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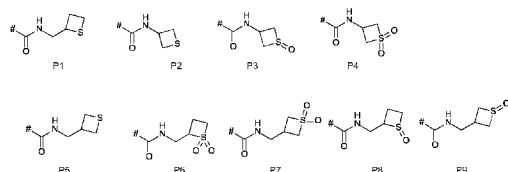
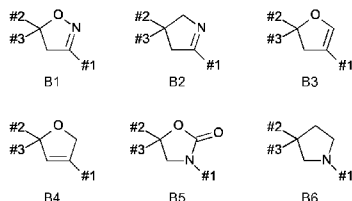
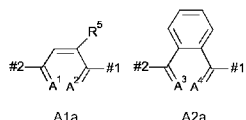
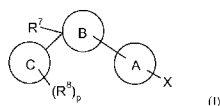
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(54) Title: METHODS OF CONTROLLING INSECTS



(57) Abstract: The present invention provides methods comprising applying to a crop of cotton plants, the locus thereof, or propagation material thereof, a compound of formula I wherein cycle A is A1a or A2a wherein A¹, A², A³ and A⁴ are independently C-H, or nitrogen and wherein #1 indicates the bond to X and #2 indicates the bond to cycle B; cycle B is selected from B1 to B6 wherein #1 indicates the bond to cycle A, #2 indicates the bond to R⁷ and #3 indicates the bond to cycle C; cycle C is phenyl; R⁵ is chloro, bromo, CF₃ or methyl; R⁷ is chlorodifluoromethyl or trifluoromethyl; each R⁸ is independently bromo, chloro, fluoro or trifluoromethyl; p is 1, 2 or 3; and X is selected from P1 to P9. The methods are preferably for controlling and/or preventing infestation of insects the family *Curculionidae*, in particular *Anthonomus grandis*.



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METHODS OF CONTROLLING INSECTS

The present invention relates to a method of controlling insects, in particular insects of the family *Curculionidae*, and in particular in cotton.

Insects of the *Curculionidae* family (commonly known as weevils) are almost entirely plant feeders. Several members of this family, in particular members of the genus *Anthonomus*, are known to be important agronomic pests in a variety of commercially important flowering crops and flowering ornamental plants. Weevils are known to attack, *inter alia*, cotton, apple, hazelnut, oil seed rape, strawberry and pepper crops.

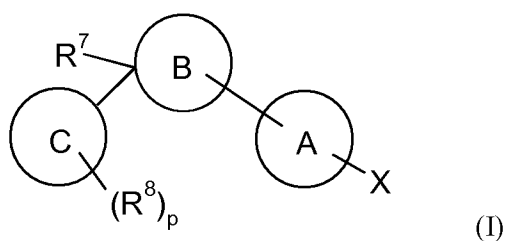
Benchmark active ingredients which have so far been used to control members of the *Curculionidae*, in particular *Anthonomus*, suffer several disadvantages. One of these, endosulfan, has been banned in over 80 countries due to its threat to human health and the environment. Pyrethroids such as cyfluthrin and betacyfluthrin are less effective now due to the appearance of resistance. Thus, there is a clear need to find insecticides which can be used to control *Curculionidae*, in particular *Anthonomus*.

Compounds that are insecticidally, acaricidally, nematocidally and/or molluscicidally active by antagonism of the gamma-aminobutyric acid (GABA)-gated chloride channel, and which comprise a partially saturated heterocycle that is substituted by a haloalkyl substituent and one or two optionally substituted aromatic or heteroaromatic rings, represent a new class of pesticides that are described for example in Ozoe et al. Biochemical and Biophysical Research Communications, 391 (2010) 744-749. Compounds from this class are broadly described in WO 2005/085216 (EP1731512), WO 2007/123853, WO 2007/075459, WO2009/002809, WO 2008/019760, WO 2008/122375, WO 2008/128711, WO 2009/097992, WO 2010/072781, WO 2010/072781, WO 2008/126665, WO 2007/125984, WO 2008/130651, JP 2008110971, JP2008133273, JP2009108046, WO2009/022746, WO 2009/022746, WO 2010/032437, WO2009/080250, WO2010/020521, WO2010/025998, WO2010/020522, WO2010/084067, WO2010/086225, WO2010/149506 and WO2010/108733.

It has now surprisingly been found that particular insecticides from this new class of gamma-aminobutyric acid (GABA)-gated chloride channel antagonists (disclosed in e.g. WO2009/080250, WO2010/020522, WO2010/149506, WO2011/101229 and WO2012/045700) are highly effective at controlling *Anthonomus*. The compounds of interest include a thietane amide derivative in which the thietane carbon ring members are unsubstituted.

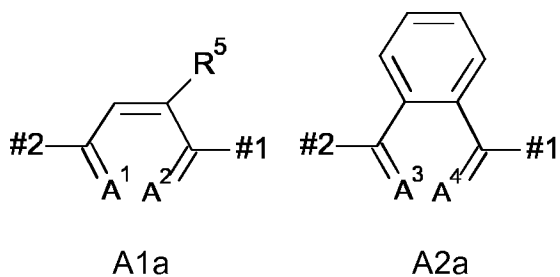
These compounds therefore represent an important new solution for safeguarding crops of useful plants, particularly cotton crops, from insects from the family *Curculionidae*, particularly where the insects are resistant to current methods.

In a first aspect the invention provides a method comprising applying to a crop of cotton plants, the locus thereof, or propagation material thereof, a compound of formula I



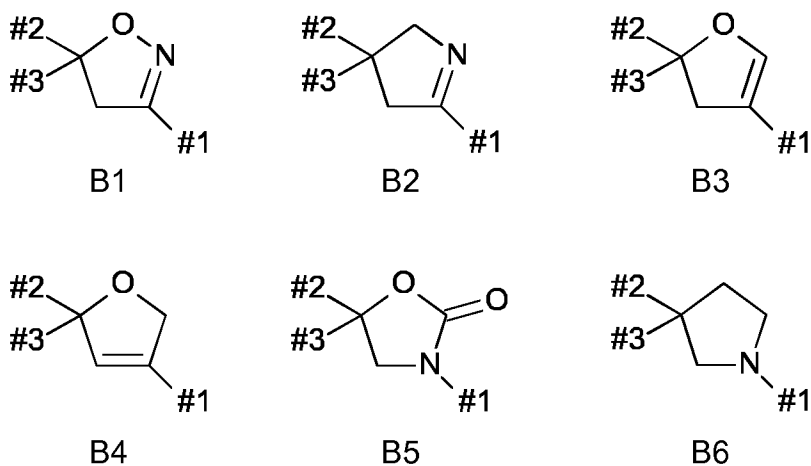
wherein

cycle A is A1a or A2a



wherein A¹, A², A³ and A⁴ are independently C-H, or nitrogen and wherein #1 indicates the bond to X and #2 indicates the bond to cycle B;

cycle B is selected from B1 to B6



wherein #1 indicates the bond to cycle A, #2 indicates the bond to R⁷ and #3 indicates the bond to cycle C;

cycle C is phenyl;

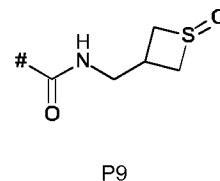
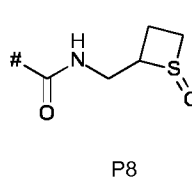
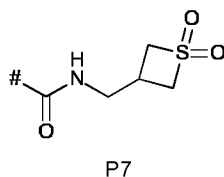
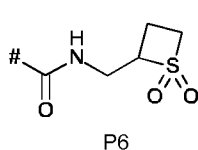
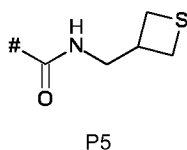
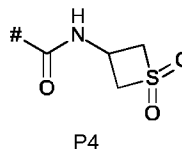
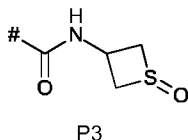
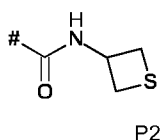
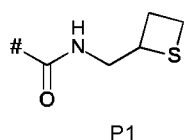
R⁵ is chloro, bromo, CF₃ or methyl;

R⁷ is chlorodifluoromethyl or trifluoromethyl;

each R⁸ is independently bromo, chloro, fluoro or trifluoromethyl;

p is 1, 2 or 3; and

and X is selected from P1 to P9



In a further aspect the invention provides a method of controlling and/or preventing infestation of insects of the family *Curculionidae* in cotton comprising applying to a crop of cotton plants, the locus thereof, or propagation material thereof, a compound that of formula I. The insects of the family *Curculionidae* may be those that are resistant to one or more other insecticides.

In a further aspect the invention provides a method of controlling and/or preventing infestation of insects of the family *Curculionidae* in a crop of useful plants comprising applying to a crop of useful plants, the locus thereof, or propagation material thereof, a compound of formula I. The insects of the family *Curculionidae* may be those that are resistant to one or more other insecticides.

In a further aspect the invention provides use of a compound of formula I for control of insects of the family *Curculionidae* in useful plants. The use may be for controlling *Curculionidae* that are resistant to one or more other insecticides.

In a further aspect the invention provides a method of controlling and/or preventing infestation of *Anthonomus grandis* in a crop of useful plants comprising applying to a crop of useful plants, the locus thereof, or propagation material thereof, a compound of formula I. The *Anthonomus grandis* may be those that are resistant to one or more other insecticides.

In a further aspect the invention provides use of a compound of formula I for control of *Anthonomus grandis* in useful plants. The use may be for controlling *Anthonomus grandis* that are resistant to one or more other insecticides.

In a further aspect the invention provides a method of controlling and/or preventing infestation of *Anthonomus grandis* in a crop of cotton comprising applying to a crop of cotton, the locus thereof, or propagation material thereof, a compound of formula I. The *Anthonomus grandis* may be those that are resistant to one or more other insecticides.

In a further aspect the invention provides use of a compound of formula I for control of *Anthonomus grandis* in cotton. The use may be for controlling *Anthonomus grandis* that are resistant to one or more other insecticides.

Protection of a crop in a plot can be achieved by applying one or more pesticides to only a designated area of the plot. The designated part of the plot is usually the outer most part of the plot, and is generally a border area of sufficient size that penetration of the insects/pests is prevented to the

remaining part of the plot. The benefit of spraying the border areas of the plot include a greater ability to control pest infestation because said insects/pests predominantly approach the plot from the periphery of the plot (i.e from the sides of the plot). There is also the benefit that a lower volume of active ingredient could be applied whilst still controlling the insects/pests pressure for the entire plot. Therefore, the designated plot part forms an outer border of the plot, which can uniformly encompass the remaining plot, but embodiments wherein the border is not uniform and wherein the border doesn't completely encompass the remaining plot is also envisaged provided the said insects/pests are controlled to an acceptable level in the plot. Further, the designated plot part does not have to form the outer most part of the plot, but that is preferred to minimize the crop damage by the said insects/pests. The area of the designated plot is of such size that acceptable control of the insects/pests is achieved. A skilled person would understand that a plot containing a crop would be applied with a number of pesticides during its growth. The application of the pesticides to a designated plot can be applicable to all pesticide application, but would be more appropriate to those pesticides controlling those insects/pests that predominantly approach the plot from the periphery of the plot; accordingly, the remaining pesticides would be applied as normal, for example, throughout the plot. For example, pesticide A is for control of insects/pests that approach the plot from the periphery of the plot while pesticide B is broad spectrum fungicide; therefore, pesticide A & B, either simultaneously or in any sequence, would be applied to the designated plot part (i.e a border region), while pesticide B would be applied throughout the plot.

Accordingly, in a further aspect, the invention provides a method of protecting a plot of at least five hectares comprising a crop of useful plants, preferably cotton, susceptible to and/or under attack by insects/pests, preferably of the family *Curculionidae*, said method comprising applying to said plant and/or said insects/pests a compound of formula I in a pre-defined plot part that is between 0.5 to 20%, based on area, of the plot, provided said pre-defined plot part forms the outer border of the plot, wherein said insects/pests predominantly approach the plot from the periphery of the plot.

In a preferred embodiment, the pre-defined plot part that is applied with a compound of formula I is between 1 to 20%, based on area, of the plot, especially 5 to 15%. In an embodiment, the plot is between 5 to 10,000, such as at most 50 to 5,000, especially 100 to 1,000, particularly 150 to 500, hectares.

In a further aspect the invention provides a method for obtaining regulatory approval for the use of one or more of a compound of formula I to control, preferably in cotton, an insect of the family *Curculionidae*, in particular the species *Anthonomus grandis*, *Conotrachelus nenuphar*, *Ceutorhynchus* spp., or *Curculio nucum*, preferably *Anthonomus grandis*, comprising at least one step of referring to, submitting or relying on biological data showing that said active ingredient reduces insect pressure.

The compounds of the invention 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, at the C(#2)#3 group, and may exist as enantiomers (or as pairs of diastereoisomers) or as mixtures of such. Reference to compounds of the invention also includes reference to salts and N-oxides.

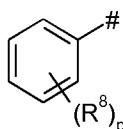
Preferred values of cycle A, cycle B, cycle C, X, p, A¹, A², A³, A⁴, R⁵, R⁷ and R⁸ in compounds
5 of formula I are, in any combination, as set out below.

Preferably cycle A is A1a.

Preferably cycle B is a cycle selected from cycle B1, B2 and B3, more preferably cycle B1 or B2, most preferably cycle B1.

In one group of compounds cycle B is B1. In another group of compounds cycle B is B2. In
10 another group of compounds cycle B is B3. In another group of compounds cycle B is B4. In another group of compounds cycle B is B5. In another group of compounds cycle B is B6.

Preferably cycle C is cycle C1



C1

More preferably cycle C is 3,5-dichlorophenyl-, 3-chloro-4-fluorophenyl-, 3-fluoro-4-
15 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-, more preferably 3-chloro-5-bromophenyl-, 3-
20 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-, most preferably 3,5-dichloro-phenyl.

Preferably A¹ is C-H or C-R⁵, most preferably A¹ is C-H.

