(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 November 2010 (11.11.2010)

PCT

(10) International Publication Number
WO 2010/127928 A1

(51) International Patent Classification:
C07C 237/44 (2006.01) *C07D 239/28* (2006.01)
C07C 255/57 (2006.01) *C07D 261/10* (2006.01)
A01N 31/00 (2006.01) *C07D 285/06* (2006.01)
A01N 37/18 (2006.01) *C07D 307/36* (2006.01)
C07D 207/34 (2006.01) *C07D 307/56* (2006.01)
C07D 213/60 (2006.01) *C07D 313/10* (2006.01)
C07D 213/89 (2006.01) *C07D 333/28* (2006.01)
C07D 231/14 (2006.01)

(21) International Application Number: PCT/EP2010/054864

(22) International Filing Date: 14 April 2010 (14.04.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0907824.7 6 May 2009 (06.05.2009) GB
10150814.1 15 January 2010 (15.01.2010) EP

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(81) **Designated States** *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

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

Declarations under Rule 4.17:

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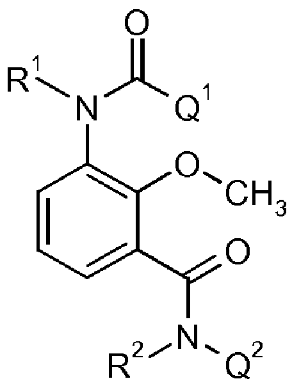
(54) Title: INSECTICIDAL COMPOUNDS



(I)

(57) Abstract: The present invention relates to bis-amide derivatives of formula (I), to processes and intermediates for preparing them, to methods of using them to control insect, acarine, nematode and mollusc pests, and to insecticidal, acaricidal, nematicidal and molluscicidal compositions comprising them.

WO 2010/127928 A1

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

Published:

— *with international search report (Art. 21(3))*

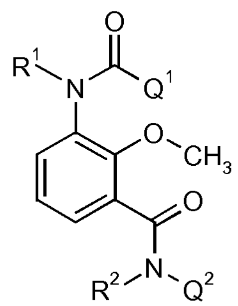
INSECTICIDAL COMPOUNDS

The present invention relates to bis-amide derivatives, to processes and intermediates for preparing them, to methods of using them to control insect, acarine, nematode and mollusc pests, and to insecticidal, acaricidal, nematicidal and molluscicidal compositions comprising them.

Compounds having insecticidal properties are disclosed in EP 1,714,958, JP 2006/306771, WO 2006/137376, EP 1,916,236, WO 2007/017075, WO 2008/000438, WO 2008/074427 and WO 2009/049845. There exists a need for alternative methods of control of pests. Preferably, new compounds may possess improved insecticidal properties, such as improved efficacy, improved selectivity, reduced toxicity, lower tendency to generate resistance or activity against a broader range of pests. Compounds may be more advantageously formulated or provide more efficient delivery and retention at sites of action, or may be more readily biodegradable.

It has surprisingly been found that certain bisamide derivatives, which are substituted by an arylperfluorobutyl group, have beneficial properties, which makes them particularly suitable for use as insecticides.

The present invention therefore provides a compound of formula (I)



(I)

wherein

Q^1 is aryl or heterocyclyl, each optionally substituted by one to five R^3 substituents, which may be the same or different;

Q^2 is selected from

2-ethyl-6-methyl-4-(nonafluorobut-2-yl)phenyl,

2-bromo-6-chloro-4-(nonafluorobut-2-yl)phenyl,

2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl, 2,6-dimethyl-4-(nonafluoro-but-2-yl)phenyl,

2-chloro-6-methoxymethyl-4-(nonafluorobut-2-yl)phenyl, and

2-bromo-6-methoxymethyl-4-(nonafluorobut-2-yl)phenyl;

R^1 is selected from hydrogen, C_1-C_8alkyl, C_1-C_8alkylcarbonyl, C_1-C_8alkoxycarbonyl and C_1-C_4alkyl-C(O)NH_2;

R^2 is selected from hydrogen, C_1-C_8alkyl, C_1-C_8alkylcarbonyl, C_1-C_8alkoxycarbonyl and C_1-C_4alkyl-C(O)NH_2; and

R^3 is selected from cyano, nitro, halogen, hydroxyl, acetoxy, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4haloalkoxy, C_1-C_4alkylthio, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy, CN-C_1-C_4alkyl, C_1-C_4alkyl-C(O)O, C_1-C_4alkyl-S$(O)_2$, NH_2, C_1-C_4alkylNH, $(C_1$-C_4alkyl$)_2$N, $(C_1$-C_4alkylO$)_2$P(O)O, phenyl and a five to six-membered monocyclic heterocycle containing 1 to 3 heteroatoms independently selected from nitrogen, oxygen and sulfur;

or an agrochemically acceptable salt or N-oxides thereof.

The compounds of formula (I) may exist in different geometric or optical isomers (enantiomers and/or diasteroisomers) 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.

Unless otherwise indicated, alkyl, on its own or as part of another group, such as alkoxy, alkylcarbonyl or alkoxycarbonyl, may be straight or branched chain and may preferably contain from 1 to 6 carbon atoms, more preferably 1 to 4, and most preferably 1 to 3. Examples of alkyl include methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *sec*-butyl, *iso*-butyl and *tert*-butyl.

Halogen means fluorine, chlorine, bromine or iodine.

Haloalkyl groups may contain one or more identical or different halogen atoms, and includes, for example, trifluoromethyl, chlorodifluoromethyl, 2,2,2-trifluoroethyl or 2,2-difluoroethyl. Perfluoroalkyl groups are alkyl groups which are completely substituted with fluorine atoms and include, for example, trifluoromethyl, pentafluoroethyl, heptafluoroprop-2-yl and nonafluoro-but-2-yl.

Aryl includes phenyl, naphthyl, anthracenyl, indenyl, phenanthrenyl and biphenyl, with phenyl being preferred.

Heteroaryl means a mono-, bi- or tricyclic, aromatic hydrocarbon, containing 3 to 14, preferably 4 to 10, more preferably 4 to 7, most preferably 5 to 6 ring-atoms, including 1 to 6, preferably 1 to 4, more preferably, 1, 2 or 3 heteroatoms independently selected from nitrogen, oxygen and sulfur. Examples include furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothienyl, benzofuranyl, benzimidazolyl, benzothiadiazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolyl, isoquinolyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl. Preferred, are monocyclic heteroaryl groups containing 4 to 7, preferably 5 to 6 ring-atoms, including 1, 2 or 3 heteroatoms independently selected from nitrogen, oxygen and sulfur.

Heterocyclyl, as used herein, includes heteroaryl, and in addition may be a saturated or partially unsaturated monocyclic or bicyclic hydrocarbon containing from 3 to 10 ring-atoms including 1 to 6, preferably 1 to 4, more preferably 1, 2 or 3 heteroatoms independently selected from nitrogen, oxygen and sulfur. Examples of non-aromatic heterocyclyl groups are oxiranyl, azetidinyl, tetrahydrofuranyl, thiolanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, sulfolanyl, dioxolanyl, dihydropyranyl, tetrahydropyranyl, piperidinyl, pyrazolinyl, pyrazolidinyl, 1,3-dioxanyl, 1,4-dioxanyl, morpholinyl, dithianyl, thiomorpholinyl, piperazinyl, azepinyl, oxazepinyl, thiazepinyl, thiazolinyl, diazapanyl, 2,3-dihydrobenzofuranyl, 3,4-dihydro-2H-benzo[b][1,4]dioxepinyl, benzo[1,3]dioxolanyl and 2,3-dihydrobenzo[1,4]dioxinyl.

Preferred values of Q^1, Q^2, R^1, R^2 and R^3 are, in any combination, as set out below.

Preferably, Q^1 is aryl or heteroaryl; each optionally substituted by one to five R^3 substituents, which may be the same or different.

More preferably, Q^1 is selected from phenyl, biphenyl and a five to six-membered monocyclic heteroaryl group containing 1, 2 or 3 heteroatoms independently selected from nitrogen, oxygen and sulfur; each optionally substituted by one to five R^3 substituents, which may be the same or different.

Yet more preferably, Q^1 is selected from phenyl, biphenyl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothienyl, benzofuranyl, benzimidazolyl, benzothiadiazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolyl, isoquinolyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl; each optionally substituted by one to five R^3, and more preferably by one to three R^3 substituents, which may be the same or different.

Even more preferably, Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different.

Preferably, R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4haloalkoxy, C_1-C_4alkylthio, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy, CH_2-CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

More preferably, R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, methyl, ethyl, isopropyl, tert-butyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, isopropylthio, 3-ethoxy-n-propyl, methoxymethyl, 2-methoxyethoxy, CH_2CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

Most preferably, R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy.

Most preferred Q^1 are as herein-defined in the examples and compounds of Tables A to M.

Preferably, Q^2 is selected from

2-ethyl-6-methyl-4-(nonafluoro-but-2-yl)phenyl,

2-bromo-6-chloro-4-(nonafluoro-but-2-yl)phenyl, and

2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl.

Preferably, R^1 is hydrogen. Preferably, R^2 is hydrogen.

In a first preferred aspect, the present invention provides a compound of formula (I) wherein Q^2 is 2-ethyl-6-methyl-4-(nonafluoro-but-2-yl)phenyl; and Q^1, R^1, R^2 and R^3 are defined herein.

In a preferred embodiment of the first preferred aspect, the present invention provides a compound of formula (I) wherein

Q^2 is 2-ethyl-6-methyl-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothienyl, benzofuranyl, benzimidazolyl, benzothiadiazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolyl, isoquinolyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, methyl, ethyl, isopropyl, tert-butyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, isopropylthio, 3-ethoxy-n-propyl, methoxymethyl, 2-methoxyethoxy, CH_2-CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

In a more preferred embodiment of the first preferred aspect, the present invention provides a compound of formula (I) wherein

Q^2 is 2-ethyl-6-methyl-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy.

In a second preferred aspect, the present invention provides a compound of formula (I) wherein Q^2 is 2-bromo-6-chloro-4-(nonafluoro-but-2-yl)phenyl; and Q^1, R^1, R^2 and R^3 are defined herein.

In a preferred embodiment of the second preferred aspect, the present invention provides a compound of formula (I) wherein

Q^2 is 2-bromo-6-chloro-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothienyl, benzofuranyl, benzimidazolyl, benzothiadiazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolyl, isoquinolyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, methyl, ethyl, isopropyl, tert-butyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, isopropylthio, 3-ethoxy-n-propyl, methoxymethyl, 2-methoxyethoxy, CH_2-CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

In a more preferred embodiment of the second preferred aspect, the present invention provides a compound of formula (I) wherein

Q^2 is 2-bromo-6-chloro-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy.

In a third preferred aspect, the present invention provides a compound of formula (I) wherein Q^2 is 2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl; and Q^1, R^1, R^2 and R^3 are defined wherein.

In a preferred embodiment of the third preferred aspect, the present invention provides a compound of formula (I) wherein

Q^2 is 2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothienyl, benzofuranyl, benzimidazolyl, benzothiadiazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolyl, isoquinolyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, methyl, ethyl, isopropyl, tert-butyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, isopropylthio, 3-ethoxy-n-propyl, methoxymethyl, 2-methoxyethoxy, CH_2-CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

In a more preferred embodiment of the third preferred aspect t, the present invention provides a compound of formula (I) wherein

Q^2 is 2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl;

Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy.

Most preferred compounds of formula (I) are selected from

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. A5 of Table A);

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. A3 of Table A);

N-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. B2 of Table B);

N-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. B1 of Table B);

N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. C1 of Table C);

N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. C2 of Table C);

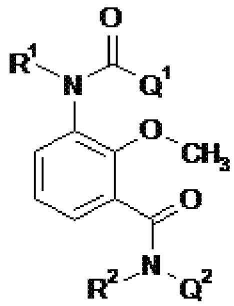
N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(4-fluorobenzoylamino)-2-methoxybenzamide (Compound No. A1 of Table A);

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-3-(4-cyanobenzoylamino)-2-methoxybenzamide (Compound No. A4 of Table A);

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(2-methyl-3-nitrobenzoylamino)-2-methoxybenzamide (Compound No. A2 of Table A); and

N-{3-[2-Bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenylcarbamoyl]-2-methoxyphenyl}-2,4,6-trifluorobenzamide (Compound No. B189 of Table B).

In an additional aspect the present invention provides a compound of formula (II),



(II)

wherein Q^1, R^1, R^2 and R^3 are as defined for formula (I) and the preferred embodiments thereof correspond to those of formula (I); and

Q^2 is selected from:

2-ethyl-6-methyl-4-(perfluoroisopropyl)phenyl,

2-bromo-6-chloro-4-(perfluoroisopropyl)phenyl,

2,6-dichloro-4-(perfluoroisopropyl)phenyl,

2,6-dimethyl-4-(perfluoroisopropyl)phenyl, and

2-methyl-6-methoxymethyl-4-(perfluoroisopropyl)phenyl.

In a preferred embodiment, the present invention provides a compound of formula (II), wherein

Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different;

R^1 and R^2 are both hydrogen; and

R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy; and

Q^2 is selected from:

2-ethyl-6-methyl-4-(perfluoroisopropyl)phenyl,

2-bromo-6-chloro-4-(perfluoroisopropyl)phenyl,

2,6-dichloro-4-(perfluoroisopropyl)phenyl,

2,6-dimethyl-4-(perfluoroisopropyl)phenyl, and

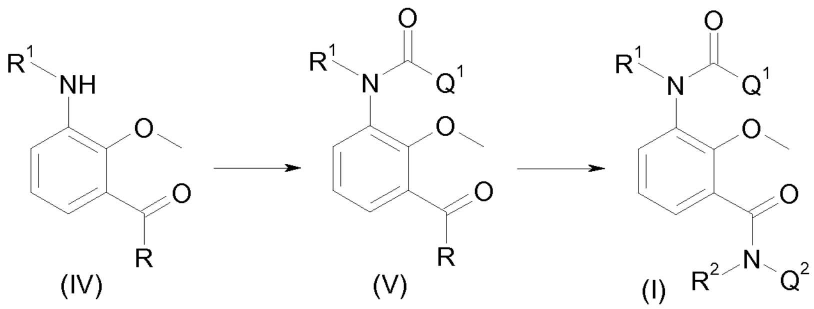
2-methyl-6-methoxymethyl-4-(perfluoroisopropyl)phenyl;

or an agrochemically acceptable salt or N-oxides thereof.

Most preferred compounds of formula (II) are those of Tables E, G, J, K and L.

The compounds of the invention may be made by a variety of methods, for example, the methods disclosed in WO 08/000438.

1) Compounds of formula (I) may be made by treatment of compounds of formula (V), wherein R is OH, C_1-C_6alkoxy, Cl, F or Br with an amine of formula NHR^2Q^2. When R is OH such reactions may be carried out in the presence of a coupling reagent, such as DCC (N,N'-dicyclohexylcarbodiimide), EDC (1-ethyl-3-[3-dimethylamino-propyl]carbodiimide hydrochloride) or BOP-Cl (bis(2-oxo-3-oxazolidinyl)phosphonic chloride), in the presence of a base, such as pyridine, triethylamine, 4-(dimethylamino)pyridine or diisopropylethylamine, and optionally in the presence of a nucleophilic catalyst, such as hydroxybenzotriazole. When R is Cl, such reactions may be carried out under basic conditions, for example in the presence of pyridine, triethylamine, 4-(dimethylamino)pyridine or diisopropylethylamine, and optionally in the presence of a nucleophilic catalyst. Alternatively, the reaction may be conducted in a biphasic system comprising an organic solvent, preferably ethyl acetate, and an aqueous solvent, preferably a solution of sodium bicarbonate. When R is C_1-C_6alkoxy the ester may be converted directly to the amide by heating the ester and amine together in a thermal process.

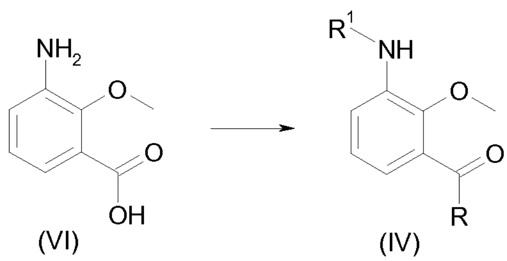


2) Acid halides of formula (V), wherein R is Cl, F or Br, may be made from carboxylic acids of formula (V), wherein R is OH by treatment with thionyl chloride or oxalyl chloride.

3) Carboxylic acids of formula (V), wherein R is OH, may be formed from esters of formula (V), wherein R is C_1-C_6alkoxy by treatment of the ester with an alkali hydroxide, such as sodium hydroxide, in a solvent, such as ethanol.

