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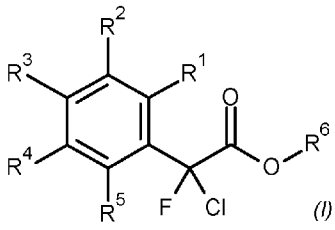

(I)

(57) Abstract: A compound of Formula I Formula (I) wherein: R1, R2, R3, R4 and R5 are independently selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C1-5alkyl, C3-6cycloalkyl, C1-2haloalkyl, cyanomethyl, C1-2alkoxyC1-2alkyl, C1-4alkoxy, C1-2haloalkoxy, C2-3alkenyl, C2-3alkynyl, halophenyl, C1- 2alkoxycarbonyl, C1-2alkylsulfanyl, C1-2alkylsulphinyl and C1-2alkylsulfonyl; or R1 and R2 together with the carbon atoms to which they are attached form a 5- or 6-membered ring, which may optionally be substituted by one or more groups selected from halogen, cyano, hydroxyl, C1-5alkyl, C1-2haloalkyl, and C1-4alkoxy, and wherein the 6-membered ring contains zero, one or two nitrogen atoms and wherein the 5-membered ring contains one or two heteroatoms independently selected from the group consisting of nitrogen and oxygen; R6 is selected from the group consisting of hydrogen, C1-6alkyl and arylC1-2alkyl, and wherein at least one of R1 to R5 is hydrogen and at least one of R1 to R5 is not hydrogen, or an agronomically acceptable salt of said compound.

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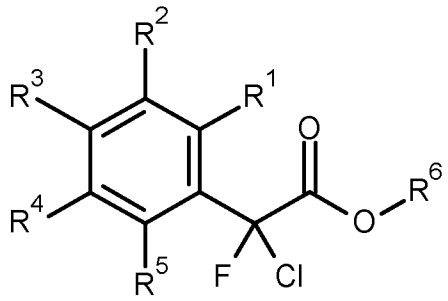
WEED CONTROL METHOD

The present invention relates to the use of certain compounds as herbicides, to herbicidal compositions which comprise the compounds, and to their use for controlling weeds, in particular in crops of useful plants, or for inhibiting plant growth.

The present invention is based on the finding that certain chlorofluoro phenylacetic acids of formula (I) as defined herein, exhibit surprisingly good herbicidal activity. Thus, according to the present invention there is provided a compound of Formula (I),



Formula (I)

wherein:

R^1, R^2, R^3, R^4 and R^5 are independently selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-5}alkyl, C_{3-6}cycloalkyl, C_{1-2}haloalkyl, cyanomethyl, C_{1-2}alkoxyC_{1-2}alkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl, C_{1-2}alkoxycarbonyl, C_{1-2}alkylsulfanyl, C_{1-2}alkylsulphinyl and C_{1-2}alkylsulfonyl; or

R^1 and R^2 together with the carbon atoms to which they are attached form a 5- or 6-membered ring, which may optionally be substituted by one or more groups selected from halogen, cyano, hydroxyl, C_{1-5}alkyl, C_{1-2}haloalkyl, and C_{1-4}alkoxy, and wherein the 6-membered ring contains zero, one or two nitrogen atoms and wherein the 5-membered ring contains one or two heteroatoms independently selected from the group consisting of nitrogen and oxygen;

R^6 is selected from the group consisting of hydrogen, C_{1-6}alkyl and arylC_{1-2}alkyl;

and wherein at least one of R^1 to R^5 is hydrogen and at least one of R^1 to R^5 is not hydrogen,

or an agronomically acceptable salt of said compound.

According to a second aspect of the invention, there is provided the use of a compound of Formula I as a herbicide.

According to a third aspect of the invention, there is provided an agrochemical composition comprising a herbicidally effective amount of a compound of formula (I) and an agrochemically-acceptable diluent or carrier. Such an agricultural composition may further comprise at least one additional active ingredient.

According to a fourth aspect of the invention, there is provided a method of controlling or preventing undesirable plant growth, wherein a herbicidally effective amount of a compound of formula (I), or a composition comprising this compound as active ingredient, is applied to the plants, to parts thereof or the locus thereof.

As used herein, the term "halogen" or “halo” refers to fluorine (fluoro), chlorine (chloro), bromine (bromo) or iodine (iodo), preferably fluorine, chlorine or bromine.

As used herein, cyano means a -CN group.

As used herein, hydroxy or hydroxyl means an -OH group.

As used herein, nitro means an $–NO_2$ group.

As used herein, amino means an $-NH_2$ group.

As used herein, the term "C_1-C_5 alkyl" refers to a straight or branched hydrocarbon chain radical consisting solely of carbon and hydrogen atoms, containing no unsaturation, having from one to five carbon atoms, and which is attached to the rest of the molecule by a single bond. C_1-C_3alkyl and C_1-C_2alkyl are to be construed accordingly. Examples of C_1-C_5alkyl include, but are not limited to, methyl (Me), ethyl (Et), *n*-propyl, 1-methylethyl (iso-propyl), *n*-butyl, and 1-dimethylethyl (*t*-butyl).

As used herein, the term "C_{3-8} cycloalkyl" refers to a stable, monocyclic ring radical which is saturated and contains 3 to 8 carbon atoms. C_{3-6}cycloalkyl is to be construed accordingly. Examples of C_{3-8}cycloalkyl include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

As used herein, the term "C_1-C_4 alkoxy" refers to a radical of the formula -OR_a where R_a is a C_1-C_4alkyl radical as generally defined above. C_1-C_2 alkoxy is to be construed accordingly. Examples of C_{1-4}alkoxy include, but are not limited to, methoxy, ethoxy, propoxy, iso-propoxy and *t*-butoxy.

As used herein, the term "C_{1-2} alkoxyC_{1-2}alkyl" refers to a radical of the formula Rb-O-Ra- wherein Rb is a C_{1-2}alkyl radical as generally defined above, and Ra is a C_{1-2}alkylene radical as generally defined above.

As used herein, the term "C_1-C_2 haloalkyl" refers to a C_1-C_2alkyl radical as generally defined above substituted by one or more of the same or different halogen atoms. C_2haloalkyl is to be construed accordingly. Examples of C_1-C_2haloalkyl include, but are not limited to chloromethyl, fluoromethyl, fluoroethyl, difluoromethyl, trifluoromethyl and 2,2,2-trifluoroethyl.

As used herein, the term "C_2-C_3 alkenyl" refers to a straight or branched hydrocarbon chain radical group consisting solely of carbon and hydrogen atoms, containing at least one double bond that can be of either the (*E*)- or (*Z*)-configuration, having from two to three carbon atoms, which is attached to the rest of the molecule by a single bond. Examples of C_2-C_3alkenyl include, but are not limited to ethenyl, prop-1-enyl and allyl (prop-2-enyl).

As used herein, the term "C_2-C_3 alkynyl" refers to a straight or branched hydrocarbon chain radical group consisting solely of carbon and hydrogen atoms, containing at least one triple bond, having from two to three carbon atoms, and which is attached to the rest of the molecule by a single bond. Examples of C_2-C_3alkynyl include, but are not limited to ethynyl, prop-1-ynyl and propargyl (prop-2-ynyl).

As used herein, the term "C_1-C_2 haloalkoxy" refers to a C_1-C_2alkoxy group as defined above substituted by one or more of the same or different halogen atoms. Examples of C_1-C_2haloalkoxy include, but are not limited to fluoromethoxy, difluoromethoxy, fluoroethoxy, trifluoromethoxy and trifluoroethoxy.

As used herein, the term "C_{1-2} alkylsulfanyl" refers to a radical of the formula -SR_a wherein R_a is a C_{1-2}alkyl radical as generally defined above.

As used herein, the term "C_{1-2} alkylsulfinyl" refers to a radical of the formula -$S(O)R_a$ wherein R_a is a C_{1-2}alkyl radical as generally defined above.

As used herein, the term "C_{1-2} alkylsulfonyl" refers to a radical of the formula -$S(O)_2R_a$ wherein R_a is a C_{1-2}alkyl radical as generally defined above.

As used herein, the term "C_{1-2}alkoxycarbonyl" refers to a radical of the formula RaOC(O)-, wherein Ra is a C_{1-2}alkyl radical as generally defined above.

As used herein, the term "C_{1-3}alkoxycarbonylC_{1-3}alkyl" refers to a radical of the formula -$R_bC(O)OR_a$, wherein R_a is a C_{1-3} alkyl radical as generally defined above and R_b is a C_{1-3}alkylene radical as generally defined above.

As used herein, the term "arylC_{1-2}alkyl" refers to a aryl ring as defined above which is attached to the rest of the molecule by a C_{1-2}alkylene radical as defined above.

As used herein, the term "cyanoC_{1-6}alkyl" refers to a C_{1-6}alkyl radical as generally defined above substituted by one or more cyano groups. CyanoC_{1-4}alkyl is to be construed accordingly. Examples of cyanoC_{1-6}alkyl include, but are not limited to, cyanomethyl.

The presence of one or more possible asymmetric carbon atoms in a compound of formula (I) means that the compounds may occur in chiral isomeric forms, i.e., enantiomeric or diastereomeric forms. Also atropisomers may occur as a result of restricted rotation about a single bond. Formula (I) is intended to include all those possible isomeric forms and mixtures thereof. The present invention includes all those possible isomeric forms and mixtures thereof for a compound of formula (I). Likewise, formula (I) is intended to include all possible tautomers (including lactam-lactim tautomerism and keto-enol tautomerism) where present. The present invention includes all possible tautomeric forms for a compound of formula (I). Similarly, where there are di-substituted alkenes, these may be present in *E* or *Z* form or as mixtures of both in any proportion. The present invention includes all these possible isomeric forms and mixtures thereof for a compound of formula (I).

The compounds of formula (I) will typically be provided in the form of an agronomically acceptable salt, a zwitterion or an agronomically acceptable salt of a zwitterion. This invention covers all such agronomically acceptable salts, zwitterions and mixtures thereof in all proportions.

Suitable agronomically acceptable salts of the present invention can be with cations that include but are not limited to, metals, conjugate acids of amines and organic cations. Examples of suitable metals include aluminium, calcium, cesium, copper, lithium, magnesium,

manganese, potassium, sodium, iron and zinc. Examples of suitable amines include allylamine, ammonia, amylamine, arginine, benethamine, benzathine, butenyl-2-amine, butylamine, butylethanolamine, cyclohexylamine, decylamine, diamylamine, dibutylamine, diethanolamine, diethylamine, diethylenetriamine, diheptylamine, dihexylamine, diisoamylamine, diisopropylamine, dimethylamine, dioctylamine, dipropanolamine, dipropargylamine, dipropylamine, dodecylamine, ethanolamine, ethylamine, ethylbutylamine, ethylenediamine, ethylheptylamine, ethyloctylamine, ethylpropanolamine, heptadecylamine, heptylamine, hexadecylamine, hexenyl-2-amine, hexylamine, hexylheptylamine, hexyloctylamine, histidine, indoline, isoamylamine, isobutanolamine, isobutylamine, isopropanolamine, isopropylamine, lysine, meglumine, methoxyethylamine, methylamine, methylbutylamine, methylethylamine, methylhexylamine, methylisopropylamine, methylnonylamine, methyloctadecylamine, methylpentadecylamine, morpholine, N,N-diethylethanolamine, N-methylpiperazine, nonylamine, octadecylamine, octylamine, oleylamine, pentadecylamine, pentenyl-2-amine, phenoxyethylamine, picoline, piperazine, piperidine, propanolamine, propylamine, propylenediamine, pyridine, pyrrolidine, sec-butylamine, stearylamine, tallowamine, tetradecylamine, tributylamine, tridecylamine, trimethylamine, triheptylamine, trihexylamine, triisobutylamine, triisodecylamine, triisopropylamine, trimethylamine, tripentylamine, tripropylamine, tris(hydroxymethyl)aminomethane, and undecylamine. Examples of suitable organic cations include benzyltributylammonium, benzyltrimethylammonium, benzyltriphenylphosphonium, choline, tetrabutylammonium, tetrabutylphosphonium, tetraethylammonium, tetraethylphosphonium, tetramethylammonium, tetramethylphosphonium, tetrapropylammonium, tetrapropylphosphonium, tributylsulfonium, tributylsulfoxonium, triethylsulfonium, triethylsulfoxonium, trimethylsulfonium, trimethylsulfoxonium, tripropylsulfonium and tripropylsulfoxonium.

In a preferred embodiment, the agrochemically acceptable salt is selected from the group consisting of sodium, potassium, aluminium, dimethylamine (DMA), diglycolamine (DGA) and choline salt. In an especially preferred embodiment, the agrochemically acceptable salt is choline salt.

The following list provides definitions, including preferred definitions, for substituents R^1, R^2, R^3, R^4, R^5 and R^6 with reference to the compounds of formula (I) according to the invention. For any one of these substituents, any of the definitions given below may be combined with any definition of any other substituent given below or elsewhere in this document.

Preferably R^1 is selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-4}alkyl, C_{3-4}cycloalkyl, C_{1-2}haloalkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl and C_{1-2}alkylsulfanyl, more preferably hydrogen, fluorine, chlorine, bromine, amino, cyano, C_{1-4}alkyl, cyclopropyl, halomethyl, C_{1-3}alkoxy, C_1haloalkoxy, vinyl, ethynyl and methylsulfanyl, most preferably chlorine, bromine, cyano, methyl, ethyl, cyclopropyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, vinyl and ethynyl.

Preferably R^2 is selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-4}alkyl, C_{3-4}cycloalkyl, C_{1-2}haloalkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl and C_{1-2}alkylsulfanyl, more preferably hydrogen, fluorine, chlorine, bromine, cyano, C_{1-4}alkyl, cyclopropyl, halomethyl, C_{1-3}alkoxy, C_1haloalkoxy, vinyl, ethynyl, fluorophenyl and methylsulfanyl, most preferably hydrogen, chlorine, bromine, cyano, methyl, cyclopropyl, difluoromethyl, trifluoromethyl, methoxy, vinyl and ethynyl.

Preferably R^1 and R^2 together with the carbon atoms to which they are attached form a 5-membered ring containing one or two heteroatoms independently selected from the group consisting of nitrogen and oxygen, more preferably the 5-membered ring contains two oxygen atoms. Preferably the 5-membered ring is partially saturated, most preferably the 5-membered ring is saturated. Preferably the 5-membered ring is substituted by one or two substituents independently selected from the group consisting of fluorine, chlorine and methyl, more preferably the 5-membered ring is substituted by two fluorine.