25 Preferably A² is C-H or C-R⁵, most preferably A² is C-H.

Preferably A³ is C-H or C-R⁵, most preferably A³ is C-H.

Preferably A⁴ is C-H or C-R⁵, most preferably A⁴ is C-H.

Preferably no more than one of A¹ and A² is nitrogen. Preferably no more than one of A³ and A⁴ is nitrogen. Preferably A¹ and A² are both C-H. Preferably both A³ and A⁴ are C-H

30 Preferably R⁵ is methyl or chloro, most preferably methyl.

Preferably R⁷ is trifluoromethyl.

Preferably each R⁸ is independently fluoro, bromo or chloro.

Preferably p is 2 or 3, most preferably 2.

Preferably X is P2, P3 or P4.

35 In one group of compounds cycle A is A1a, cycle B is B1, B2 or B3, cycle C is phenyl.

In one group of compounds cycle A is A1a, cycle B is B1, B2 or B3, cycle C is phenyl, R⁷ is trifluoromethyl.

In one group of compounds cycle A is A1a, cycle B is B1, B2 or B3, cycle C is phenyl, R⁷ is trifluoromethyl and X is P2, P3 or P4.

5 In one group of compounds cycle A is A1a, cycle B is B1, cycle C is phenyl, R⁷ is trifluoromethyl and X is P2, P3 or P4.

In one group of compounds cycle A is A1a, cycle B is B2, cycle C is phenyl, R⁷ is trifluoromethyl and X is P2, P3 or P4.

In one group of compounds cycle A is A1a, cycle B is B3, cycle C is phenyl, R⁷ is trifluoromethyl
10 and X is P2, P3 or P4.

The following tables illustrate specific compounds of the invention:

Table 1

Table 1 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 2

Table 2 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 3

Table 3 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 4

Table 4 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 5

Table 5 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 6

Table 6 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B1 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 7

Table 7 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 8

Table 8 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 9

Table 9 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 10

Table 10 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 11

Table 11 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 12

Table 12 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B2 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 13

Table 13 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 14

Table 14 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 15

Table 15 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 16

Table 16 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 17

Table 17 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 18

Table 18 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B3 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 19

Table 19 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 20

Table 20 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 21

Table 21 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 22

Table 22 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 23

Table 23 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 24

Table 24 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B4 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 25

Table 25 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 26

Table 26 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 27

Table 27 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 28

Table 28 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 29

Table 29 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 30

Table 30 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B5 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 31

Table 31 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-CH, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 32

Table 32 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-CH, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 33

Table 33 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are N-CH, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 34

Table 34 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are N-CH, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 35

Table 35 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is methyl, A1 and A2 are CH-N, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 36

Table 36 provides 338 compounds of Formula I wherein cycle A is cycle A1a, R5 is chloro, A1 and A2 are CH-N, Cycle B is B6 and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 37

Table 37 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B1, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 38

Table 38 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B1, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 39

Table 39 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B1, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 40

Table 40 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B2, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 41

Table 41 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B2, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 42

Table 42 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B2, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 43

Table 43 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B3, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 44

Table 44 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B3, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 45

Table 45 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B3, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 46

Table 46 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B4, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 47

Table 47 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B4, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 48

Table 48 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B4, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 49

Table 49 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B5, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 50

Table 50 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B5, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 51

Table 51 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B5, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 52

Table 52 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-CH, Cycle B is B6, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 53

Table 53 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are N-CH, Cycle B is B6, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table 54

Table 54 provides 338 compounds of Formula I wherein cycle A is cycle A2a, A3 and A4 are CH-N, Cycle B is B6, and R7 is trifluoromethyl, and cycle C and X are as defined in Table P.

Table P

	Cycle C	X	Stereochemistry
1	3,5-dichloro-phenyl-	P1	I**
2	3,4,5-trichloro-phenyl-	P1	I**
3	3,5-dichloro-4-fluoro-phenyl-	P1	I**
4	3-chloro-4-fluoro-phenyl-	P1	I**
5	3,4-dichloro-phenyl-	P1	I**
6	3,5-dichloro-4-bromo-phenyl-	P1	I**
7	3,4,5-trifluoro-phenyl-	P1	I**
8	3-chloro-5-bromo-phenyl-	P1	I**
9	3-chloro-5-trifluoromethyl-phenyl-	P1	I**
10	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P1	I**
11	3,5-di-trifluoromethyl-phenyl-	P1	I**
12	3,5-di-trifluoromethyl-4-chloro-phenyl-	P1	I**
13	3-trifluoromethyl-phenyl-	P1	I**
14	3,5-dichloro-phenyl-	P2	I**
15	3,4,5-trichloro-phenyl-	P2	I**
16	3,5-dichloro-4-fluoro-phenyl-	P2	I**
17	3-chloro-4-fluoro-phenyl-	P2	I**
18	3,4-dichloro-phenyl-	P2	I**
19	3,5-dichloro-4-bromo-phenyl-	P2	I**
20	3,4,5-trifluoro-phenyl-	P2	I**
21	3-chloro-5-bromo-phenyl-	P2	I**
22	3-chloro-5-trifluoromethyl-phenyl-	P2	I**

23	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P2	I**
24	3,5-di-trifluoromethyl-phenyl-	P2	I**
25	3,5-di-trifluoromethyl-4-chloro-phenyl-	P2	I**
26	3-trifluoromethyl-phenyl-	P2	I**
27	3,5-dichloro-phenyl-	P3	I**
28	3,4,5-trichloro-phenyl-	P3	I**
29	3,5-dichloro-4-fluoro-phenyl-	P3	I**
30	3-chloro-4-fluoro-phenyl-	P3	I**
31	3,4-dichloro-phenyl-	P3	I**
32	3,5-dichloro-4-bromo-phenyl-	P3	I**
33	3,4,5-trifluoro-phenyl-	P3	I**
34	3-chloro-5-bromo-phenyl-	P3	I**
35	3-chloro-5-trifluoromethyl-phenyl-	P3	I**
36	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3	I**
37	3,5-di-trifluoromethyl-phenyl-	P3	I**
38	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3	I**
39	3-trifluoromethyl-phenyl-	P3	I**
40	3,5-dichloro-phenyl-	P3 (cis)	I**
41	3,4,5-trichloro-phenyl-	P3 (cis)	I**
42	3,5-dichloro-4-fluoro-phenyl-	P3 (cis)	I**
43	3-chloro-4-fluoro-phenyl-	P3 (cis)	I**
44	3,4-dichloro-phenyl-	P3 (cis)	I**
45	3,5-dichloro-4-bromo-phenyl-	P3 (cis)	I**
46	3,4,5-trifluoro-phenyl-	P3 (cis)	I**
47	3-chloro-5-bromo-phenyl-	P3 (cis)	I**
48	3-chloro-5-trifluoromethyl-phenyl-	P3 (cis)	I**
49	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3 (cis)	I**
50	3,5-di-trifluoromethyl-phenyl-	P3 (cis)	I**
51	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3 (cis)	I**
52	3-trifluoromethyl-phenyl-	P3 (cis)	I**
53	3,5-dichloro-phenyl-	P3 (trans)	I**
54	3,4,5-trichloro-phenyl-	P3 (trans)	I**
55	3,5-dichloro-4-fluoro-phenyl-	P3 (trans)	I**
56	3-chloro-4-fluoro-phenyl-	P3 (trans)	I**
57	3,4-dichloro-phenyl-	P3 (trans)	I**
58	3,5-dichloro-4-bromo-phenyl-	P3 (trans)	I**
59	3,4,5-trifluoro-phenyl-	P3 (trans)	I**
60	3-chloro-5-bromo-phenyl-	P3 (trans)	I**
61	3-chloro-5-trifluoromethyl-phenyl-	P3 (trans)	I**
62	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3 (trans)	I**
63	3,5-di-trifluoromethyl-phenyl-	P3 (trans)	I**
64	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3 (trans)	I**
65	3-trifluoromethyl-phenyl-	P3 (trans)	I**
66	3,5-dichloro-phenyl-	P4	I**
67	3,4,5-trichloro-phenyl-	P4	I**
68	3,5-dichloro-4-fluoro-phenyl-	P4	I**

69	3-chloro-4-fluoro-phenyl-	P4	I**
70	3,4-dichloro-phenyl-	P4	I**
71	3,5-dichloro-4-bromo-phenyl-	P4	I**
72	3,4,5-trifluoro-phenyl-	P4	I**
73	3-chloro-5-bromo-phenyl-	P4	I**
74	3-chloro-5-trifluoromethyl-phenyl-	P4	I**
75	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P4	I**
76	3,5-di-trifluoromethyl-phenyl-	P4	I**
77	3,5-di-trifluoromethyl-4-chloro-phenyl-	P4	I**
78	3-trifluoromethyl-phenyl-	P4	I**
79	3,5-dichloro-phenyl-	P5	I**
80	3,4,5-trichloro-phenyl-	P5	I**
81	3,5-dichloro-4-fluoro-phenyl-	P5	I**
82	3-chloro-4-fluoro-phenyl-	P5	I**
83	3,4-dichloro-phenyl-	P5	I**
84	3,5-dichloro-4-bromo-phenyl-	P5	I**
85	3,4,5-trifluoro-phenyl-	P5	I**
86	3-chloro-5-bromo-phenyl-	P5	I**
87	3-chloro-5-trifluoromethyl-phenyl-	P5	I**
88	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P5	I**
89	3,5-di-trifluoromethyl-phenyl-	P5	I**
90	3,5-di-trifluoromethyl-4-chloro-phenyl-	P5	I**
91	3-trifluoromethyl-phenyl-	P5	I**
92	3,5-dichloro-phenyl-	P6	I**
93	3,4,5-trichloro-phenyl-	P6	I**
94	3,5-dichloro-4-fluoro-phenyl-	P6	I**
95	3-chloro-4-fluoro-phenyl-	P6	I**
96	3,4-dichloro-phenyl-	P6	I**
97	3,5-dichloro-4-bromo-phenyl-	P6	I**
98	3,4,5-trifluoro-phenyl-	P6	I**
99	3-chloro-5-bromo-phenyl-	P6	I**
100	3-chloro-5-trifluoromethyl-phenyl-	P6	I**
101	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P6	I**
102	3,5-di-trifluoromethyl-phenyl-	P6	I**
103	3,5-di-trifluoromethyl-4-chloro-phenyl-	P6	I**
104	3-trifluoromethyl-phenyl-	P6	I**
105	3,5-dichloro-phenyl-	P7	I**
106	3,4,5-trichloro-phenyl-	P7	I**
107	3,5-dichloro-4-fluoro-phenyl-	P7	I**
108	3-chloro-4-fluoro-phenyl-	P7	I**
109	3,4-dichloro-phenyl-	P7	I**
110	3,5-dichloro-4-bromo-phenyl-	P7	I**
111	3,4,5-trifluoro-phenyl-	P7	I**
112	3-chloro-5-bromo-phenyl-	P7	I**
113	3-chloro-5-trifluoromethyl-phenyl-	P7	I**
114	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P7	I**

115	3,5-di-trifluoromethyl-phenyl-	P7	I**
116	3,5-di-trifluoromethyl-4-chloro-phenyl-	P7	I**
117	3-trifluoromethyl-phenyl-	P7	I**
118	3,5-dichloro-phenyl-	P8	I**
119	3,4,5-trichloro-phenyl-	P8	I**
120	3,5-dichloro-4-fluoro-phenyl-	P8	I**
121	3-chloro-4-fluoro-phenyl-	P8	I**
122	3,4-dichloro-phenyl-	P8	I**
123	3,5-dichloro-4-bromo-phenyl-	P8	I**
124	3,4,5-trifluoro-phenyl-	P8	I**
125	3-chloro-5-bromo-phenyl-	P8	I**
126	3-chloro-5-trifluoromethyl-phenyl-	P8	I**
127	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P8	I**
128	3,5-di-trifluoromethyl-phenyl-	P8	I**
129	3,5-di-trifluoromethyl-4-chloro-phenyl-	P8	I**
130	3-trifluoromethyl-phenyl-	P8	I**
131	3,5-dichloro-phenyl-	P9	I**
132	3,4,5-trichloro-phenyl-	P9	I**
133	3,5-dichloro-4-fluoro-phenyl-	P9	I**
134	3-chloro-4-fluoro-phenyl-	P9	I**
135	3,4-dichloro-phenyl-	P9	I**
136	3,5-dichloro-4-bromo-phenyl-	P9	I**
137	3,4,5-trifluoro-phenyl-	P9	I**
138	3-chloro-5-bromo-phenyl-	P9	I**
139	3-chloro-5-trifluoromethyl-phenyl-	P9	I**
140	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9	I**
141	3,5-di-trifluoromethyl-phenyl-	P9	I**
142	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9	I**
143	3-trifluoromethyl-phenyl-	P9	I**
144	3,5-dichloro-phenyl-	P9 (cis)	I**
145	3,4,5-trichloro-phenyl-	P9 (cis)	I**
146	3,5-dichloro-4-fluoro-phenyl-	P9 (cis)	I**
147	3-chloro-4-fluoro-phenyl-	P9 (cis)	I**
148	3,4-dichloro-phenyl-	P9 (cis)	I**
149	3,5-dichloro-4-bromo-phenyl-	P9 (cis)	I**
150	3,4,5-trifluoro-phenyl-	P9 (cis)	I**
151	3-chloro-5-bromo-phenyl-	P9 (cis)	I**
152	3-chloro-5-trifluoromethyl-phenyl-	P9 (cis)	I**
153	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9 (cis)	I**
154	3,5-di-trifluoromethyl-phenyl-	P9 (cis)	I**
155	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9 (cis)	I**
156	3-trifluoromethyl-phenyl-	P9 (cis)	I**
157	3,5-dichloro-phenyl-	P9 (trans)	I**
158	3,4,5-trichloro-phenyl-	P9 (trans)	I**
159	3,5-dichloro-4-fluoro-phenyl-	P9 (trans)	I**
160	3-chloro-4-fluoro-phenyl-	P9 (trans)	I**