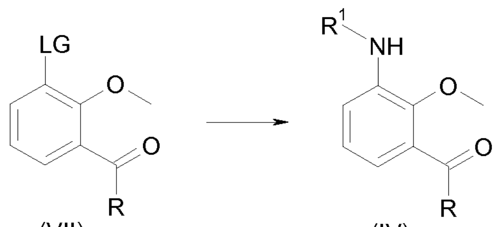
4) Esters of formula (V), wherein R is C_1-C_6alkoxy, may be made by treatment of compounds of formula (IV), wherein R is C_1-C_6alkoxy, by acylation with a carboxylic acid of formula Q^1-COOH or an acid halide of formula Q^1-COHal, wherein Hal is Cl, F or Br, under standard conditions as described in 1).

5) Compounds of formula (IV), wherein R is C_1-C_6alkoxy, may be made from compounds of formula (VI) by sequential treatment with an alcohol R-OH under acidic conditions and then formation of the N-R^1 bond. For example, reductive amination may be achieved by treatment of the amine with an aldehyde or ketone and a reducing agent such as sodium cyanoborohydride. Alternatively, alkylation may be achieved by treating the amine with an alkylating agent such as an alkyl halide, optionally in the presence of a base. Alternatively, arylation may be achieved by treatment of the amine with an aryl halide or sulfonate in the presence of a suitable catalyst/ligand system, often a palladium (0) complex.



6) Alternatively, compounds of formula (IV), wherein R is C_1-C_6alkoxy, may be made from a compound of formula (VII), wherein R is C_1-C_6alkoxy and LG is a leaving group, such as fluoro, chloro or sulfonate, via the displacement of the leaving group by an

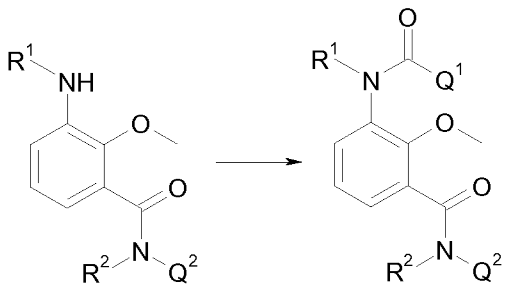
amine of formula R^1-NH_2 or other imine analogue followed by hydrolysis with a metal catalyst. See, for example: Chemical Communications (2009), (14), 1891-1893 or Journal of Organic Chemistry (2000), 65(8), 2612-2614.



(VII) (IV)

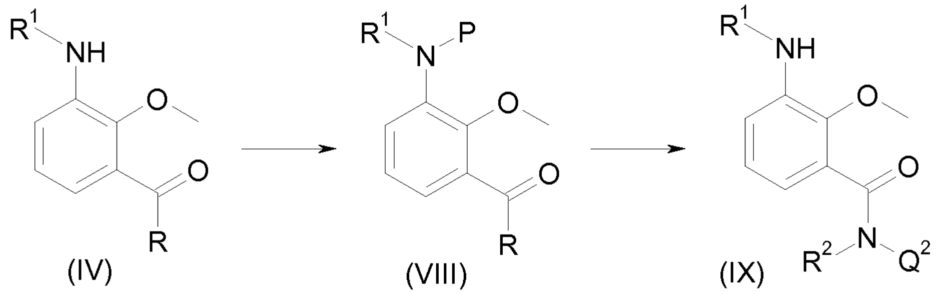
Compounds of formula (VII) and amines of formula R^1-NH_2 are either known compounds or may be made by methods known to a person skilled in the art.

7) Alternatively, compounds of formula (I), may be made by the treatment of compounds of formula (IX) with a carboxylic acid of formula Q^1-COOH or an acid halide of formula Q^1-COHal, wherein Hal is Cl, F or Br, under standard conditions as described in 1).



(IX) (I)

8) Compounds of formula (IX) may be formed from compounds of formula (VIII), wherein P is a suitable protecting group and R is OH, Cl or C_1-C_6alkoxy, by amide bond formation with an amine of formula NHR^2Q^2 under standard conditions as described in 1), followed by removal of the protecting group P under standard conditions.



(IV) (VIII) (IX)

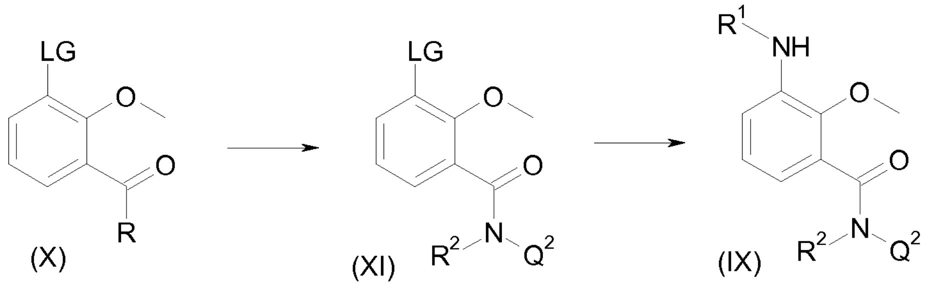
9) Compounds of formula (VIII), wherein R is OH or C_1-C_6alkoxy, may be made by the protection of the amine functionality in compounds of formula (IV), wherein R is OH or C_1-C_6alkoxy. Suitable protecting groups include carbamates (such as *tert*-butyloxycarbonyl, allyloxycarbonyl and benzyloxycarbonyl), trialkylsilyl groups (such as *tert*-butyldimethylsilyl) and acyl groups (such as acetyl).

10) For compounds of formula (VIII) and compounds of formula (IV), the esters, wherein R is C_1-C_6alkoxy, may be hydrolysed to the acids, wherein R is OH, by treatment with an alkali hydroxide, such as sodium hydroxide, in a solvent, such as ethanol. The acids may be converted to the acid chlorides, wherein R is Cl, by treatment with thionyl chloride or oxalyl chloride as described in 2) and 3).

11) Alternatively, compounds of formula (IV), wherein R is OH, Cl, F, Br or C_1-C_6alkoxy, may be converted directly to compounds of formula (IX) by amide bond formation with an amine of formula NHR^2Q^2 under standard conditions as described in 1).

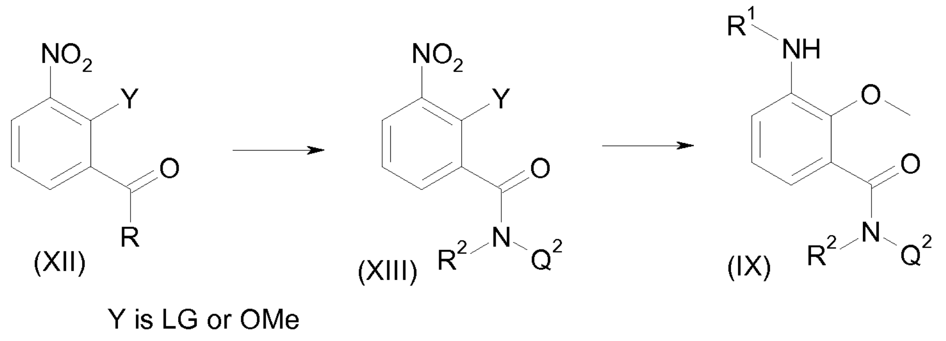
12) Alternatively, compounds of formula (IX) may be made from compounds of formula (XI), wherein LG is a leaving group such as iodo, bromo, chloro or sulfonate, by displacement of the leaving group with a compound of formula R^1-NH_2 or other imine analogue followed by hydrolysis with a metal catalyst. Ssee for example: Chemical Communications (2009), (14), 1891-1893 or Journal of Organic Chemistry (2000), 65(8), 2612-2614.

13) Compounds of formula (XI) may be made from compounds of formula (X), wherein R is Cl or OH and LG is a leaving group as described in 12), via amide bond formation under standard conditions as described in 1). Compounds of formula (X) and formula (IV) are either known compounds or may be made by methods known to the person skilled in the art.



14) An alternative synthesis of compounds of formula (IX), wherein R^1 is hydrogen, may be achieved by the reduction of nitro compounds of formula (XIII), such as by treatment

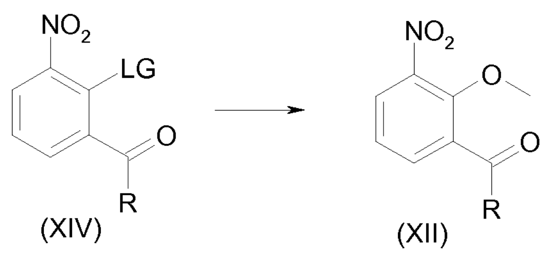
with tin chloride under acidic conditions, or hydrogenation catalysed by a noble metal such as palladium on carbon.



15) Compounds of formula (XIII) may be derived from compounds of formula (XII), wherein R is OH, Cl, or C_1-C_6alkoxy, via acylation with an amine of formula NHR^2Q^2 under the standard conditions as described in 1).

16) For compounds of formula (XII), the esters, wherein R is C_1-C_6alkoxy, may be hydrolysed to the acids, wherein R is OH, by treatment with an alkali hydroxide, such as sodium hydroxide, in a solvent, such as ethanol as described in 3). The acids may be converted to the acid chlorides, wherein R is Cl, by treatment with thionyl chloride or oxalyl chloride as described in 2). Compounds of formula (XII) are either known or may be made by methods known to a person skilled in the art.

17) Compounds of formula (XII) can be made from a compound of formula (XIV) wherein LG is halogen, such as fluorine or chlorine, by reaction with methanol in the presence of a base, such as NaH.



The displacement of a halogen with an oxygen nucleophile can also be carried out on intermediates of formula (XIII) .

The compounds of formula (I) can be used to control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be controlled by the use of the invention compounds include those pests associated with agriculture (which term includes the growing of crops for food and fiber products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies).

Examples of pest species which may be controlled by the compounds of formula (I) include: *Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettixc incticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocorisa* spp. (stinkbugs), *Frankliniella occidentalis* (thrip), Thrips spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies), *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm), *Sylepta derogata* (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp. (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito), *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta orientalis* (cockroach), termites of the Mastotermitidae (for example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulfureus*), *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinia* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot nematodes), *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp.(citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal nematodes) and *Deroceras reticulatum* (slug).

The invention therefore provides a method of controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, preferably a plant, or to a plant susceptible to attack by a pest. The compounds of formula (I) are preferably used against insects or acarines.

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 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®.

Crops are also to be understood as being those which have been rendered resistant to harmful insects by genetic engineering methods, for example Bt maize (resistant to European corn borer), Bt cotton (resistant to cotton boll weevil) and also Bt potatoes (resistant to Colorado beetle). Examples of Bt maize are the Bt 176 maize hybrids of NK® (Syngenta Seeds). Examples of transgenic plants comprising one or more genes that code for an insecticidal resistance and express one or more toxins are KnockOut® (maize), Yield Gard® (maize), NuCOTIN33B® (cotton), Bollgard® (cotton), NewLeaf® (potatoes), NatureGard® and Protexcta®.

Plant crops or seed material thereof can be both resistant to herbicides and, at the same time, resistant to insect feeding ("stacked" transgenic events). For example, seed can have the ability to express an insecticidal Cry3 protein while at the same time being tolerant to glyphosate.

Crops are also to be understood as being those which are obtained by conventional methods of breeding or genetic engineering and contain so-called output traits (e.g. improved storage stability, higher nutritional value and improved flavor).

In order to apply a compound of formula (I) as an insecticide, acaricide, nematicide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is 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.

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

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

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

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more 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).

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

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulfates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrins, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

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

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as 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 C_8-C_{10} 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 formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifiying 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 formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME 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 formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

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

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

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

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

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

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

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

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulfuric acid (for example sodium lauryl sulfate), salts of sulfonated aromatic compounds (for example sodium dodecylbenzenesulfonate, calcium dodecylbenzenesulfonate, butylnaphthalene sulfonate and mixtures of sodium di-*iso*propyl- and tri-*iso*propyl-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 glycinates.

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 attapulgite).

A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media 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 formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

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

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

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

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

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide 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), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, S-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

b) Organophosphates, such as profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos, phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;

c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;

d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;

e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;

f) Pyrazoles, such as tebufenpyrad and fenpyroximate;

g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad, azadirachtin or spinetoram;

h) Hormones or pheromones;

i) Organochlorine compounds, such as endosulfan (in particular alpha-endosulfan), benzene hexachloride, DDT, chlordane or dieldrin;

j) Amidines, such as chlordimeform or amitraz;

k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;

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

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

n) Diphenyl ethers, such as diofenolan or pyriproxifen;

o) Indoxacarb;

p) Chlorfenapyr;

q) Pymetrozine;

r) Spirotetramat, spirodiclofen or spiromesifen;

s) Diamides, such as flubendiamide, chlorantraniliprole or cyantraniliprole;

t) Sulfoxaflor; or

u) Metaflumizone.

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 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 are (E)-*N*-methyl-2-[2-(2,5-dimethylphenoxymethyl)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-3-carboxamide (MON65500), *N*-

(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), *N*-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulfate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulfide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl-(Z)-*N*-benzyl-*N*([methyl(methyl-thioethylideneaminooxycarbonyl)amino]thio)-β-alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*iso*propyl, nuarimol, ofurace, organomercury compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxyfen, quintozene, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulfur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, zineb and ziram.

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

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

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

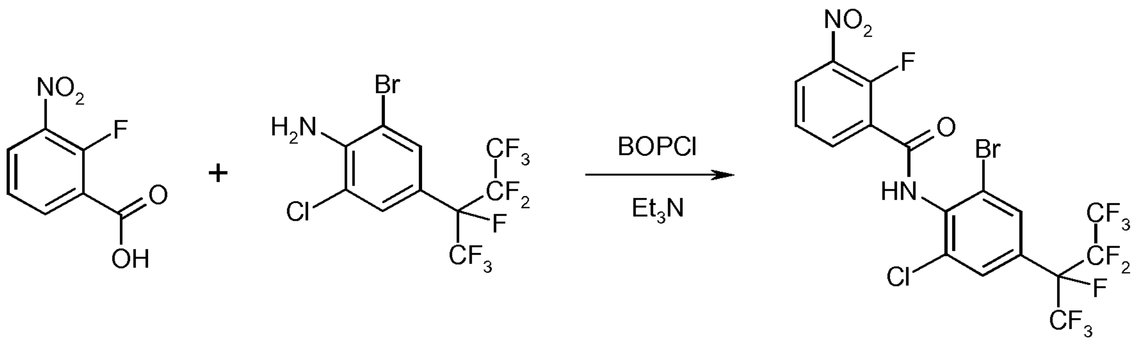
An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIX™.

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

<u>Examples</u>

The following abbreviations were used throughout this section: s = singlet; bs = broad singlet; d = doublet; dd = double doublet; dt = double triplet; t = triplet, tt = triple triplet, q = quartet, sept = septet; m = multiplet; Me = methyl; Et = ethyl; Pr = propyl; Bu = butyl; M.p. = melting point; RT = retention time, MH^+ = molecular cation (i.e. measured molecular weight).

Example I1: *N*-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-fluoro-3-nitrobenzamide



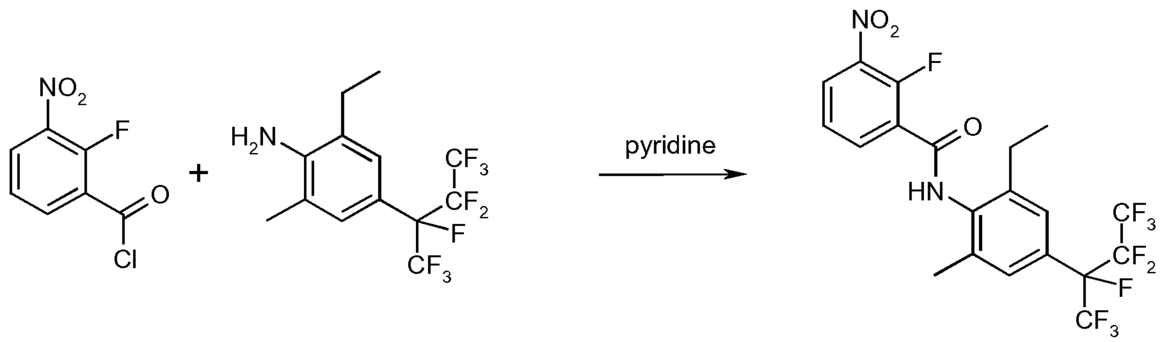
To a solution of 2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenylamine (Example I6) (20 g, 47.1 mmol) and 2-fluoro-3-nitrobenzoic acid (17.4 g, 94.2 mmol) in dichloromethane (230 ml) was added triethylamine (19.7 ml, 141 mmol) and bis(2-oxo-3-oxazolidinyl)phosphonic chloride ("BOP-Cl") (23.98 g, 94 mmol). The reaction mixture was heated to reflux for 6 hours. The reaction mixture was cooled to ambient temperature and quenched by the addition of aqueous hydrochloric acid (1N). The mixture was then extracted three times with dichloromethane. The combined organic extracts were washed with saturated aqueous sodium hydrogen carbonate, dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 7:3) to give *N*-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-fluoro-3-nitrobenzamide (12 g, 43% yield). ^{1}H NMR ($CDCl_3$, 400 MHz): 8.48 (t, 1H), 8.30 (t, 1H), 8.18 (db, 1H), 7.86 (s, 1H), 7.75 (s, 1H), 7.54 (t, 1H) ppm.