Preferably R^1 and R^2 together with the carbon atoms to which they are attached form a 6-membered ring containing zero, one or two nitrogen atoms, more preferably the 6-membered ring contains zero or one nitrogen, with the proviso that any nitrogen in the 6-membered ring is next to the benzene ring in structure (I). Preferably the 6-membered ring is aromatic.

Preferably the 6-membered ring is substituted by zero or one substituent. If substituted, the substituent is preferably chlorine.

Preferably R^3 is selected from the group consisting of hydrogen, halogen, amino, cyano, hydroxyl, C_1-C_5alkyl, C_{1-2}haloalkyl, C_1-C_4alkoxy, C_2-C_3alkenyl, C_2-C_3alkynyl, C_1-C_2haloalkoxy and halophenyl, more preferably hydrogen, halogen, amino, trifluoromethoxy, trifluoromethyl, methyl, tert-butyl and methoxy, most preferably hydrogen, fluorine and chlorine.

Preferably R^4 is selected from the group consisting of hydrogen, amino, fluorine, chlorine, methoxy, more preferably hydrogen.

Preferably R^5 is selected from the group consisting of hydrogen, fluorine, chlorine, amino, nitro and methoxy, more preferably hydrogen, chlorine and methoxy.

Preferably R^6 is selected from the group consisting of hydrogen, C_1-C_3alkyl and benzyl, more preferably hydrogen.

Preferably, if only one of R^1 to R^5 is hydrogen then R^3 is hydrogen.

Preferably, R^1 is not methyl when each of R^2 to R^5 is hydrogen; R^2 is not methyl when each of R^1 and R^3 to R^5 is hydrogen; and R^3 is not F or NO_2 when each of R^1, R^2, R^3 and R^4 is hydrogen.

Advantageously, there is provided the use of a compound of Formula I as a herbicide, wherein R^1 is methyl and each of R^2 to R^5 is hydrogen; R^2 is methyl and each of R^1 and R^3 to R^5 is hydrogen; and R^3 is F or NO_2 and each of R^1, R^2, R^3 and R^4 is hydrogen.

Table of Examples

Table 1 below discloses 818 specific compounds of formula (I), designated compound numbers 1-1 to 1-818 respectively, wherein R^6 is hydrogen.

Table 1

Compound Number	R^1	R^2	R^3	R^4	R^5
1-001	H	H	F	H	H
1-002	H	H	Cl	H	H
1-003	H	H	Br	H	H
1-004	H	H	t-Bu	H	H
1-005	H	H	CF3	H	H
1-006	H	H	OMe	H	H
1-007	H	H	OCF3	H	H
1-008	H	F	H	H	H
1-009	H	F	H	F	H
1-010	H	F	F	H	H
1-011	H	F	Cl	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-012	H	F	Br	H	H
1-013	H	F	Me	H	H
1-014	H	F	CF3	H	H
1-015	H	F	OMe	H	H
1-016	H	F	OCF3	H	H
1-017	H	Cl	H	H	H
1-018	H	Cl	H	F	H
1-019	H	Cl	H	Cl	H
1-020	H	Cl	F	H	H
1-021	H	Cl	Cl	H	H
1-022	H	Cl	Br	H	H
1-023	H	Cl	Me	H	H
1-024	H	Cl	CF3	H	H
1-025	H	Cl	OMe	H	H
1-026	H	Cl	OCF3	H	H
1-027	H	Br	H	H	H
1-028	H	Br	F	H	H
1-029	H	Br	Cl	H	H
1-030	H	Br	Br	H	H
1-031	H	Br	Me	H	H
1-032	H	Br	CF3	H	H
1-033	H	Br	OMe	H	H
1-034	H	Br	OCF3	H	H
1-035	H	Me	H	H	H
1-036	H	Me	H	Me	H
1-037	H	Me	F	H	H
1-038	H	Me	Cl	H	H
1-039	H	Me	Br	H	H
1-040	H	Me	Me	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-041	H	Me	CF3	H	H
1-042	H	Me	OMe	H	H
1-043	H	Me	OCF3	H	H
1-044	H	CF3	H	H	H
1-045	H	CF3	H	CF3	H
1-046	H	CF3	F	H	H
1-047	H	CF3	Cl	H	H
1-048	H	CF3	Br	H	H
1-049	H	CF3	Me	H	H
1-050	H	CF3	CF3	H	H
1-051	H	CF3	OMe	H	H
1-052	H	CF3	OCF3	H	H
1-053	H	OMe	H	H	H
1-054	H	OMe	H	OMe	H
1-055	H	OMe	F	H	H
1-056	H	OMe	Cl	H	H
1-057	H	OMe	Br	H	H
1-058	H	OMe	Me	H	H
1-059	H	OMe	CF3	H	H
1-060	H	OMe	OMe	H	H
1-061	H	OMe	OCF3	H	H
1-062	H	CN	H	H	H
1-063	H	CN	H	CN	H
1-064	H	CN	F	H	H
1-065	H	CN	Cl	H	H
1-066	H	CN	Br	H	H
1-067	H	CN	Me	H	H
1-068	H	CN	CF3	H	H
1-069	H	CN	OMe	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-070	H	CN	OCF3	H	H
1-071	H	4FPh	H	H	Cl
1-072	H	4FPh	H	Cl	Cl
1-073	F	H	H	H	H
1-074	F	H	H	H	F
1-075	F	H	H	H	Cl
1-076	F	H	H	H	Me
1-077	F	H	H	H	OMe
1-078	F	H	H	F	H
1-079	F	H	F	H	H
1-080	F	H	Cl	H	H
1-081	F	H	Br	H	H
1-082	F	H	OMe	H	H
1-083	F	F	H	H	H
1-084	F	Cl	H	H	H
1-085	F	Br	H	H	H
1-086	F	Me	H	H	H
1-087	F	Et	H	H	H
1-088	F	c-Pr	H	H	H
1-089	F	CH=CH2	H	H	H
1-090	F	CCH	H	H	H
1-091	F	CHF2	H	H	H
1-092	F	CF3	H	H	H
1-093	F	NH2	H	H	H
1-094	F	CN	H	H	H
1-095	F	OMe	H	H	H
1-096	F	SMe	H	H	H
1-097	F	F	H	H	F
1-098	F	F	H	H	Cl

Compound Number	R^1	R^2	R^3	R^4	R^5
1-099	F	F	H	H	Me
1-100	F	Cl	H	H	F
1-101	F	Cl	H	H	Cl
1-102	F	Cl	H	H	Me
1-103	F	Me	H	H	F
1-104	F	Me	H	H	Cl
1-105	F	Me	H	H	Me
1-106	F	F	H	F	H
1-107	F	F	H	F	F
1-108	F	F	H	Cl	H
1-109	F	F	H	Me	H
1-110	F	F	H	NH2	H
1-111	F	Cl	H	F	H
1-112	F	Cl	H	Cl	H
1-113	F	Cl	H	Me	H
1-114	F	Cl	H	NH2	H
1-115	F	Me	H	F	H
1-116	F	Me	H	Cl	H
1-117	F	Me	H	Me	H
1-118	F	Me	H	NH2	H
1-119	F	F	F	H	H
1-120	F	F	Cl	H	H
1-121	F	F	NH2	H	H
1-122	F	Cl	F	H	H
1-123	F	Cl	CN	H	H
1-124	F	OMe	F	H	H
1-125	Cl	H	H	H	H
1-126	Cl	H	H	H	Cl
1-127	Cl	H	H	H	Me

Compound Number	R^1	R^2	R^3	R^4	R^5
1-128	Cl	H	H	H	OMe
1-129	Cl	H	H	F	H
1-130	Cl	H	H	Cl	H
1-131	Cl	H	H	OMe	H
1-132	Cl	H	F	H	H
1-133	Cl	H	Cl	H	H
1-134	Cl	H	Br	H	H
1-135	Cl	H	OMe	H	H
1-136	Cl	F	H	H	H
1-137	Cl	Cl	H	H	H
1-138	Cl	Br	H	H	H
1-139	Cl	Me	H	H	H
1-140	Cl	Et	H	H	H
1-141	Cl	c-Pr	H	H	H
1-142	Cl	CH=CH2	H	H	H
1-143	Cl	CCH	H	H	H
1-144	Cl	CHF2	H	H	H
1-145	Cl	CF3	H	H	H
1-146	Cl	NH2	H	H	H
1-147	Cl	CN	H	H	H
1-148	Cl	OMe	H	H	H
1-149	Cl	SMe	H	H	H
1-150	Cl	F	H	H	F
1-151	Cl	F	H	H	Cl
1-152	Cl	F	H	H	Me
1-153	Cl	Cl	H	H	F
1-154	Cl	Cl	H	H	Cl
1-155	Cl	Cl	H	H	Me
1-156	Cl	Me	H	H	F

Compound Number	R^1	R^2	R^3	R^4	R^5
1-157	Cl	Me	H	H	Cl
1-158	Cl	Me	H	H	Me
1-159	Cl	F	H	F	H
1-160	Cl	F	H	Cl	H
1-161	Cl	F	H	Me	H
1-162	Cl	F	H	NH2	H
1-163	Cl	Cl	H	F	H
1-164	Cl	Cl	H	Cl	H
1-165	Cl	Cl	H	Cl	Cl
1-166	Cl	Cl	H	Me	H
1-167	Cl	Cl	H	NH2	H
1-168	Cl	Me	H	F	H
1-169	Cl	Me	H	Cl	H
1-170	Cl	Me	H	Me	H
1-171	Cl	Me	H	NH2	H
1-172	Cl	NH2	H	Cl	H
1-173	Cl	F	F	H	H
1-174	Cl	F	Cl	H	H
1-175	Cl	F	NH2	H	H
1-176	Cl	Cl	F	H	H
1-177	Cl	Cl	Cl	H	H
1-178	Cl	Cl	NH2	H	H
1-179	Cl	Me	F	H	H
1-180	Br	H	H	H	H
1-181	Br	H	H	H	F
1-182	Br	H	H	H	Cl
1-183	Br	H	H	H	Me
1-184	Br	H	H	H	OMe
1-185	Br	H	H	F	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-186	Br	H	F	H	H
1-187	Br	H	Cl	H	H
1-188	Br	H	Br	H	H
1-189	Br	H	OMe	H	H
1-190	Br	F	H	H	H
1-191	Br	Cl	H	H	H
1-192	Br	Br	H	H	H
1-193	Br	Me	H	H	H
1-194	Br	Et	H	H	H
1-195	Br	c-Pr	H	H	H
1-196	Br	CH=CH2	H	H	H
1-197	Br	CCH	H	H	H
1-198	Br	CHF2	H	H	H
1-199	Br	CF3	H	H	H
1-200	Br	NH2	H	H	H
1-201	Br	CN	H	H	H
1-202	Br	OMe	H	H	H
1-203	Br	SMe	H	H	H
1-204	Br	F	H	H	F
1-205	Br	F	H	H	Cl
1-206	Br	F	H	H	Me
1-207	Br	Cl	H	H	F
1-208	Br	Cl	H	H	Cl
1-209	Br	Cl	H	H	Me
1-210	Br	Me	H	H	F
1-211	Br	Me	H	H	Cl
1-212	Br	Me	H	H	Me
1-213	Br	F	H	F	H
1-214	Br	F	H	Cl	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-215	Br	F	H	Me	H
1-216	Br	F	H	NH2	H
1-217	Br	Cl	H	F	H
1-218	Br	Cl	H	Cl	H
1-219	Br	Cl	H	Me	H
1-220	Br	Cl	H	NH2	H
1-221	Br	Me	H	F	H
1-222	Br	Me	H	Cl	H
1-223	Br	Me	H	Me	H
1-224	Br	Me	H	NH2	H
1-225	Br	F	F	H	H
1-226	Br	F	Cl	H	H
1-227	Br	F	NH2	H	H
1-228	Br	Cl	F	H	H
1-229	Me	H	H	H	H
1-230	Me	H	H	H	Me
1-231	Me	H	H	H	OMe
1-232	Me	H	H	F	H
1-233	Me	H	F	H	H
1-234	Me	H	Cl	H	H
1-235	Me	H	Br	H	H
1-236	Me	H	OMe	H	H
1-237	Me	F	H	H	H
1-238	Me	Cl	H	H	H
1-239	Me	Br	H	H	H
1-240	Me	Me	H	H	H
1-241	Me	Et	H	H	H
1-242	Me	c-Pr	H	H	H
1-243	Me	CH=CH2	H	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-244	Me	CCH	H	H	H
1-245	Me	CHF2	H	H	H
1-246	Me	CF3	H	H	H
1-247	Me	NH2	H	H	H
1-248	Me	CN	H	H	H
1-249	Me	OMe	H	H	H
1-250	Me	SMe	H	H	H
1-251	Me	F	H	H	F
1-252	Me	F	H	H	Cl
1-253	Me	F	H	H	Me
1-254	Me	Cl	H	H	F
1-255	Me	Cl	H	H	Cl
1-256	Me	Cl	H	H	Me
1-257	Me	Me	H	H	F
1-258	Me	Me	H	H	Cl
1-259	Me	Me	H	H	Me
1-260	Me	F	H	F	H
1-261	Me	F	H	Cl	H
1-262	Me	F	H	Me	H
1-263	Me	F	H	NH2	H
1-264	Me	Cl	H	F	H
1-265	Me	Cl	H	Cl	H
1-266	Me	Cl	H	Me	H
1-267	Me	Cl	H	Me	Cl
1-268	Me	Cl	H	NH2	H
1-269	Me	Me	H	F	H
1-270	Me	Me	H	Cl	H
1-271	Me	Me	H	Me	H
1-272	Me	Me	H	NH2	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-273	Me	F	F	H	H
1-274	Me	F	Cl	H	H
1-275	Me	F	NH2	H	H
1-276	Me	Cl	F	H	H
1-277	Et	H	H	H	H
1-278	Et	H	H	H	F
1-279	Et	H	H	H	Cl
1-280	Et	H	H	H	Me
1-281	Et	H	H	H	OMe
1-282	Et	H	H	F	H
1-283	Et	H	F	H	H
1-284	Et	H	Cl	H	H
1-285	Et	H	Br	H	H
1-286	Et	H	OMe	H	H
1-287	Et	F	H	H	H
1-288	Et	Cl	H	H	H
1-289	Et	Br	H	H	H
1-290	Et	Me	H	H	H
1-291	Et	Et	H	H	H
1-292	Et	CH=CH2	H	H	H
1-293	Et	CCH	H	H	H
1-294	Et	CHF2	H	H	H
1-295	Et	CF3	H	H	H
1-296	Et	NH2	H	H	H
1-297	Et	CN	H	H	H
1-298	Et	OMe	H	H	H
1-299	Et	SMe	H	H	H
1-300	Et	F	H	H	F
1-301	Et	F	H	H	Cl