161	3,4-dichloro-phenyl-	P9 (trans)	I**
162	3,5-dichloro-4-bromo-phenyl-	P9 (trans)	I**
163	3,4,5-trifluoro-phenyl-	P9 (trans)	I**
164	3-chloro-5-bromo-phenyl-	P9 (trans)	I**
165	3-chloro-5-trifluoromethyl-phenyl-	P9 (trans)	I**
166	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9 (trans)	I**
167	3,5-di-trifluoromethyl-phenyl-	P9 (trans)	I**
168	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9 (trans)	I**
169	3-trifluoromethyl-phenyl-	P9 (trans)	I**
170	3,5-dichloro-phenyl-	P1	
171	3,4,5-trichloro-phenyl-	P1	
172	3,5-dichloro-4-fluoro-phenyl-	P1	
173	3-chloro-4-fluoro-phenyl-	P1	
174	3,4-dichloro-phenyl-	P1	
175	3,5-dichloro-4-bromo-phenyl-	P1	
176	3,4,5-trifluoro-phenyl-	P1	
177	3-chloro-5-bromo-phenyl-	P1	
178	3-chloro-5-trifluoromethyl-phenyl-	P1	
179	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P1	
180	3,5-di-trifluoromethyl-phenyl-	P1	
181	3,5-di-trifluoromethyl-4-chloro-phenyl-	P1	
182	3-trifluoromethyl-phenyl-	P1	
183	3,5-dichloro-phenyl-	P2	
184	3,4,5-trichloro-phenyl-	P2	
185	3,5-dichloro-4-fluoro-phenyl-	P2	
186	3-chloro-4-fluoro-phenyl-	P2	
187	3,4-dichloro-phenyl-	P2	
188	3,5-dichloro-4-bromo-phenyl-	P2	
189	3,4,5-trifluoro-phenyl-	P2	
190	3-chloro-5-bromo-phenyl-	P2	
191	3-chloro-5-trifluoromethyl-phenyl-	P2	
192	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P2	
193	3,5-di-trifluoromethyl-phenyl-	P2	
194	3,5-di-trifluoromethyl-4-chloro-phenyl-	P2	
195	3-trifluoromethyl-phenyl-	P2	
196	3,5-dichloro-phenyl-	P3	
197	3,4,5-trichloro-phenyl-	P3	
198	3,5-dichloro-4-fluoro-phenyl-	P3	
199	3-chloro-4-fluoro-phenyl-	P3	
200	3,4-dichloro-phenyl-	P3	
201	3,5-dichloro-4-bromo-phenyl-	P3	
202	3,4,5-trifluoro-phenyl-	P3	
203	3-chloro-5-bromo-phenyl-	P3	
204	3-chloro-5-trifluoromethyl-phenyl-	P3	
205	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3	
206	3,5-di-trifluoromethyl-phenyl-	P3	

207	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3	
208	3-trifluoromethyl-phenyl-	P3	
209	3,5-dichloro-phenyl-	P3 (cis)	
210	3,4,5-trichloro-phenyl-	P3 (cis)	
211	3,5-dichloro-4-fluoro-phenyl-	P3 (cis)	
212	3-chloro-4-fluoro-phenyl-	P3 (cis)	
213	3,4-dichloro-phenyl-	P3 (cis)	
214	3,5-dichloro-4-bromo-phenyl-	P3 (cis)	
215	3,4,5-trifluoro-phenyl-	P3 (cis)	
216	3-chloro-5-bromo-phenyl-	P3 (cis)	
217	3-chloro-5-trifluoromethyl-phenyl-	P3 (cis)	
218	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3 (cis)	
219	3,5-di-trifluoromethyl-phenyl-	P3 (cis)	
220	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3 (cis)	
221	3-trifluoromethyl-phenyl-	P3 (cis)	
222	3,5-dichloro-phenyl-	P3 (trans)	
223	3,4,5-trichloro-phenyl-	P3 (trans)	
224	3,5-dichloro-4-fluoro-phenyl-	P3 (trans)	
225	3-chloro-4-fluoro-phenyl-	P3 (trans)	
226	3,4-dichloro-phenyl-	P3 (trans)	
227	3,5-dichloro-4-bromo-phenyl-	P3 (trans)	
228	3,4,5-trifluoro-phenyl-	P3 (trans)	
229	3-chloro-5-bromo-phenyl-	P3 (trans)	
230	3-chloro-5-trifluoromethyl-phenyl-	P3 (trans)	
231	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P3 (trans)	
232	3,5-di-trifluoromethyl-phenyl-	P3 (trans)	
233	3,5-di-trifluoromethyl-4-chloro-phenyl-	P3 (trans)	
234	3-trifluoromethyl-phenyl-	P3 (trans)	
235	3,5-dichloro-phenyl-	P4	
236	3,4,5-trichloro-phenyl-	P4	
237	3,5-dichloro-4-fluoro-phenyl-	P4	
238	3-chloro-4-fluoro-phenyl-	P4	
239	3,4-dichloro-phenyl-	P4	
240	3,5-dichloro-4-bromo-phenyl-	P4	
241	3,4,5-trifluoro-phenyl-	P4	
242	3-chloro-5-bromo-phenyl-	P4	
243	3-chloro-5-trifluoromethyl-phenyl-	P4	
244	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P4	
245	3,5-di-trifluoromethyl-phenyl-	P4	
246	3,5-di-trifluoromethyl-4-chloro-phenyl-	P4	
247	3-trifluoromethyl-phenyl-	P4	
248	3,5-dichloro-phenyl-	P5	
249	3,4,5-trichloro-phenyl-	P5	
250	3,5-dichloro-4-fluoro-phenyl-	P5	
251	3-chloro-4-fluoro-phenyl-	P5	
252	3,4-dichloro-phenyl-	P5	

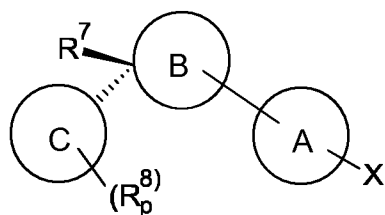
253	3,5-dichloro-4-bromo-phenyl-	P5	
254	3,4,5-trifluoro-phenyl-	P5	
255	3-chloro-5-bromo-phenyl-	P5	
256	3-chloro-5-trifluoromethyl-phenyl-	P5	
257	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P5	
258	3,5-di-trifluoromethyl-phenyl-	P5	
259	3,5-di-trifluoromethyl-4-chloro-phenyl-	P5	
260	3-trifluoromethyl-phenyl-	P5	
261	3,5-dichloro-phenyl-	P6	
262	3,4,5-trichloro-phenyl-	P6	
263	3,5-dichloro-4-fluoro-phenyl-	P6	
264	3-chloro-4-fluoro-phenyl-	P6	
265	3,4-dichloro-phenyl-	P6	
266	3,5-dichloro-4-bromo-phenyl-	P6	
267	3,4,5-trifluoro-phenyl-	P6	
268	3-chloro-5-bromo-phenyl-	P6	
269	3-chloro-5-trifluoromethyl-phenyl-	P6	
270	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P6	
271	3,5-di-trifluoromethyl-phenyl-	P6	
272	3,5-di-trifluoromethyl-4-chloro-phenyl-	P6	
273	3-trifluoromethyl-phenyl-	P6	
274	3,5-dichloro-phenyl-	P7	
275	3,4,5-trichloro-phenyl-	P7	
276	3,5-dichloro-4-fluoro-phenyl-	P7	
277	3-chloro-4-fluoro-phenyl-	P7	
278	3,4-dichloro-phenyl-	P7	
279	3,5-dichloro-4-bromo-phenyl-	P7	
280	3,4,5-trifluoro-phenyl-	P7	
281	3-chloro-5-bromo-phenyl-	P7	
282	3-chloro-5-trifluoromethyl-phenyl-	P7	
283	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P7	
284	3,5-di-trifluoromethyl-phenyl-	P7	
285	3,5-di-trifluoromethyl-4-chloro-phenyl-	P7	
286	3-trifluoromethyl-phenyl-	P7	
287	3,5-dichloro-phenyl-	P8	
288	3,4,5-trichloro-phenyl-	P8	
289	3,5-dichloro-4-fluoro-phenyl-	P8	
290	3-chloro-4-fluoro-phenyl-	P8	
291	3,4-dichloro-phenyl-	P8	
292	3,5-dichloro-4-bromo-phenyl-	P8	
293	3,4,5-trifluoro-phenyl-	P8	
294	3-chloro-5-bromo-phenyl-	P8	
295	3-chloro-5-trifluoromethyl-phenyl-	P8	
296	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P8	
297	3,5-di-trifluoromethyl-phenyl-	P8	
298	3,5-di-trifluoromethyl-4-chloro-phenyl-	P8	

299	3-trifluoromethyl-phenyl-	P8	
300	3,5-dichloro-phenyl-	P9	
301	3,4,5-trichloro-phenyl-	P9	
302	3,5-dichloro-4-fluoro-phenyl-	P9	
303	3-chloro-4-fluoro-phenyl-	P9	
304	3,4-dichloro-phenyl-	P9	
305	3,5-dichloro-4-bromo-phenyl-	P9	
306	3,4,5-trifluoro-phenyl-	P9	
307	3-chloro-5-bromo-phenyl-	P9	
308	3-chloro-5-trifluoromethyl-phenyl-	P9	
309	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9	
310	3,5-di-trifluoromethyl-phenyl-	P9	
311	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9	
312	3-trifluoromethyl-phenyl-	P9	
313	3,5-dichloro-phenyl-	P9 (cis)	
314	3,4,5-trichloro-phenyl-	P9 (cis)	
315	3,5-dichloro-4-fluoro-phenyl-	P9 (cis)	
316	3-chloro-4-fluoro-phenyl-	P9 (cis)	
317	3,4-dichloro-phenyl-	P9 (cis)	
318	3,5-dichloro-4-bromo-phenyl-	P9 (cis)	
319	3,4,5-trifluoro-phenyl-	P9 (cis)	
320	3-chloro-5-bromo-phenyl-	P9 (cis)	
321	3-chloro-5-trifluoromethyl-phenyl-	P9 (cis)	
322	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9 (cis)	
323	3,5-di-trifluoromethyl-phenyl-	P9 (cis)	
324	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9 (cis)	
325	3-trifluoromethyl-phenyl-	P9 (cis)	
326	3,5-dichloro-phenyl-	P9 (trans)	
327	3,4,5-trichloro-phenyl-	P9 (trans)	
328	3,5-dichloro-4-fluoro-phenyl-	P9 (trans)	
329	3-chloro-4-fluoro-phenyl-	P9 (trans)	
330	3,4-dichloro-phenyl-	P9 (trans)	
331	3,5-dichloro-4-bromo-phenyl-	P9 (trans)	
332	3,4,5-trifluoro-phenyl-	P9 (trans)	
333	3-chloro-5-bromo-phenyl-	P9 (trans)	
334	3-chloro-5-trifluoromethyl-phenyl-	P9 (trans)	
335	3-chloro-4-chloro-5-trifluoromethyl-phenyl-	P9 (trans)	
336	3,5-di-trifluoromethyl-phenyl-	P9 (trans)	
337	3,5-di-trifluoromethyl-4-chloro-phenyl-	P9 (trans)	
338	3-trifluoromethyl-phenyl-	P9 (trans)	

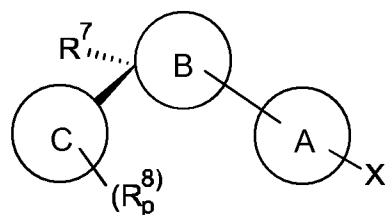
I** refers to compounds of formula I**.

In one embodiment the compound of formula I is a compound selected from Tables 1 to 54.

Compounds of formula I where cycle B is selected from B1 to B6 include at least one chiral centre and may exist as compounds of formula I* (IA*, IB*, IC*, ID*, IE*, IF*) or compounds of formula I** (IA**, IB**, IC**, ID**, IE**, IF**).

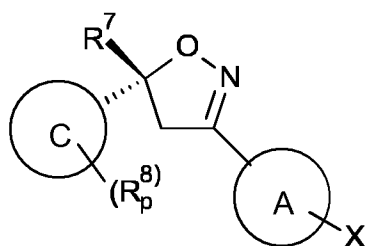


I*

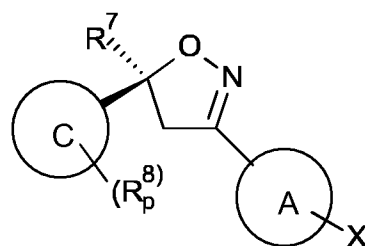


I**

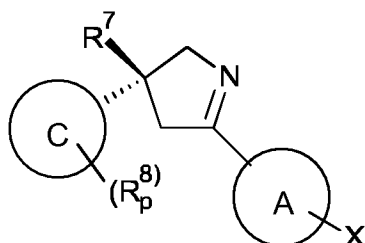
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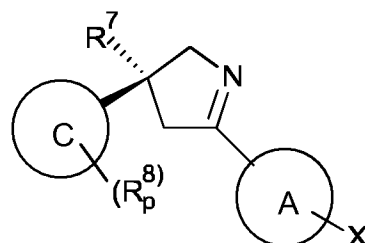
(IA*)



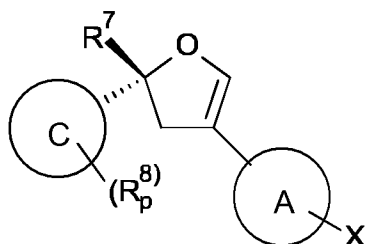
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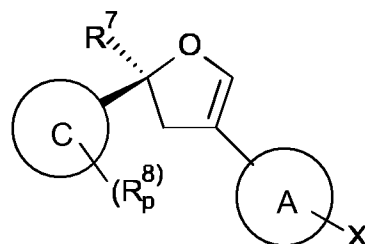
(IB*)



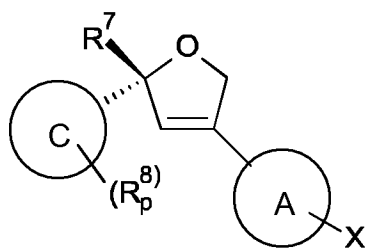
(IB**)