The following compound was made by the same procedure:

N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-fluoro-3-nitro-benzamide

^{1}H NMR ($CDCl_3$, 400 MHz): 8.48 (t, 1H), 8.28 (t, 1H), 8.14 (db, 1H), 7.68 (s, 2H), 7.54 (t, 1H) ppm.

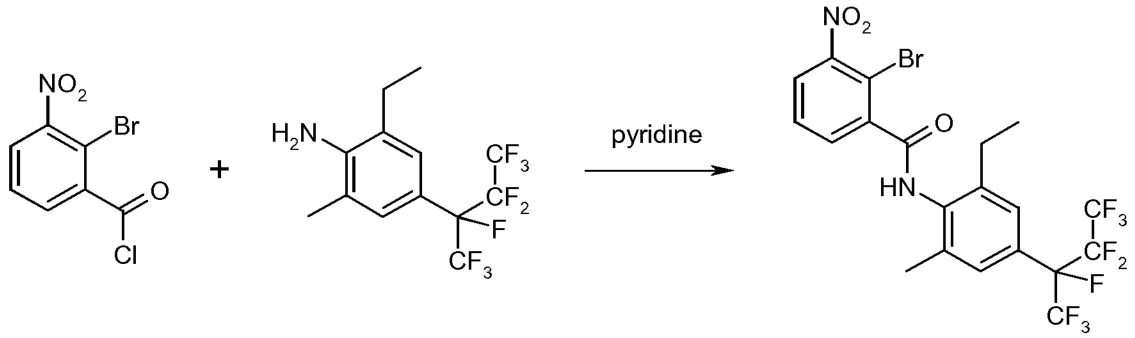
Example I2: *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-2-fluoro-3-nitrobenzamide



To a suspension of 2-fluoro-3-nitrobenzoic acid (6.3 g, 34 mmol) in dichloromethane (20 ml) was added oxalyl chloride (4.3 ml) at ambient temperature, followed by *N*,*N*-dimethylformamide (0.2 ml). The reaction mixture was stirred for 1 hour at ambient temperature and then heated to reflux for 3 hours. The reaction mixture was allowed to cool to ambient temperature and then concentrated. The residue was suspended in tetrahydrofuran (50 ml). 2-Ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylaniline (preparation as described in WO 08/074427) (10 g, 28.3 mmol) was dissolved in tetrahydro-furan (50 ml) and pyridine (6.8 ml, 84.9 mmol) was added. The reaction mixture was stirred at ambient temperature for 3 hours, then at reflux for 3 hours. The reaction was quenched by addition of saturated aqueous sodium hydrogen carbonate (100 ml) and the mixture extracted twice with ethyl acetate (2x 200 ml). The combined organic extracts were dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 4:1 to 0:1) to give *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-fluoro-3-nitrobenzamide (6.32 g, 43% yield).

^{1}H NMR ($CDCl_3$, 400 MHz): 8.34 (m, 1H), 8.22 (m, 1H), 8.02 (bs, 1H), 7.45 (t, 1H), 7.48 (s, 2H), 2.70 (q, 2H), 1.22 (t, 3H).

Example I3: *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-2-bromo-3-nitrobenzamide

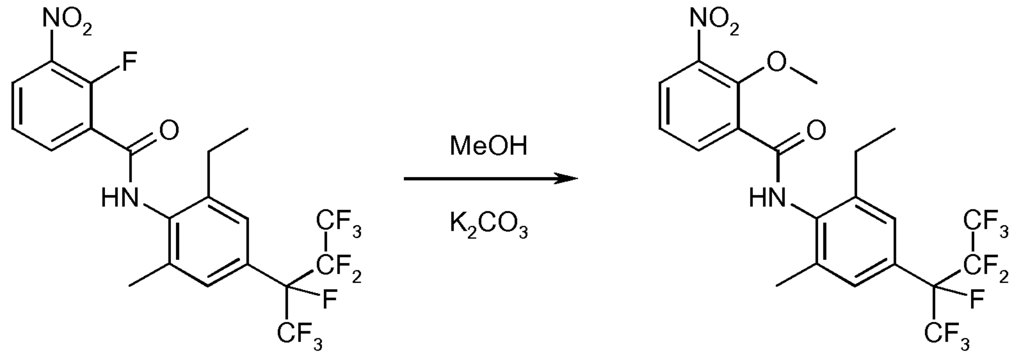


To a suspension of 2-bromo-3-nitrobenzoic acid (2.870 g, 0.0117 mol) in toluene (29 ml) was added *N,N*-dimethylformamide (90 μl) at ambient temperature, followed by slow addition of thionyl chloride (1.69 ml, 0.02332 mol). The reaction mixture was stirred for 1 hour at 100°C. The reaction mixture was allowed to cool to ambient temperature and the toluene was evaporated. The appropriate amount of acyl chloride was dissolved in THF and use without extra purification.

To a solution of 2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylaniline (preparation as described in WO 08/074427) (106 mg, 0.3 mmol) in tetrahydrofuran (0.5 ml) was added pyridine (72.6 μl, 0.9 mmol) at 0 to 5°C. A solution of 2-bromo-3-nitrobenzoic acid chloride (87 mg, 0.33 mmol) in tetrahydrofuran (0.5 ml) was added. The reaction mixture was stirred at ambient temperature for 3 hours, then at reflux for 15 hours. After 15 hours, the reaction was not complete so *N,N*-dimethylacetamide ("DMA") (0.1 equivalents) and more 2-bromo-3-nitrobenzoic acid chloride (0.2 equivalents) were added. The reaction mixture was stirred at ambient temperature for 41 hours. After 41 hours, the reaction was quenched by addition of saturated aqueous sodium hydrogen carbonate (10 ml) and the mixture extracted twice with ethyl acetate (2x 20 ml). The combined organic extracts were dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 6:1) to give *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-bromo-3-nitrobenzamide (0.133 g, 76% yield).

^{1}H NMR ($CDCl_3$, 400 MHz): 7.78 (dd, 1H), 7.72 (dd, 1H), 7.53 (t, 1H), 7.32 (s, 2H), 7.17 (bs, 1H), 2.71 (q, 2H), 2.40 (s, 3H), 1.19 (t, 3H).

Example I4: *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-2-methoxy-3-nitrobenzamide



To a suspension of *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-fluoro-3-nitrobenzamide (Example I2) (5 g, 9.6 mmol) in methanol (195 ml) was added potassium carbonate (2.6 g, 16.2 mmol) at ambient temperature. The reaction mixture was stirred for 16 hours at ambient temperature. The reaction mixture was concentrated and the residue was dissolved in dichloromethane. The organic phase was washed with water, dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 3:1) to give *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxy-3-nitrobenzamide (5.1 g, 99% yield). ^{1}H NMR (400 MHz, $CDCl_3$): 8.90 (bs, 1H), 8.32 (d, 1H), 7.97 (d, 1H), 7.38 (m, 3H), 4.19 (s, 3H), 2.70 (q, 2H), 2.24 (s, 3H), 1.20 (t, 3H) ppm.

The following compounds were made by the same procedure:

N-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-methoxy-3-nitrobenzamide

^{1}H NMR (400 MHz, $CDCl_3$): 9.23 (bs, 1H), 8.45 (dd, 1H), 8.07 (dd, 1H), 7.84 (s, 1H), 7.71 (s, 1H), 7.46 (t, 1H), 4.18 (s, 3H) ppm.

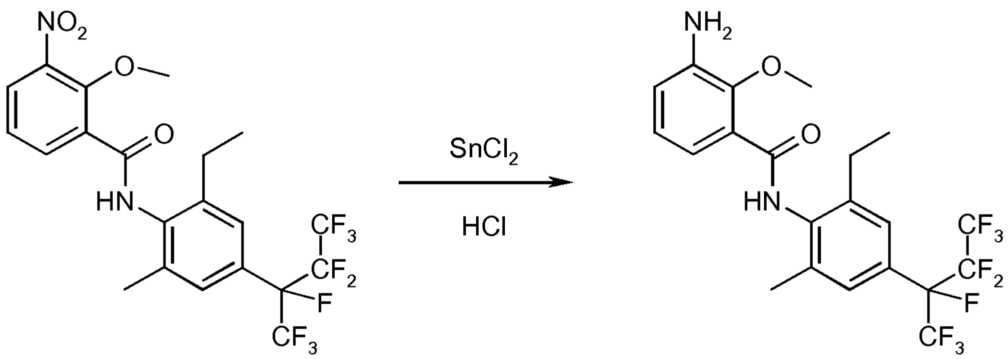
N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-methoxy-3-nitrobenzamide

^{1}H NMR (400 MHz, $CDCl_3$): 9.22 (bs, 1H), 8.42 (d, 1H), 8.07 (d, 1H), 7.68 (s, 2H), 7.44 (t, 1H), 4.15 (s, 3H) ppm.

A similar procedure was applied to *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-bromo-3-nitrobenzamide (Example I3) to give

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxy-3-nitrobenzamide (92% yield).

Example I5: 3-amino-*N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxybenzamide



To a solution of *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxy-3-nitrobenzamide (Example I4) (5.1 g, 9.57 mmol) in isopropanol (50 ml) was added tin chloride (6.5 g, 34.5 mmol) at ambient temperature. The mixture was cooled to 0°C and concentrated aqueous hydrochloric acid (10 ml) was added slowly. The reaction mixture was stirred at 80°C for 0.5 hours. One third of the total volume of isopropanol was evaporated. Water (100 ml) was added to the concentrated mixture and aqueous sodium hydroxide (4N) was added to adjust the pH to 7 to 8. The aqueous phase was extracted three times with ethyl acetate (3x 200 ml). The combined organic extracts were dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 2:1 to 1:1) to give 3-amino-*N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxy benzamide (2.3 g, 48% yield).

^{1}H NMR (400 MHz, $CDCl_3$): 9.12 (bs, 1H), 7.37 (dd, 1H), 7.26 (s, 2H), 6.91(t, 1H), 6.80 (dd, 1H), 3.90 (bs, 2H), 3.80 (s, 3H), 2.60 (q, 2H), 2.24 (s, 3H), 1.11 (t, 3H) ppm.

The following compounds were made by the same procedure:

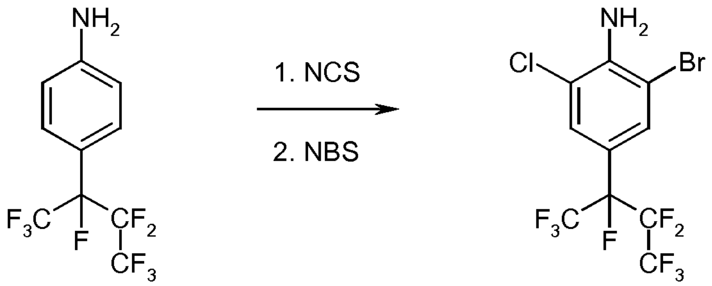
3-Amino-*N*-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenyl]-2-methoxybenzamide

^{1}H NMR (400 MHz, $CDCl_3$): 7.73 (bs, 1H), 7.61 (s, 1H), 7.47 (dd, 1H), 6.98 (t, 1H), 6.88 (dd, 1H), 3.91 (s, 3H), 3.85 (bs, 2H) ppm.

3-Amino-*N*-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenyl]-2-methoxybenzamide

^{1}H NMR (400 MHz, $CDCl_3$): 7.65 (s, 2H), 7.54 (d, 1H), 7.10 (t, 1H), 6.98 (d, 1H), 3.98 (s, 3H), 3.93 (bs, 2H) ppm.

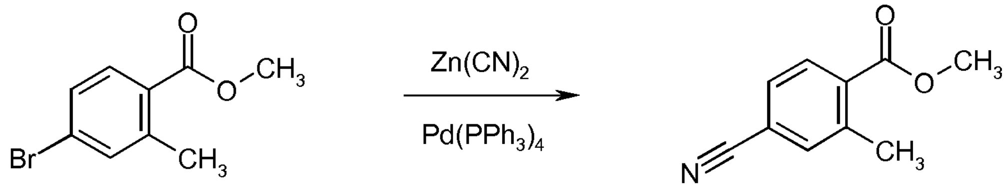
Example I6: 2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenylamine



4-(1,2,2,3,3,3-Hexafluoro-1-trifluoromethylethyl)phenylamine (prepared according to EP 1,006,102) (175.8 g, 565 mmol) was dissolved in acetonitrile (1000 ml) and *N*-chloro-succinimide ("NCS") (76.2 g, 570.7 mmol) was added. The reaction mixture was heated to reflux for 90 minutes. The reaction mixture was concentrated under vacuum, the residue suspended in diethyl ether and the solids removed via filtration. The filtrate was concentrated and the residue was purified by column chromatography on silica gel (eluent: cyclohexane / dichloromethane 9:1) to give 2-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenylamine. The same procedure was repeated using *N*-bromosuccinimide ("NBS") (100.5 g, 565 mmol) as reagent. This time the residue was purified by column chromatography on silica gel (eluent: cyclohexane / dichloromethane 2:1) to give 2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenylamine (143.3 g, 59.7% yield).

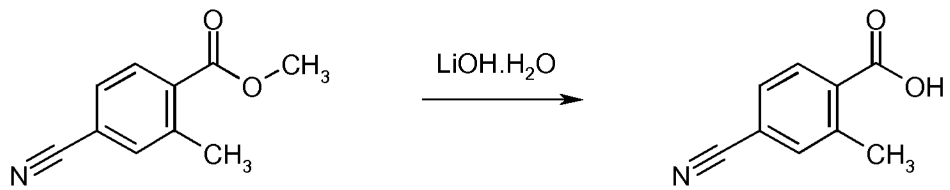
^{1}H NMR (400 MHz, $CDCl_3$): 7.70 (s, 1H), 7.42 (s, 1H), 4.82 (s, 2H) ppm.

Example I7: 4-cyano-2-methylbenzoic acid methyl ester



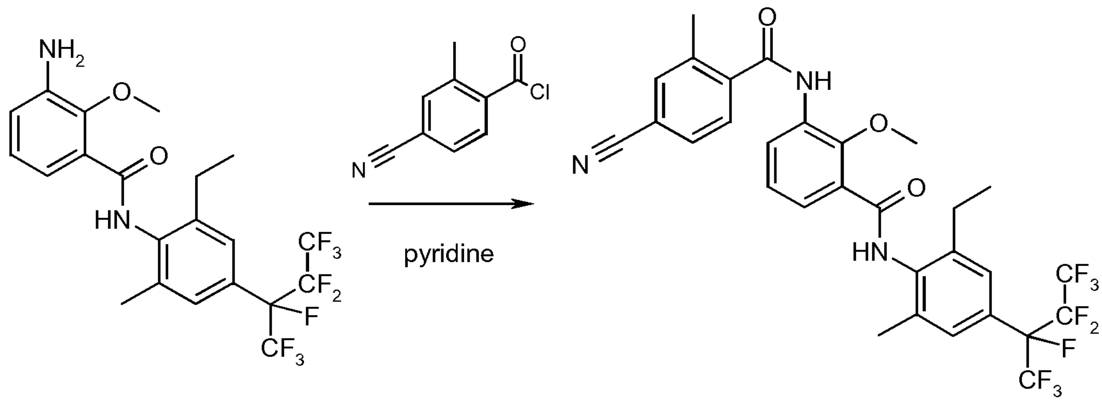
To a solution of 4-bromo-2-methylbenzoic acid (108 g, 471 mmol) in *N,N*-dimethylformamide (4 L) under a nitrogen atmosphere was added zinc (II) cyanide (88.5 g, 753.6 mmol) and tetrakis(triphenylphosphine)palladium (65 g, 56.60 mmol). The reaction mixture was stirred at 100°C for 16 hours. The reaction mixture was diluted with toluene and the phases were separated. The aqueous phase was extracted twice with toluene. The combined organic phases were washed with brine and saturated aqueous ammonium hydroxide, dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: ethyl acetate / cyclohexane 1:5) to give 4-cyano-2-methylbenzoic acid methyl ester (73 g, 89% yield). ^{1}H NMR (400 MHz, $CDCl_3$): 7.78 (d, 1H), 7.52 (m, 2H), 3.92 (s, 3H), 2.62 (s, 3H) ppm.