Compound Number	R^1	R^2	R^3	R^4	R^5
1-302	Et	F	H	H	Me
1-303	Et	Cl	H	H	F
1-304	Et	Cl	H	H	Cl
1-305	Et	Cl	H	H	Me
1-306	Et	Me	H	H	F
1-307	Et	Me	H	H	Cl
1-308	Et	Me	H	H	Me
1-309	Et	F	H	F	H
1-310	Et	F	H	Cl	H
1-311	Et	F	H	Me	H
1-312	Et	F	H	NH2	H
1-313	Et	Cl	H	F	H
1-314	Et	Cl	H	Cl	H
1-315	Et	Cl	H	Me	H
1-316	Et	Cl	H	NH2	H
1-317	Et	Me	H	F	H
1-318	Et	Me	H	Cl	H
1-319	Et	Me	H	Me	H
1-320	Et	Me	H	NH2	H
1-321	Et	F	F	H	H
1-322	Et	F	Cl	H	H
1-323	Et	F	NH2	H	H
1-324	Et	Cl	F	H	H
1-325	c-Pr	H	H	H	H
1-326	c-Pr	H	H	H	F
1-327	c-Pr	H	H	H	Cl
1-328	c-Pr	H	H	H	Me
1-329	c-Pr	H	H	H	OMe
1-330	c-Pr	H	H	F	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-331	c-Pr	H	F	H	H
1-332	c-Pr	H	Cl	H	H
1-333	c-Pr	H	Br	H	H
1-334	c-Pr	H	OMe	H	H
1-335	c-Pr	F	H	H	H
1-336	c-Pr	Cl	H	H	H
1-337	c-Pr	Br	H	H	H
1-338	c-Pr	Me	H	H	H
1-339	c-Pr	Et	H	H	H
1-340	c-Pr	CH=CH2	H	H	H
1-341	c-Pr	CCH	H	H	H
1-342	c-Pr	CHF2	H	H	H
1-343	c-Pr	CF3	H	H	H
1-344	c-Pr	NH2	H	H	H
1-345	c-Pr	CN	H	H	H
1-346	c-Pr	OMe	H	H	H
1-347	c-Pr	SMe	H	H	H
1-348	c-Pr	F	H	H	F
1-349	c-Pr	F	H	H	Cl
1-350	c-Pr	F	H	H	Me
1-351	c-Pr	Cl	H	H	F
1-352	c-Pr	Cl	H	H	Cl
1-353	c-Pr	Cl	H	H	Me
1-354	c-Pr	Me	H	H	F
1-355	c-Pr	Me	H	H	Cl
1-356	c-Pr	Me	H	H	Me
1-357	c-Pr	F	H	F	H
1-358	c-Pr	F	H	Cl	H
1-359	c-Pr	F	H	Me	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-360	c-Pr	F	H	NH2	H
1-361	c-Pr	Cl	H	F	H
1-362	c-Pr	Cl	H	Cl	H
1-363	c-Pr	Cl	H	Me	H
1-364	c-Pr	Cl	H	NH2	H
1-365	c-Pr	Me	H	F	H
1-366	c-Pr	Me	H	Cl	H
1-367	c-Pr	Me	H	Me	H
1-368	c-Pr	Me	H	NH2	H
1-369	c-Pr	F	F	H	H
1-370	c-Pr	F	Cl	H	H
1-371	c-Pr	F	NH2	H	H
1-372	c-Pr	Cl	F	H	H
1-373	CHF2	H	H	H	H
1-374	CHF2	H	H	H	Cl
1-375	CHF2	H	H	H	Me
1-376	CHF2	H	H	H	OMe
1-377	CHF2	H	H	F	H
1-378	CHF2	H	F	H	H
1-379	CHF2	H	Cl	H	H
1-380	CHF2	H	Br	H	H
1-381	CHF2	H	OMe	H	H
1-382	CHF2	F	H	H	H
1-383	CHF2	Cl	H	H	H
1-384	CHF2	Br	H	H	H
1-385	CHF2	Me	H	H	H
1-386	CHF2	Et	H	H	H
1-387	CHF2	c-Pr	H	H	H
1-388	CHF2	CH=CH2	H	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-389	CHF2	CCH	H	H	H
1-390	CHF2	CHF2	H	H	H
1-391	CHF2	CF3	H	H	H
1-392	CHF2	NH2	H	H	H
1-393	CHF2	CN	H	H	H
1-394	CHF2	OMe	H	H	H
1-395	CHF2	SMe	H	H	H
1-396	CHF2	F	H	H	F
1-397	CHF2	F	H	H	Cl
1-398	CHF2	F	H	H	Me
1-399	CHF2	Cl	H	H	F
1-400	CHF2	Cl	H	H	Cl
1-401	CHF2	Cl	H	H	Me
1-402	CHF2	Me	H	H	F
1-403	CHF2	Me	H	H	Cl
1-404	CHF2	Me	H	H	Me
1-405	CHF2	F	H	F	H
1-406	CHF2	F	H	Cl	H
1-407	CHF2	F	H	Me	H
1-408	CHF2	F	H	NH2	H
1-409	CHF2	Cl	H	F	H
1-410	CHF2	Cl	H	Cl	H
1-411	CHF2	Cl	H	Me	H
1-412	CHF2	Cl	H	NH2	H
1-413	CHF2	Me	H	F	H
1-414	CHF2	Me	H	Cl	H
1-415	CHF2	Me	H	Me	H
1-416	CHF2	Me	H	NH2	H
1-417	CHF2	F	F	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-418	CHF2	F	Cl	H	H
1-419	CHF2	F	NH2	H	H
1-420	CHF2	Cl	F	H	H
1-421	CF3	H	H	H	H
1-422	CF3	H	H	H	Cl
1-423	CF3	H	H	H	Me
1-424	CF3	H	H	H	OMe
1-425	CF3	H	H	F	H
1-426	CF3	H	F	H	H
1-427	CF3	H	Cl	H	H
1-428	CF3	H	Br	H	H
1-429	CF3	H	OMe	H	H
1-430	CF3	F	H	H	H
1-431	CF3	Cl	H	H	H
1-432	CF3	Br	H	H	H
1-433	CF3	Me	H	H	H
1-434	CF3	Et	H	H	H
1-435	CF3	c-Pr	H	H	H
1-436	CF3	CH=CH2	H	H	H
1-437	CF3	CCH	H	H	H
1-438	CF3	CHF2	H	H	H
1-439	CF3	CF3	H	H	H
1-440	CF3	NH2	H	H	H
1-441	CF3	CN	H	H	H
1-442	CF3	OMe	H	H	H
1-443	CF3	SMe	H	H	H
1-444	CF3	F	H	H	F
1-445	CF3	F	H	H	Cl
1-446	CF3	F	H	H	Me

Compound Number	R^1	R^2	R^3	R^4	R^5
1-447	CF3	Cl	H	H	F
1-448	CF3	Cl	H	H	Cl
1-449	CF3	Cl	H	H	Me
1-450	CF3	Me	H	H	F
1-451	CF3	Me	H	H	Cl
1-452	CF3	Me	H	H	Me
1-453	CF3	F	H	F	H
1-454	CF3	F	H	Cl	H
1-455	CF3	F	H	Me	H
1-456	CF3	F	H	NH2	H
1-457	CF3	Cl	H	F	H
1-458	CF3	Cl	H	Cl	H
1-459	CF3	Cl	H	Me	H
1-460	CF3	Cl	H	NH2	H
1-461	CF3	Me	H	F	H
1-462	CF3	Me	H	Cl	H
1-463	CF3	Me	H	Me	H
1-464	CF3	Me	H	NH2	H
1-465	CF3	F	F	H	H
1-466	CF3	F	Cl	H	H
1-467	CF3	F	NH2	H	H
1-468	CF3	Cl	F	H	H
1-469	CH=CH2	H	H	H	H
1-470	CH=CH2	H	H	H	Cl
1-471	CH=CH2	H	H	H	Me
1-472	CH=CH2	H	H	H	OMe
1-473	CH=CH2	H	H	F	H
1-474	CH=CH2	H	F	H	H
1-475	CH=CH2	H	Cl	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-476	CH=CH2	H	Br	H	H
1-477	CH=CH2	H	OMe	H	H
1-478	CH=CH2	F	H	H	H
1-479	CH=CH2	Cl	H	H	H
1-480	CH=CH2	Br	H	H	H
1-481	CH=CH2	Me	H	H	H
1-482	CH=CH2	Et	H	H	H
1-483	CH=CH2	c-Pr	H	H	H
1-484	CH=CH2	CH=CH2	H	H	H
1-485	CH=CH2	CCH	H	H	H
1-486	CH=CH2	CHF2	H	H	H
1-487	CH=CH2	CF3	H	H	H
1-488	CH=CH2	NH2	H	H	H
1-489	CH=CH2	CN	H	H	H
1-490	CH=CH2	OMe	H	H	H
1-491	CH=CH2	SMe	H	H	H
1-492	CH=CH2	F	H	H	F
1-493	CH=CH2	F	H	H	Cl
1-494	CH=CH2	F	H	H	Me
1-495	CH=CH2	Cl	H	H	F
1-496	CH=CH2	Cl	H	H	Cl
1-497	CH=CH2	Cl	H	H	Me
1-498	CH=CH2	Me	H	H	F
1-499	CH=CH2	Me	H	H	Cl
1-500	CH=CH2	Me	H	H	Me
1-501	CH=CH2	F	H	F	H
1-502	CH=CH2	F	H	Cl	H
1-503	CH=CH2	F	H	Me	H
1-504	CH=CH2	F	H	NH2	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-505	CH=CH2	Cl	H	F	H
1-506	CH=CH2	Cl	H	Cl	H
1-507	CH=CH2	Cl	H	Me	H
1-508	CH=CH2	Cl	H	NH2	H
1-509	CH=CH2	Me	H	F	H
1-510	CH=CH2	Me	H	Cl	H
1-511	CH=CH2	Me	H	Me	H
1-512	CH=CH2	Me	H	NH2	H
1-513	CH=CH2	F	F	H	H
1-514	CH=CH2	F	Cl	H	H
1-515	CH=CH2	F	NH2	H	H
1-516	CH=CH2	Cl	F	H	H
1-517	CH2CH=CH2	H	H	H	H
1-518	CH2CH=CH2	F	H	H	H
1-519	CH2CH=CH2	Cl	H	H	H
1-520	CH2CH=CH2	Br	H	H	H
1-521	CH2CH=CH2	Me	H	H	H
1-522	CCH	H	H	H	H
1-523	CCH	H	H	H	Cl
1-524	CCH	H	H	H	Me
1-525	CCH	H	H	H	OMe
1-526	CCH	H	H	F	H
1-527	CCH	H	F	H	H
1-528	CCH	H	Cl	H	H
1-529	CCH	H	Br	H	H
1-530	CCH	H	OMe	H	H
1-531	CCH	F	H	H	H
1-532	CCH	Cl	H	H	H
1-533	CCH	Br	H	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-534	CCH	Me	H	H	H
1-535	CCH	Et	H	H	H
1-536	CCH	c-Pr	H	H	H
1-537	CCH	CH=CH2	H	H	H
1-538	CCH	CCH	H	H	H
1-539	CCH	CHF2	H	H	H
1-540	CCH	CF3	H	H	H
1-541	CCH	NH2	H	H	H
1-542	CCH	CN	H	H	H
1-543	CCH	OMe	H	H	H
1-544	CCH	SMe	H	H	H
1-545	CCH	F	H	H	F
1-546	CCH	F	H	H	Cl
1-547	CCH	F	H	H	Me
1-548	CCH	Cl	H	H	F
1-549	CCH	Cl	H	H	Cl
1-550	CCH	Cl	H	H	Me
1-551	CCH	Me	H	H	F
1-552	CCH	Me	H	H	Cl
1-553	CCH	Me	H	H	Me
1-554	CCH	F	H	F	H
1-555	CCH	F	H	Cl	H
1-556	CCH	F	H	Me	H
1-557	CCH	F	H	NH2	H
1-558	CCH	Cl	H	F	H
1-559	CCH	Cl	H	Cl	H
1-560	CCH	Cl	H	Me	H
1-561	CCH	Cl	H	NH2	H
1-562	CCH	Me	H	F	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-563	CCH	Me	H	Cl	H
1-564	CCH	Me	H	Me	H
1-565	CCH	Me	H	NH2	H
1-566	CCH	F	F	H	H
1-567	CCH	F	Cl	H	H
1-568	CCH	F	NH2	H	H
1-569	CCH	Cl	F	H	H
1-570	NH2	H	H	H	H
1-571	NH2	H	H	H	Cl
1-572	NH2	H	H	H	Me
1-573	NH2	H	H	H	OMe
1-574	NH2	H	H	F	H
1-575	NH2	H	F	H	H
1-576	NH2	H	Cl	H	H
1-577	NH2	H	Br	H	H
1-578	NH2	H	OMe	H	H
1-579	NH2	F	H	H	H
1-580	NH2	Cl	H	H	H
1-581	NH2	Br	H	H	H
1-582	NH2	Me	H	H	H
1-583	NH2	Et	H	H	H
1-584	NH2	c-Pr	H	H	H
1-585	NH2	CH=CH2	H	H	H
1-586	NH2	CCH	H	H	H
1-587	NH2	CHF2	H	H	H
1-588	NH2	CF3	H	H	H
1-589	NH2	CN	H	H	H
1-590	NH2	OMe	H	H	H
1-591	NH2	SMe	H	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-592	NH2	F	H	H	F
1-593	NH2	F	H	H	Cl
1-594	NH2	F	H	H	Me
1-595	NH2	Cl	H	H	F
1-596	NH2	Cl	H	H	Cl
1-597	NH2	Cl	H	H	Me
1-598	NH2	Me	H	H	F
1-599	NH2	Me	H	H	Cl
1-600	NH2	Me	H	H	Me
1-601	NH2	F	H	F	H
1-602	NH2	F	H	Cl	H
1-603	NH2	F	H	Me	H
1-604	NH2	Cl	H	F	H
1-605	NH2	Cl	H	Cl	H
1-606	NH2	Cl	H	Cl	Cl
1-607	NH2	Cl	H	Me	H
1-608	NH2	Me	H	F	H
1-609	NH2	Me	H	Cl	H
1-610	NH2	Me	H	Me	H
1-611	NH2	F	F	H	H
1-612	NH2	F	Cl	H	H
1-613	NH2	Cl	F	H	H
1-614	NO2	H	H	H	H
1-615	NO2	F	H	H	H
1-616	NO2	Cl	H	H	H
1-617	NO2	Br	H	H	H
1-618	NO2	Me	H	H	H
1-619	CN	H	H	H	H
1-620	CN	H	H	H	Cl