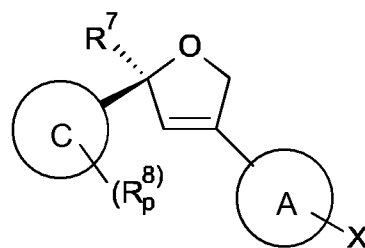
(IC*)



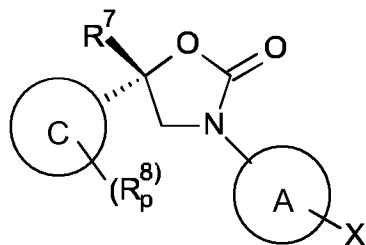
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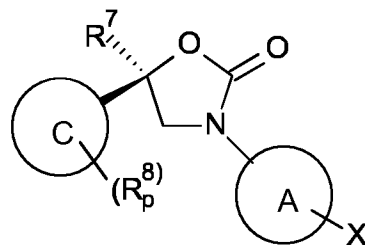
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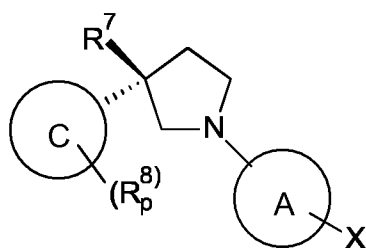
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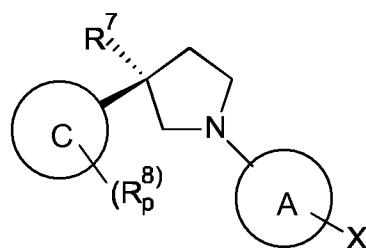
(IE*)



(IE**)



(IF*)



(IF**)

The compounds of formula I** are more biologically active than the respective 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 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%. Likewise, in enantiomerically (or epimerically) enriched mixture of formula II*, the molar proportion of the compound of formula II* compared to the total amount of both enantiomers (or epimerically) 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 54 represents a specific disclosure of the isomer according to the compound of formula I* and the isomer according to the compound of formula I**, as indicated in the Tables, as well as mixtures enriched for the compound according to the compound of

formula I*, and mixtures enriched for the compound according to the compound of formula I**, as described above.

The compounds of formula I may be prepared using the information provided in e.g. WO2009/080250, WO2010/020522, WO2010/149506, WO2011/101229 and WO2012/045700.

- 5 WO2011/104089 and WO2011/154555 describe enantioselective routes to the compounds of formula I. The compounds in Scheme 1 may be prepared according to the methods described in WO2011/104089.

Scheme 1

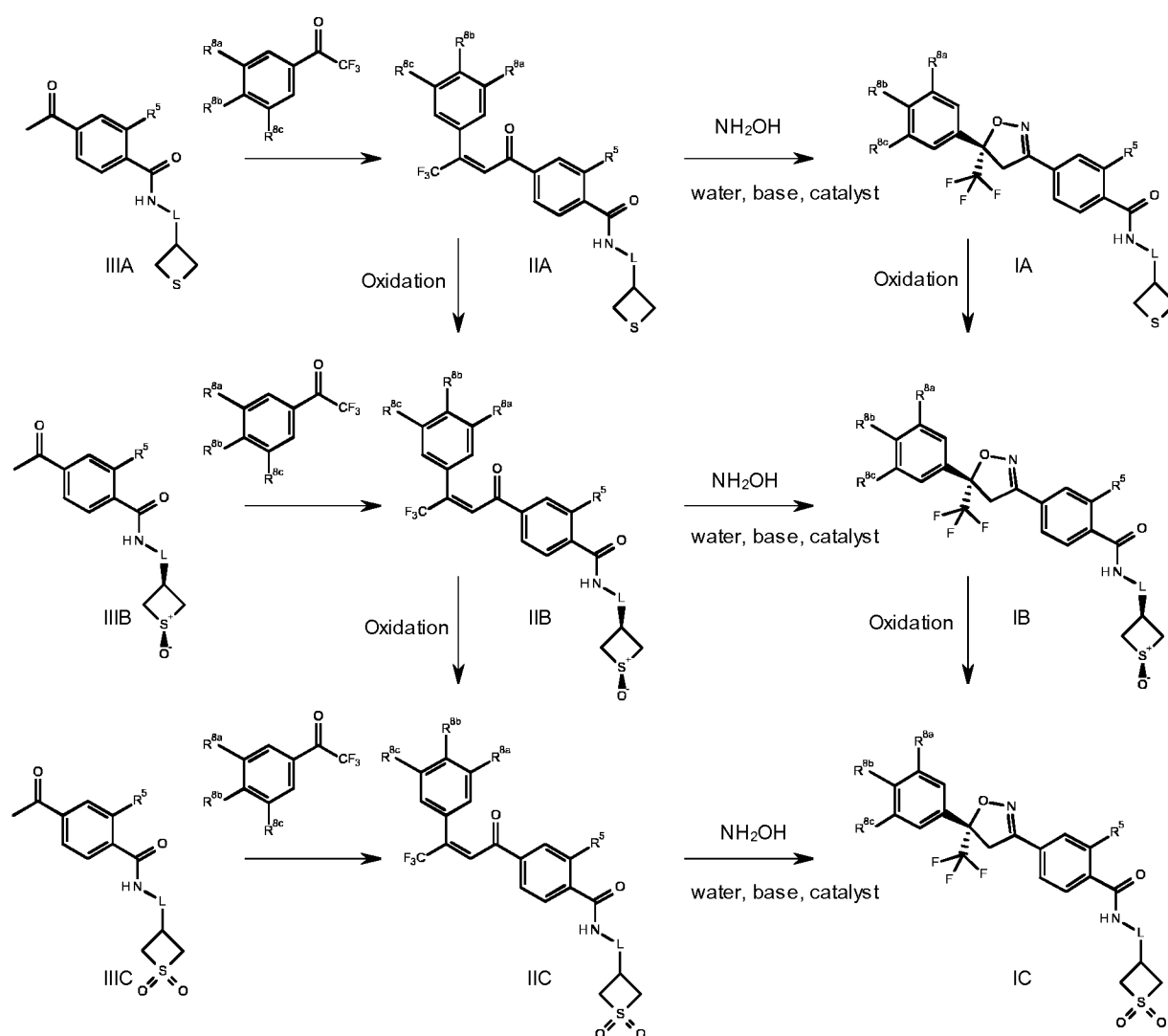


Table Q provides 792 preferred substituent combinations for the compounds illustrated in Scheme 1

10

Table Q

	R8a	R8b	R8c	R5	L		R8a	R8b	R8c	R5	L
1	Br	H	H	CH ₃	-	397	Br	H	H	CH ₃	CH ₂
2	Cl	H	H	CH ₃	-	398	Cl	H	H	CH ₃	CH ₂
3	F	H	H	CH ₃	-	399	F	H	H	CH ₃	CH ₂
4	CF ₃	H	H	CH ₃	-	400	CF ₃	H	H	CH ₃	CH ₂

5	H	Br	H	CH3	-
6	Br	Br	H	CH3	-
7	Cl	Br	H	CH3	-
8	F	Br	H	CH3	-
9	CF3	Br	H	CH3	-
10	H	Cl	H	CH3	-
11	Br	Cl	H	CH3	-
12	Cl	Cl	H	CH3	-
13	F	Cl	H	CH3	-
14	CF3	Cl	H	CH3	-
15	H	F	H	CH3	-
16	Br	F	H	CH3	-
17	Cl	F	H	CH3	-
18	F	F	H	CH3	-
19	CF3	F	H	CH3	-
20	H	H	Br	CH3	-
21	Br	H	Br	CH3	-
22	Cl	H	Br	CH3	-
23	F	H	Br	CH3	-
24	CF3	H	Br	CH3	-
25	H	Br	Br	CH3	-
26	Br	Br	Br	CH3	-
27	Cl	Br	Br	CH3	-
28	F	Br	Br	CH3	-
29	CF3	Br	Br	CH3	-
30	H	Cl	Br	CH3	-
31	Br	Cl	Br	CH3	-
32	Cl	Cl	Br	CH3	-
33	F	Cl	Br	CH3	-
34	CF3	Cl	Br	CH3	-
35	H	F	Br	CH3	-
36	Br	F	Br	CH3	-
37	Cl	F	Br	CH3	-
38	F	F	Br	CH3	-
39	CF3	F	Br	CH3	-
40	H	H	Cl	CH3	-
41	Br	H	Cl	CH3	-
42	Cl	H	Cl	CH3	-
43	F	H	Cl	CH3	-
44	CF3	H	Cl	CH3	-
45	H	Br	Cl	CH3	-
46	Br	Br	Cl	CH3	-
47	Cl	Br	Cl	CH3	-
48	F	Br	Cl	CH3	-
49	CF3	Br	Cl	CH3	-
50	H	Cl	Cl	CH3	-

401	H	Br	H	CH3	CH2
402	Br	Br	H	CH3	CH2
403	Cl	Br	H	CH3	CH2
404	F	Br	H	CH3	CH2
405	CF3	Br	H	CH3	CH2
406	H	Cl	H	CH3	CH2
407	Br	Cl	H	CH3	CH2
408	Cl	Cl	H	CH3	CH2
409	F	Cl	H	CH3	CH2
410	CF3	Cl	H	CH3	CH2
411	H	F	H	CH3	CH2
412	Br	F	H	CH3	CH2
413	Cl	F	H	CH3	CH2
414	F	F	H	CH3	CH2
415	CF3	F	H	CH3	CH2
416	H	H	Br	CH3	CH2
417	Br	H	Br	CH3	CH2
418	Cl	H	Br	CH3	CH2
419	F	H	Br	CH3	CH2
420	CF3	H	Br	CH3	CH2
421	H	Br	Br	CH3	CH2
422	Br	Br	Br	CH3	CH2
423	Cl	Br	Br	CH3	CH2
424	F	Br	Br	CH3	CH2
425	CF3	Br	Br	CH3	CH2
426	H	Cl	Br	CH3	CH2
427	Br	Cl	Br	CH3	CH2
428	Cl	Cl	Br	CH3	CH2
429	F	Cl	Br	CH3	CH2
430	CF3	Cl	Br	CH3	CH2
431	H	F	Br	CH3	CH2
432	Br	F	Br	CH3	CH2
433	Cl	F	Br	CH3	CH2
434	F	F	Br	CH3	CH2
435	CF3	F	Br	CH3	CH2
436	H	H	Cl	CH3	CH2
437	Br	H	Cl	CH3	CH2
438	Cl	H	Cl	CH3	CH2
439	F	H	Cl	CH3	CH2
440	CF3	H	Cl	CH3	CH2
441	H	Br	Cl	CH3	CH2
442	Br	Br	Cl	CH3	CH2
443	Cl	Br	Cl	CH3	CH2
444	F	Br	Cl	CH3	CH2
445	CF3	Br	Cl	CH3	CH2
446	H	Cl	Cl	CH3	CH2

51	Br	Cl	Cl	CH3	-
52	Cl	Cl	Cl	CH3	-
53	F	Cl	Cl	CH3	-
54	CF3	Cl	Cl	CH3	-
55	H	F	Cl	CH3	-
56	Br	F	Cl	CH3	-
57	Cl	F	Cl	CH3	-
58	F	F	Cl	CH3	-
59	CF3	F	Cl	CH3	-
60	H	H	F	CH3	-
61	Br	H	F	CH3	-
62	Cl	H	F	CH3	-
63	F	H	F	CH3	-
64	CF3	H	F	CH3	-
65	H	Br	F	CH3	-
66	Br	Br	F	CH3	-
67	Cl	Br	F	CH3	-
68	F	Br	F	CH3	-
69	CF3	Br	F	CH3	-
70	H	Cl	F	CH3	-
71	Br	Cl	F	CH3	-
72	Cl	Cl	F	CH3	-
73	F	Cl	F	CH3	-
74	CF3	Cl	F	CH3	-
75	H	F	F	CH3	-
76	Br	F	F	CH3	-
77	Cl	F	F	CH3	-
78	F	F	F	CH3	-
79	CF3	F	F	CH3	-
80	H	H	CF3	CH3	-
81	Br	H	CF3	CH3	-
82	Cl	H	CF3	CH3	-
83	F	H	CF3	CH3	-
84	CF3	H	CF3	CH3	-
85	H	Br	CF3	CH3	-
86	Br	Br	CF3	CH3	-
87	Cl	Br	CF3	CH3	-
88	F	Br	CF3	CH3	-
89	CF3	Br	CF3	CH3	-
90	H	Cl	CF3	CH3	-
91	Br	Cl	CF3	CH3	-
92	Cl	Cl	CF3	CH3	-
93	F	Cl	CF3	CH3	-
94	CF3	Cl	CF3	CH3	-
95	H	F	CF3	CH3	-
96	Br	F	CF3	CH3	-

447	Br	Cl	Cl	CH3	CH2
448	Cl	Cl	Cl	CH3	CH2
449	F	Cl	Cl	CH3	CH2
450	CF3	Cl	Cl	CH3	CH2
451	H	F	Cl	CH3	CH2
452	Br	F	Cl	CH3	CH2
453	Cl	F	Cl	CH3	CH2
454	F	F	Cl	CH3	CH2
455	CF3	F	Cl	CH3	CH2
456	H	H	F	CH3	CH2
457	Br	H	F	CH3	CH2
458	Cl	H	F	CH3	CH2
459	F	H	F	CH3	CH2
460	CF3	H	F	CH3	CH2
461	H	Br	F	CH3	CH2
462	Br	Br	F	CH3	CH2
463	Cl	Br	F	CH3	CH2
464	F	Br	F	CH3	CH2
465	CF3	Br	F	CH3	CH2
466	H	Cl	F	CH3	CH2
467	Br	Cl	F	CH3	CH2
468	Cl	Cl	F	CH3	CH2
469	F	Cl	F	CH3	CH2
470	CF3	Cl	F	CH3	CH2
471	H	F	F	CH3	CH2
472	Br	F	F	CH3	CH2
473	Cl	F	F	CH3	CH2
474	F	F	F	CH3	CH2
475	CF3	F	F	CH3	CH2
476	H	H	CF3	CH3	CH2
477	Br	H	CF3	CH3	CH2
478	Cl	H	CF3	CH3	CH2
479	F	H	CF3	CH3	CH2
480	CF3	H	CF3	CH3	CH2
481	H	Br	CF3	CH3	CH2
482	Br	Br	CF3	CH3	CH2
483	Cl	Br	CF3	CH3	CH2
484	F	Br	CF3	CH3	CH2
485	CF3	Br	CF3	CH3	CH2
486	H	Cl	CF3	CH3	CH2
487	Br	Cl	CF3	CH3	CH2
488	Cl	Cl	CF3	CH3	CH2
489	F	Cl	CF3	CH3	CH2
490	CF3	Cl	CF3	CH3	CH2
491	H	F	CF3	CH3	CH2
492	Br	F	CF3	CH3	CH2