Example I8: 4-cyano-2-methylbenzoic acid



To a solution of 4-cyano-2-methylbenzoic acid (Example I7) (61 g, 348 mmol) in a mixture of water (0.360 ml) and tetrahydrofuran (1.4 l) was added lithium hydroxide hydrate (31.4 g, 748.2 mmol). The reaction mixture was stirred at ambient temperature for 3 hours. The reaction mixture was concentrated. The residue was acidified by addition of aqueous hydrochloric acid (1N) and extracted with a mixture of methanol and chloroform (5:95). The organic phase was dried over sodium sulfate and concentrated. The residue was crystallized in a mixture of ethyl acetate and cyclohexane to give 4-cyano-2-methylbenzoic acid (55.5 g, 99% yield). ^{1}H NMR (400 MHz, $CDCl_3$): 7.89 (d, 1H), 7.80 (s, 1H), 7.72 (d, 1H), 2.51 (s, 3H) ppm.

Example P1: *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methyl-phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. A5 of Table A)



To a solution of 4-cyano-2-methylbenzoic acid (Example I8) (0.141 g, 0.8 mmol) and *N,N*-dimethylformamide (2 drops) in dichloromethane (5 ml) under a nitrogen atmosphere was added oxalyl chloride (0.074 ml, 0.88 mmol). The reaction mixture was stirred for one hour at ambient temperature and then at 60°C for 1.5 hours. The reaction mixture was concentrated and the residue dissolved in tetrahydrofuran (10 ml). The solution was added dropwise to a solution of 3-amino-*N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethyl-propyl)-6-methylphenyl]-2-methoxybenzamide (Example I5) (0.37 g, 0.73 mmol) and pyridine (0.12ml, 1.46 mmol) in tetrahydrofuran (10 ml). The reaction mixture was stirred at ambient temperature for 16 hours. The reaction mixture was poured into aqueous sodium hydrogen carbonate (1M) and the mixture extracted three times with ethyl acetate. The combined organic phases were dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: ethyl acetate / cyclohexane 1:3) to give Compound No. A5 of Table A (0.240 g, 51% yield). M.p. 104-105°C. ^{1}H NMR (400 MHz, $CDCl_3$): 8.68 (d, 1H), 8.62 (s, 1H), 8.01 (s, 1H), 7.92 (d, 1H), 7.66 (m, 3H), 7.41 (m, 3H), 4.00 (s, 3H), 2.72 (q, 2H), 2.62 (s, 3H), 2.39 (s, 3H), 1.25 (t, 3H) ppm.

The following compounds were made by the same procedure:

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. A3 of Table A)

^{1}H NMR (400 MHz, $CDCl_3$): 8.76 (m, 2H), 8.71 (d, 1H), 7.98 (m, 1H), 7.71 (dd, 1H), 7.39 (m, 3H), 7.27 (dd, 1H), 7.18 (m, 1H), 4.03 (s, 3H), 2.73 (q, 2H), 2.39 (s, 3H), 1.25 (t, 3H) ppm.

N-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. B2 of Table B)

M.p. 178-179°C. ^{1}H NMR (400 MHz, $CDCl_3$): 9.12 (bs, 1H), 8.79 (bs, 1H), 8.73 (d, 1H), 7.79-7.72 (m, 2H), 7.84 (s, 1H), 7.72 (s, 1H), 7.38 (t, 1H), 7.25 (m, 1H), 7.17 (m, 1H), 4.07 (s, 3H) ppm.

N-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. B1 of Table B)

M.p. 109-110°C. ^{1}H NMR (400 MHz, $CDCl_3$): 9.04 (bs, 1H), 8.69 (d, 1H), 8.10 (s, 1H), 7.93 (dd, 1H), 7.84 (s, 1H), 7.72 (s, 1H), 7.63 (m, 3H), 7.40 (t, 1H), 4.04 (s, 3H), 2.61 (s, 3H) ppm.

N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-fluoro-2-chlorobenzoylamino)-2-methoxybenzamide (Compound No. C1 of Table C)

^{1}H NMR (400 MHz, $CDCl_3$): 9.12 (bs, 1H), 8.79-8.72 (m, 2H), 7.97 (m, 1H), 7.91 (dd, 1H), 7.68 (s, 2H), 7.48 (t, 1H), 7.25 (m, 1H), 7.16 (m, 1H), 4.04 (s, 3H) ppm.

N-[2,6-dichloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-3-(4-cyano-2-methylbenzoylamino)-2-methoxybenzamide (Compound No. C2 of Table C)

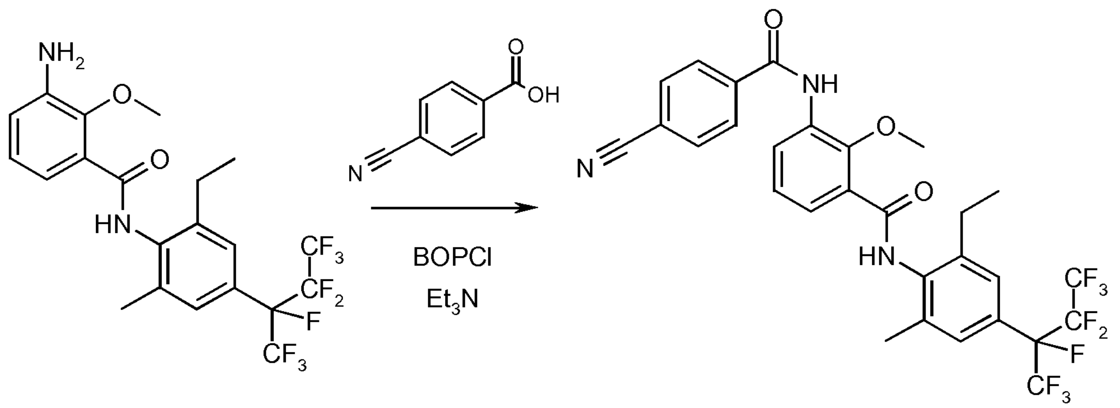
^{1}H NMR (400 MHz, $CDCl_3$): 8.99 (bs, 1H), 8.70 (d, 1H), 8.02 (s, 1H), 7.92 (dd, 1H), 7.68 (s, 2H), 7.62 (s, 3H), 7.40 (t, 1H), 4.02 (s, 3H), 2.60 (s, 3H) ppm.

The following compound was made by the same procedure except that the acyl chloride was used directly and triethylamine was used instead of pyridine:

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(4-fluorobenzoylamino)-2-methoxybenzamide (Compound No. A1 of Table A)

^{1}H NMR (400 MHz, $CDCl_3$): 8.68 (s, 1H), 8.57 (d, 1H), 8.30 (s, 1H), 7.89 (m, 2H), 7.80 (dd, 1H), 7.30 (m, 3H), 7.17 (m, 2H), 3.94 (s, 3H), 2.66 (q, 2H), 2.32 (s, 3H), 1.15 (t, 3H).

Example P2: *N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(4-cyanobenzoylamino)-2-methoxybenzamide (Compound No. A4 of Table A)



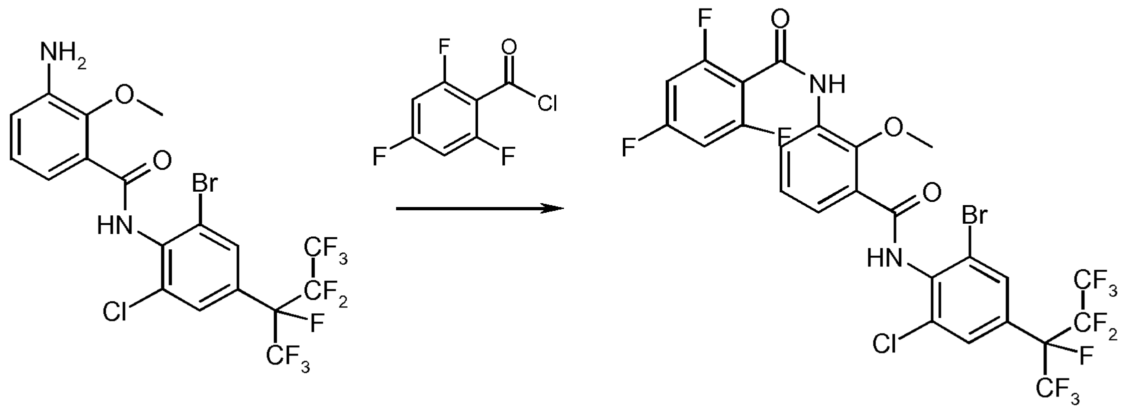
To a solution of 3-amino-*N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxybenzamide (Example I5) (30 mg, 0.06 mmol) in tetrahydrofuran (1.2 ml) was added triethylamine (25 µl, 0.18 mmol). 4-Cyanobenzoic acid (17.6 mg, 0.12 mmol) and bis(2-oxo-3-oxazolidinyl)phosphonic chloride ("BOP-Cl") (30.4 mg, 0.12 mmol) were added. The reaction mixture was heated to reflux for 6 hours. The reaction mixture was cooled to ambient temperature and concentrated. The residue was dissolved in dichloromethane and washed with aqueous hydrochloric acid (1N) and with aqueous sodium hydrogen carbonate (saturated). The organic extract was dried over sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (eluent: cyclohexane / ethyl acetate 5:3) to give Compound No. A4 of Table A (35 mg, 93% yield). ^{1}H NMR (400 MHz, $CDCl_3$): 8.56 (m, 2H), 8.48 (bs, 1H), 7.97 (m, 2H), 7.82 (d, 1H), 7.78 (d, 2H), 7.30 (m, 3H), 3.94 (s, 3H), 2.65 (q, 2H), 2.30 (s, 3H), 1.13 (t, 3H) ppm.

The following compound was made by the same procedure:

N-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-3-(2-methyl-3-nitrobenzoylamino)-2-methoxybenzamide (Compound No. A2 of Table A)

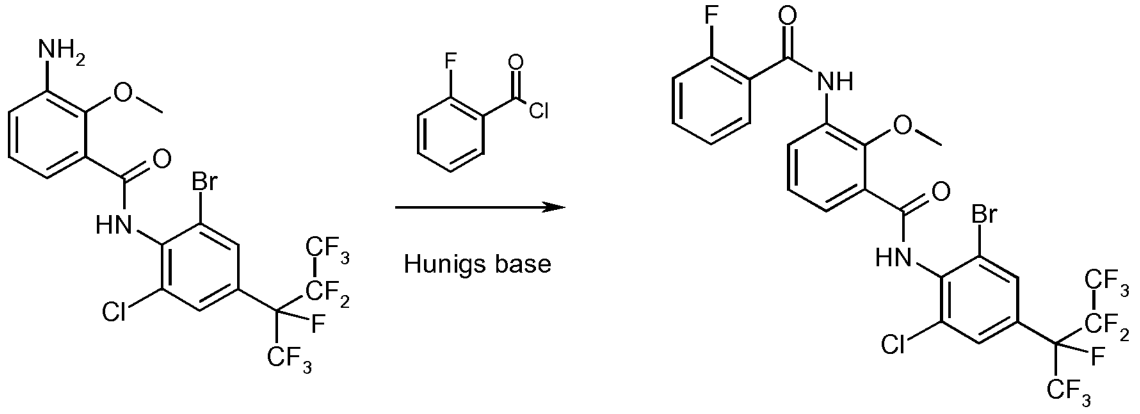
^{1}H NMR (400 MHz, $CDCl_3$): 8.62 (d, 1H), 8.53 (s, 1H), 7.91 (m, 2H), 7.86 (d, 1H), 7.67 (d, 1H), 7.42 (t, 1H), 7.30 (m, 3H), 3.91 (s, 3H), 2.64 (q, 2H), 2.59 (s, 3H), 2.31 (s, 3H), 1.14 (t, 3H) ppm.

Example P3: *N*-{3-[2-Bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-phenylcarbamoyl]-2-methoxyphenyl}-2,4,6-trifluorobenzamide



To a solution of 2,4,6-trifluorobenzoic acid (8.114 g, 46.08 mmol) and *N,N*-dimethylformamide (few drops) in dichloromethane (50 ml) under a nitrogen atmosphere was added oxalyl chloride (4.55 mL, 53.76 mmol). The reaction mixture was stirred for one hour at ambient temperature and then at 60°C for 1.5 hours. The reaction mixture was concentrated and the residue dissolved in tetrahydrofuran (200 ml). The solution was added drop-wise to a solution of 3-amino-*N*-[2-bromo-6-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)phenyl]-2-methoxybenzamide (Example I5) (22.03 g, 38.40 mmol) and pyridine (6.18ml, 76.80 mmol) in tetrahydrofuran (200 ml). The reaction mixture was stirred at ambient temperature for 2 hours. The reaction mixture was poured into aqueous sodium hydrogen carbonate (1M) and the mixture extracted three times with ethyl acetate. The combined organic phases were dried over sodium sulfate and concentrated. The residue was purified by filtration on silica gel to give Compound No. B189 of Table B (28.0g, 99% yield). M.p. 179°C. ^{1}H NMR (400 MHz, $CDCl_3$): 9.14 (s, 1H), 8.72 (d, 1H), 8.28 (s, 1H), 7.97 (q, 1H), 7.85 (s, 1H), 7.74(s, 1H), 7.41 (t, 1H), 6.88 (t, 1H), 4.08 (s, 3H) ppm.

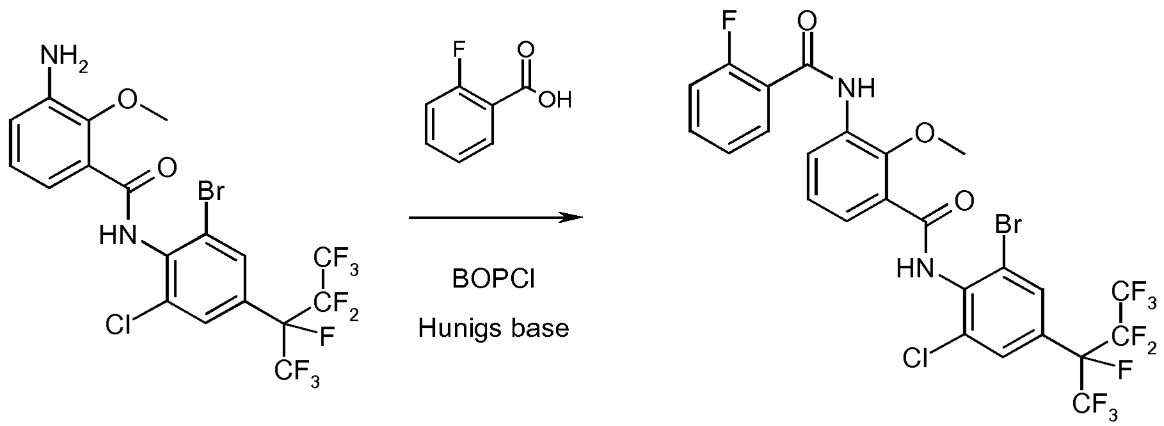
Example P4: Preparation of benzamides from acid chlorides which is amenable to parallel synthesis



Solution A was prepared by dissolving the amino derivative (0.78 mmol), for example 3-amino-*N*-[2-chloro-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-bromophenyl]-2-methoxybenzamide (Example I5) in the case of Compound No. B3 of Table B, in toluene (15.6 ml). Solution B was prepared by dissolving the acid chloride (45 μmol), for example 2-fluorobenzoyl chloride in the case of Compound No. B3 of Table B, in toluene (0.36 ml). Solution A (0.6 ml, 30 μmol) was put in a well and Solution B (0.36 ml, 45 μmol) and diisopropylethylamine ("Hunig's Base") (30 μl, 150 μmol) were added successively to the well. The reaction mixture was stirred at 80°C for 16 hours. The solvent was evaporated and the mixture was diluted with a mixture of acetonitrile (0.6 ml) and *N,N*-dimethylacetamide (0.2 ml) and then purified by HPLC to give the desired compound.

This method was used to prepare a number of compounds in parallel.