Compound Number	R^1	R^2	R^3	R^4	R^5
1-621	CN	H	H	H	Me
1-622	CN	H	H	H	OMe
1-623	CN	H	H	F	H
1-624	CN	H	F	H	H
1-625	CN	H	Cl	H	H
1-626	CN	H	Br	H	H
1-627	CN	H	OMe	H	H
1-628	CN	F	H	H	H
1-629	CN	Cl	H	H	H
1-630	CN	Br	H	H	H
1-631	CN	Me	H	H	H
1-632	CN	Et	H	H	H
1-633	CN	c-Pr	H	H	H
1-634	CN	CH=CH2	H	H	H
1-635	CN	CCH	H	H	H
1-636	CN	CHF2	H	H	H
1-637	CN	CF3	H	H	H
1-638	CN	NH2	H	H	H
1-639	CN	CN	H	H	H
1-640	CN	OMe	H	H	H
1-641	CN	SMe	H	H	H
1-642	CN	F	H	H	F
1-643	CN	F	H	H	Cl
1-644	CN	F	H	H	Me
1-645	CN	Cl	H	H	F
1-646	CN	Cl	H	H	Cl
1-647	CN	Cl	H	H	Me
1-648	CN	Me	H	H	F
1-649	CN	Me	H	H	Cl

Compound Number	R^1	R^2	R^3	R^4	R^5
1-650	CN	Me	H	H	Me
1-651	CN	F	H	F	H
1-652	CN	F	H	Cl	H
1-653	CN	F	H	Me	H
1-654	CN	F	H	NH2	H
1-655	CN	Cl	H	F	H
1-656	CN	Cl	H	Cl	H
1-657	CN	Cl	H	Me	H
1-658	CN	Cl	H	NH2	H
1-659	CN	Me	H	F	H
1-660	CN	Me	H	Cl	H
1-661	CN	Me	H	Me	H
1-662	CN	Me	H	NH2	H
1-663	CN	F	F	H	H
1-664	CN	F	Cl	H	H
1-665	CN	F	NH2	H	H
1-666	CN	Cl	F	H	H
1-667	CH2CN	H	H	H	H
1-668	CH2CN	F	H	H	H
1-669	CH2CN	Cl	H	H	H
1-670	CH2CN	Br	H	H	H
1-671	CH2CN	Me	H	H	H
1-672	OMe	H	H	H	H
1-673	OMe	H	H	H	OMe
1-674	OMe	H	H	F	H
1-675	OMe	H	H	Cl	H
1-676	OMe	H	F	H	H
1-677	OMe	H	Cl	H	H
1-678	OMe	H	Br	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-679	OMe	H	OMe	H	H
1-680	OMe	F	H	H	H
1-681	OMe	Cl	H	H	H
1-682	OMe	Br	H	H	H
1-683	OMe	Me	H	H	H
1-684	OMe	Et	H	H	H
1-685	OMe	c-Pr	H	H	H
1-686	OMe	CH=CH2	H	H	H
1-687	OMe	CCH	H	H	H
1-688	OMe	CHF2	H	H	H
1-689	OMe	CF3	H	H	H
1-690	OMe	NH2	H	H	H
1-691	OMe	CN	H	H	H
1-692	OMe	OMe	H	H	H
1-693	OMe	SMe	H	H	H
1-694	OMe	F	H	H	F
1-695	OMe	F	H	H	Cl
1-696	OMe	F	H	H	Me
1-697	OMe	Cl	H	H	F
1-698	OMe	Cl	H	H	Cl
1-699	OMe	Cl	H	H	Me
1-700	OMe	Me	H	H	F
1-701	OMe	Me	H	H	Cl
1-702	OMe	Me	H	H	Me
1-703	OMe	F	H	F	H
1-704	OMe	F	H	Cl	H
1-705	OMe	F	H	Me	H
1-706	OMe	F	H	NH2	H
1-707	OMe	Cl	H	F	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-708	OMe	Cl	H	Cl	H
1-709	OMe	Cl	H	Cl	Cl
1-710	OMe	Cl	H	Me	H
1-711	OMe	Cl	H	NH2	H
1-712	OMe	Me	H	F	H
1-713	OMe	Me	H	Cl	H
1-714	OMe	Me	H	Me	H
1-715	OMe	Me	H	NH2	H
1-716	OMe	F	F	H	H
1-717	OMe	F	Cl	H	H
1-718	OMe	F	NH2	H	H
1-719	OMe	Cl	F	H	H
1-720	OEt	H	H	H	H
1-721	OEt	H	H	H	Cl
1-722	OEt	H	H	H	Me
1-723	OEt	H	H	H	OMe
1-724	OEt	H	H	F	H
1-725	OEt	H	F	H	H
1-726	OEt	H	Cl	H	H
1-727	OEt	H	Br	H	H
1-728	OEt	H	OMe	H	H
1-729	OEt	F	H	H	H
1-730	OEt	Cl	H	H	H
1-731	OEt	Br	H	H	H
1-732	OEt	Me	H	H	H
1-733	OEt	Et	H	H	H
1-734	OEt	c-Pr	H	H	H
1-735	OEt	CH=CH2	H	H	H
1-736	OEt	CCH	H	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-737	OEt	CHF2	H	H	H
1-738	OEt	CF3	H	H	H
1-739	OEt	NH2	H	H	H
1-740	OEt	CN	H	H	H
1-741	OEt	OMe	H	H	H
1-742	OEt	SMe	H	H	H
1-743	OEt	F	H	H	F
1-744	OEt	F	H	H	Cl
1-745	OEt	F	H	H	Me
1-746	OEt	Cl	H	H	F
1-747	OEt	Cl	H	H	Cl
1-748	OEt	Cl	H	H	Me
1-749	OEt	Me	H	H	F
1-750	OEt	Me	H	H	Cl
1-751	OEt	Me	H	H	Me
1-752	OEt	F	H	F	H
1-753	OEt	F	H	Cl	H
1-754	OEt	F	H	Me	H
1-755	OEt	F	H	NH2	H
1-756	OEt	Cl	H	F	H
1-757	OEt	Cl	H	Cl	H
1-758	OEt	Cl	H	Cl	Cl
1-759	OEt	Cl	H	Me	H
1-760	OEt	Cl	H	NH2	H
1-761	OEt	Me	H	F	H
1-762	OEt	Me	H	Cl	H
1-763	OEt	Me	H	Me	H
1-764	OEt	Me	H	NH2	H
1-765	OEt	F	F	H	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-766	OEt	F	Cl	H	H
1-767	OEt	F	NH2	H	H
1-768	OEt	Cl	F	H	H
1-769	Oi-Pr	H	H	H	H
1-770	Oi-Pr	F	H	H	H
1-771	Oi-Pr	Cl	H	H	H
1-772	Oi-Pr	Br	H	H	H
1-773	Oi-Pr	Me	H	H	H
1-774	SMe	H	H	H	H
1-775	SMe	F	H	H	H
1-776	SMe	Cl	H	H	H
1-777	SMe	Br	H	H	H
1-778	SMe	Me	H	H	H
1-779	CH=CH-CH=CH		H	H	H
1-780	CH=CH-CH=CH		H	H	F
1-781	CH=CH-CH=CH		H	H	Cl
1-782	CH=CH-CH=CH		H	H	Me
1-783	CH=CH-CH=CH		H	F	H
1-784	CH=CH-CH=CH		H	Cl	H
1-785	CH=CH-CH=CH		H	Cl	Cl
1-786	CH=CH-CH=CH		H	Me	H
1-787	CH=CH-CH=CH		H	NH2	H
1-788	CH=CH-CH=CH		Cl	H	H
1-789	N=CH-CH=CH		H	H	H
1-790	N=CH-CH=CH		H	H	F
1-791	N=CH-CH=CH		H	H	Cl
1-792	N=CH-CH=CH		H	H	Me
1-793	N=CH-CH=CH		H	F	H
1-794	N=CH-CH=CH		H	Cl	H

Compound Number	R^1	R^2	R^3	R^4	R^5
1-795	N=CH-CH=CH		H	Cl	Cl
1-796	N=CH-CH=CH		H	Me	H
1-797	N=CH-CH=CH		H	NH2	H
1-798	N=CH-CH=CH		F	H	H
1-799	N=CH-C(Cl)=CH		H	H	H
1-800	N=CH-C(Cl)=CH		H	H	F
1-801	N=CH-C(Cl)=CH		H	H	Cl
1-802	N=CH-C(Cl)=CH		H	H	Me
1-803	N=CH-C(Cl)=CH		H	F	H
1-804	N=CH-C(Cl)=CH		H	Cl	H
1-805	N=CH-C(Cl)=CH		H	Cl	Cl
1-806	N=CH-C(Cl)=CH		H	Me	H
1-807	N=CH-C(Cl)=CH		H	NH2	H
1-808	N=CH-C(Cl)=CH		F	H	H
1-809	CH=CH-CH=CH		H	H	H
1-810	CH=CH-CH=CH		H	H	F
1-811	CH=CH-CH=CH		H	H	Cl
1-812	CH=CH-CH=CH		H	H	Me
1-813	CH=CH-CH=CH		H	F	H
1-814	CH=CH-CH=CH		H	Cl	H
1-815	CH=CH-CH=CH		H	Cl	Cl
1-816	CH=CH-CH=CH		H	Me	H
1-817	CH=CH-CH=CH		H	NH2	H
1-818	CH=CH-CH=CH		Cl	H	H

818 compounds of formula (I), wherein R^6 is methyl and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 2-1 to 2-818 respectively.

818 compounds of formula (I), wherein R^6 is ethyl and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 3-1 to 3-818 respectively.

818 compounds of formula (I), wherein R^6 is benzyl and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 4-1 to 4-818 respectively.

818 compounds of formula (I), wherein R^6 is lithium and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 5-1 to 5-818 respectively.

818 compounds of formula (I), wherein R^6 is sodium and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 6-1 to 6-818 respectively.

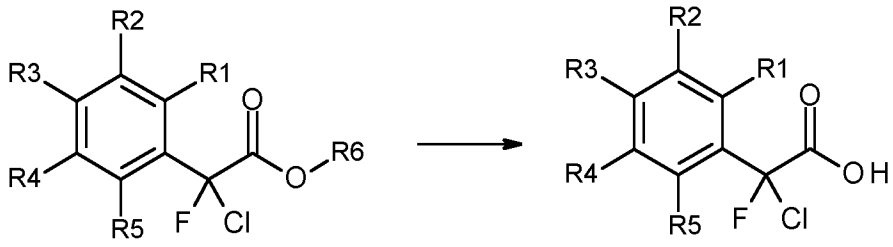
818 compounds of formula (I), wherein R^6 is ammonium and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 7-1 to 7-818 respectively.

818 compounds of formula (I), wherein R^6 is diisopropylammonium and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 8-1 to 8-818 respectively.

818 compounds of formula (I), wherein R^6 is N,N,N-trimethylethanolammonium and the values of R^1-R^5 are as given in Table 1 for compounds 1-1 to 1-818, are designated as compound numbers 9-1 to 9-818 respectively.

Compounds of formula (I) may be prepared from esters of formula (A) as shown in reaction scheme 1.

Reaction scheme 1

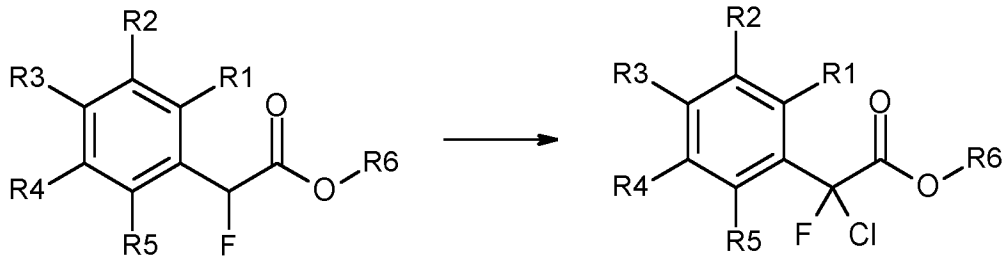


(A) (I)

For example, a compound of formula (A), wherein R6 represents methyl or ethyl, may be treated with a base, such as lithium hydroxide, in a suitable solvent such as a mixture of methanol and water.

Compounds of formula (A) may be prepared from compounds of formula (B) as shown in reaction scheme 2.

Reaction scheme 2

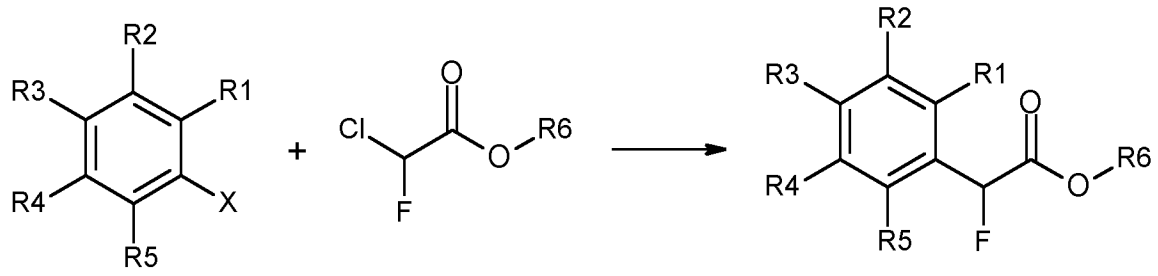


(B) (A)

For example, a mixture of a compound of formula (B), wherein R6 represents methyl or ethyl, in a suitable solvent such as tetrahydrofuran, may be treated with a base such as sodium bis(trimethylsilyl)amide, followed by a chlorinating reagent such as *N*-chlorosuccinimide.