97	Cl	F	CF3	CH3	-
98	F	F	CF3	CH3	-
99	CF3	F	CF3	CH3	-
100	Br	H	H	Br	-
101	Cl	H	H	Br	-
102	F	H	H	Br	-
103	CF3	H	H	Br	-
104	H	Br	H	Br	-
105	Br	Br	H	Br	-
106	Cl	Br	H	Br	-
107	F	Br	H	Br	-
108	CF3	Br	H	Br	-
109	H	Cl	H	Br	-
110	Br	Cl	H	Br	-
111	Cl	Cl	H	Br	-
112	F	Cl	H	Br	-
113	CF3	Cl	H	Br	-
114	H	F	H	Br	-
115	Br	F	H	Br	-
116	Cl	F	H	Br	-
117	F	F	H	Br	-
118	CF3	F	H	Br	-
119	H	H	Br	Br	-
120	Br	H	Br	Br	-
121	Cl	H	Br	Br	-
122	F	H	Br	Br	-
123	CF3	H	Br	Br	-
124	H	Br	Br	Br	-
125	Br	Br	Br	Br	-
126	Cl	Br	Br	Br	-
127	F	Br	Br	Br	-
128	CF3	Br	Br	Br	-
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136	Cl	F	Br	Br	-
137	F	F	Br	Br	-
138	CF3	F	Br	Br	-
139	H	H	Cl	Br	-
140	Br	H	Cl	Br	-
141	Cl	H	Cl	Br	-
142	F	H	Cl	Br	-

493	Cl	F	CF3	CH3	CH2
494	F	F	CF3	CH3	CH2
495	CF3	F	CF3	CH3	CH2
496	Br	H	H	Br	CH2
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498	F	H	H	Br	CH2
499	CF3	H	H	Br	CH2
500	H	Br	H	Br	CH2
501	Br	Br	H	Br	CH2
502	Cl	Br	H	Br	CH2
503	F	Br	H	Br	CH2
504	CF3	Br	H	Br	CH2
505	H	Cl	H	Br	CH2
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507	Cl	Cl	H	Br	CH2
508	F	Cl	H	Br	CH2
509	CF3	Cl	H	Br	CH2
510	H	F	H	Br	CH2
511	Br	F	H	Br	CH2
512	Cl	F	H	Br	CH2
513	F	F	H	Br	CH2
514	CF3	F	H	Br	CH2
515	H	H	Br	Br	CH2
516	Br	H	Br	Br	CH2
517	Cl	H	Br	Br	CH2
518	F	H	Br	Br	CH2
519	CF3	H	Br	Br	CH2
520	H	Br	Br	Br	CH2
521	Br	Br	Br	Br	CH2
522	Cl	Br	Br	Br	CH2
523	F	Br	Br	Br	CH2
524	CF3	Br	Br	Br	CH2
525	H	Cl	Br	Br	CH2
526	Br	Cl	Br	Br	CH2
527	Cl	Cl	Br	Br	CH2
528	F	Cl	Br	Br	CH2
529	CF3	Cl	Br	Br	CH2
530	H	F	Br	Br	CH2
531	Br	F	Br	Br	CH2
532	Cl	F	Br	Br	CH2
533	F	F	Br	Br	CH2
534	CF3	F	Br	Br	CH2
535	H	H	Cl	Br	CH2
536	Br	H	Cl	Br	CH2
537	Cl	H	Cl	Br	CH2
538	F	H	Cl	Br	CH2

143	CF3	H	Cl	Br	-
144	H	Br	Cl	Br	-
145	Br	Br	Cl	Br	-
146	Cl	Br	Cl	Br	-
147	F	Br	Cl	Br	-
148	CF3	Br	Cl	Br	-
149	H	Cl	Cl	Br	-
150	Br	Cl	Cl	Br	-
151	Cl	Cl	Cl	Br	-
152	F	Cl	Cl	Br	-
153	CF3	Cl	Cl	Br	-
154	H	F	Cl	Br	-
155	Br	F	Cl	Br	-
156	Cl	F	Cl	Br	-
157	F	F	Cl	Br	-
158	CF3	F	Cl	Br	-
159	H	H	F	Br	-
160	Br	H	F	Br	-
161	Cl	H	F	Br	-
162	F	H	F	Br	-
163	CF3	H	F	Br	-
164	H	Br	F	Br	-
165	Br	Br	F	Br	-
166	Cl	Br	F	Br	-
167	F	Br	F	Br	-
168	CF3	Br	F	Br	-
169	H	Cl	F	Br	-
170	Br	Cl	F	Br	-
171	Cl	Cl	F	Br	-
172	F	Cl	F	Br	-
173	CF3	Cl	F	Br	-
174	H	F	F	Br	-
175	Br	F	F	Br	-
176	Cl	F	F	Br	-
177	F	F	F	Br	-
178	CF3	F	F	Br	-
179	H	H	CF3	Br	-
180	Br	H	CF3	Br	-
181	Cl	H	CF3	Br	-
182	F	H	CF3	Br	-
183	CF3	H	CF3	Br	-
184	H	Br	CF3	Br	-
185	Br	Br	CF3	Br	-
186	Cl	Br	CF3	Br	-
187	F	Br	CF3	Br	-
188	CF3	Br	CF3	Br	-

539	CF3	H	Cl	Br	CH2
540	H	Br	Cl	Br	CH2
541	Br	Br	Cl	Br	CH2
542	Cl	Br	Cl	Br	CH2
543	F	Br	Cl	Br	CH2
544	CF3	Br	Cl	Br	CH2
545	H	Cl	Cl	Br	CH2
546	Br	Cl	Cl	Br	CH2
547	Cl	Cl	Cl	Br	CH2
548	F	Cl	Cl	Br	CH2
549	CF3	Cl	Cl	Br	CH2
550	H	F	Cl	Br	CH2
551	Br	F	Cl	Br	CH2
552	Cl	F	Cl	Br	CH2
553	F	F	Cl	Br	CH2
554	CF3	F	Cl	Br	CH2
555	H	H	F	Br	CH2
556	Br	H	F	Br	CH2
557	Cl	H	F	Br	CH2
558	F	H	F	Br	CH2
559	CF3	H	F	Br	CH2
560	H	Br	F	Br	CH2
561	Br	Br	F	Br	CH2
562	Cl	Br	F	Br	CH2
563	F	Br	F	Br	CH2
564	CF3	Br	F	Br	CH2
565	H	Cl	F	Br	CH2
566	Br	Cl	F	Br	CH2
567	Cl	Cl	F	Br	CH2
568	F	Cl	F	Br	CH2
569	CF3	Cl	F	Br	CH2
570	H	F	F	Br	CH2
571	Br	F	F	Br	CH2
572	Cl	F	F	Br	CH2
573	F	F	F	Br	CH2
574	CF3	F	F	Br	CH2
575	H	H	CF3	Br	CH2
576	Br	H	CF3	Br	CH2
577	Cl	H	CF3	Br	CH2
578	F	H	CF3	Br	CH2
579	CF3	H	CF3	Br	CH2
580	H	Br	CF3	Br	CH2
581	Br	Br	CF3	Br	CH2
582	Cl	Br	CF3	Br	CH2
583	F	Br	CF3	Br	CH2
584	CF3	Br	CF3	Br	CH2

189	H	Cl	CF3	Br	-
190	Br	Cl	CF3	Br	-
191	Cl	Cl	CF3	Br	-
192	F	Cl	CF3	Br	-
193	CF3	Cl	CF3	Br	-
194	H	F	CF3	Br	-
195	Br	F	CF3	Br	-
196	Cl	F	CF3	Br	-
197	F	F	CF3	Br	-
198	CF3	F	CF3	Br	-
199	Br	H	H	Cl	-
200	Cl	H	H	Cl	-
201	F	H	H	Cl	-
202	CF3	H	H	Cl	-
203	H	Br	H	Cl	-
204	Br	Br	H	Cl	-
205	Cl	Br	H	Cl	-
206	F	Br	H	Cl	-
207	CF3	Br	H	Cl	-
208	H	Cl	H	Cl	-
209	Br	Cl	H	Cl	-
210	Cl	Cl	H	Cl	-
211	F	Cl	H	Cl	-
212	CF3	Cl	H	Cl	-
213	H	F	H	Cl	-
214	Br	F	H	Cl	-
215	Cl	F	H	Cl	-
216	F	F	H	Cl	-
217	CF3	F	H	Cl	-
218	H	H	Br	Cl	-
219	Br	H	Br	Cl	-
220	Cl	H	Br	Cl	-
221	F	H	Br	Cl	-
222	CF3	H	Br	Cl	-
223	H	Br	Br	Cl	-
224	Br	Br	Br	Cl	-
225	Cl	Br	Br	Cl	-
226	F	Br	Br	Cl	-
227	CF3	Br	Br	Cl	-
228	H	Cl	Br	Cl	-
229	Br	Cl	Br	Cl	-
230	Cl	Cl	Br	Cl	-
231	F	Cl	Br	Cl	-
232	CF3	Cl	Br	Cl	-
233	H	F	Br	Cl	-
234	Br	F	Br	Cl	-

585	H	Cl	CF3	Br	CH2
586	Br	Cl	CF3	Br	CH2
587	Cl	Cl	CF3	Br	CH2
588	F	Cl	CF3	Br	CH2
589	CF3	Cl	CF3	Br	CH2
590	H	F	CF3	Br	CH2
591	Br	F	CF3	Br	CH2
592	Cl	F	CF3	Br	CH2
593	F	F	CF3	Br	CH2
594	CF3	F	CF3	Br	CH2
595	Br	H	H	Cl	CH2
596	Cl	H	H	Cl	CH2
597	F	H	H	Cl	CH2
598	CF3	H	H	Cl	CH2
599	H	Br	H	Cl	CH2
600	Br	Br	H	Cl	CH2
601	Cl	Br	H	Cl	CH2
602	F	Br	H	Cl	CH2
603	CF3	Br	H	Cl	CH2
604	H	Cl	H	Cl	CH2
605	Br	Cl	H	Cl	CH2
606	Cl	Cl	H	Cl	CH2
607	F	Cl	H	Cl	CH2
608	CF3	Cl	H	Cl	CH2
609	H	F	H	Cl	CH2
610	Br	F	H	Cl	CH2
611	Cl	F	H	Cl	CH2
612	F	F	H	Cl	CH2
613	CF3	F	H	Cl	CH2
614	H	H	Br	Cl	CH2
615	Br	H	Br	Cl	CH2
616	Cl	H	Br	Cl	CH2
617	F	H	Br	Cl	CH2
618	CF3	H	Br	Cl	CH2
619	H	Br	Br	Cl	CH2
620	Br	Br	Br	Cl	CH2
621	Cl	Br	Br	Cl	CH2
622	F	Br	Br	Cl	CH2
623	CF3	Br	Br	Cl	CH2
624	H	Cl	Br	Cl	CH2
625	Br	Cl	Br	Cl	CH2
626	Cl	Cl	Br	Cl	CH2
627	F	Cl	Br	Cl	CH2
628	CF3	Cl	Br	Cl	CH2
629	H	F	Br	Cl	CH2
630	Br	F	Br	Cl	CH2

235	Cl	F	Br	Cl	-
236	F	F	Br	Cl	-
237	CF3	F	Br	Cl	-
238	H	H	Cl	Cl	-
239	Br	H	Cl	Cl	-
240	Cl	H	Cl	Cl	-
241	F	H	Cl	Cl	-
242	CF3	H	Cl	Cl	-
243	H	Br	Cl	Cl	-
244	Br	Br	Cl	Cl	-
245	Cl	Br	Cl	Cl	-
246	F	Br	Cl	Cl	-
247	CF3	Br	Cl	Cl	-
248	H	Cl	Cl	Cl	-
249	Br	Cl	Cl	Cl	-
250	Cl	Cl	Cl	Cl	-
251	F	Cl	Cl	Cl	-
252	CF3	Cl	Cl	Cl	-
253	H	F	Cl	Cl	-
254	Br	F	Cl	Cl	-
255	Cl	F	Cl	Cl	-
256	F	F	Cl	Cl	-
257	CF3	F	Cl	Cl	-
258	H	H	F	Cl	-
259	Br	H	F	Cl	-
260	Cl	H	F	Cl	-
261	F	H	F	Cl	-
262	CF3	H	F	Cl	-
263	H	Br	F	Cl	-
264	Br	Br	F	Cl	-
265	Cl	Br	F	Cl	-
266	F	Br	F	Cl	-
267	CF3	Br	F	Cl	-
268	H	Cl	F	Cl	-
269	Br	Cl	F	Cl	-
270	Cl	Cl	F	Cl	-
271	F	Cl	F	Cl	-
272	CF3	Cl	F	Cl	-
273	H	F	F	Cl	-
274	Br	F	F	Cl	-
275	Cl	F	F	Cl	-
276	F	F	F	Cl	-
277	CF3	F	F	Cl	-
278	H	H	CF3	Cl	-
279	Br	H	CF3	Cl	-
280	Cl	H	CF3	Cl	-

