substituted aryl-C₁-C₈alkylsulfinyl- or a salt or N-oxide thereof; or

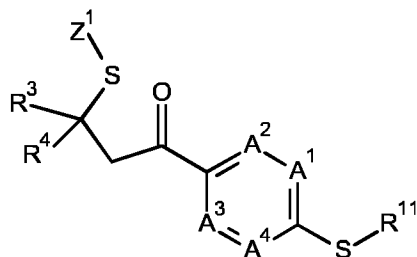
a compound of formula Int-VIII



(Int-VIII)

wherein A¹, A², A³, A⁴, R³, R⁴, n, G¹ and n are as defined for the compound of formula I in any one of claims 1 to 8, and R is OH, C₁-C₆alkoxy, Cl, F or Br or a salt or N-oxide thereof; or

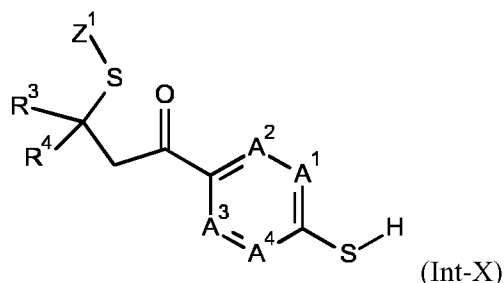
a compound of formula Int-IX



(Int-IX)

wherein A¹, A², A³, A⁴, R³ and R⁴ are as defined for the compound of formula I in any one of claims 1 to 10, R¹¹ is C₁-C₆alkyl or C₁-C₆alkyl optionally substituted by aryl and Z¹ is hydrogen, halogen, cyano, C₁-C₈alkyl, optionally substituted aryl-C₁-C₄alkylene-, C₁-C₈alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or N-oxide thereof; or

a compound of formula Int-X



wherein A¹, A², A³, A⁴, R³ and R⁴ are as defined for the compound of formula I in any one of claims 1 to 8 and Z¹ is hydrogen, halogen, cyano, C₁-C₈alkyl, optionally substituted aryl-C₁-C₄alkylene-, C₁-C₈alkylcarbonyl-, optionally substituted arylsulfonyl- or optionally substituted arylthio- or a salt or N-oxide thereof.

12. An insecticidal, acaricidal, nematocidal or molluscicidal composition comprising an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I) as defined in any one of claims 1 to 11 and optionally at least one additional compound having biological activity.

13. A combination product comprising a pesticidally effective amount of a component A and a pesticidally effective amount of component B, wherein component A is a compound of formula (I) as defined in any one of claims 1 to 11, and component B is at least one compound selected from the group consisting of imidacloprid, enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, fipronil, ivermectin, omeprazole, tiamulin, benazepril, milbemycin, cyromazine, thiamethoxam, pyriprole, deltamethrin, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, metaflumizone, moxidectin, methoprene (including S-methoprene), clorsulon, pyrantel, amitraz, triclabendazole, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, nemadectin, albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, tetramisole, levamisole, pyrantel pamoate, oxantel, morantel, triclabendazole, epsiprantel, fipronil, lufenuron, ecdysone and tebufenozide.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2013/074394

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D249/08 A01N43/80 C07D275/02 C07D291/04
ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D A01N

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

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

EPO-Internal, WPI Data, BIOSIS, CHEM ABS Data, COMPENDEX, EMBASE, INSPEC

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 1 731 512 A1 (NISSAN CHEMICAL IND LTD [JP]) 13 December 2006 (2006-12-13) claim 1	12,14
X	EP 1 878 730 A1 (BAYER SCHERING PHARMA AG [DE]) 16 January 2008 (2008-01-16) claim 1	12,14
X,P	WO 2012/156400 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH]; EL QACEMI) 22 November 2012 (2012-11-22) claim 1	1-14
X,P	WO 2013/037626 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH]; EL QACEMI) 21 March 2013 (2013-03-21) claim 1	1-14



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

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

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

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

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

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

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

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

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

"&" document member of the same patent family

Date of the actual completion of the international search

14 January 2014

Date of mailing of the international search report

27/01/2014

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

Bareyt, Sébastien

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2013/074394

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 1731512	A1	13-12-2006	
		AU 2005219788 A1	15-09-2005
		AU 2010212450 A1	09-09-2010
		BR PI0508140 A	24-07-2007
		CA 2558848 A1	15-09-2005
		CN 101768129 A	07-07-2010
		CN 102532048 A	04-07-2012
		CN 102558082 A	11-07-2012
		EA 200601639 A1	27-02-2007
		EA 200900197 A1	30-06-2009
		EP 1731512 A1	13-12-2006
		IL 177949 A	28-02-2013
		JP 4883296 B2	22-02-2012
		JP 5321856 B2	23-10-2013
		JP 2012006944 A	12-01-2012
		KR 20070010145 A	22-01-2007
		KR 20110132638 A	08-12-2011
		TW I355896 B	11-01-2012
		US 2007066617 A1	22-03-2007
		US 2009312330 A1	17-12-2009
		US 2011251398 A1	13-10-2011
		US 2012172615 A1	05-07-2012
		US 2013296559 A1	07-11-2013
		WO 2005085216 A1	15-09-2005
EP 1878730	A1	16-01-2008	
		AR 061883 A1	01-10-2008
		CA 2657336 A1	17-01-2008
		CL 20182007 A1	09-05-2008
		EP 1878730 A1	16-01-2008
		EP 2046764 A1	15-04-2009
		JP 2009542752 A	03-12-2009
		TW 200812985 A	16-03-2008
		US 2008027059 A1	31-01-2008
		UY 30481 A1	29-02-2008
		WO 2008006561 A1	17-01-2008
WO 2012156400	A1	22-11-2012	
		AU 2012257690 A1	21-11-2013
		UY 34075 A	03-01-2013
		WO 2012156400 A1	22-11-2012
WO 2013037626	A1	21-03-2013	
		UY 34318 A	30-04-2013
		WO 2013037626 A1	21-03-2013