Example P5: Preparation of benzamides from carboxylic acids which is amenable to parallel synthesis



Solution A was prepared by dissolving the amino derivative (0.65 mmol), for example 3-amino-*N*-[2-ethyl-4-(1,2,2,3,3,3-hexafluoro-1-trifluoromethylpropyl)-6-methylphenyl]-2-methoxybenzamide (Example I5) in the case of Compound No. A9 of Table A, in *N,N*-dimethylacetamide ("DMA") (9.6 ml). Solution B was prepared by dissolving the carboxylic acid (37.5 μmol), for example 2-chlorobenzoic acid in the case of Compound No. A9 of Table A, in *N,N*-dimethylacetamide ("DMA") (0.38 ml). Solution C was prepared by dissolving bis(2-oxo-3-oxazolidinyl)phosphinic chloride ("BOP-Cl") (1.95 mmol) in *N,N*-dimethylacetamide ("DMA") (7.8 ml). Solution A (0.4 ml, 0.025 mmol) was put in a well and Solution B (0.38 ml, 37.5 μmol), Solution C (0.2 mL, 50 μmol) and diisopropylethylamine ("Hunig's Base") (40 μl, 200 μmol) were added successively to the well. The reaction mixture was stirred at 100°C for 16 hours. The solvent was evaporated and the mixture was diluted with a mixture of acetonitrile (0.6 ml) and *N,N*-dimethylacetamide (0.2 ml) and then purified by HPLC to give the desired compound.

This method was used to prepare a number of compounds in parallel.

The following HPLC-MS method was used for the analysis of the compounds of Table A to L:

Method 1:

MS	ZQ Mass Spectrometer from Waters (single quadrupole mass spectrometer), ionization method: electrospray, polarity: positive ionization, capillary (kV) 3.00, cone (V) 30.00, extractor (V) 3.00, source temperature (°C) 100, desolvation temperature (°C) 200, cone gas flow (L/Hr) 200, desolvation gas flow (L/Hr) 250, mass range: 150 to 800 Da.
LC	1100er Series HPLC from Agilent: quaternary pump, heated column compartment and diode-array detector. Column: Waters Atlantis dc18; length: 20 mm; internal diameter: 3 mm; particle size: 3 µm, temperature (°C) 40, DAD wavelength range (nm): 200 to 500, solvent gradient: A = 0.1% of formic acid in water and B: 0.1% of formic acid in acetonitrile. Time (min) A% B% Flow (ml/min) 0.0 80 20 1.7 2.5 0.0 100 1.7 2.8 0.0 100 1.7 2.9 80 20 1.7

Method 2:

MS	ZQ Mass Spectrometer from Waters (single quadrupole mass spectrometer), ionization method: electrospray, polarity: positive ionization, capillary (kV) 3.00, cone (V) 30.00, extractor (V) 3.00, source temperature (°C) 100, desolvation temperature (°C) 200, cone gas flow (L/Hr) 200, desolvation gas flow (L/Hr) 250, mass range: 150 to 800 Da.
LC	1100er Series HPLC from Agilent: quaternary pump, heated column compartment and diode-array detector. Column: Waters Atlantis dc18; length: 20 mm; internal diameter: 3 mm; particle size: 3 µm, temperature (°C) 40, DAD wavelength range (nm): 200 to 500, solvent gradient: A = 0.1% of formic acid in water and B: 0.1% of formic acid in acetonitrile. Time (min) A% B% Flow (ml/min) 0.0 90 10 1.7 5.5 0.0 100 1.7 5.8 0.0 100 1.7 5.9 90 10 1.7

Method 3 :

MS	ZQ Mass Spectrometer from Waters (Single quadrupole mass spectrometer) Instrument Parameter: Ionisation method: Electrospray Polarity: negative ions Capillary (kV) 3.00, Cone (V) 30.00, Extractor (V) 2.00, Source Temperature (°C) 100, Desolvation Temperature (°C) 250, Cone Gas Flow (L/Hr) 50, Desolvation Gas Flow (L/Hr) 400, Mass range: 150 to 1000 Da.
LC	HP 1100 HPLC from Agilent: solvent degasser, quaternary pump (ZCQ) / binary pump (ZDQ), heated column compartment and diode-array detector. Column: Phenomenex Gemini C18, 3 µm particle size, 110 Angström, 30 x 3 mm, Temp: 60 °C. DAD Wavelength range (nm): 200 to 500 Solvent Gradient:. A = water + 0.05 % HCOOH. B= Acetonitril/Methanol (4:1, v:v) + 0.04 % HCOOH

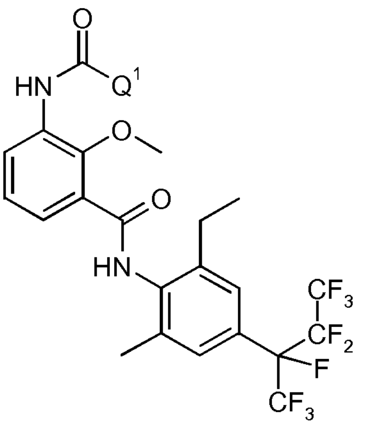
Time (min)	A%	B%	Flow (ml/min)
0.00	95.0	5.0	1.7
2.00	0.00	100.00	1.7
2.80	0.00	100.00	1.7
2.90	95.0	5.0	1.7
3.00	95.0	5.0	1.7

Method 4:

MS	ZQ Mass Spectrometer from Waters (Single quadrupole mass spectrometer) Instrument Parameter: Ionisation method: Electrospray . Polarity: negative ions Capillary (kV) 3.00, Cone (V) 30.00, Extractor (V) 2.00, Source Temperature (°C) 100, Desolvation Temperature (°C) 250, Cone Gas Flow (L/Hr) 50, Desolvation Gas Flow (L/Hr) 400. Mass range: 100 to 900 Da
LC	HP 1100 HPLC from Agilent: solvent degasser, quaternary pump (ZCQ) / binary pump (ZDQ), heated column compartment and diode-array detector. Column: Phenomenex Gemini C18, 3 µm particle size, 110 Angström, 30 x 3 mm, Temp: 60 °C. DAD Wavelength range (nm): 200 to 500. Solvent Gradient: A = water + 0.05 % HCOOH, B= Acetonitril/Methanol (4:1, v:v) + 0.04 % HCOOH

Time (min)	A%	B%	Flow (ml/min)
0.00	95.0	5.0	1.7
2.00	0.00	100.00	1.7
2.80	0.00	100.00	1.7
2.90	95.0	5.0	1.7
3.00	95.0	5.0	1.7

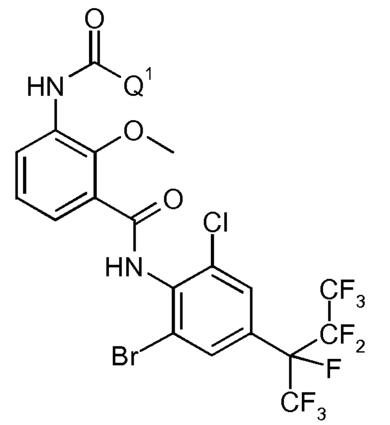
Table A:



(Ia)

Comp. No.	Q[1]	RT (min)	MH+	MP (°C)	LC-MS Method
A1	4-fluorophenyl	3.87	624.8		2
A2	2-methyl-3-nitrophenyl	-	-		
A3	2-chloro-4-fluorophenyl	-	-		
A4	4-cyanophenyl	3.77	631.9		2
A5	4-cyano-2-methylphenyl	-	-	104	
A6	2-chloropyrid-3-yl	3.65	641.8		2
A7	2-fluoropyrid-3-yl	3.79	625.8		2
A8	3-chlorophenyl	4.04	640.8		2
A9	2-chlorophenyl	3.94	640.8		2
A10	2-chloropyrid-4-yl	3.76	641.8		2
A11	5-bromopyrid-3-yl	3.75	687.7		2
A12	5-bromofuran-2-yl	3.98	676.7		2
A13	2-bromophenyl	3.94	686.7		2
A14	3-chloro-5-trifluoromethylpyrid-2-yl	4.3	709.8		2
A15	2-fluoro-3-trifluoromethylphenyl	4.24	692.8		2
A16	2,5-dichlorophenyl	4.13	674.8		2
A17	6-chloropyrid-3-yl	3.67	641.8		2
A18	4-nitrophenyl	3.86	651.8		2
A19	phenyl	3.84	606.8		2
A20	2,3-difluorophenyl	4.07	642.8		2
A21	5-chlorothiophen-2-yl	4.07	646.8		2
A22	2-methyl-4-nitrophenyl			175	

Table B:



(Ib)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B1	4-cyano-2-methylphenyl	-	-		
B2	2-chloro-4-fluorophenyl	2.2	730.7		1
B3	2-fluorophenyl	2.23	696.6		1
B4	2-methylphenyl	2.17	692.7		1
B5	2-chlorophenyl	2.18	712.7		1
B6	4-cyanophenyl	2.07	703.7		1
B7	4-nitrophenyl	2.06	722.0		1
B8	4-methylphenyl	2.21	692.7		1
B9	4-fluoro-2-methylphenyl	2.18	710.7		1
B10	5-chloro-2-fluorophenyl	2.34	730.6		1
B11	2-chloro-4-nitrophenyl	2.19	757.7		1
B12	furan-2-yl	2.06	668.7		1
B13	4-trifluoromethoxyphenyl	2.29	762.7		1
B14	4-fluoro-3-trifluoro-methylphenyl	2.27	764.7		1
B15	4-trifluoromethylphenyl	2.05	746.7		1
B16	2-trifluoromethoxyphenyl	2.26	762.7		1
B17	2-methoxyphenyl	2.28	708.7		1
B18	phenyl	2.12	678.6		1
B19	4-fluorophenyl	2.13	696.7		1
B20	2-trifluoromethylphenyl	2.17	746.7		1
B21	4-methyl-1,2,3-thiadiazol-5-yl	2.05	700.6		1
B22	2,3-difluorophenyl	2.25	714.7		1
B23	2,4-difluorophenyl	2.26	714.7		1
B24	2-fluoro-5-trifluoromethylphenyl	2.34	764.7		1
B25	4-isopropylphenyl	4.55	719.07		2
B26	4-methylsulfanylphenyl	4.27	722.99		2
B27	2,5-dichlorophenyl	4.44	744.97		2
B28	4-methoxyphenyl	4.1	707		2
B29	2,4,5-trifluoro-3-chlorophenyl	4.59	764.98		2
B30	4-(pyrrol-1-yl)phenyl	4.35	742.06		2
B31	4-(1,2,3-thiadiazol-4-yl)phenyl	4.18	761.02		2

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B32	(3,4-dihydro-2H-benzo[b][1,4]dioxepine)-7-yl	4.16	749.06		2
B33	4-biphenyl	4.54	753.08		2
B34	3-methylpyridin-2-yl	4.55	692		2
B35	2-fluoro-3-trifluoromethylphenyl	4.49	763		2
B36	2-bromophenyl	4.18	754.94		2
B37	pyridin-3-yl	3.57	677.98		2
B38	4-methoxythiophen-3-yl	4.41	712.99		2
B39	1,2,3-thiadiazol-4-yl	4.07	684.96		2
B41	5-phenyloxazol-4-yl	4.76	744.05		2
B42	2,5-dimethyl-2H-pyrazol-3-yl	3.91	695.04		2
B43	4-methyl-2-phenylpyrimidin-5-yl	4.41	769.07		2
B44	thiophen-2-yl	4.07	682.96		2
B45	2-methylsulfanylpyridin-3-yl	4.1	723.99		2
B46	5-methyl-3-phenylisoxazol-4-yl	4.39	758.06		2
B47	4-methyl-2-phenylthiazol-5-yl	4.6	774.06		2
B48	5-pyridin-2-ylthiophen-2-yl	4.22	760.02		2
B49	5-phenylfuran-2-yl	4.54	743.02		2
B50	5-methyl-1-phenyl-1H-pyrazol-4-yl	4.17	757.06		2
B51	6-morpholin-4-yl-pyrazin-2-yl	4.03	763.92		2
B52	5-bromofuran-2-yl	4.26	744.84		2
B53	pyridin-2-yl	4.46	677.9		2
B54	pyridin-4-yl	3.57	677.9		2
B55	N-oxopyridin-3-yl	3.23	693.91		2
B56	pyrazin-2-yl	4.03	678.91		2
B57	3-bromothiophen-2-yl	4.84	760.8		2
B58	2,5-dimethylfuran-3-yl	4.29	694.91		2
B61	5-nitrofuran-2-yl	4.03	711.88		2
B62	2-chloro-5-nitrophenyl	4.29	755.9		2
B63	2,5-dimethylfuran-3-yl	4.36	775.84		2
B64	2-nitro-4-chlorophenyl	4.26	755.91		2
B65	3-methyl-4-bromophenyl	4.54	768.9		2
B66	3-chloropyridin-4-yl	3.87	711.91		2
B67	5-chlorothiophen-2-yl	4.36	716.85		2
B68	3-chloro-5-iodophenyl	4.55	836.84		2
B69	2-methyl-3-nitrophenyl	4.11	735.96		2
B70	3-chloro-5-trifluoromethylphenyl	4.42	778.92		2
B71	pyrimidin-2-yl	3.76	678.91		2
B72	2-chloro-5-methylphenyl	4.39	724.9		2
B73	2-chloro-4,5-difluorophenyl	4.33	746.88		2
B74	2-nitro-5-methylphenyl	4.13	735.94		2
B75	2,6-dichloro-5-fluoropyridin-3-yl	4.37	763.86		2
B76	4-bromo-2-ethyl-5-methyl-2H-pyrazol-3-yl	4.59	786.91		2

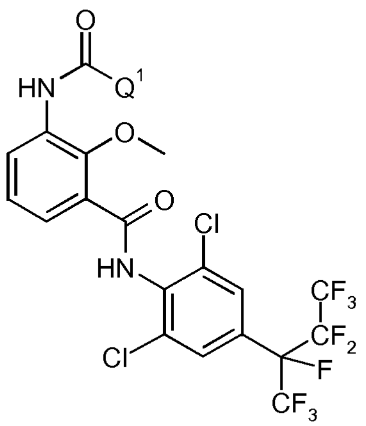
Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B77	4-fluoro-3-nitrophenyl	4.13	739.92		2
B78	2-pyridin-3-ylthiazol-4-yl	4.26	760.91		2
B80	2-nitrophenyl	4.04	721.9		2
B81	2-trifluoromethylpyridin-3-yl	3.97	745.92		2
B82	3-methylpyridin-4-yl	3.45	691.94		2
B83	5-bromo-2-chlorophenyl	4.52	788.81		2
B84	3-bromo-4-methylphenyl	4.52	768.87		2
B85	2-bromo-3-nitrophenyl	4.13	799.85		2
B86	2-methyl-3,5-dinitrophenyl	4.24	780.97		2
B87	3-methyl-2-nitrophenyl	4.11	735.9		2
B88	3-methylthiophen-2-yl	4.31	696.87		2
B89	3-methoxyisoxazol-5-yl	4.04	697.88		2
B90	6-chloro-1-oxypyridin-2-yl	4.12	727.89		2
B91	2-hydroxy-3,5-trichlorophenyl	4.2	760.84		2
B92	1-methyl-5-trifluoromethyl-1H-pyrazol-3-yl	4.46	748.9		2
B93	3-chloro-2-methylpyridin-4-yl	3.98	725.88		2
B94	4-methyloxazol-5-yl	3.85	681.88		2
B95	2-methoxymethyl-4-methylthiazol-5-yl	4.02	741.93		2
B96	2,4-dimethylthiazol-5-yl	3.96	711.91		2
B97	2,6-dichloropyridin-4-yl	4.4	745.84		2
B98	3-methylisothiazol-4-yl	3.88	697.88		2
B99	2-methyl-3-carboxylic acid methyl ester-4-methylsulfanylphenyl	4.28	794.94		2
B100	1,5-dimethyl-1H-pyrazol-3-yl	4.15	694.9		2
B101	2-chloro-6-nitrophenyl	4.16	755.86		2
B102	4-chloro-2-fluoro-5-nitrophenyl	4.33	773.92		2
B103	4-chloro-5-difluoromethoxy-1-methyl-1H-pyrazol-3-yl	4.4	780.87		2
B104	4-methyl-2-trifluoromethylthiazol-5-yl	4.42	765.87		2
B105	2-chloro-4-methylthiazol-5-yl	4.36	731.83		2
B106	2,3-dichloro-4-(2-methoxy-ethoxy)phenyl	4.38	818.88		2
B107	5-cyano-6-methylpyridin-2-yl	4.45	716.9		2
B108	2-methanesulfonyl-4-trifluoromethylphenyl	4.13	822.89		2
B109	2,4-dichloro-3-methoxyphenyl	4.46	774.84		2
B110	3-cyanomethylphenyl	3.95	715.89		2
B111	pyrimidin-5-yl	3.55	678.85		2
B112	5-tert-butyl-2-methyl-2H-pyrazol-3-yl	4.41	736.95		2
B113	2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl	4.29	748.9		2
B114	2-chloro-4-methanesulfonyl-3-methoxyphenyl	4.03	818.87		2