631	Cl	F	Br	Cl	CH2
632	F	F	Br	Cl	CH2
633	CF3	F	Br	Cl	CH2
634	H	H	Cl	Cl	CH2
635	Br	H	Cl	Cl	CH2
636	Cl	H	Cl	Cl	CH2
637	F	H	Cl	Cl	CH2
638	CF3	H	Cl	Cl	CH2
639	H	Br	Cl	Cl	CH2
640	Br	Br	Cl	Cl	CH2
641	Cl	Br	Cl	Cl	CH2
642	F	Br	Cl	Cl	CH2
643	CF3	Br	Cl	Cl	CH2
644	H	Cl	Cl	Cl	CH2
645	Br	Cl	Cl	Cl	CH2
646	Cl	Cl	Cl	Cl	CH2
647	F	Cl	Cl	Cl	CH2
648	CF3	Cl	Cl	Cl	CH2
649	H	F	Cl	Cl	CH2
650	Br	F	Cl	Cl	CH2
651	Cl	F	Cl	Cl	CH2
652	F	F	Cl	Cl	CH2
653	CF3	F	Cl	Cl	CH2
654	H	H	F	Cl	CH2
655	Br	H	F	Cl	CH2
656	Cl	H	F	Cl	CH2
657	F	H	F	Cl	CH2
658	CF3	H	F	Cl	CH2
659	H	Br	F	Cl	CH2
660	Br	Br	F	Cl	CH2
661	Cl	Br	F	Cl	CH2
662	F	Br	F	Cl	CH2
663	CF3	Br	F	Cl	CH2
664	H	Cl	F	Cl	CH2
665	Br	Cl	F	Cl	CH2
666	Cl	Cl	F	Cl	CH2
667	F	Cl	F	Cl	CH2
668	CF3	Cl	F	Cl	CH2
669	H	F	F	Cl	CH2
670	Br	F	F	Cl	CH2
671	Cl	F	F	Cl	CH2
672	F	F	F	Cl	CH2
673	CF3	F	F	Cl	CH2
674	H	H	CF3	Cl	CH2
675	Br	H	CF3	Cl	CH2
676	Cl	H	CF3	Cl	CH2

281	F	H	CF3	Cl	-
282	CF3	H	CF3	Cl	-
283	H	Br	CF3	Cl	-
284	Br	Br	CF3	Cl	-
285	Cl	Br	CF3	Cl	-
286	F	Br	CF3	Cl	-
287	CF3	Br	CF3	Cl	-
288	H	Cl	CF3	Cl	-
289	Br	Cl	CF3	Cl	-
290	Cl	Cl	CF3	Cl	-
291	F	Cl	CF3	Cl	-
292	CF3	Cl	CF3	Cl	-
293	H	F	CF3	Cl	-
294	Br	F	CF3	Cl	-
295	Cl	F	CF3	Cl	-
296	F	F	CF3	Cl	-
297	CF3	F	CF3	Cl	-
298	Br	H	H	CF3	-
299	Cl	H	H	CF3	-
300	F	H	H	CF3	-
301	CF3	H	H	CF3	-
302	H	Br	H	CF3	-
303	Br	Br	H	CF3	-
304	Cl	Br	H	CF3	-
305	F	Br	H	CF3	-
306	CF3	Br	H	CF3	-
307	H	Cl	H	CF3	-
308	Br	Cl	H	CF3	-
309	Cl	Cl	H	CF3	-
310	F	Cl	H	CF3	-
311	CF3	Cl	H	CF3	-
312	H	F	H	CF3	-
313	Br	F	H	CF3	-
314	Cl	F	H	CF3	-
315	F	F	H	CF3	-
316	CF3	F	H	CF3	-
317	H	H	Br	CF3	-
318	Br	H	Br	CF3	-
319	Cl	H	Br	CF3	-
320	F	H	Br	CF3	-
321	CF3	H	Br	CF3	-
322	H	Br	Br	CF3	-
323	Br	Br	Br	CF3	-
324	Cl	Br	Br	CF3	-
325	F	Br	Br	CF3	-
326	CF3	Br	Br	CF3	-

677	F	H	CF3	Cl	CH2
678	CF3	H	CF3	Cl	CH2
679	H	Br	CF3	Cl	CH2
680	Br	Br	CF3	Cl	CH2
681	Cl	Br	CF3	Cl	CH2
682	F	Br	CF3	Cl	CH2
683	CF3	Br	CF3	Cl	CH2
684	H	Cl	CF3	Cl	CH2
685	Br	Cl	CF3	Cl	CH2
686	Cl	Cl	CF3	Cl	CH2
687	F	Cl	CF3	Cl	CH2
688	CF3	Cl	CF3	Cl	CH2
689	H	F	CF3	Cl	CH2
690	Br	F	CF3	Cl	CH2
691	Cl	F	CF3	Cl	CH2
692	F	F	CF3	Cl	CH2
693	CF3	F	CF3	Cl	CH2
694	Br	H	H	CF3	CH2
695	Cl	H	H	CF3	CH2
696	F	H	H	CF3	CH2
697	CF3	H	H	CF3	CH2
698	H	Br	H	CF3	CH2
699	Br	Br	H	CF3	CH2
700	Cl	Br	H	CF3	CH2
701	F	Br	H	CF3	CH2
702	CF3	Br	H	CF3	CH2
703	H	Cl	H	CF3	CH2
704	Br	Cl	H	CF3	CH2
705	Cl	Cl	H	CF3	CH2
706	F	Cl	H	CF3	CH2
707	CF3	Cl	H	CF3	CH2
708	H	F	H	CF3	CH2
709	Br	F	H	CF3	CH2
710	Cl	F	H	CF3	CH2
711	F	F	H	CF3	CH2
712	CF3	F	H	CF3	CH2
713	H	H	Br	CF3	CH2
714	Br	H	Br	CF3	CH2
715	Cl	H	Br	CF3	CH2
716	F	H	Br	CF3	CH2
717	CF3	H	Br	CF3	CH2
718	H	Br	Br	CF3	CH2
719	Br	Br	Br	CF3	CH2
720	Cl	Br	Br	CF3	CH2
721	F	Br	Br	CF3	CH2
722	CF3	Br	Br	CF3	CH2

327	H	Cl	Br	CF3	-
328	Br	Cl	Br	CF3	-
329	Cl	Cl	Br	CF3	-
330	F	Cl	Br	CF3	-
331	CF3	Cl	Br	CF3	-
332	H	F	Br	CF3	-
333	Br	F	Br	CF3	-
334	Cl	F	Br	CF3	-
335	F	F	Br	CF3	-
336	CF3	F	Br	CF3	-
337	H	H	Cl	CF3	-
338	Br	H	Cl	CF3	-
339	Cl	H	Cl	CF3	-
340	F	H	Cl	CF3	-
341	CF3	H	Cl	CF3	-
342	H	Br	Cl	CF3	-
343	Br	Br	Cl	CF3	-
344	Cl	Br	Cl	CF3	-
345	F	Br	Cl	CF3	-
346	CF3	Br	Cl	CF3	-
347	H	Cl	Cl	CF3	-
348	Br	Cl	Cl	CF3	-
349	Cl	Cl	Cl	CF3	-
350	F	Cl	Cl	CF3	-
351	CF3	Cl	Cl	CF3	-
352	H	F	Cl	CF3	-
353	Br	F	Cl	CF3	-
354	Cl	F	Cl	CF3	-
355	F	F	Cl	CF3	-
356	CF3	F	Cl	CF3	-
357	H	H	F	CF3	-
358	Br	H	F	CF3	-
359	Cl	H	F	CF3	-
360	F	H	F	CF3	-
361	CF3	H	F	CF3	-
362	H	Br	F	CF3	-
363	Br	Br	F	CF3	-
364	Cl	Br	F	CF3	-
365	F	Br	F	CF3	-
366	CF3	Br	F	CF3	-
367	H	Cl	F	CF3	-
368	Br	Cl	F	CF3	-
369	Cl	Cl	F	CF3	-
370	F	Cl	F	CF3	-
371	CF3	Cl	F	CF3	-
372	H	F	F	CF3	-

723	H	Cl	Br	CF3	CH2
724	Br	Cl	Br	CF3	CH2
725	Cl	Cl	Br	CF3	CH2
726	F	Cl	Br	CF3	CH2
727	CF3	Cl	Br	CF3	CH2
728	H	F	Br	CF3	CH2
729	Br	F	Br	CF3	CH2
730	Cl	F	Br	CF3	CH2
731	F	F	Br	CF3	CH2
732	CF3	F	Br	CF3	CH2
733	H	H	Cl	CF3	CH2
734	Br	H	Cl	CF3	CH2
735	Cl	H	Cl	CF3	CH2
736	F	H	Cl	CF3	CH2
737	CF3	H	Cl	CF3	CH2
738	H	Br	Cl	CF3	CH2
739	Br	Br	Cl	CF3	CH2
740	Cl	Br	Cl	CF3	CH2
741	F	Br	Cl	CF3	CH2
742	CF3	Br	Cl	CF3	CH2
743	H	Cl	Cl	CF3	CH2
744	Br	Cl	Cl	CF3	CH2
745	Cl	Cl	Cl	CF3	CH2
746	F	Cl	Cl	CF3	CH2
747	CF3	Cl	Cl	CF3	CH2
748	H	F	Cl	CF3	CH2
749	Br	F	Cl	CF3	CH2
750	Cl	F	Cl	CF3	CH2
751	F	F	Cl	CF3	CH2
752	CF3	F	Cl	CF3	CH2
753	H	H	F	CF3	CH2
754	Br	H	F	CF3	CH2
755	Cl	H	F	CF3	CH2
756	F	H	F	CF3	CH2
757	CF3	H	F	CF3	CH2
758	H	Br	F	CF3	CH2
759	Br	Br	F	CF3	CH2
760	Cl	Br	F	CF3	CH2
761	F	Br	F	CF3	CH2
762	CF3	Br	F	CF3	CH2
763	H	Cl	F	CF3	CH2
764	Br	Cl	F	CF3	CH2
765	Cl	Cl	F	CF3	CH2
766	F	Cl	F	CF3	CH2
767	CF3	Cl	F	CF3	CH2
768	H	F	F	CF3	CH2

373	Br	F	F	CF3	-	769	Br	F	F	CF3	CH2
374	Cl	F	F	CF3	-	770	Cl	F	F	CF3	CH2
375	F	F	F	CF3	-	771	F	F	F	CF3	CH2
376	CF3	F	F	CF3	-	772	CF3	F	F	CF3	CH2
377	H	H	CF3	CF3	-	773	H	H	CF3	CF3	CH2
378	Br	H	CF3	CF3	-	774	Br	H	CF3	CF3	CH2
379	Cl	H	CF3	CF3	-	775	Cl	H	CF3	CF3	CH2
380	F	H	CF3	CF3	-	776	F	H	CF3	CF3	CH2
381	CF3	H	CF3	CF3	-	777	CF3	H	CF3	CF3	CH2
382	H	Br	CF3	CF3	-	778	H	Br	CF3	CF3	CH2
383	Br	Br	CF3	CF3	-	779	Br	Br	CF3	CF3	CH2
384	Cl	Br	CF3	CF3	-	780	Cl	Br	CF3	CF3	CH2
385	F	Br	CF3	CF3	-	781	F	Br	CF3	CF3	CH2
386	CF3	Br	CF3	CF3	-	782	CF3	Br	CF3	CF3	CH2
387	H	Cl	CF3	CF3	-	783	H	Cl	CF3	CF3	CH2
388	Br	Cl	CF3	CF3	-	784	Br	Cl	CF3	CF3	CH2
389	Cl	Cl	CF3	CF3	-	785	Cl	Cl	CF3	CF3	CH2
390	F	Cl	CF3	CF3	-	786	F	Cl	CF3	CF3	CH2
391	CF3	Cl	CF3	CF3	-	787	CF3	Cl	CF3	CF3	CH2
392	H	F	CF3	CF3	-	788	H	F	CF3	CF3	CH2
393	Br	F	CF3	CF3	-	789	Br	F	CF3	CF3	CH2
394	Cl	F	CF3	CF3	-	790	Cl	F	CF3	CF3	CH2
395	F	F	CF3	CF3	-	791	F	F	CF3	CF3	CH2
396	CF3	F	CF3	CF3	-	792	CF3	F	CF3	CF3	CH2

In one embodiment the invention provides a compound selected from Tables 1 to 6 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

5 In one embodiment the invention provides a compound selected from Tables 7 to 12 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 13 to 18 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

10 In one embodiment the invention provides a compound selected from Tables 19 to 24 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 25 to 30 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 31 to 36 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

15 In one embodiment the invention provides a compound selected from Tables 37 to 39 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 40 to 42 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 43 to 45 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 46 to 48 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

5 In one embodiment the invention provides a compound selected from Tables 49 to 51 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

In one embodiment the invention provides a compound selected from Tables 52 to 54 for use against insects of the family *Curculionidae*, preferably in for use against *Anthonomus grandis*.

10 In one embodiment the invention provides a compound selected from Tables 1 to 6 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 7 to 12 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 13 to 18 for use against *Anthonomus grandis* in cotton.

15 In one embodiment the invention provides a compound selected from Tables 19 to 24 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 25 to 30 for use against *Anthonomus grandis* in cotton.

20 In one embodiment the invention provides a compound selected from Tables 31 to 36 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 37 to 39 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 40 to 42 for use against *Anthonomus grandis* in cotton.

25 In one embodiment the invention provides a compound selected from Tables 43 to 45 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 46 to 48 for use against *Anthonomus grandis* in cotton.

30 In one embodiment the invention provides a compound selected from Tables 49 to 51 for use against *Anthonomus grandis* in cotton.

In one embodiment the invention provides a compound selected from Tables 52 to 54 for use against *Anthonomus grandis* in cotton.