Compounds of formula (B) may be prepared from aryl halides of formula (C) as shown in reaction scheme 3.

Reaction scheme 3



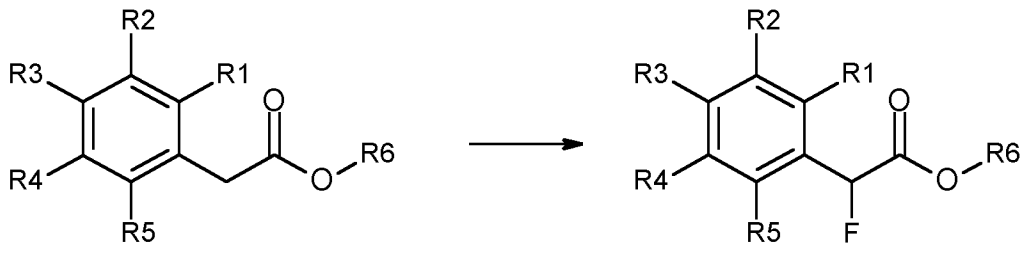
(C) (D) (B)

For example, a mixture of a compound of formula (C), wherein X represents iodo or bromo, and a compound of formula (D), wherein R6 represents methyl or ethyl, may be treated with a catalyst such as nickel(II) iodide, a ligand such as 5,5'-dimethyl-2,2'-bipyridine, a metal such as zinc and a metallic species such as dichloromagnesium in a suitable solvent such as *N*,*N*-dimethylacetamide.

Aryl halides of formula (C) are commercially available or may be prepared by methods well known in the literature.
Compounds of formula (D) are commercially available or may be prepared by methods well known in the literature.

Compounds of formula (B) may also be prepared from aryl acetic esters of formula (E) as shown in reaction scheme 4.

Reaction scheme 4



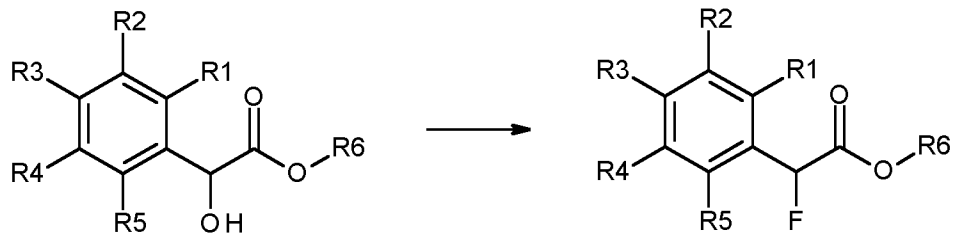
(E) (B)

For example, a compound of formula (E), wherein R6 represents methyl or ethyl, may be treated with a base such as sodium bis(trimethylsilyl)amide, followed by a fluorinating reagent such as *N*-fluorobenzenesulfonimide, in a suitable solvent such as tetrahydrofuran.

Aryl acetic esters of formula (E) are commercially available or may be prepared by methods well known in the literature.

Compounds of formula (B) may also be prepared from compounds of formula (F) as shown in reaction scheme 5.

Reaction scheme 5



(F) (B)

For example, a compound of formula (F), wherein R6 represents methyl or ethyl, may be treated with a fluorinating reagent such as diethylaminosulfur trifluoride, in a suitable solvent such as dichloromethane.

Compounds of formula (F) are commercially available or may be prepared by methods well known in the literature.

The compounds according to the invention can be used as herbicidal agents in unmodified form, but they are generally formulated into compositions in various ways using formulation adjuvants, such as carriers, solvents and surface-active substances. The formulations can be in various physical forms, e.g. in the form of dusting powders, gels, wettable powders, water-dispersible granules, water-dispersible tablets, effervescent pellets, emulsifiable concentrates, microemulsifiable concentrates, oil-in-water emulsions, oil-flowables, aqueous dispersions, oily dispersions, suspo-emulsions, capsule suspensions, emulsifiable granules, soluble liquids, water-soluble concentrates (with water or a water-miscible organic solvent as carrier), impregnated polymer films or in other forms known e.g. from the Manual on Development and Use of FAO and WHO Specifications for Pesticides, United Nations, First Edition, Second Revision (2010). For water-soluble compounds, soluble liquids, water-soluble concentrates or water soluble granules are preferred. Such formulations can either be used directly or diluted prior to use. The dilutions can be made, for example, with water, liquid fertilisers, micronutrients, biological organisms, oil or solvents.

The formulations can be prepared e.g. by mixing the active ingredient with the formulation adjuvants in order to obtain compositions in the form of finely divided solids, granules, solutions, dispersions or emulsions. The active ingredients can also be formulated with other

adjuvants, such as finely divided solids, mineral oils, oils of vegetable or animal origin, modified oils of vegetable or animal origin, organic solvents, water, surface-active substances or combinations thereof.

The active ingredients can also be contained in very fine microcapsules. Microcapsules contain the active ingredients in a porous carrier. This enables the active ingredients to be released into the environment in controlled amounts (e.g. slow-release). Microcapsules usually have a diameter of from 0.1 to 500 microns. They contain active ingredients in an amount of about from 25 to 95 % by weight of the capsule weight. The active ingredients can be in the form of a monolithic solid, in the form of fine particles in solid or liquid dispersion or in the form of a suitable solution. The encapsulating membranes can comprise, for example, natural or synthetic rubbers, cellulose, styrene/butadiene copolymers, polyacrylonitrile, polyacrylate, polyesters, polyamides, polyureas, polyurethane or chemically modified polymers and starch xanthates or other polymers that are known to the person skilled in the art. Alternatively, very fine microcapsules can be formed in which the active ingredient is contained in the form of finely divided particles in a solid matrix of base substance, but the microcapsules are not themselves encapsulated.

The formulation adjuvants that are suitable for the preparation of the compositions according to the invention are known *per se*. As liquid carriers there may be used: water, toluene, xylene, petroleum ether, vegetable oils, acetone, methyl ethyl ketone, cyclohexanone, acid anhydrides, acetonitrile, acetophenone, amyl acetate, 2-butanone, butylene carbonate, chlorobenzene, cyclohexane, cyclohexanol, alkyl esters of acetic acid, diacetone alcohol, 1,2-dichloropropane, diethanolamine, p-diethylbenzene, diethylene glycol, diethylene glycol abietate, diethylene glycol butyl ether, diethylene glycol ethyl ether, diethylene glycol methyl ether, *N,N*-dimethylformamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkylpyrrolidone, ethyl acetate, 2-ethylhexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha-pinene, d-limonene, ethyl lactate, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol acetate, glycerol diacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate, isobornyl acetate, isooctane, isophorone, isopropylbenzene, isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxypropanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, *n*-hexane, *n*-octylamine, octa-decanoic acid, octylamine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol, propionic acid, propyl lactate, propylene carbonate, propylene glycol, propylene glycol methyl ether, p-xylene, toluene, triethyl phosphate, triethylene glycol, xylenesulfonic acid,

paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, propylene glycol methyl ether, diethylene glycol methyl ether, methanol, ethanol, isopropanol, and alcohols of higher molecular weight, such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, ethylene glycol, propylene glycol, glycerol, *N*-methyl-2-pyrrolidone and the like.

Suitable solid carriers are, for example, talc, titanium dioxide, pyrophyllite clay, silica, attapulgite clay, kieselguhr, limestone, calcium carbonate, bentonite, calcium montmorillonite, cottonseed husks, wheat flour, soybean flour, pumice, wood flour, ground walnut shells, lignin and similar substances.

A large number of surface-active substances can advantageously be used in both solid and liquid formulations, especially in those formulations which can be diluted with a carrier prior to use. Surface-active substances may be anionic, cationic, non-ionic or polymeric and they can be used as emulsifiers, wetting agents or suspending agents or for other purposes. Typical surface-active substances include, for example, salts of alkyl sulfates, such as diethanolammonium lauryl sulfate; salts of alkylarylsulfonates, such as calcium dodecylbenzenesulfonate; alkylphenol/alkylene oxide addition products, such as nonylphenol ethoxylate; alcohol/alkylene oxide addition products, such as tridecylalcohol ethoxylate; soaps, such as sodium stearate; salts of alkylnaphthalenesulfonates, such as sodium dibutylnaphthalenesulfonate; dialkyl esters of sulfosuccinate salts, such as sodium di(2-ethylhexyl)sulfosuccinate; sorbitol esters, such as sorbitol oleate; quaternary amines, such as lauryltrimethylammonium chloride, polyethylene glycol esters of fatty acids, such as polyethylene glycol stearate; block copolymers of ethylene oxide and propylene oxide; and salts of mono- and di-alkylphosphate esters; and also further substances described e.g. in McCutcheon's Detergents and Emulsifiers Annual, MC Publishing Corp., Ridgewood New Jersey (1981).

Further adjuvants that can be used in pesticidal formulations include crystallisation inhibitors, viscosity modifiers, suspending agents, dyes, anti-oxidants, foaming agents, light absorbers, mixing auxiliaries, antifoams, complexing agents, neutralising or pH-modifying substances and buffers, corrosion inhibitors, fragrances, wetting agents, take-up enhancers, micronutrients, plasticisers, glidants, lubricants, dispersants, thickeners, antifreezes, microbicides, and liquid and solid fertilisers.

The compositions according to the invention can include an additive comprising an oil of vegetable or animal origin, a mineral oil, alkyl esters of such oils or mixtures of such oils and

oil derivatives. The amount of oil additive in the composition according to the invention is generally from 0.01 to 10 %, based on the mixture to be applied. For example, the oil additive can be added to a spray tank in the desired concentration after a spray mixture has been prepared. Preferred oil additives comprise mineral oils or an oil of vegetable origin, for example rapeseed oil, olive oil or sunflower oil, emulsified vegetable oil, alkyl esters of oils of vegetable origin, for example the methyl derivatives, or an oil of animal origin, such as fish oil or beef tallow. Preferred oil additives comprise alkyl esters of C_8-C_{22} fatty acids, especially the methyl derivatives of C_{12}-C_{18} fatty acids, for example the methyl esters of lauric acid, palmitic acid and oleic acid (methyl laurate, methyl palmitate and methyl oleate, respectively). Many oil derivatives are known from the Compendium of Herbicide Adjuvants, 10th Edition, Southern Illinois University, 2010.

The herbicidal compositions generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, compounds of formula (I) and from 1 to 99.9 % by weight of a formulation adjuvant which preferably includes from 0 to 25 % by weight of a surface-active substance. The inventive compositions generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of compounds of the present invention and from 1 to 99.9 % by weight of a formulation adjuvant which preferably includes from 0 to 25 % by weight of a surface-active substance. Whereas commercial products may preferably be formulated as concentrates, the end user will normally employ dilute formulations.

The rates of application vary within wide limits and depend on the nature of the soil, the method of application, the crop plant, the pest to be controlled, the prevailing climatic conditions, and other factors governed by the method of application, the time of application and the target crop. As a general guideline compounds may be applied at a rate of from 1 to 2000 l/ha, especially from 10 to 1000 l/ha.

Preferred formulations can have the following compositions (weight %):

Emulsifiable concentrates:

active ingredient: 1 to 95 %, preferably 60 to 90 %

surface-active agent: 1 to 30 %, preferably 5 to 20 %

liquid carrier: 1 to 80 %, preferably 1 to 35 %

Dusts:

active ingredient: 0.1 to 10 %, preferably 0.1 to 5 %

solid carrier: 99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:
active ingredient: 5 to 75 %, preferably 10 to 50 %
water: 94 to 24 %, preferably 88 to 30 %
surface-active agent: 1 to 40 %, preferably 2 to 30 %

Wettable powders:
active ingredient: 0.5 to 90 %, preferably 1 to 80 %
surface-active agent: 0.5 to 20 %, preferably 1 to 15 %
solid carrier: 5 to 95 %, preferably 15 to 90 %

Granules:
active ingredient: 0.1 to 30 %, preferably 0.1 to 15 %
solid carrier: 99.5 to 70 %, preferably 97 to 85 %

The composition of the present may further comprise at least one additional pesticide. For example, the compounds according to the invention can also be used in combination with other herbicides or plant growth regulators. In a preferred embodiment the additional pesticide is a herbicide and/or herbicide safener.