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B115	3-chloro-2-trifluoromethyl-pyridin-4-yl	4.3	779.85		2
B116	4-methylsulfanyl-2-nitrophenyl	4.2	767.85		2
B117	3-cyano-1-methyl-1H-pyrazol-4-yl	3.82	705.98		2
B118	2-(2-chlorophenyl)-4-trifluoromethyl-thiazol-5-yl	4.85	861.85		2
B119	4-methanesulfonyl-3-methoxymethyl-2-methylphenyl	3.91	812.93		2
B120	3-[diethoxyphosphinyl)oxy]Phenyl	4.09	828.93		2
B121	2-isopropylsulfanylpyridin-3-yl	4.44	751.93		2
B122	2-(3-ethoxypropyl)-6-trifluoromethyl-pyridin-3-yl	4.48	831.96		2
B123	4'-chloro-4-methylbiphenyl-3-yl	4.81	800.91		2
B124	thiophen-3-yl	4.02	682.83		2
B125	2-methylsulfanyl-4-trifluoromethylphenyl	4.48	790.86		2
B126	4-trifluoromethylpyridin-5-yl	3.96	745.86		2
B127	2-methyl-6-trifluoromethylpyridin-3-yl	4.19	759.89		2
B128	2,6-dichloronicotin-3-yl	4.31	745.8		2
B129	6-methoxy-3-bromo-2-methylphenyl	4.49	798.83		2
B130	2-chloro-4-fluoro-5-nitrophenyl	4.31	773.84		2
B131	3-difluoromethyl-1-methyl-1H-pyrazol-4-yl	3.9	730.88		2
B132	2-methoxypyridin-3-yl	4.47	707.87		2
B133	4-chloro-2-methyl-5-trifluoromethyl -2H-pyrazol-3-yl	4.64	782.84		2
B134	2-methyl-4-trifluoromethylthiazol-5-yl	4.19	765.83		2
B135	2-chloro-4-bromophenyl	4.55	788.8		2
B136	4-chloro-1-methyl-5-trifluoromethyl-1H-pyrazol-3-yl	4.56	782.84		2
B137	5-methylthiophen-2-yl	4.2	696.82		2
B138	4-bromo-2-methylphenyl	4.44	768.8		2
B139	4-bromo-3-methoxy-2-methylphenyl	4.42	798.83		2
B140	5-tert-butyl-2-methoxyphenyl	4.92	762.94		2
B141	3-chloro-5-trifluoromethylpyridin-2-yl	4.57	779.82		2
B142	5-chloro-1,3-dimethyl-1H-pyrazol-4-yl	4.14	728.85		2
B143	4,5-dichloroisothiazol-3-yl	4.63	751.75		2
B144	5-methyl-2-trifluoromethylfuran-3-yl	4.36	748.83		2
B145	3-chlorothiophen-2-yl	4.61	716.78		2
B146	2-chloro-6-methoxypyridin-4-yl	4.32	741.83		2
B147	5-chloro-4-methoxythiophen-3-yl	4.62	746.8		2
B148	2-fluoro-5-nitrophenyl	4.34	739.85		2
B149	3-chloro-2-fluoro-6-trifluoromethylphenyl	4.48	796.81		2

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B150	6-difluoromethyl-2-methoxymethylpyridin-3-yl	4.09	771.9		2
B151	2-fluoropyridin-4-yl	3.88	695.84		2
B152	1-methyl-3-trifluoromethyl-1H-pyrazol-4-yl	4.02	748.85		2
B153	2,5-difluorophenyl	4.1	712.93		2
B154	2-chloro-5-fluorophenyl	4.19	728.91		2
B155	2,4-dichlorophenyl	4.39	744.89		2
B156	2-methyl-3-chlorophenyl	4.39	724.89		2
B157	3,5-dimethyl-isoxazol-4-yl	3.96	695.86		2
B158	2,6-dichlorophenyl			116	
B159	2-chloro-4-bromo-6-methylphenyl			114	
B160	2-hydroxyl-3,5-dichloroPhenyl			200	
B161	2-iodo-3-methyl-4-nitrophenyl			175	
B162	2-bromo-3-methyl-4-nitrophenyl			172	
B163	2-chloro-3-methyl-4-nitrophenyl			127	
B164	2,6-dimethoxyphenyl			105	
B165	3,5-dimethyl-4-nitrophenyl			218	
B166	2-methoxy-6-fluorophenyl			112	
B167	2-fluoro-4-trifluoromethylphenyl			183	
B168	3,5-difluorophenyl			83	
B169	2,5-difluorophenyl			154	
B170	3-chloro-4-nitrophenyl			95	
B171	3-acetate-4-nitrophenyl			100	
B172	2,6-difluorophenyl			177	
B173	3-ethoxy-4-nitrophenyl			238	
B174	3-amino-4-nitrophenyl			205	
B175	3-(N,N-dimethylamino)-4-nitrophenyl			181	
B176	2,6-difluoro-4-cyanophenyl			214	
B177	2-methoxy-4-nitrophenyl			190	
B178	2-trifluoromethyl--4-nitrophenyl			140	
B179	3-trifluoromethyl-4-nitrophenyl			142	
B180	2,4-dinitrophenyl			246	
B181	3-hydroxyl-4-nitrophenyl			185	
B182	2-chloro-4-methylphenyl			172	
B183	2-chloro-3-nitrophenyl			123	
B184	2,3-dichlorophenyl			136	
B185	3,5-dichloropyridin-2-yl			181	
B186	2,5-dichlorothiophen-3-yl			188	
B187	5-bromopyrimidin-2-yl			204	
B188	3-trifluoromethylpyridin-2-yl			175	
B189	2,4,6-trifluorophenyl			179	
B190	3-methyl-4-nitrophenyl			107	
B191	2-fluoro-4-nitrophenyl			212	

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
B192	3-fluoro-4-nitrophenyl			104	
B193	3-nitrophenyl			98	
B194	2-fluoro-pyridin-3-yl			167	
B195	3,4-dinitrophenyl			114	
B196	2-methyl-4-nitrophenyl			104	
B197	2-fluoro-4- nitrophenyl			199	
B198	2-chloro-4-cyanophenyl			211	
B202	2-chloro-pyridin-3-yl	2.05	710		4
B203	2-methoxy-4-cyano-6-hydroxyphenyl	2.1	599		4
B204	4-cyano-pyridin-3-yl	2.04	701		4
B205	3-fluoro-4-cyanophenyl	2.19	826		3
B206	3-bromo-4-cyanophenyl	2.18	778		3
B207	3-methoxy-4-nitrophenyl	2.12	750		4
B208	5-cyanopyridin-2-yl			208-210	
B209	4-bromo-2,6-dichlorophenyl			250	
B210	2,4-dichloropyrimidin-5-yl			114-115	
B211	2,4-dichloro-6-methylphenyl			113-118	
B212	2-methyl-4-fluoro-5-nitrophenyl			83-84	
B213	2-methyl-4-cyano-5-5-nitrophenyl			158-161	

Table C:

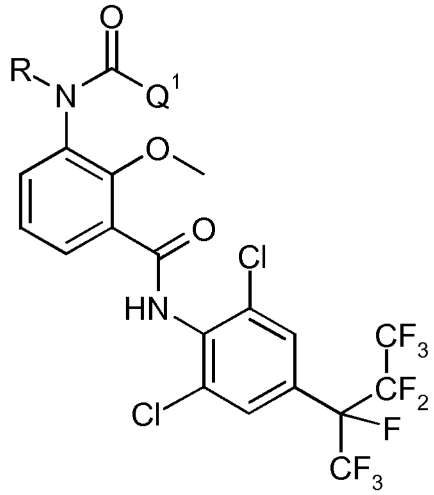


(Ic)

Comp. No.	Q^1	RT (min)	MH^+	LC-MS Method
C1	2-chloro-4-fluorophenyl	2.19	686.7	1
C2	4-cyano-2-methylphenyl	-	-	
C3	2-fluorophenyl	2.24	650.8	1

Comp. No.	Q^1	RT (min)	MH^+	LC-MS Method
C4	2-methylphenyl	2.16	646.8	1
C5	2-chlorophenyl	2.17	666.7	1
C6	4-cyanophenyl	2.05	657.8	1
C7	4-nitrophenyl	2.05	677.9	1
C8	4-methylphenyl	2.2	646.8	1
C9	4-fluoro-2-methylphenyl	2.18	664.8	1
C10	5-chloro-2-fluorophenyl	2.34	686.7	1
C11	2-chloro-4-nitrophenyl	2.16	713.7	1
C12	furan-2-yl	2.05	622.8	1
C13	4-trifluoromethoxyphenyl	2.28	716.8	1
C14	4-fluoro-3-trifluoromethylphenyl	2.27	718.8	1
C15	4-trifluoromethylphenyl	2.05	700.8	1
C16	2-trifluoromethoxyphenyl	2.26	716.8	1
C17	2-methoxyphenyl	2.27	662.8	1
C18	phenyl	2.12	634.7	1
C19	4-fluorophenyl	2.13	650.8	1
C20	2-trifluoromethylphenyl	2.17	700.7	1
C21	4-methyl-1,2,3-thiadiazol-5-yl	2.04	654.7	1
C22	2,3-difluorophenyl	2.24	668.7	1
C23	2,4-difluorophenyl	2.25	668.7	1
C24	2-fluoro-5-trifluoromethylphenyl	2.34	718.7	1
C25	2-methyl-4-nitrophenyl	2.15	690	3
C26	2, 4-dichlorophenyl	2.22	699	3
C27	2, 3-dichlorophenyl	2.2	699	3
C28	3-nitrophenyl	2.13	676	3
C29	2-chloropyridine-3-yl	2.07	666	3
C30	3,5-dimethylisoxazol-4-yl	2.09	650	3
C31	2-fluoro-4-cyanophenyl	2.14	674	3
C32	2-methyl-3-chlorophenyl	2.21	679	3
C33	2,4,6-trifluorophenyl	2.15	685	3
C34	2-fluoropyridin-3-yl	2.12	650	3
C35	3-methyl-4-nitrophenyl	2.17	690	3
C36	2-fluoro-4-nitrophenyl	2.17	694	3
C37	3-fluoro-4-nitrophenyl	2.14	694	3
C38	3,4-dinitrophenyl	2.14	721	3
C39	3-hydroxy-4-nitrophenyl	2.11	692	3
C40	2-chloro-4-cyanophenyl	2.13	690	3
C41	3-fluoro-4-cyanophenyl	2.12	674	3
C42	4-fluoro-3-cyanophenyl	2.11	674	3
C43	2-chloro-4-methylphenyl	2.21	679	3
C44	2-chloro-3-nitrophenyl	2.14	710	3

Table D:

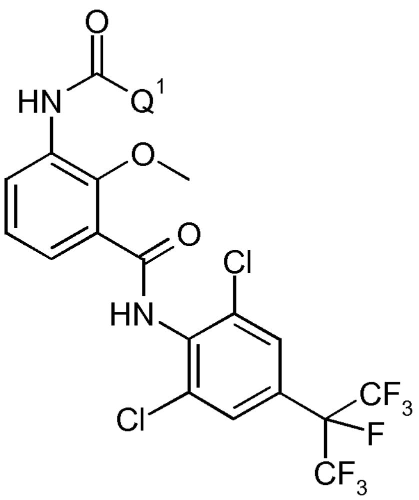


(Id)

Comp. No.	Q[1]	R[1]	RT (min)	MH+	LC-MS Method
D1	phenyl	Me	3.92	646.98	2
D2	phenyl	Et	4.07	660.95	2
D3	4-cyanophenyl	Me	3.8	671.94	2
D4	4-cyanophenyl	Et	3.96	685.97	2
D5	4-fluoro-2chlorophenyl	Me	4.1	698.9	2
D6	4-fluoro-2chlorophenyl	Et	4.23	712.87	2
D7	2-fluorophenyl	Me	3.94	664.88	2
D8	2-methylphenyl	Me	4.02	660.96	2
D9	2-chlorophenyl	Me	4.05	682.92	2
D10	4-methylphenyl	Me	4.06	660.97	2
D11	4-fluoro-2-methylphenyl	Me	4.04	678.96	2
D12	5-chloro-2-fluorophenyl	Me	4.13	698.93	2
D13	2-chloro-4-nitrophenyl	Me	4.08	725.94	2
D14	furan-2-yl	Me	3.75	636.92	2
D15	4-trifluoromethoxyphenyl	Me	4.26	730.94	2
D16	4-fluoro-3-trifluoromethylphenyl	Me	4.23	732.95	2
D17	4-trifluoromethylphenyl	Me	4.19	714.95	2
D18	2-trifluoromethoxyphenyl	Me	4.21	730.94	2
D19	2-methoxyphenyl	Me	3.9	676.97	2
D2	4-fluorophenyl	Me	3.95	664.96	2
D21	2-trifluoromethylphenyl	Me	4.15	714.95	2
D22	4-methyl-1,2,3-thiadiazol-5-yl	Me	3.79	668.94	2
D23	2,3-difluorophenyl	Me	3.97	682.94	2
D24	2,4-difluorophenyl	Me	4.01	682.96	2
D25	2-fluoro-5-trifluoromethylphenyl	Me	4.22	732.95	2
D26	2-fluorophenyl	Et	4.1	678.97	2
D27	2-methylphenyl	Et	4.17	674.99	2
D28	2-chlorophenyl	Et	4.18	694.94	2
D29	4-methylphenyl	Et	4.2	674.99	2

Comp. No.	Q^1	R^1	RT (min)	MH^+	LC-MS Method
D30	4-fluoro-2-methylphenyl	Et	4.19	692.99	2
D31	5-chloro-2-fluorophenyl	Et	4.29	712.94	2
D32	2-chloro-4-nitrophenyl	Et	4.22	739.84	2
D33	furan-2-yl	Et	3.93	650.95	2
D34	4-trifluoromethoxyphenyl	Et	4.4	744.96	2
D35	4-fluoro-3-trifluoromethylphenyl	Et	4.34	746.92	2
D36	4-trifluoromethylphenyl	Et	4.34	728.93	2
D37	2-trifluoromethoxyphenyl	Et	4.34	744.91	2
D38	2-methoxyphenyl	Et	4.05	690.93	2
D39	4-fluorophenyl	Et	4.11	678.95	2
D40	2-trifluoromethylphenyl	Et	4.26	728.92	2
D41	2,3-difluorophenyl	Et	4.14	696.89	2
D42	2,4-difluorophenyl	Et	4.14	696.89	2
D43	2-fluoro-5-trifluoromethylphenyl	Et	4.34	746.92	2
D44	2-chloro-4-cyanophenyl	Me	2.11	704	4
D45	2-chloro-4-cyanophenyl	Et	2.13	718	4
D46	4-nitrophenyl	Me	2.1	690	4
D47	4-nitrophenyl	Et	2.15	704	4
D48	2,6-difluorophenyl	Me	2.12	681	4
D49	2,6-difluorophenyl	Et	2.17	696	4

Table E:

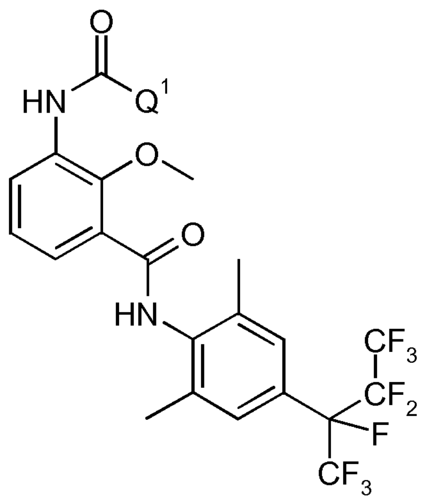


(Ie)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
E1	2-fluorophenyl	4.27	600.78		2
E2	2-methylphenyl	4.13	596.83		2
E3	2-chlorophenyl	4.11	616.78		2
E4	4-cyanophenyl	3.87	607.81		2
E5	4-nitrophenyl	4.06	627.82		2
E6	4-methylphenyl	4.17	596.86		2

E7	4-fluoro-2-methylphenyl	4.12	614.83		2
E8	5-chloro-2-fluorophenyl	4.46	634.78		2
E9	2-chloro-4-nitrophenyl	4.19	661.78		2
E10	furan-2-yl	3.87	572.85		2
E11	4-trifluoromethoxyphenyl	4.35	666.82		2
E12	4-fluoro-3-trifluoromethylphenyl	4.31	668.82		2
E13	4-trifluoromethylphenyl	4.3	650.85		2
E14	2-trifluoromethoxyphenyl	4.3	666.87		2
E15	2-methoxyphenyl	4.29	612.87		2
E16	phenyl	4	582.87		2
E17	4-fluorophenyl	4.04	600.85		2
E18	2-trifluoromethylphenyl	4.13	650.88		2
E19	2-chloro-4-fluorophenyl	4.16	634.82		2
E20	4-methyl-1,2,3-thiadiazol-5-yl	3.81	604.82		2
E21	2,3-difluorophenyl	4.24	618.85		2
E22	2,4-difluorophenyl	4.31	618.85		2
E23	2-fluoro-5-trifluoromethylphenyl	4.48	668.86		2
E24	2,5-difluoro-4-cyanophenyl			192	
E25	2-methyl-4-cyanophenyl	2.08	620		4
E26	3-methyl-4-nitrophenyl	2.13	640		4
E27	2-methyl-4-nitrophenyl	2.11	640		4
E28	2,4,6-trifluorophenyl	2.11	635		4
E29	2,6-difluorophenyl	2.09	617		4