Examples of insects from the family of *Curculionidae* are *Anthonomus corvulus*, *Anthonomus elutus*, *Anthonomus elongatus*, *Anthonomus eugenii*, *Anthonomus consors*, *Anthonomus haematopus*,
35 *Anthonomus lecontei*, *Anthonomus molochinus*, *Anthonomus morticinus*, *Anthonomus musculus*,
Anthonomus nigrinus, *Anthonomus phyllocola*, *Anthonomus pictus*, *Anthonomus pomorum*, *Anthonomus quadrigibbus*, *Anthonomus rectirostris*, *Anthonomus rubi*, *Anthonomus santacruzi*, *Anthonomus signatus*,

Anthonomus subfasciatus, and *Anthonomus tenebrosus*. Preferably the insects from the family of *Curculionidae* are of the genus *Anthonomus*, preferably of the species *Anthonomus grandis*, *Conotrachelus nenuphar*, *Ceutorhynchus* spp., or *Curculio nucum*, more preferably of the species *Anthonomus grandis*.

5 In one embodiment the methods and uses of the invention are for controlling and/or preventing infestation of a cotton crop by *Anthonomus grandis* (commonly known as boll weevil) including *Anthonomus grandis* that is resistant to other insecticides, e.g. pyrethroid insecticides. *Anthonomus grandis* that is "resistant" to a particular insecticide refers e.g. to strains of *Anthonomus grandis* that are less sensitive to that insecticide compared to the expected sensitivity of the same species of *Anthonomus*
10 *grandis*. The expected sensitivity can be measured using e.g. a strain that has not previously been exposed to the insecticide.

In another embodiment the methods In one embodiment the methods and uses of the invention are for controlling lepidopteran leaf feeders, bollworms, bollweevil, aphids, whitefly, thrips, lygus, mites, cutworms, soil insects, and/or nematodes.

15 In another embodiment 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*, *Oxycaraenus hyalinipennis*, *Dysdercus cingulatus*. In particular, the compounds of the
20 invention are used on cotton to control *Anthonomus grandis*, *Tetranychus* spp., *Empoasca* spp., *thrips* spp., *Lygus* spp., *phyllophaga* spp., *Scaptocoris* spp..

The term "locus" of a useful plant as used herein is intended to embrace the place on which the useful plants are growing, where the plant propagation materials of the useful plants are sown or where the plant propagation materials of the useful plants will be placed into the soil. An example for such a
25 locus is a field, on which crop plants are growing.

The term "plant propagation material" is understood to denote generative parts of a plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material, such as cuttings or tubers, for example potatoes. There may be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be
30 transplanted after germination or after emergence from the soil, may also be mentioned. These young plants may be protected before transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

Application may be before infestation or when the pest is present. Application of the compounds of the invention can be performed according to any of the usual modes of application, e.g. foliar, drench, soil, in furrow etc. However, control of *Anthonomus grandis* s is usually achieved by foliar application,
35 which is the preferred mode of application according to the invention.

Application of the compounds of the invention is preferably to a crop of cotton plants, the locus thereof or propagation material thereof.

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, 5 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 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 can be carried out directly or by allowing the compounds to act on their surroundings, habitat or storage space by the customary treatment 10 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.

The compounds of the invention are suitable for use on any plant (preferably cotton plant), including those that have been genetically modified to be resistant to active ingredients such as 15 herbicides, or to produce biologically active compounds that control infestation by plant pests.

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 engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by 20 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 LibertyLink®.

Compounds of formula I may be used on transgenic plants (including cultivars) obtained by 25 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 also result in superadditive "synergistic") effects.

30 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 35 storage stability and/or processability of the harvested products are possible, which exceed the effects which were actually to be expected.

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 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.

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). Transgenic cotton is of particular interest.

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, 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 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 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, 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 (P1) (corn producing Cry1Ab), Agrisure®RW (P2) (corn producing mCry3A), Agrisure® Viptera (P3) (corn hybrids producing Vip3Aa); Agrisure300GT (P4)

(corn hybrids producing Cry1Ab and mCry3A); YieldGard® (P5) (corn hybrids producing the Cry1Ab protein), YieldGard® Plus (P6) (corn hybrids producing Cry1Ab and Cry3Bb1), Genuity® SmartStax® (P7) (corn hybrids with Cry1A.105, Cry2Ab2, Cry1F, Cry34/35, Cry3Bb) ; Herculex® I (P8) (corn hybrids producing Cry1Fa) and Herculex®RW (P9) (corn hybrids producing Cry34Ab1, Cry35Ab1 and the enzyme Phosphinothricin-N-Acetyltransferase [PAT]) ; NuCOTN®33B (P10) (cotton cultivars producing Cry1Ac), Bollgard®I (P11) (cotton cultivars producing Cry1Ac), Bollgard®II (P12) (cotton cultivars producing Cry1Ac and Cry2Ab2) and VIPCOT® (P13) (cotton cultivars producing a Vip3Aa). Soybean Cyst Nematode resistance soybean (SCN® - Syngenta (P14)) and soybean with Aphid resistant trait (AMT® (P15)) 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 (P16). 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 (P17). 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 (P18). 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 (P19). 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. (P20)

6. **1507 Maize** from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. (P21) 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® (P24), Roundup Ready 2 Yield® (P25)), sulfonylurea (e.g. STS®) (P26), glufosinate (e.g. Liberty Link® (P27), Ignite® (P28)), Dicamba (P29) (Monsanto), HPPD tolerance (P30) (e.g. isoxaflutole herbicide) (Bayer CropScience, Syngenta). Double or triple stacks of any of the traits described here are also of
- 10 interest, including glyphosate and sulfonyl-urea tolerance ((e.g. Optimum GAT®) (P31), plants stacked with STS® and Roundup Ready® (P32) or plants stacked with STS® and Roundup Ready 2 Yield® (P33)), dicamba and glyphosate tolerance (P34) (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
- 15 (Monsanto) HPPD tolerance (e.g. isoxaflutole herbicide) (Bayer CropScience, Syngenta).

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)
- 20 MON15985 (Genuity Bollgard II cotton® - Monsanto)
- MON88913 (Genuity RR FLEX cotton® - Monsanto)
- MON15985 x MON1445 (Genuity Bollgard II + RR FELX cotton® - Monsanto)
- MON15983 x MON88913 (Genuity Bollgard II + RR FLEX cotton® - Monsanto)
- MON15985 (FibreMax Bollgard II Cotton® - Monsanto)
- 25 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)
- 35 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 ((PhytoGen Widestrike Insect Protection + RR ® – Dow / Monsanto)

MON1445 (PhytoGen Roundup Ready ® – Monsanto)

MON88913 (PhytoGen Roundup Ready FLEX ® – Monsanto)

5 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)

10 COT67B (Syngenta)

T304-40 (BCS Stoneville)

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, (<http://bats.ch>).

15 Herbicide-resistant plants (plants bred in a conventional manner for herbicide tolerance) which may be mentioned include the varieties sold under the name Clearfield(®) (for example maize).

These statements also apply to plant cultivars having these genetic traits or genetic traits still to be developed, which plant cultivars will be developed and/or marketed in the future.

The compounds of the invention are suitable for use on any cotton plant, including those that have
20 been genetically modified to be resistant to active ingredients such as herbicides, or to produce biologically active compounds that control infestation by plant pests, e.g. BT cotton.

A compound of the invention 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 the invention.

25 The invention therefore also provides a fertilizer composition comprising a fertilizer and a compound of the invention.

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 regulating, herbicidal, insecticidal, nematocidal or acaricidal activity.

30 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 regulating, herbicidal, insecticidal, nematocidal 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
35 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 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:

- 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, acrinathirin, etofenprox or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl- 3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;
- 10 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, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;
- c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, 15 furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;
- d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron, diafenthiuron, lufeneron, novaluron, noviflumuron or chlorfluazuron;
- e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
- 20 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;
- i) Organochlorine compounds, such as endosulfan (in particular alpha-endosulfan), benzene hexachloride, 25 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;
- 30 m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;
- n) Diphenyl ethers, such as diofenolan or pyriproxifen;
- o) Pyrazolines such as Indoxacarb or metaflumizone;
- p) Ketoenols, such as Spirotetramat, spiroticlofen or spiromesifen;
- q) Diamides, such as flubendiamide, chlorantraniliprole (Rynaxypyr®) or cyantraniliprole;
- 35 r) Essential oils such as Bugoil® - (PlantImpact); or
- s) a comopund selected from buprofezine, flonicamid, acequinocyl, bifenazate, cyenopyrafen, cyflumetofen, etoxazole, flometoquin, fluacrypyrim, fluensulfone, flufenerim, flupyradifuone, 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 pyrifluquinazon.

5 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 insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be
10 included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon; acaricidal motilicides, such as dicofol or propargite; acaricides, such as bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention
15 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-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), *N*-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-
20 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, blasticidin S, boscalid, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396,
25 CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds 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, diflumerimol, *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol,
30 diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl-(Z)-*N*-benzyl-*N*-([methyl(methyl-thioethylideneamino-oxycarbonyl)amino]thio)- β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fempiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluopyram,
35 fluoxastrobin, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, fluxapyroxad, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, 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, mepanipyrin, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*isopropyl*, nuarimol, ofurace, organomercury compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, penflufen, penthiopyrad, 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, 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, triazbutyl, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, 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.

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 the invention 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

5 composition is a suspoemulsion (SE) formulation.

Unless otherwise stated the weight ratio of the compound of I with an additional active ingredient may generally be between 1000 : 1 and 1 : 1000. In other embodiments that weight ratio of A to B may be between 500 : 1 to 1 : 500, for example between 100 : 1 to 1 : 100, for example between 1 : 50 to 50 : 1, for example 1 : 20 to 20 : 1, for example 1:10 to 10:1, for example 1:5 to 5:1, for example 1:1, 1:2, 1:3,

10 1:4, 1:5, 2:1, 3:1, 4:1, or 5:1.

Mixtures with pyrethroids, in particular pymetrozine, are of particular interest for the present invention.

Compositions of the invention include those prepared by premixing prior to application, e.g. as a readymix or tankmix, or by simultaneous application or sequential application to the plant.

15 In order to apply a compounds of the invention as an insecticide, acaricide, nematocide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, compounds of the invention is usually formulated into a composition which includes, in addition to the compound of the invention, 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 the invention. The composition is generally used for the control of pests such that a compound of the invention is applied at a rate of from 0.1g to 10kg per hectare, 25 preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

In one embodiment the compounds of the invention are used for pest control on cotton at 1:500 g/ha, for example 10-70g/ha. However, it should be noted that due to the very damaging effect of the *Anthonomus grandis* (quantity and quality on yield), sprays are often very intense and at very low threshold levels and can be down to almost zero tolerance.

30 When used in a seed dressing, a compound of the invention is 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 of seed.

Compositions comprising a compound of the invention 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 35 concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (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 the invention.

Dustable powders (DP) may be prepared by mixing a compound of the invention with one or
5 more 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 the invention with one or more
10 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).

15 Wettable powders (WP) may be prepared by mixing a compound of the invention 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).

20 Granules (GR) may be formed either by granulating a mixture of a compound of the invention and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of the invention (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 the invention (or a solution thereof, in a suitable agent) on to a hard core
25 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, 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).

30 Dispersible Concentrates (DC) may be prepared by dissolving a compound of the invention 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).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of the invention in an organic solvent (optionally containing one or more wetting agents, one
35 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

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 of the invention 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 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 the invention 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 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 divided insoluble solid particles of a compound of the invention. SCs may be prepared by ball or bead milling the solid compound of the invention 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 the invention may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of the invention and a suitable propellant (for example *n*-butane). A compound of the invention 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 the invention 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 the invention 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 the invention and they may be used for seed treatment. A compound of the invention 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 the invention). 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 the invention).

A compound of the invention 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, butylnaphthalene 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.

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 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 attapulgate).

A compound of the invention 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 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.

A compound of the invention 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 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 the invention (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

Examples

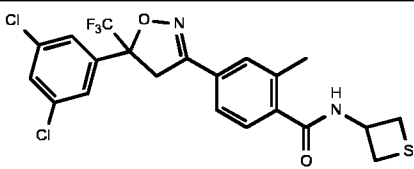
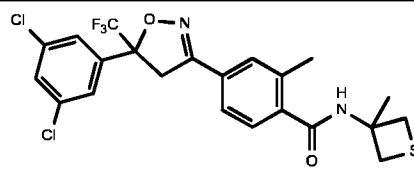
Anthonomus grandis (Cotton boll weevil)

Cotton plants are treated in a spray chamber. After the plants have dried the pots are covered with plastic cylinders. The cylinders are infested with 10 adult weevils (2 replicates). The evaluation of the mortality is carried out 5 days after infestation.

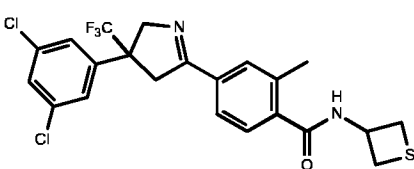
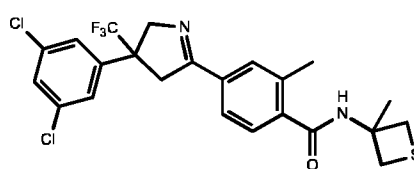
The results show that the compounds of the invention are significantly more active against *Anthonomus grandis* than structurally similar compounds, particularly at low rates of application.