The compounds of present invention can also be used in mixture with one or more additional herbicides and/or plant growth regulators. Examples of such additional herbicides or plant growth regulators include acetochlor, acifluorfen (including acifluorfen-sodium), aclonifen, ametryn, amicarbazone, aminopyralid, aminotriazole, atrazine, beflubutamid-M, benquitrione, bensulfuron (including bensulfuron-methyl), bentazone, bicyclopyrone, bilanafos, bipyrazone, bispyribac-sodium, bixlozone, bromacil, bromoxynil, butachlor, butafenacil, carfentrazone (including carfentrazone-ethyl), cloransulam (including cloransulam-methyl), chlorimuron (including chlorimuron-ethyl), chlorotoluron, chlorsulfuron, cinmethylin, clacyfos, clethodim, clodinafop (including clodinafop-propargyl), clomazone, clopyralid, cyclopyranil, cyclopyrimorate, cyclosulfamuron, cyhalofop (including cyhalofop-butyl), 2,4-D (including the choline salt and 2-ethylhexyl ester thereof), 2,4-DB, desmedipham, dicamba (including the aluminium, aminopropyl, bis-aminopropylmethyl, choline, dichloroprop, diglycolamine, dimethylamine, dimethylammonium, potassium and sodium salts thereof) diclosulam, diflufenican, diflufenzopyr, dimethachlor, dimethenamid-P, dioxopyritrione, diquat dibromide, diuron, epyrifenacil, ethalfluralin, ethofumesate, fenoxaprop (including fenoxaprop-P-ethyl), fenoxasulfone, fenpyrazone, fenquinotrione, fentrazamide, flazasulfuron, florasulam, florpyrauxifen (including florpyrauxifen-benzyl), fluazifop (including fluazifop-P-butyl), flucarbazone (including flucarbazone-sodium), flufenacet, flumetsulam, flumioxazin,

fluometuron, flupyrsulfuron (including flupyrsulfuron-methyl-sodium), fluroxypyr (including fluroxypyr-meptyl), fomesafen, foramsulfuron, glufosinate (including L-glufosinate and the ammonium salts of both), glyphosate (including the diammonium, isopropylammonium and potassium salts thereof), halauxifen (including halauxifen-methyl), haloxyfop (including haloxyfop-methyl), hexazinone, hydantocidin, imazamox (including R-imazamox), imazapic, imazapyr, imazethapyr, indaziflam, iodosulfuron (including iodosulfuron-methyl-sodium), iofensulfuron (including iofensulfuron-sodium), ioxynil, isoproturon, isoxaflutole, lancotrione, MCPA, MCPB, mecoprop-P, mesosulfuron (including mesosulfuron-methyl), mesotrione, metamitron, metazachlor, methiozolin, metolachlor, metosulam, metribuzin, metsulfuron, napropamide, nicosulfuron, norflurazon, oxadiazon, oxasulfuron, oxyfluorfen, paraquat dichloride, pendimethalin, penoxsulam, phenmedipham, picloram, pinoxaden, pretilachlor, primisulfuron-methyl, prometryne, propanil, propaquizafop, propyrisulfuron, propyzamide, prosulfocarb, prosulfuron, pyraclonil, pyraflufen (including pyraflufen-ethyl), pyrasulfotole, pyridate, pyriftalid, pyrimisulfan, pyroxasulfone, pyroxsulam, quinclorac, quinmerac, quizalofop (including quizalofop-P-ethyl and quizalofop-P-tefuryl), rimisoxafen, rimsulfuron, saflufenacil, sethoxydim, simazine, S-metalochlor, sulfentrazone, sulfosulfuron, tebuthiuron, tefuryltrione, tembotrione, terbuthylazine, terbutryn, tetflupyrolimet, thiencarbazone, thifensulfuron, tiafenacil, tolpyralate, topramezone, tralkoxydim, triafamone, triallate, triasulfuron, tribenuron (including tribenuron-methyl), triclopyr, trifloxysulfuron (including trifloxysulfuron-sodium), trifludimoxazin, trifluralin, triflusulfuron, tripyrasulfone, 3-(2-chloro-4-fluoro-5-(3-methyl-2,6-dioxo-4-trifluoromethyl-3,6-dihydropyrimidin-1(2H)-yl)phenyl)-5-methyl-4,5-dihydroisoxazole-5-carboxylic acid ethyl ester, 4-hydroxy-1-methoxy-5-methyl-3-[4-(trifluoromethyl)-2-pyridyl]imidazolidin-2-one, 4-hydroxy-1,5-dimethyl-3-[4-(trifluoromethyl)-2-pyridyl]imidazolidin-2-one, 5-ethoxy-4-hydroxy-1-methyl-3-[4-(trifluoromethyl)-2-pyridyl]imidazolidin-2-one, 4-hydroxy-1-methyl-3-[4-(trifluoromethyl)-2-pyridyl]imidazolidin-2-one, 4-hydroxy-1,5-dimethyl-3-[1-methyl-5-(trifluoromethyl)pyrazol-3-yl]imidazolidin-2-one, (4R)1-(5-tert-butylisoxazol-3-yl)-4-ethoxy-5-hydroxy-3-methyl-imidazolidin-2-one, 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1H-indol-6-yl)pyridine-2-carboxylic acid (including agrochemically acceptable esters thereof, for example, methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1H-indol-6-yl)pyridine-2-carboxylate, prop-2-ynyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1H-indol-6-yl)pyridine-2-carboxylate and cyanomethyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1H-indol-6-yl)pyridine-2-carboxylate), 3-ethylsulfanyl-N-(1,3,4-oxadiazol-2-yl)-5-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]pyridine-8-carboxamide, 3-(isopropylsulfanylmethyl)-N-(5-methyl-1,3,4-oxadiazol-2-yl)-5-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]pyridine-8-carboxamide, 3-(isopropylsulfonylmethyl)-N-(5-methyl-1,3,4-oxadiazol-2-yl)-5-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]pyridine-8-carboxamide, 3-(ethylsulfonylmethyl)-N-(5-methyl-1,3,4-oxadiazol-2-yl)-5-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]pyridine-8-carboxamide, ethyl 2-[[3-[[3-

chloro-5-fluoro-6-[3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]-2-pyridyl]oxy]acetate and 6-chloro-4-(2,7-dimethyl-1-naphthyl)-5-hydroxy-2-methyl-pyridazin-3-one.

The mixing partners of the compound of formula (I) may also be in the form of esters or salts, as mentioned e.g. in The Pesticide Manual, Fourteenth Edition, British Crop Protection Council, 2006.

The compound of formula (I) can also be used in mixtures with other agrochemicals such as fungicides, nematicides or insecticides, examples of which are given in The Pesticide Manual. The mixing ratio of the compound of formula (I) to the mixing partner is preferably from 1: 100 to 1000:1.

The mixtures can advantageously be used in the above-mentioned formulations (in which case "active ingredient" relates to the respective mixture of compound of formula (I) with the mixing partner).

Compounds of formula (I) of the present invention may also be combined with herbicide safeners. Examples of such safeners include benoxacor, cloquintocet (including cloquintocetmexyl), cyprosulfamide, dichlormid, fenchlorazole (including fenchlora zoleethyl), fenclorim, fluxofenim, furilazole, isoxadifen (including isoxadifenethyl), mefenpr (in cluding mefenpyr-diethyl), metcamifen and oxabetrinil.

Particularly preferred are mixtures of a compound of formula (I) with cyprosulfamide, isoxadifen (including isoxadifen-ethyl), cloquintocet (including cloquintocet-mexyl) and/or N-(2-methoxybenzoyl)-4-[(methyl-aminocarbonyl)amino]benzenesulfonamide.

The safeners of the compound of formula (I) may also be in the form of esters or salts, as mentioned e.g. in The Pesticide Manual, 14th Edition (BCPC), 2006. The reference to cloquintocet-mexyl also applies to a lithium, sodium, potassium, calcium, magnesium, aluminium, iron, ammonium, quaternary ammonium, sulfonium or phosphonium salt thereof as disclosed in WO 02/34048, and the reference to fenchlorazole-ethyl also applies to fenchlorazole, etc.

Preferably the mixing ratio of compound of formula (I) to safener is from 100:1 to 1:10, especially from 20:1 to 1:1.

The mixtures can advantageously be used in the above-mentioned formulations (in which case "active ingredient" relates to the respective mixture of compound of formula (I) with the safener).

The compounds of formula (I) of this invention are useful as herbicides. The present invention therefore further comprises a method for controlling unwanted plants comprising applying to the said plants or a locus comprising them, an effective amount of a compound of the invention or a herbicidal composition containing said compound. 'Controlling' means killing, reducing or retarding growth or preventing or reducing germination. Generally the plants to be controlled are unwanted plants (weeds). 'Locus' means the area in which the plants are growing or will grow.

The rates of application of compounds of formula (I) may vary within wide limits and depend on the nature of the soil, the method of application (pre-emergence; post-emergence; application to the seed furrow; no tillage application etc.), the crop plant, the weed(s) to be controlled, the prevailing climatic conditions, and other factors governed by the method of application, the time of application and the target crop. The compounds of formula (I) according to the invention are generally applied at a rate of from 10 to 2000 g/ha, especially from 50 to 1000 g/ha. A preferred range is 10-200g/ha.
The application is generally made by spraying the composition, typically by tractor mounted sprayer for large areas, but other methods such as dusting (for powders), drip or drench can also be used.

Useful plants in which the composition according to the invention can be used include crops such as cereals, for example barley and wheat, cotton, oilseed rape, sunflower, maize, rice, soybeans, sugar beet, sugar cane and turf.

Crop plants can also include trees, such as fruit trees, palm trees, coconut trees or other nuts. Also included are vines such as grapes, fruit bushes, fruit plants and vegetables.

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-, ACCase- 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). The Bt toxin is a protein that is formed naturally by *Bacillus thuringiensis* soil bacteria. Examples of toxins, or transgenic plants able to synthesise such toxins, are described in EP-A-451 878, EP-A-374 753, WO 93/07278, WO 95/34656, WO 03/052073 and EP-A-427 529. 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 to include 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 flavour).

Other useful plants include turf grass for example in golf-courses, lawns, parks and roadsides, or grown commercially for sod, and ornamental plants such as flowers or bushes.

Compounds of formula (I) and compositions of the invention can typically be used to control a wide variety of monocotyledonous and dicotyledonous weed species. Examples of monocotyledonous species that can typically be controlled include *Alopecurus myosuroides, Avena fatua, Brachiaria plantaginea, Bromus tectorum, Cyperus esculentus, Digitaria sanguinalis, Echinochloa crus-galli, Lolium perenne, Lolium multiflorum, Panicum miliaceum, Poa annua, Setaria viridis, Setaria faberi and Sorghum bicolor.* Examples of dicotyledonous species that can be controlled include *Abutilon theophrasti, Amaranthus retroflexus, Bidens pilosa, Chenopodium album, Euphorbia heterophylla, Galium aparine, Ipomoea hederacea, Kochia scoparia, Polygonum convolvulus, Sida spinosa, Sinapis arvensis, Solanum nigrum, Stellaria media, Veronica persica and Xanthium strumarium.*

The compounds of formula (I) are also useful for pre-harvest desiccation in crops, for example, but not limited to, potatoes, soybean, sunflowers and cotton. Pre-harvest desiccation is used to desiccate crop foliage without significant damage to the crop itself to aid harvesting.

Compounds/compositions of the invention are particularly useful in non-selective burn-down applications, and as such may also be used to control volunteer or escape crop plants.

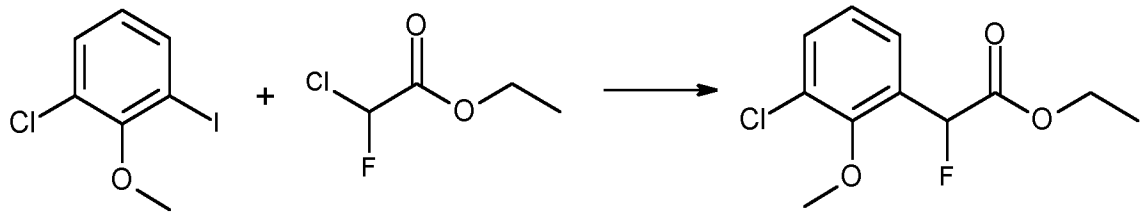
Various aspects and embodiments of the present invention will now be illustrated in more detail by way of example. It will be appreciated that modification of detail may be made without departing from the scope of the invention. The Examples which follow serve to illustrate, but do not limit, the invention

Examples

SYNTHESIS EXAMPLES

Example 1 Preparation of 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetic acid (Compound 1-681)

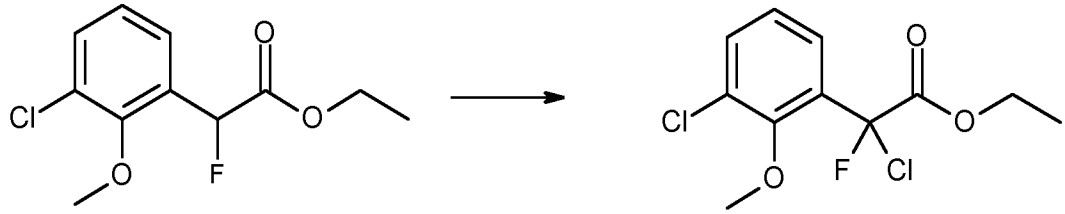
Step 1 Synthesis of ethyl 2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate



1-Chloro-3-iodo-2-methoxybenzene (0.900 g, 3.29 mmol), nickel(II) iodide (0.103 g, 0.329 mmol), zinc (0.065 g, 9.86 mmol), 5,5'-dimethyl-2,2'-bipyridine (0.060 g, 0.329 mmol) and magnesium chloride (0.494 g, 4.93 mmol) were stirred in *N*,*N*-dimethylacetamide (16 mL). Ethyl chlorofluoroacetate (0.952 g, 6.57 mmol) was added. The reaction was stirred at 80 °C under nitrogen for 1 hour. The reaction mixture was filtered through a plug of silica washing with ethyl acetate and concentrated onto celite for purification. The product was purified by normal phase chromatography (0-50% ethyl acetate/cyclohexane to afford ethyl 2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate (0.447 g, 1.81 mmol, 55%) as a colourless oil.

^{1}H NMR (400 MHz, chloroform) δ = 7.49 - 7.42 (m, 1H), 7.36 - 7.28 (m, 1H), 7.19 - 7.07 (m, 1H), 6.12 - 5.92 (m, 1H), 4.36 - 4.21 (m, 2H), 3.94 (s, 3H), 1.31 - 1.23 (t, 3H)

Step 2 Synthesis of ethyl 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate (Compound 3-681)



Ethyl 2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate (0.438 g, 1.78 mmol) was stirred in tetrahydrofuran (9 mL) at -78 °C. Sodium bis(trimethylsilyl)amide in tetrahydrofuran (1 mol/L, 2.13 mL, 2.13 mmol) was added dropwise. The reaction was stirred for 1 hour before the addition of *N*-chlorosuccinimide (0.287 g, 2.13 mmol) in tetrahydrofuran (1 mL). The reaction mixture was slowly warmed to room temperature and stirred overnight. The reaction was quenched with water and extracted twice with ethyl acetate. The organics were washed with brine, dried ($MgSO_4$), filtered and concentrated under vacuum to afford ethyl 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate (Compound 3-681) (0.500 g, 1.78 mmol, 100%) as a pale yellow oil. The product was used in the next step without further purification.