Table F:

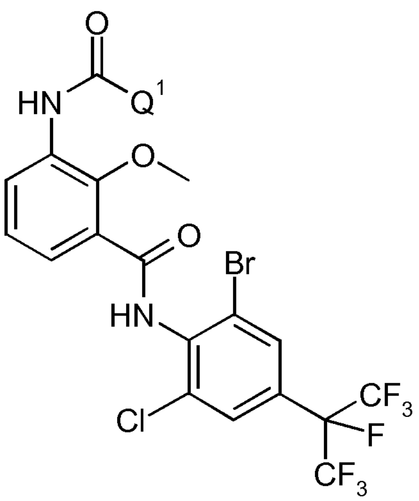


(If)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
F1	2-fluorophenyl	4.2	610.92		2
F2	2-methylphenyl	4.05	606.96		2
F3	2-chlorophenyl	4.07	626.9		2
F4	furan-2-yl	3.85	582.98		2

F5	4-trifluoromethoxyphenyl	4.3	676.94		2
F6	3-trifluoromethyl-4-fluorophenyl	4.28	678.96		2
F7	4-methyl-1,2,3-thiadiazol-5-yl	3.8	614.95		2
F8	2,3-difluorophenyl	4.2	628.96		2
F9	2,4-difluorophenyl	4.23	628.97		2
F10	2,4,6-trimethylphenyl	4.29	635.03		2
F11	2,3-dimethylphenyl	4.17	621.05		2
F12	2-fluoro-5-chlorophenyl	4.42	644.97		2
F13	2-fluoro-4-chlorophenyl	4.42	644.97		2
F14	2-fluoro-4-trifluoromethylphenyl	4.43	679		2
F15	2,4-dichlorophenyl	4.29	660.95		2
F16	2-chloro-4-methanesulfonylphenyl	3.78	704.95		2
F17	3,4-dichlorophenyl	4.38	660.91		2
F18	4-methanesulfonylphenyl	3.64	670.96		2
F19	4-chlorophenyl	4.19	626.97		2
F20	3-fluorophenyl	4.05	611		2
F21	3-cyanophenyl	3.9	618		2
F22	3-methoxyphenyl	4.03	623		2
F23	3-methyl-4-nitrophenyl			179	
F24	2-methyl-4-nitrophenyl			203	
F25	2,4,6-trifluorophenyl			194	
F26	4-trifluoromethylphenyl			163	
F27	2-methyl-4-fluorophenyl			178	
F28	2-chloro-4-nitrophenyl			207	
F29	4-nitrophenyl			105	
F30	2-chloro-4-fluorophenyl			164	

Table G:

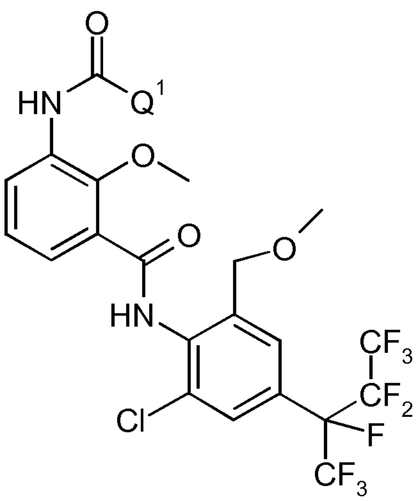


(Ig)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
G1	2-methyl-4-cyanophenyl			190	
G2	2-chloro-4-cyanophenyl			211	

G3	2,4,6-trifluorophenyl			181	
G4	2,6-difluoro-4-cyanophenyl			118	
G5	2-chloro-4-fluorophenyl	4.23	679.1	183	2
G6	2-fluorophenyl	4.3	645.15		2
G7	2-methylphenyl	4.15	641.19		2
G8	2-chlorophenyl	4.17	661.13		2
G9	4-cyanophenyl	3.93	652.17		2
G10	4-nitrophenyl	4.08	672.14		2
G11	4-methylphenyl	4.23	641.19		2
G12	4-fluoro-2-methylphenyl	4.19	659.17		2
G13	5-chloro-2-fluorophenyl	4.52	679.12		2
G14	2-chloro-4-nitrophenyl	4.2	706.1		2
G15	furan-2-yl	3.94	617.14		2
G16	4-trifluoromethoxyphenyl	4.41	711.11		2
G17	4-fluoro-3-trifluoromethylphenyl	4.4	713.12		2
G18	4-trifluoromethylphenyl	4.36	695.12		2
G19	2-trifluoromethoxyphenyl	4.37	711.11		2
G20	2-methoxyphenyl	4.43	657.17		2
G21	phenyl	4.07	627.15		2
G22	4-fluorophenyl	4.09	645.15		2
G23	2-trifluoromethylphenyl	4.18	695.12		2
G24	4-methyl-1,2,3-thiadiazol-5-yl	3.88	649.12		2
G25	2,3-difluorophenyl	4.3	663.15		2
G26	2,4-difluorophenyl	4.34	663.15		2
G27	2-fluoro-5-trifluoromethylphenyl	4.54	713.12		2

Table H:

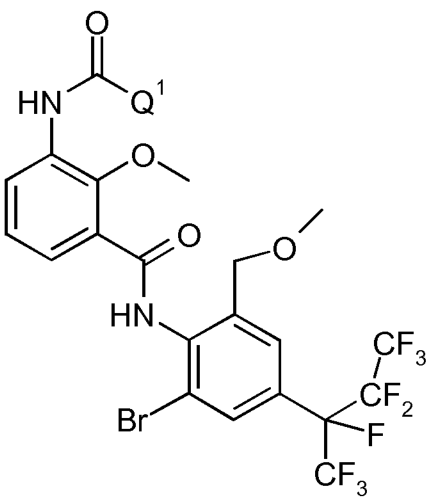


(Ih)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
H1	2-methyl-4-cyanophenyl			110	
H2	2,4,6-trifluorophenyl			138	
H3	2-fluorophenyl	4.44	661.33		2

H4	2-methylphenyl	4.32	657.37		2
H5	2-chlorophenyl	4.33	677.32		2
H6	4-cyanophenyl	4.12	668.35		2
H7	4-nitrophenyl	4.22	688.35		2
H8	4-methylphenyl	4.38	657.24		2
H9	4-fluoro-2-methylphenyl	4.35	675.36		2
H10	5-chloro-2-fluorophenyl	4.68	695.29		2
H11	2-chloro-4-nitrophenyl	4.34	722.28		2
H12	furan-2-yl	4.13	633.33		2
H13	4-trifluoromethoxyphenyl	4.55	727.29		2
H14	4-fluoro-3-trifluoromethylphenyl	4.51	729.3		2
H15	4-trifluoromethylphenyl	4.5	711.3		2
H16	2-trifluoromethoxyphenyl	4.53	727.32		2
H17	2-methoxyphenyl	4.52	673.35		2
H18	phenyl	4.2	643.27		2
H19	4-fluorophenyl	4.24	661.27		2
H20	2-trifluoromethylphenyl	4.34	711.3		2
H21	4-methyl-1,2,3-thiadiazol-5-yl	4.04	665.3		2
H22	2,3-difluorophenyl	4.48	679.32		2
H23	2,4-difluorophenyl	4.48	679.29		2
H24	2-fluoro-5-trifluoromethylphenyl	4.66	729.3		2
H25	2-chloro-4-fluorophenyl	4.39	695.26	98	2

Table I:

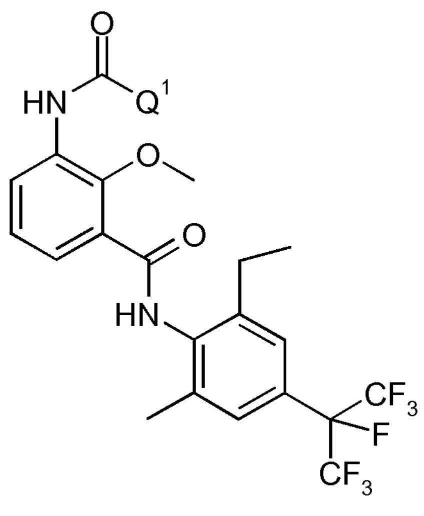


(Ii)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
I1	2-methyl-4-cyanophenyl			130	-
I2	2,4,6-trifluorophenyl			190	-
I3	2-fluorophenyl	4.47	705.3		2
I4	2-methylphenyl	4.3	701.3		2
I5	2-chlorophenyl	4.33	721.2		2
I6	4-cyanophenyl	4.18	712.3		2

I7	4-nitrophenyl	4.22	732.3		2
I8	4-methylphenyl	4.39	701.29		2
I9	4-fluoro-2-methylphenyl	4.39	719.29		2
I10	5-chloro-2-fluorophenyl	4.66	739.24		2
I11	2-chloro-4-nitrophenyl	4.5	766.26		2
I12	furan-2-yl	4.12	677.26		2
I13	4-trifluoromethoxyphenyl	4.55	771.28		2
I14	4-fluoro-3-trifluoromethylphenyl	4.51	773.29		2
I15	4-trifluoromethylphenyl	4.5	755.29		2
I16	2-trifluoromethoxyphenyl	4.54	771.28		2
I17	2-methoxyphenyl	4.52	717.34		2
I18	phenyl	4.23	687.32		2
I19	4-fluorophenyl	4.24	705.32		2
I20	2-trifluoromethylphenyl	4.34	755.29		2
I21	4-methyl-1,2,3-thiadiazol-5-yl	4.05	709.29		2
I22	2,3-difluorophenyl	4.45	723.27		2
I23	2,4-difluorophenyl	4.5	723.31		2
I24	2-fluoro-5-trifluoromethylphenyl	4.68	773.29		2
I25	2-chloro-4-fluorophenyl	4.4	739.26	113	2

Table J:

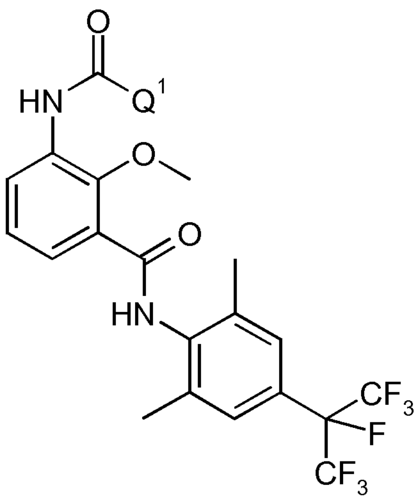


(Ij)

Comp. No.	Q^1	RT (min)	MH^+	MP (°C)	LC-MS Method
J1	2-chloro-4-cyanophenyl			110	
J2	2,6-difluoro-4-cyanophenyl			113	
J3	2,4,6-trifluorophenyl			96	
J4	2-methyl-4-cyanophenyl			102	
J5	2-fluorophenyl	4.34	575.36		2
J6	2-methylphenyl	4.16	571.33		2
J7	2-chlorophenyl	4.15	591.35		2
J8	4-cyanophenyl	3.99	582.38		2
J9	4-nitrophenyl	4.07	602.36		2

J10	4-methylphenyl	4.22	571.4		2
J11	4-fluoro-2-methylphenyl	4.19	589.4		2
J12	5-chloro-2-fluorophenyl	4.52	609.32		2
J13	2-chloro-4-nitrophenyl	4.23	636.31		2
J14	furan-2-yl	3.95	547.36		2
J15	4-trifluoromethoxyphenyl	4.41	641.32		2
J16	4-fluoro-3-trifluoromethylphenyl	4.4	643.33		2
J17	4-trifluoromethylphenyl	4.37	625.33		2
J18	2-trifluoromethoxyphenyl	4.38	641.32		2
J19	2-methoxyphenyl	4.37	587.38		2
J20	phenyl	4.08	557.36		2
J21	4-fluorophenyl	4.08	575.36		2
J22	2-trifluoromethylphenyl	4.19	625.33		2
J23	4-methyl-1,2,3-thiadiazol-5-yl	3.87	579.33		2
J24	2,3-difluorophenyl	4.33	593.36		2
J25	2,4-difluorophenyl	4.34	593.36		2
J26	2-fluoro-5-trifluoromethylphenyl	4.54	643.33		2
J27	2-chloro-4-fluorophenyl	4.23	609.29	88	2

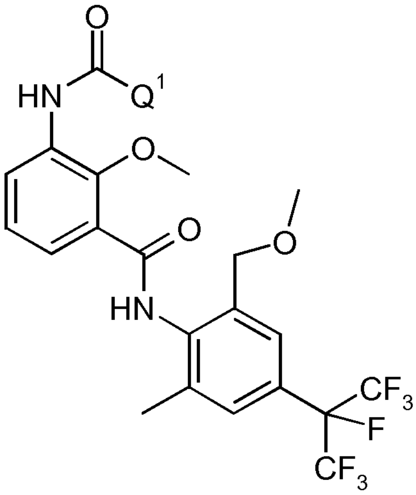
Table K:



(Ik)

Comp. No.	Q^1	MP (°C)
K1	2,4,6-trifluorophenyl	173
K2	4-nitrophenyl	190
K3	2-chloro-4-cyanohenyl	133
K4	2-methyl-4-cyanophenyl	152

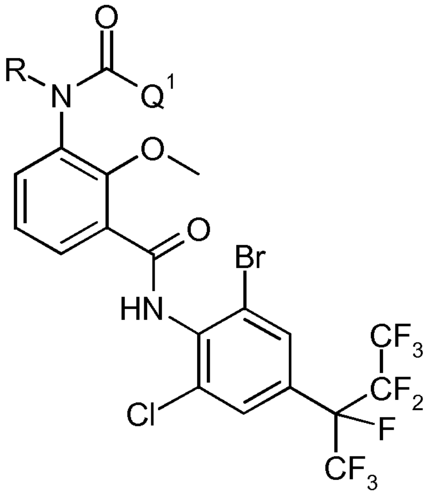
Table L:



(IL)

Comp. No.	Q^1	RT (min)	MH+	LC-MS Method
L1	2-fluorophenyl	4.34	639.5	2
L2	2-methylphenyl	4.2	635.53	2
L3	2-chlorophenyl	4.21	655.45	2
L4	4-cyanophenyl	4.01	646.52	2
L5	4-nitrophenyl	4.11	666.48	2
L6	4-methylphenyl	4.26	635.52	2
L7	4-fluoro-2-methylphenyl	4.23	653.23	2
L8	5-chloro-2-fluorophenyl	4.53	673.43	2
L9	2-chloro-4-nitrophenyl	4.22	700.45	2
L10	furan-2-yl	3.98	611.52	2
L11	4-trifluoromethoxyphenyl	4.43	705.51	2
L12	4-fluoro-3-trifluoromethylphenyl	4.4	707.51	2
L13	4-trifluoromethylphenyl	4.38	689.52	2
L14	2-trifluoromethoxyphenyl	4.4	705.29	2
L15	2-methoxyphenyl	4.41	651.52	2
L16	phenyl	4.1	621.4	2
L17	4-fluorophenyl	4.13	639.5	2
L18	2-trifluoromethylphenyl	4.22	689.51	2
L19	2-chloro-4-fluorophenyl	4.25	673.48	2
L20	4-methyl-1,2,3-thiadiazol-5-yl	3.93	643.46	2
L21	2,3-difluorophenyl	4.33	657.02	2
L22	2,4-difluorophenyl	4.36	657.51	2
L23	2-fluoro-5-trifluoromethylphenyl	4.55	707.51	2

Table M:



(Im)

Comp. No.	Q^1	R	RT (min)	MH^+	LC-MS Method
M1	2-methyl-4-cyanophenyl	Et	2.14	742	4
M2	3-methyl-4-nitrophenyl	Et	2.17	762	4

Biological examples

These Examples illustrate the insecticidal and acaricidal properties of the compounds of formula (I). The tests were performed as follows:

Spodoptera littoralis (Egyptian cotton leafworm):

Cotton leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with 5 L1 larvae. The samples were checked for mortality, feeding behavior, and growth regulation 3 days after treatment (DAT).