Comparative Table 1

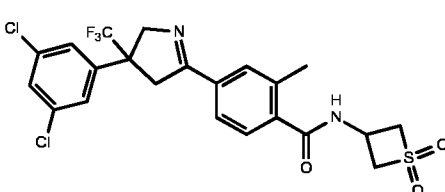
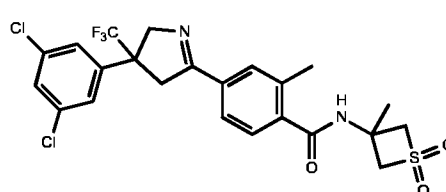
Compound of the invention	Reference compound
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Compound	Test	Application rate / ppm	Control / %
Compound of the invention	<i>Anthonomus grandis</i> (Cotton boll weevil)	50	100
		12.5	100
Reference compound	<i>Anthonomus grandis</i> (Cotton boll weevil)	50	55
		12.5	15

Comparative Table 2

			
Compound	Test	Application rate / ppm	Control / %
Compound of the invention	<i>Anthonomus grandis</i> (Cotton boll weevil)	12.5	100
		3	90
Reference compound	<i>Anthonomus grandis</i> (Cotton boll weevil)	12.5	15
		3	5

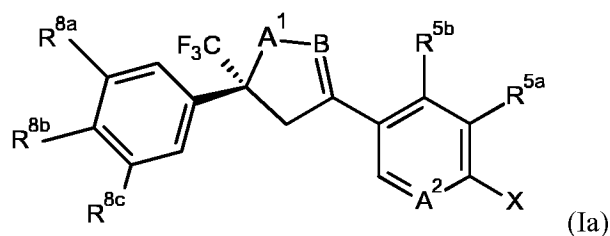
Comparative Table 3

			
Compound	Test	Application rate / ppm	Control / %
Compound of the invention	<i>Anthonomus grandis</i> (Cotton boll weevil)	12.5	90
		3	100

Reference compound	<i>Anthonomus grandis</i> (Cotton boll weevil)	12.5	10
		3	0

The compounds from Comparative Table 1 are disclosed in WO09080250. The compounds from Comparative Tables 2 and 3 are disclosed (or generically disclosed) in WO2010/149506.

- 5 Table A provides compounds of formula (Ia) wherein R^{8a} , R^{8b} , R^{8c} , A^1 , B, R^{5a} , R^{5b} , A^2 and X have the definitions shown below.

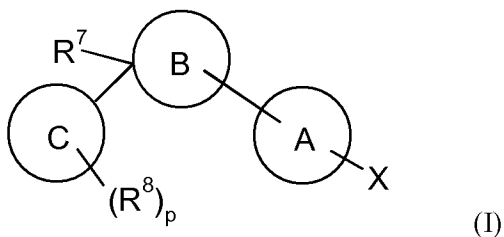


	R8a	R8b	R8c	A1	B	R5a	R5b	A2	X
A1	CF3	H	H	O	N	CH3	H	CH	P3
A2	CF3	H	Cl	O	N	CH=CH-CH=CH		CH	P3
A3	Cl	F	Cl	O	N	Cl	H	CH	P2
A4	CF3	F	H	O	N	CH3	H	CH	P4
A5	CF3	F	H	O	N	CH3	H	CH	P3
A6	Cl	Cl	Cl	O	N	CH3	H	CH	P2
A7	Cl	F	Cl	O	N	CH3	H	CH	P2
A8	Cl	H	Cl	O	N	Cl	H	CH	P2
A9	Cl	F	Cl	O	N	CH3	H	CH	P3
A10	Cl	F	Cl	O	N	Cl	H	CH	P3
A11	Cl	F	Cl	O	N	Cl	H	CH	P4
A12	Cl	F	Cl	O	N	Cl	H	CH	P7
A13	Cl	H	Cl	O	N	Cl	H	CH	P4
A14	Cl	Cl	Cl	O	N	CH3	H	CH	P4
A15	Cl	H	Cl	O	CH	CH3	H	CH	P4
A16	Cl	F	Cl	O	N	CH3	H	CH	P4
A17	Cl	H	Cl	O	N	CH3	H	CH	P7
A18	Cl	Cl	Cl	O	N	CH3	H	CH	P3
A19	Cl	H	Cl	O	N	CH3	H	N	P3
A20	Cl	H	Cl	O	N	Cl	H	CH	P3
A21	Cl	H	Cl	CH2	N	CH3	H	CH	P3
A22	Cl	H	Cl	CH2	N	CH3	H	CH	P4
A23	Cl	H	Cl	O	N	CH3	H	CH	P3

All compounds in Table A (compounds A1 to A23) all gave at least 80% control against *Anthonomus grandis* (Cotton boll weevil) at an application rate of 12.5 ppm or less according to the above method.

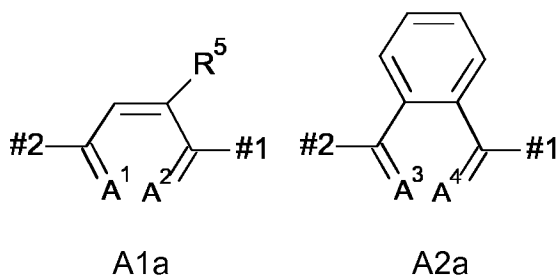
Claims

1. A method comprising applying to a crop of cotton plants, the locus thereof, or propagation material thereof, a compound of formula I



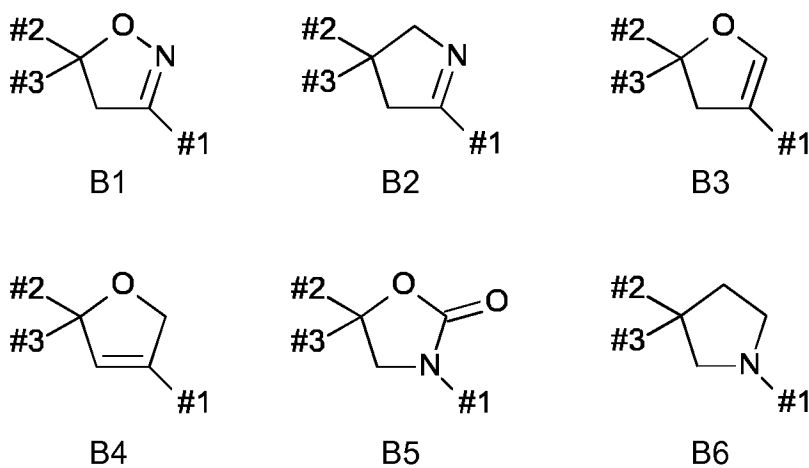
wherein

cycle A is A1a or A2a



10 wherein A¹, A², A³ and A⁴ are independently C-H, or nitrogen and wherein #1 indicates the bond to X and #2 indicates the bond to cycle B;

cycle B is selected from B1 to B6



15 wherein #1 indicates the bond to cycle A, #2 indicates the bond to R⁷ and #3 indicates the bond to cycle C;

cycle C is phenyl;

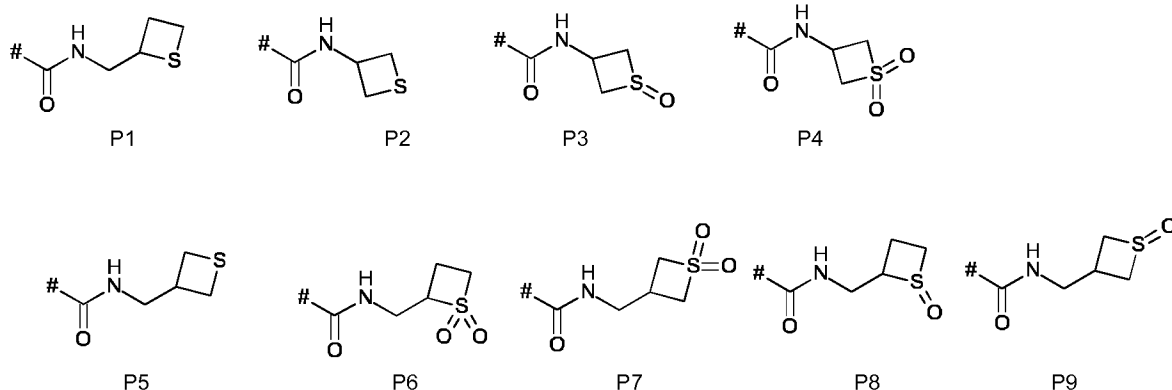
R⁵ is chloro, bromo, CF₃ or methyl;

R⁷ is chlorodifluoromethyl or trifluoromethyl;

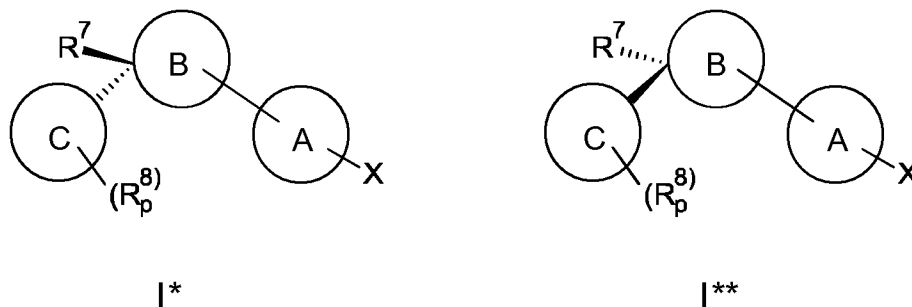
each R⁸ is independently bromo, chloro, fluoro or trifluoromethyl;

20 p is 1, 2 or 3; and

and X is selected from P1 to P9



- 5 2. A method according to claim 1, wherein the method is a method of controlling and/or preventing infestation of insects the family *Curculionidae* in cotton comprising applying to a crop of cotton plants, the locus thereof, or propagation material thereof, a compound of formula I.
3. A method of controlling and/or preventing infestation of insects the family *Curculionidae* in a
 10 crop of useful plants comprising applying to a crop of useful plants, the locus thereof, or propagation material thereof, a compound of formula I as defined in claim 1.
4. Use of a compound of formula I as defined in claim 1 for control of insects of the family *Curculionidae* in a crop of useful plants.
- 15 5. A method or use according to any one of claims 1 to 4, wherein the wherein said insects are of the genus *Anthonomus*, preferably of the species *Anthonomus grandis*.
6. A method or use according to any one of claims 1 to 4, wherein said insects are selected from the
 20 group consisting of *Anthonomus corvulus*, *Anthonomus elutus*, *Anthonomus elongatus*, *Anthonomus eugenii*, *Anthonomus consors*, *Anthonomus haematopus*, *Anthonomus lecontei*, *Anthonomus molochinus*, *Anthonomus morticinus*, *Anthonomus musculus*, *Anthonomus nigrinus*, *Anthonomus phyllocola*, *Anthonomus pictus*, *Anthonomus pomorum*, *Anthonomus quadrigibbus*, *Anthonomus rectirostris*, *Anthonomus rubi*, *Anthonomus santacruzi*, *Anthonomus signatus*, *Anthonomus subfasciatus*, and
 25 *Anthonomus tenebrosus*.
7. A method or use of a compound of formula I according to any one of claims 1 to 6, wherein the compound of formula I is a mixture of the compound of formula I* and the compound of formula I**



wherein the substituents are as defined in claim 1, and wherein said mixture is enriched for the compound of formula I**.

- 5 8. A method or use according to any one of claims 1 to 7, wherein X is P2, P3 or P4.
9. A method or use according to any one of claims 1 to 8, wherein cycle A is cycle A1a.
10. A method or use according to any one of claims 1 to 9, wherein cycle B is cycle B1, B2 or B3.
- 10 11. A method or use according to any one of claims 1 to 10, wherein cycle B is B1.
12. A method or use according to any one of claims 1 to 11, wherein the compound of formula I is applied in combination with a pyrethroid.
- 15 13. A method or use according to any one of claims 1 to 12, wherein the compound is applied to the crop by foliar application.
14. A method of protecting a plot of at least five hectares comprising a crop of useful plants,
- 20 preferably cotton, susceptible to and/or under attack by insects/pests, preferably of the family *Curculionidae*, in particular the species *Anthonomus grandis*, said method comprising applying to said plant and/or said insects/pests a compound of formula I as defined in any one of claims 1 and 7 to 11 in a pre-defined plot part that is between 0.5 to 20%, based on area, of the plot, provided said pre-defined plot part forms the outer border of the plot, wherein said insects/pests predominantly approach the plot from
- 25 the periphery of the plot.
15. A method for obtaining regulatory approval for the use of one or more of a compound of formula I as defined in any one of claims 1 and 7 to 11 to control an insect of the family *Curculionidae*, in particular the species *Anthonomus grandis*, comprising at least one step of referring to, submitting or
- 30 relying on biological data showing that said active ingredient reduces insect pressure.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2013/062901

A. CLASSIFICATION OF SUBJECT MATTER INV. A01N43/08 A01N43/36 A01N43/80 A01P7/04 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) 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, CHEM ABS Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2010/020522 A1 (SYNGENTA PARTICIPATIONS AG [CH]; SYNGENTA LTD [GB]; CASSAYRE JEROME YV) 25 February 2010 (2010-02-25) cited in the application compounds A10, A11, A15, A46, A48, A49 page 88, lines 1-10 page 11, lines 2, 4 -----	1-14
X	WO 2010/149506 A1 (SYNGENTA PARTICIPATIONS AG [CH]; SYNGENTA LTD [GB]; RENOLD PETER [CH];) 29 December 2010 (2010-12-29) cited in the application page 30, lines 9, 11 page 58, lines 10-16 compounds A1, A3, A4, A8, A9, A10, B1 to B6, C1 to C3, D1 to D3 ----- -/-	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 23 August 2013		Date of mailing of the international search report 03/09/2013
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 Götz, Gerhard

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2013/062901

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2009/080250 A2 (SYNGENTA PARTICIPATIONS AG [CH]; RENOLD PETER [CH]; ZAMBACH WERNER [CH] 2 July 2009 (2009-07-02) cited in the application page 66, lines 10-17 page 31, lines 10, 12 compounds A4, A8-A10, A15, A17, A19, A20, A22, A23, A25 -----	1-14
X	WO 2011/101229 A1 (SYNGENTA PARTICIPATIONS AG [CH]; EL QACEMI MYRIEM [CH]; CASSAYRE JEROM) 25 August 2011 (2011-08-25) cited in the application page 97, line 8 - page 98, line 19 page 47, lines 6, 8 compounds A2 A13, A15, A77, A78, A80, A81, B13, B21, B24, C13, C19, C22, D20, D21, D24, E17, E19, F2 -----	1-14
X	WO 2012/045700 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH]; RENOLD PET) 12 April 2012 (2012-04-12) cited in the application page 130, lines 10-19 compounds A7-A10, B5, B7-B9, C8, C9; page 98, lines 31-32 -----	1-14

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2013/062901

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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