^{1}H NMR (400 MHz, chloroform) δ = 7.80 (br d, 1H), 7.51 (dt, 1H), 7.18 (m, 1H), 4.32 (m, 2H), 3.90 (s, 3H), 1.26 (t, 3H)

Also prepared by this general method were:

Ethyl 2-chloro-2-(2-chloro-3-methyl-phenyl)-2-fluoro-acetate (Compound 3-139)
^{1}H NMR (400 MHz, chloroform) δ = 7.27 – 7.40 (m, 3H), 4.33 – 4.38 (m, 2H), 2.42 (s, 3H), 1.32 (t, 3H)

Ethyl 2-chloro-2-(2-cyano-3-methoxy-phenyl)-2-fluoro-acetate (Compound 3-640)
^{1}H NMR (400 MHz, chloroform) δ = 7.58 – 7.65 (t, 1H), 7.44 – 7.49 (d, 1H), 7.08 – 7.14 (d, 1H), 4.34 – 4.47 (m, 2H), 3.98 (s, 3H), 1.37 (t, 3H)

Ethyl 2-chloro-2-(3-cyano-2-methyl-phenyl)-2-fluoro-acetate (Compound 3-248)

^{1}H NMR (400 MHz, chloroform) δ = 7.99 – 8.05 (d, 1H), 7.71 – 7.76 (d, 1H), 7.39 – 7.45 (t, 1H), 4.32 – 4.40 (m, 2H), 2.59 (s, 3H), 1.34 (t, 3H)

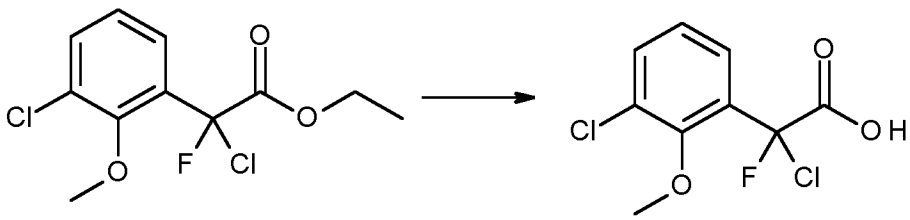
Ethyl 2-chloro-2-(2,3-dichlorophenyl)-2-fluoro-acetate (Compound 3-37)
^{1}H NMR (400 MHz, chloroform) δ = 7.83 – 7.88 (m, 1H), 7.58 – 7.61 (m, 1H), 7.31 – 7.37 (t, 1H), 4.33 – 4.40 (M, 2H), 1.32 (t, 3H)

Ethyl 2-chloro-2-(3-chloro-2-ethyl-phenyl)-2-fluoro-acetate (Compound 3-288)
^{1}H NMR (400 MHz, chloroform) δ = 7.71 (d, 1H), 7.42 (m, 1H), 7.22 (t, 1H), 4.34 (m, 2H), 2.97 – 2.81 (m, 1H), 2.79 – 2.68 (m, 1H), 1.27 (t, 3H), 1.18 (t, 3H)

Ethyl 2-chloro-2-(3-chloro-2-methyl-phenyl)-2-fluoro-acetate (Compound 3-238)
^{1}H NMR (400 MHz, chloroform) δ = 7.72 (d, 1H), 7.43 (d, 1H), 7.22 (t, 1H), 4.42 (m, 2H), 2.36 (s, 3H), 1.31 (t, 3H)

Ethyl 2-chloro-2-(2-chloro-4-fluoro-phenyl)-2-fluoro-acetic acid (Compound 3-132)
^{1}H NMR (400 MHz, chloroform) δ = 8.11 (dd, 1H), 7.95 (dd, 1H), 7.85 (dd, 1H), 4.34 (m, 2H), 1.29 (t, 3H)

Step 3 Synthesis of 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetic acid (Compound 1-681)



Ethyl 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetate (0.500 g, 1.78 mmol) was dissolved in tetrahydrofuran (9 mL) and water (9 mL). Lithium hydroxide (0.130 g, 5.34 mmol) was added. The reaction was stirred vigorously at room temperature for 2 hours. The reaction was quenched with 2M HCl and extracted twice with ethyl acetate. The organics were washed with brine, dried ($MgSO_4$), filtered and concentrated under vacuum. The crude mixture was purified by HPLC to afford 2-chloro-2-(3-chloro-2-methoxy-phenyl)-2-fluoro-acetic acid (Compound 1-681) (0.132 g, 0.522 mmol, 29%) as a colourless solid.

^{1}H NMR (400 MHz, DMSO-d6) δ = 7.81 (td, 1H), 7.71 (td, 1H), 7.32 (dt, 1H), 3.81 (s, 3H)

Also prepared by this general method were:

2-Chloro-2-(2-chloro-3-methyl-phenyl)-2-fluoro-acetic acid (Compound 1-139)
^{1}H NMR (400 MHz, chloroform) δ = 7.83 (d, 1H), 7.42 - 7.37 (m, 1H), 7.33 - 7.28 (m, 1H), 5.59 - 5.25 (br s, 1H), 2.43 (s, 3H)

2-Chloro-2-(2-cyano-3-methoxy-phenyl)-2-fluoro-acetic acid (Compound 1-640)
^{1}H NMR (400 MHz, DMSO-d6) δ = 7.86 - 7.78 (m, 1H), 7.49 - 7.44 (m, 2H), 3.98 (s, 3H)

2-Chloro-2-(2-chloro-4-fluoro-phenyl)-2-fluoro-acetic acid (Compound 1-132)
^{1}H NMR (400 MHz, DMSO-d6) δ = 8.00 (ddd, 1H), 7.66 (dd, 1H), 7.40 (dt, 1H)

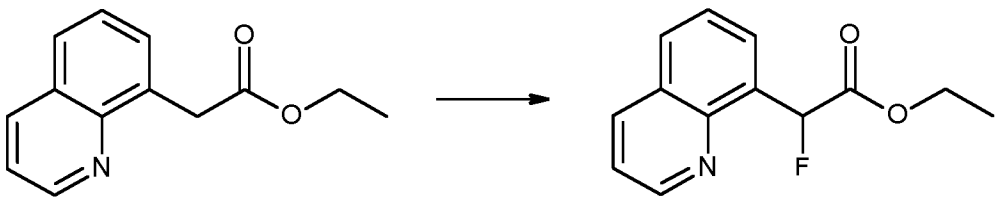
2-Chloro-2-(3-chloro-2-methyl-phenyl)-2-fluoro-acetic acid (Compound 1-238)
^{1}H NMR (400 MHz, DMSO-d6) δ = 7.75 (d, 1H), 7.63 (d, 1H), 7.44 - 7.35 (m, 1H), 2.34 (d, 3H)

2-Chloro-2-(3-cyano-2-methyl-phenyl)-2-fluoro-acetic acid (Compound 1-248)
^{1}H NMR (400 MHz, DMSO-d6) δ = 8.07 (d, 1H), 7.98 (d, 1H), 7.58 (t, 1H)

2-Chloro-2-(3-chloro-2-ethyl-phenyl)-2-fluoro-acetic acid (Compound 1-288)
^{1}H NMR (400 MHz, chloroform) δ = 7.76 (d, 1H), 7.51 (d, 1H), 7.24 (br d, 1H), 2.96 – 2.87 (m, 1H), 2.80 – 2.70 (m, 1H), 1.21 (t, 3H)

Example 2 Preparation of 2-chloro-2-fluoro-2-(8-quinolyl)acetic acid (Compound 1-799)

Step 1 Synthesis of ethyl 2-fluoro-2-(8-quinolyl)acetate

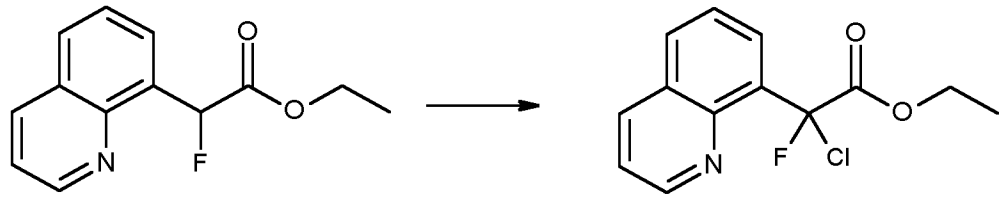


Ethyl 2-(8-quinolyl)acetate (0.290 g, 1.35 mmol) was stirred in tetrahydrofuran (2.7 mL) at -78 °C to which sodium bis(trimethylsilyl)amide in tetrahydrofuran (1 mol/L, 1.62 mL, 1.62 mmol) was added dropwise. The reaction was stirred for 30 mins before addition of *N*-fluorobenzenesulfonimide (0.492 g, 1.48 mmol) in 4 mL of tetrahydrofuran. The reaction mixture was slowly warmed to room temperature and stirred for 1 hour. The reaction was

quenched with 1 M HCl and extracted twice with ethyl acetate. The organics were washed with brine, dried ($MgSO_4$), filtered and concentrated under vacuum. The crude product was purified by reverse phase chromatography (40-80% acetonitrile/water) to give ethyl 2-fluoro-2-(8-quinolyl)acetate (0.220 g, 0.943 mmol, 70%) as a yellow oil.

^{1}H NMR (400 MHz, chloroform) δ = 8.97 (dd, 1H), 8.20 (dd, 1H), 7.94 - 7.85 (m, 2H), 7.64 - 7.57 (m, 1H), 7.47 (dd, 1H), 7.10 - 6.93 (m, 1H), 4.28 (dddd, 2H), 1.23 (t, 3H)

Step 2 Synthesis of ethyl 2-chloro-2-fluoro-2-(8-quinolyl)acetate (Compound 3-799)



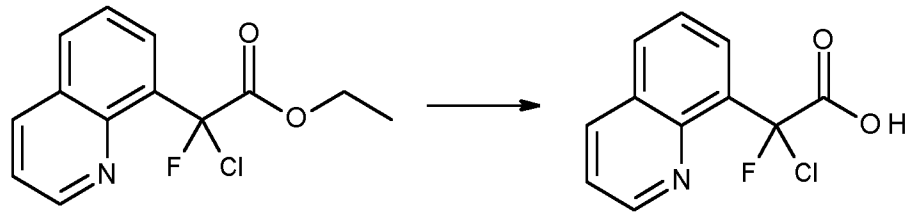
Ethyl 2-fluoro-2-(8-quinolyl)acetate (0.230 g, 0.986 mmol) was stirred in tetrahydrofuran (5 mL) at -78 °C to which sodium bis(trimethylsilyl)amide in tetrahydrofuran (1 mol/L, 1.18 mL, 1.18 mmol) was added dropwise. The reaction was stirred for 1 hour before addition of *N*-chlorosuccinimide (0.160 g, 1.18 mmol) in tetrahydrofuran (1 mL). The reaction mixture was slowly warmed to room temperature and stirred overnight. The reaction was quenched with water and extracted twice with ethyl acetate. The organics were washed with brine, dried ($MgSO_4$), filtered and concentrated under vacuum to afford crude ethyl 2-chloro-2-fluoro-2-(8-quinolyl)acetate (Compound 3-799) as a pale yellow oil, which was used without further purification in the next step.
^{1}H NMR (400 MHz, DMSO-d6) δ = 8.86 – 8.89 (m, 1H), 8.32 – 8.40 (m, 1H), 8.18 – 8.22 (m, 1H), 7.94 – 7.99 (m, 1H), 7.63 – 7.68 (m, 1H), 7.44 – 7.49 (m, 1H), 4.28 – 4.38 (m, 2H), 1.22 (t, 3H)

Also prepared by this general method were:

Methyl 2-chloro-2-(3-chlorophenyl)-2-fluoro-acetic acid (Compound 2-017)

Methyl 2-chloro-2-(2,3-dichlorophenyl)-2-fluoro-acetate (Compound 2-137)
^{1}H NMR (400 MHz, DMSO-d6) δ = 7.99 (d, 1H), 7.91 (d, 1H), 7.61 (t, 1H), 3.88 (s, 3H)
Methyl 2-(4-bromophenyl)-2-chloro-2-fluoro-acetate (Compound 2-003)
^{1}H NMR (400 MHz, chloroform) δ = 7.62 – 7.54 (m, 4H), 3.78 (s, 3H)

Step 3 Synthesis of 2-chloro-2-fluoro-2-(8-quinolyl)acetic acid (Compound 1-799)



Ethyl 2-chloro-2-fluoro-2-(8-quinolyl)acetate (0.264 g, 0.986 mmol) was dissolved in tetrahydrofuran (5 mL) and water (9 mL) to which lithium hydroxide (0.072 g, 2.96 mmol) was added. The reaction was stirred vigorously at room temperature for 2 hours. The reaction was quenched with 2M HCl and extracted twice with ethyl acetate. The organics were washed with brine, dried ($MgSO_4$), filtered and concentrated under vacuum. The crude product was purified by HPLC to afford 2-chloro-2-fluoro-2-(8-quinolyl)acetic acid (Compound 1-799) (0.059 g, 0.25 mmol, 25%) as a pale brown solid.

^{1}H NMR (400 MHz, DMSO-d6) δ = 8.91 (dd, 1H), 8.50 (dd, 1H), 8.31 (td, 1H), 8.20 (d, 1H), 7.75 (t, 1H), 7.64 (dd, 1H)

Also prepared by this general method were:

2-Chloro-2-(3-chlorophenyl)-2-fluoro-acetic acid (Compound 1-017)

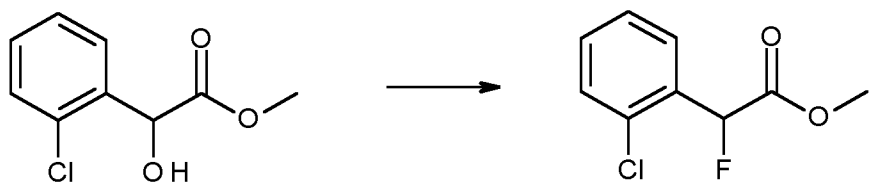
^{1}H NMR (400 MHz, DMSO-d6) δ = 7.60 (s, 1H), 7.53 (d, 1H), 7.42 – 7.38 (m, 2H)2-(4-Bromophenyl)-2-chloro-2-fluoro-acetic acid (Compound 1-003)

2-Chloro-2-(2,3-dichlorophenyl)-2-fluoroacetic acid (Compound 1-137)

^{1}H NMR (400 MHz, DMSO-d6) δ = 7.94 (dd, 1H), 7.89 - 7.83 (m, 1H), 7.61 - 7.51 (m, 1H)

Example 3 Preparation of 2-chloro-2-(2-chlorophenyl)-2-fluoro-acetic acid (Compound 1-125)

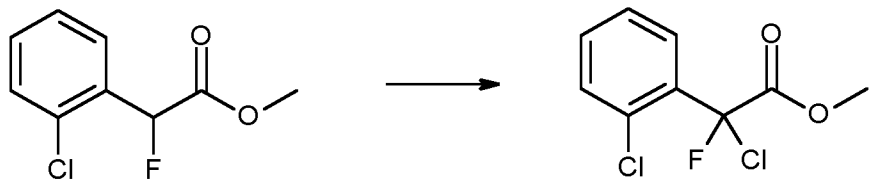
Step 1 Synthesis of methyl 2-(2-chlorophenyl)-2-fluoro-acetate



Diethylaminosulfur trifluoride (0.89 g, 5.5 mmol) was added dropwise to a stirred solution of ethyl 2-(2-chlorophenyl)-2-hydroxy-acetate (552 mg, 2.75 mmol) in dry dichloromethane (14 mL) at 0 °C under nitrogen. The resulting mixture was stirred at ambient temperature for 17 hours, then saturated aqueous sodium bicarbonate added to bring the pH to >7. The resulting mixture was extracted with dichloromethane and the organic extract passed through a phase separation cartridge and concentrated under reduced pressure to leave a yellow oil, which was purified by flash column chromatography (0-70% EtOAc/c-hexane) to provide methyl 2-(2-chlorophenyl)-2-fluoro-acetate (484 mg) as a pale yellow liquid.