The following compound gave at least 80% control of *Spodoptera littoralis*: A1 to A22, B202 to B207, B188, B187, B186, B185, B159, B158, B152, B151, B150, B166, B148, B147, B146, B144, B142, B141, B139, B138, B137, B135, B134, B131, B130, B128, B127, B126, B124, B120, B117, B116, B115, B114, B113, B112, B111, B110, B109, B105, B104, B102, B101, B100, B99, B98, B97, B96, B95, B94, B93, B92, B89, B88, B87, B86, B85, B84, B82, B81, B83, B80, B77, B74, B73, B72, B71, B70, B69, B68, B67, B66, B65, B64, B62, B61, B58, B56, B55, B54, B52, B48, B45, B44, B42, B39, B37, B36, B32, B31, B30, B28, B27, B26, B25, B175, B174, B176, B170, B169, B168, B167, B154, B172, B153, B180, B179, B178, B182, B184, B183, B156, B195, B194, B193, B155, B192, B191, B190, B189, B157, B198, B197, B196, B24, B23, B22, B21, B20, B19, B18, B17, B16, B15, B14, B13, B12, B11, B10, B9, B8, B7, B6, B5, B4, B3, B2, B1, C1 to C15, C18 to C23, C25 to C36, D1 to D13, D15, D17, D20, D23, D22, D26 to D30, D32 to D36, D39 to D42, D44 to D49, E1 to E22, E24, E25 to E29, F1 to F9, F12, F13, F15 to F30, G1 to G5, K1 to K4, M1, M2.

Heliothis virescens (Tobacco budworm):

Eggs (0-24 h old) were placed in 24-well microtiter plate on artificial diet and treated with test solutions at an application rate of 200 ppm (concentration in well 18 ppm) by pipetting. After an incubation period of 4 days, samples were checked for egg mortality, larval mortality, and growth regulation.

The following compound gave at least 80% control of *Heliothis virescens*: A1, A4, A6 to A21, B202 to B207, B188, B185, B163, B162, B161, B159, B158, B152, B151, B150, B166, B148, B146, B144, B141, B139, B138, B137, B135, B134, B131, B130, B128, B127, B126, B125, B124, B120, B117, B116, B115, B114, B113, B112, B111, B110, B109, B104, B102, B101, B100, B99, B98, B97, B96, B95, B94, B93, B89, B88, B87, B86, B85, B84, B82, B81, B83, B80, B77, B74, B73, B72, B70, B69, B68, B67, B66, B65, B64, B62, B61, B58, B56, B55, B52, B48, B45, B44, B42, B39, B36, B32, B31, B30, B27, B26, B25, B175, B174, B176, B171, B170, B169, B168, B167, B172, B153, B180, B179, B178, B182, B184, B183, B156, B195, B194, B193, B155, B192, B191, B190, B189, B157, B198, B197, B23, B22, B21, B20, B19, B18, B17, B15, B13, B12, B11, B10, B9, B8, B7, B6, B5, B4, B3, B2, B1, C1 to C23, C25 to C29, C31 to C35, D1 to D7, D10 to D13, D15, D16, D20, D22 to D24, D26, D28 to D30, D32, D35, D36, D39 to D42, D44 to D49, E1 to E24 , E25 to E29, F1 to F9, F12, F13, F15 to F30, G1 to G5, H1, H2, H25, I1, I2, I25, J2 to J4, J27, K1 to K4, M1, M2.

Plutella xylostella (Diamond back moth):

24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 200 ppm (concentration in well 18 ppm) by pipetting. After drying, the MTP's were infested with L2 larvae (7-12 per well). After an incubation period of 6 days, samples were checked for larval mortality and growth regulation.

The following compound gave at least 80% control of *Plutella xylostella*: A1, A2, A4 to A22, B202 to B207, B187, B185, B164, B163, B162, B161, B160, B159, B158, B152, B151, B150, B166, B148, B146, B144, B141, B139, B138, B137, B135, B134, B131, B130, B128, B127, B126, B125, B124, B120, B117, B116, B115, B114, B113, B112, B111, B110, B109, B105, B104, B102, B101, B100, B99, B98, B97, B96, B95, B94, B93, B89, B88, B87, B86, B85, B84, B82, B81, B83, B80, B77, B74, B73, B72, B71, B69, B67, B66, B65, B64, B62, B61, B58, B57, B56, B55, B54, B52, B48, B45, B44, B42, B39, B37, B36, B32, B31, B28, B27, B26, B25, B175, B174, B176, B171, B170, B169, B168, B154, B172, B153, B180, B179, B178, B182, B184, B183, B156, B195, B194, B193, B155, B192, B191, B190, B189, B198, B197, B196, B23, B22, B21, B20, B19, B18, B16, B15, B14, B13, B12, B11, B10, B9, B8, B7, B6, B5, B4, B3, B2, B1, C1 to C9, C11 to C13, C15, C18 to C23, C25 to C29, C31 to C35, D1 to D13, D15, D16, D20, D22 to D24, D26 to D30, D32, D36, D39 to D42, D44 to D49, E4 to E22, E24, E25 to E29, F1 to F9, F11, F15 to F27, F29, F30, G1 to G5, H1, H2, H25, I1, I2, I25, J1 to J4, J27, K1 to K4, M1, M2.

Diabrotica balteata (Corn root worm):
A 24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 200 ppm (concentration in well 18 ppm) by pipetting. After drying, the MTP's were infested with L2 larvae (6-10 per well). After an incubation period of 5 days, samples were checked for larval mortality and growth regulation.
The following compound gave at least 80% control of *Diabrotica balteata*: A1, A3 to A13, A15 to A20, A22, B202 to B206, B187, B185, B163, B162, B161, B159, B158, B151, B150, B166, B146, B144, B137, B135, B134, B131, B130, B128, B127, B126, B125, B120, B117, B116, B115, B113, B112, B111, B110, B109, B101, B100, B98, B97, B96, B93, B92, B89, B87, B86, B85, B84, B82, B81, B83, B80, B74, B73, B72, B69, B67, B66, B64, B62, B61, B58, B56, B55, B54, B52, B45, B44, B42, B37, B36, B32, B30, B28, B27, B26, B25, B174, B170, B169, B168, B167, B154, B153, B180, B179, B178, B181, B184, B183, B156, B194, B155, B192, B22, B21, B20, B18, B9, B5, B4, B3, C1 to C13, D15, C19 to C23, C25 to C29, C31 to C36, D1 to D13, D15 to D17, D20 to D23, D26 to D30, D32, D36, D39, D42, D41, D44 to D49, E1 to E7, E8, E9, E11, E13, E16 to E22, E24, E25 to E29, F1, F3, F7 to F9, F12, F15 to F23, F24 to F30, G1 to G5, H2, H25, I1, I2, I25, J1 to J4, J27, K1 to K4, M2.

Thrips tabaci (Onion thrips):

Sunflower leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with an aphid population of mixed ages. After an incubation period of 7 days, samples were checked for mortality.

The following compounds gave at least 80% control of *Thrips tabaci*: A2 to A13, A17 to A20, A22, B202, B204, B163, B162, B161, B151, B150, B146, B137, B135, B134, B127, B124, B115, B113, B112, B111, B110, B109, B101, B97, B93, B92, B89, B87, B82, B81, B80, B74, B73, B67, B66, B65, B64, B58, B55, B54, B52, B49, B46, B45, B44, B42, B37, B36, B28, B27, B26, B25, B175, B174, B176, B169, B168, B167, B154, B172, B153, B180, B178, B184, B183, B156, B155, B192, B191, B190, B21, B20, B9, B4, B1, C1 to C9, C11, C13, C15, C18, C19, C20 to C23, C25, C26, C29, C31, C33, D1 to D5, D9, D12, D15, D17, D20, D22, D23, D26 to D30, D32 to D36, D44 to D49, E1 to E7, E9, E11, E12, E13, E16 to E22, E25, E27 to E29, F3, F7, F8, F20, F21, F29, F30, H25, I2, I25, J27, K1, K2, M1.

Tetranychus urticae (Two-spotted spider mite):

Bean leaf discs on agar in 24-well microtiter plates were sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs are infested with mite populations of mixed ages. 8 days later, discs are checked for egg mortality, larval mortality, and adult mortality.

The following compounds gave at least 80% control of *Tetranychus urticae*: A2 to A7, A10, A11, A16 to A18, A22, B202, B204, B159, B158, B151, B150, B139, B138, B135, B134, B128, B127, B113, B111, B110, B109, B106, B104, B101, B98, B97, B96, B93, B82, B81, B80, B74, B73, B69, B67, B66, B65, B64, B61, B55, B54, B42, B36, B28, B26, B174, B176, B171, B170, B169, B168, B154, B172, B153, B180, B179, B178, B184, B183, B156, B195, B194, B193, B155, B192, B191, B190, B189, B198, B197, B196, B23, B21, B19, B15, B13, B11, B9, B8, B7, B6, B5, B4, B2, B1, C2, C4 to C9, C11, C12, C13, C15, C19 to C23, C25, C26, C29, C33, D2 to D6, D8, D11, D13, D20, D22, D32, D39, D44 to D49, E4, E5, E7, E9, E12, E13, E17, E19, E20, E24, E25, E27 to E29, F21, F18, F15, F7, F5, F1, F28, F27, F25, F24, F29, F30, G1 to G5, J2, J27, K1 to K4, M1.

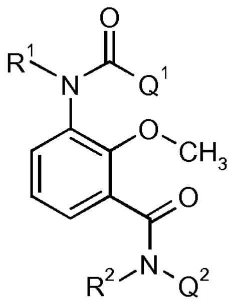
Myzus persicae (Green peach aphid):

Sunflower leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with an aphid population of mixed ages. After an incubation period of 6 DAT, samples were checked for mortality.

The following compounds gave at least 80% control of *Myzus persicae*: A3, A4, A10, A11, A13, A17, A18, A22, B204, B151, B150, B137, B124, B111, B106, B98, B93, B89, B82, B80, B66, B55, B54, B45, B44, B42, B37, B36, B28, B26, B174, B168, B153, B156, B155, B189, B19, B18, B15, B8, B7, B6, B5, B4, B2, C1, C4 to C6, C8, C18, C19, D1 to D4, D20, D21, D39, D45, D48, D49, E1, E2, E4 to E6, E9, E11, E12, E13, E16, E17, E21, E25, E27 to E29, F7, F30, G3, G4, G5, H1, H25, I2, I25, J27, K2, K27.

CLAIMS

1. A compound of formula (I)



(I)

wherein

Q^1 is aryl or heterocyclyl, each optionally substituted by one to five R^3 substituents, which may be the same or different;

Q^2 is selected from

2-ethyl-6-methyl-4-(nonafluorobut-2-yl)phenyl,

2-bromo-6-chloro-4-(nonafluorobut-2-yl)phenyl,

2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl,

2,6-dimethyl-4-(nonafluoro-but-2-yl)phenyl,

2-chloro-6-methoxymethyl-4-(nonafluorobut-2-yl)phenyl, and

2-bromo-6-methoxymethyl-4-(nonafluorobut-2-yl)phenyl;

R^1 is selected from hydrogen, C_1-C_8alkyl, C_1-C_8alkylcarbonyl, C_1-C_8alkoxycarbonyl and C_1-C_4alkyl-C(O)NH_2;

R^2 is selected from hydrogen, C_1-C_8alkyl, C_1-C_8alkylcarbonyl, C_1-C_8alkoxycarbonyl and C_1-C_4alkyl-C(O)NH_2; and

R^3 is selected from cyano, nitro, halogen, hydroxyl, acetoxy, C_1-C_4alkyl, C_1-C_4haloalkyl, C_1-C_4alkoxy, C_1-C_4haloalkoxy, C_1-C_4alkylthio, C_1-C_4alkoxy-C_1-C_4alkyl, C_1-C_4alkoxy-C_1-C_4alkoxy, CN-C_1-C_4alkyl, C_1-C_4alkyl-C(O)O, C_1-C_4alkyl-S$(O)_2$, NH_2, C_1-C_4alkylNH, $(C_1$-$C_4alkyl)_2$N, $(C_1$-$C_4alkylO)_2$P(O)O, phenyl and a five to six-membered monocyclic heterocycle containing 1 to 3 heteroatoms independently selected from nitrogen, oxygen and sulfur;

or an agrochemically acceptable salt or N-oxides thereof.

2. A compound according to claim 1 wherein Q^1 is selected from phenyl, biphenyl and a five to six-membered monocyclic heteroaryl group containing 1, 2 or 3 heteroatoms independently selected from nitrogen, oxygen and sulfur; each optionally substituted by one to five R^3 substituents, which may be the same or different.

3. A compound according to claim 2 wherein Q^1 is selected from phenyl, biphenyl, furanyl, pyridyl, thienyl, thiadiazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl and pyrimidinyl; each optionally substituted by one to three R^3 substituents, which may be the same or different.

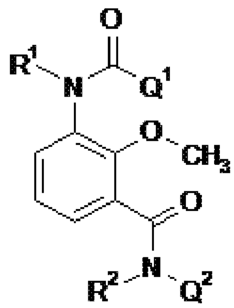
4. A compound according to any one of claims 1 to 3 wherein R^3 is selected from cyano, nitro, fluoro, chloro, bromo, iodo, hydroxyl, acetoxy, methyl, ethyl, isopropyl, tert-butyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, isopropylthio, 3-ethoxy-n-propyl, methoxymethyl, 2-methoxyethoxy, CH_2CN, $C(O)OCH_3$, $S(O)_2CH_3$, NH_2, $N(CH_3)_2$, $OP(O)(CH_2CH_3)_2$, phenyl, N-pyrroyl, thiadiazolyl, and pyridyl.

5. A compound according to claim 4 wherein R^3 is selected cyano, nitro, bromo, chloro, fluoro, methyl, ethyl, trifluoromethyl, methoxy and trifluoromethoxy.

6. A compound according to any one of claims 1 to 5 wherein R^1 and R^2 are both hydrogen.

7. A compound according to any one of claims 1 to 6 wherein Q^2 is selected from
2-ethyl-6-methyl-4-(nonafluoro-but-2-yl)phenyl,
2-bromo-6-chloro-4-(nonafluoro-but-2-yl)phenyl, and
2,6-dichloro-4-(nonafluoro-but-2-yl)phenyl.

8. A compound of formula (II)



(II)

wherein

Q^1 is as defined in any one of claims 1 to 3;

R^1 and R^2 are as defined in either claim 1 or claim 6;

R^3 is as defined in any one of claims 1, 4 or 5; and

Q^2 is selected from:

2-ethyl-6-methyl-4-(perfluoroisopropyl)phenyl,

2-bromo-6-chloro-4-(perfluoroisopropyl)phenyl,

2,6-dichloro-4-(perfluoroisopropyl)phenyl,

2,6-dimethyl-4-(perfluoroisopropyl)phenyl, and

2-methyl-6-methoxymethyl-4-(perfluoroisopropyl)phenyl;

or an agrochemically acceptable salt or N-oxides thereof.

9. A method of controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I) as defined in any one of claims 1 to 8.

10. An insecticidal, acaricidal, nematicidal or molluscicidal composition comprising an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I) as defined in any one of claims 1 to 8 together with an agrochemically acceptable diluent or carrier.

11. A composition according to claim 10 which further comprises one or more additional insecticidal, acaricidal, nematicidal or molluscicidal compounds.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2010/054864

A. CLASSIFICATION OF SUBJECT MATTER

INV.	C07C237/44	C07C255/57	A01N31/00	A01N37/18	C07D207/34
	C07D213/60	C07D213/89	C07D231/14	C07D239/28	C07D261/10
	C07D285/06	C07D307/36	C07D307/56	C07D313/10	C07D333/28

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)
C07C A01N C07D

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

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

EPO-Internal, BEILSTEIN 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 2008/000438 A1 (SYNGENTA PARTICIPATIONS AG [CH]; MAIENFISCH PETER [CH]; ZAMBACK WERNER) 3 January 2008 (2008-01-03) cited in the application claim 1; tables 1-25; compound 1.008	1-11
A,P	EP 2 072 501 A1 (BAYER CROPSCIENCE AG [DE]) 24 June 2009 (2009-06-24) claim 1; table 1	1-11

☐ 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 document but published on or after the international filing date

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

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

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

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

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

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

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
5 August 2010	13/08/2010

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Scheid, Günther

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2010/054864

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2008000438 A1	03-01-2008	CN 101489990 A	22-07-2009
		EP 2044006 A1	08-04-2009
		JP 2009541390 T	26-11-2009
		US 2010048715 A1	25-02-2010
EP 2072501 A1	24-06-2009	AR 069764 A1	17-02-2010
		AU 2008340737 A1	02-07-2009
		WO 2009080203 A2	02-07-2009
		PE 10992009 A1	25-07-2009
		UY 31525 A1	03-08-2009