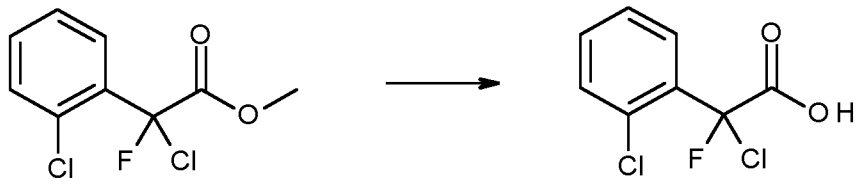
^{1}H NMR (400 MHz, chloroform) δ = 7.41 - 7.33 (m, 1H), 7.31 - 7.25 (m, 1H), 7.24 - 7.12 (m, 2H), 6.19 - 5.99 (m, 1H), 3.63 (s, 3H)

Step 2 Synthesis of methyl 2-chloro-2-(2-chlorophenyl)-2-fluoro-acetic acid (Compound 2-125)



Sodium bis(trimethylsilyl)amide in tetrahydrofuran (1 mol/L, 3.32 mL, 3.32 mmol) was added dropwise to a stirred solution of methyl 2-(2-chlorophenyl)-2-fluoro-acetate (600 mg, 2.96 mmol) in tetrahydrofuran (10 mL) at -78 °C under nitrogen. The resulting solution was stirred for 30 minutes at -78 °C, then *N*-chlorosuccinimide (430 mg, 3.22 mmol) added portionwise. The resulting mixture was slowly warmed to room temperature and stirred for 5 hours, then saturated aqueous ammonium chloride (15 ml) was added and the mixture extracted with ethyl acetate (3 x 10 ml). The combined organic extracts were washed with water and brine, dried over sodium sulphate, filtered and concentrated under vacuum to leave a residue which was purified by column chromatography, eluting with 0-20% ethyl acetate in petroleum ether, to provide methyl 2-chloro-2-(2-chlorophenyl)-2-fluoro-acetic acid (Compound 2-125) (400 mg) as a yellow oil.

Step 3 Synthesis of 2-chloro-2-(2-chlorophenyl)-2-fluoro-acetic acid (Compound 1-125)



Lithium hydroxide monohydrate (186 mg, 4.43 mmol) was added to a solution of methyl 2-chloro-2-(3-chlorophenyl)-2-fluoro-acetic acid (350 mg, 1.48 mmol) in tetrahydrofuran (8 mL), methanol (2 ml) and water (9 mL). The resulting mixture was stirred at ambient temperature for 6 hours, then concentrated under reduced pressure and 2N hydrochloric acid added to adjust the pH to 2-3. The resulting mixture was extracted ethyl acetate (3 x 5 ml) and the combined organic extracts washed with water and brine, dried over sodium sulphate, filtered and concentrated under vacuum to leave a residue which was purified by HPLC to afford 2-chloro-2-(2-chlorophenyl)-2-fluoro-acetic acid (Compound 1-125)
(35 mg) as a white solid.
^{1}H NMR (400 MHz, DMSO-d6) δ = 7.95 (d, 1H), 7.62 – 7.51 (m, 3H)

BIOLOGICAL EXAMPLES

Pre-emergence biological efficacy

Seeds of weeds and/or crops were sown in standard soil in pots. After cultivation for one day under controlled conditions in a glasshouse (at 24/19°C, day/night; 16 hours light), the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in a small amount of acetone and a special solvent and emulsifier mixture referred to as IF50 (11.12% Emulsogen EL360 TM + 44.44% N-methylpyrrolidone + 44.44% Dowanol DPM glycol ether), to create a 50g/l solution which was then diluted using 0.2% Genapol XO80 as diluent to give the desired final dose of test compound.
The test plants were then grown under controlled conditions in the glasshouse (at 24/18°C, day/night; 15 hours light; 50 % humidity) and watered twice daily. After 13 days the test was evaluated (100 = total damage to plant; 0 = no damage to plant). The results are shown in Table 2 below.

Table 2

Compound	Rate (g/ha)	Species					
		AMARE	AMAPA	SETFA	ECHCG	ZEAMX	IPOHE
1-017	1000	0	0	0	0	0	20
1-125	1000	60	50	0	0	0	50
1-132	250	70	60	-	10	0	30
1-137	1000	100	90	40	0	10	90
1-139	1000	90	80	-	40	30	70
1-238	1000	70	70	-	10	10	10

1-248	1000	80	70	-	10	0	80
1-288	1000	40	20	0	0	0	20
1-640	1000	20	10	-	0	0	10
1-681	1000	20	30	-	0	0	40
1-799	1000	10	10	-	0	0	0

Post-emergence biological efficacy

Seeds of weeds and/or crops were sown in standard soil in pots. After cultivation for 14 days under controlled conditions in a glasshouse (at 24/19°C, day/night; 16 hours light), the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in a small amount of acetone and a special solvent and emulsifier mixture referred to as IF50 (11.12% Emulsogen EL360 TM + 44.44% N-methylpyrrolidone + 44.44% Dowanol DPM glycol ether), to create a 50g/l solution which was then diluted using 0.2% Genapol XO80 as diluent to give the desired final dose of test compound.

The test plants were then grown under controlled conditions in the glasshouse (at 24/18°C, day/night; 15 hours light; 50 % humidity) and watered twice daily. After 13 days the test was evaluated (100 = total damage to plant; 0 = no damage to plant). The results are shown in Table 3 below.

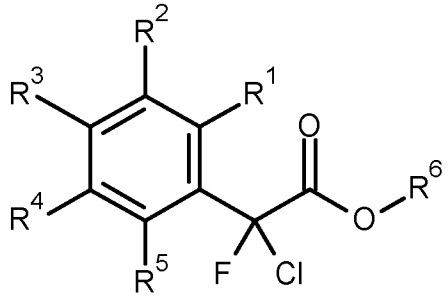
Table 3

Compound	Rate (g/ha)	Species					
		AMARE	AMAPA	SETFA	ECHCG	ZEAMX	IPOHE
1-017	1000	0	0	0	0	0	70
1-125	1000	60	50	0	20	10	60
1-132	250	70	70	-	10	0	60
1-137	1000	100	100	40	30	10	80
1-139	1000	90	80	-	20	20	70
1-238	1000	90	80	30	20	20	70
1-248	1000	90	80	-	10	0	80
1-288	1000	80	80	10	0	20	60
1-640	1000	70	80	-	50	40	50
1-681	1000	60	50	40	20	20	10
1-799	1000	40	50	20	0	0	20

The invention is defined by the claims.

Claims

1. A compound of Formula I



Formula (I)

wherein:

R^1, R^2, R^3, R^4 and R^5 are independently selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-5}alkyl, C_{3-6}cycloalkyl, C_{1-2}haloalkyl, cyanomethyl, C_{1-2}alkoxyC_{1-2}alkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl, C_{1-2}alkoxycarbonyl, C_{1-2}alkylsulfanyl, C_{1-2}alkylsulphinyl and C_{1-2}alkylsulfonyl; or

R^1 and R^2 together with the carbon atoms to which they are attached form a 5- or 6-membered ring, which may optionally be substituted by one or more groups selected from halogen, cyano, hydroxyl, C_{1-5}alkyl, C_{1-2}haloalkyl, and C_{1-4}alkoxy, and wherein the 6-membered ring contains zero, one or two nitrogen atoms and wherein the 5-membered ring contains one or two heteroatoms independently selected from the group consisting of nitrogen and oxygen;

R^6 is selected from the group consisting of hydrogen, C_{1-6}alkyl and arylC_{1-2}alkyl;

and wherein at least one of R^1 to R^5 is hydrogen and at least one of R^1 to R^5 is not hydrogen,

or an agronomically acceptable salt of said compound.

2. A compound according to claim 1, wherein R^1 is selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-4}alkyl, C_{3-4}cycloalkyl, C_{1-2}haloalkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl and C_{1-2}alkylsulfanyl, more preferably hydrogen, fluorine, chlorine, bromine, amino, cyano, C_{1-}

$_4$alkyl, cyclopropyl, halomethyl, C_{1-3}alkoxy, C_1haloalkoxy, vinyl, ethynyl and methylsulfanyl, most preferably chlorine, bromine, cyano, methyl, ethyl, cyclopropyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, vinyl and ethynyl.

3. A compound according to claim 1 or 2, wherein R^2 is selected from the group consisting of hydrogen, halogen, amino, cyano, nitro, hydroxyl, C_{1-4}alkyl, C_{3-4}cycloalkyl, C_{1-2}haloalkyl, C_{1-4}alkoxy, C_{1-2}haloalkoxy, C_{2-3}alkenyl, C_{2-3}alkynyl, halophenyl and C_{1-2}alkylsulfanyl, more preferably hydrogen, fluorine, chlorine, bromine, cyano, C_{1-4}alkyl, cyclopropyl, halomethyl, C_{1-3}alkoxy, C_1haloalkoxy, vinyl, ethynyl, fluorophenyl and methylsulfanyl, most preferably hydrogen, chlorine, bromine, cyano, methyl, cyclopropyl, difluoromethyl, trifluoromethyl, methoxy, vinyl and ethynyl.

4. A compound according to claim 1, wherein R^1 and R^2 together with the carbon atoms to which they are attached form a 5-membered ring containing one or two heteroatoms independently selected from the group consisting of nitrogen and oxygen, preferably the 5-membered ring contains two oxygen atoms.

5. A compound according to claim 4, wherein the 5-membered ring is partially or fully saturated.

6. A compound according to any of the preceding claims, wherein R^3 is selected from the group consisting of hydrogen, halogen, amino, cyano, hydroxyl, C_1-C_5alkyl, C_{1-2}haloalkyl, C_1-C_4alkoxy, C_2-C_3alkenyl, C_2-C_3alkynyl, C_1-C_2haloalkoxy and halophenyl, more preferably hydrogen, halogen, amino, trifluoromethoxy, trifluoromethyl, methyl, tert-butyl and methoxy, most preferably hydrogen, fluorine and chlorine.

7. A compound according to any of the preceding claims, wherein R^4 is selected from the group consisting of hydrogen, amino, fluorine, chlorine, methoxy; preferably hydrogen.

8. A compound according to any of the preceding claims, wherein R^5 is selected from the group consisting of hydrogen, fluorine, chlorine, amino, nitro and methoxy; preferably selected from hydrogen, chlorine and methoxy.

9. A compound according to any of the preceding claims, wherein R^6 is selected from the group consisting of hydrogen, C_1-C_3alkyl and benzyl; preferably hydrogen.

10. Use of a compound according to any of claims 1 to 9 as a herbicide.

11. A herbicidal composition according to claim 10, further comprising at least one additional pesticide.

12. A herbicidal composition according to claim 11, wherein the additional pesticide is a herbicide or herbicide safener.

13. A method of controlling weeds at a locus comprising application to the locus of a weed controlling amount of a compound of Formula (I) or an agronomically acceptable salt of said compound as defined in any one of claims 1 to 9 or a herbicidal composition according to any one of claims 10 to 12.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2023/080632

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D215/14 C07D215/18 C07C57/32 C07C57/62 A01N43/42
ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D C07C A01N

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

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Kovtonyuk V N ET AL: "Reactions of Polyfluorinated 3-Substituted 2,4-Cyclohexadienones with Alkynes", Russian Journal of Organic Chemistry, 1 January 2002 (2002-01-01), pages 176-181, XP093029562, Retrieved from the Internet: URL:https://link.springer.com/content/pdf/10.1023/A:1015597112943.pdf [retrieved on 2023-03-07] Compounds VII(a) and (b); page 117, left-hand column ----- -/--	1-3,6-9

[x] Further documents are listed in the continuation of Box C.

[x] See patent family annex.

* Special categories of cited documents :

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

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

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

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

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

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

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

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
17 November 2023	28/11/2023

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	Goss, Ilaria

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Form PCT/ISA/210 (second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2023/080632

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2021/094427 A1 (SYNGENTA CROP PROTECTION AG [CH]) 20 May 2021 (2021-05-20) the whole document Examples and Tables; claims 1-13; table 1	1-13
Y	US 3 134 808 A (WEIL EDWARD D ET AL) 26 May 1964 (1964-05-26) column 3 - column 4; claim 2; example VII	1-13
Y	GB 901 553 A (VELSICOL CHEMICAL CORP) 18 July 1962 (1962-07-18) page 8, right-hand column - page 9, left-hand column; example 16	1-13
Y	WO 2019/084353 A1 (DOW AGROSCIENCES LLC [US]) 2 May 2019 (2019-05-02) F,F substituted instead of F,Cl substitution; page 117; example 131	1-9
A	Bogachev A A ET AL: "Formation of bicyclic adducts in the reactions of fluorinated 2,4-cyclohexadien-1-ones with 2-carboxybenzenediazonium", Russian Chemical Bulletin, 1 September 1994 (1994-09-01), pages 1546-1550, XP093029557, Retrieved from the Internet: URL:https://link.springer.com/content/pdf/10.1023/A:1015597112943.pdf [retrieved on 2023-03-07] Subject-matter claimed novel by the proviso : at least 1 must be H; page 1547; compounds 10-13	1-9
X,P	WO 2022/243155 A1 (SYNGENTA CROP PROTECTION AG [CH]) 24 November 2022 (2022-11-24) the whole document	1-13

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2023/080632

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