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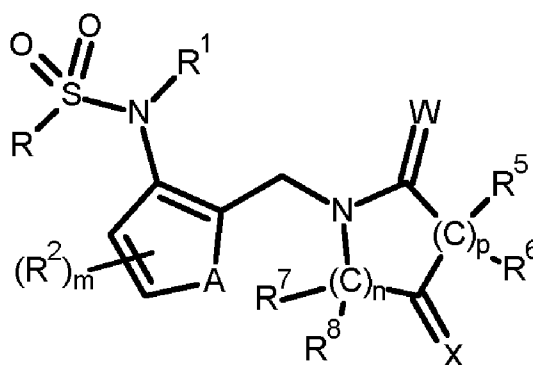
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[Continued on next page]

(54) Title: HERBICIDAL COMPOUNDS



(I)

(57) Abstract: The invention relates to haloalkylsulphonamide derivatives of the formula (I) wherein A, W, X, m, n, p, R, R¹, R², R⁵, R⁶, R⁷ and R⁸ are as defined in the specification. Furthermore, the present invention relates to processes for making compounds of formula (I), to herbicidal compositions comprising these compounds and to methods of using these compounds to control or inhibit plant growth.



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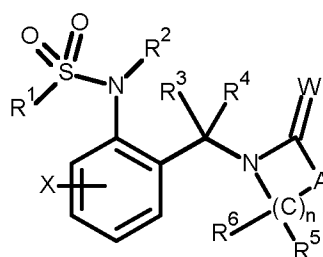
— *with international search report (Art. 21(3))*

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

HERBICIDAL COMPOUNDS

The present invention relates to certain haloalkylsulphonamide derivatives, to processes for their preparation, herbicidal compositions comprising them, and their use in controlling plants or inhibiting plant growth.

- 5 Herbicidal haloalkylsulphonamide derivatives of the formula (i)

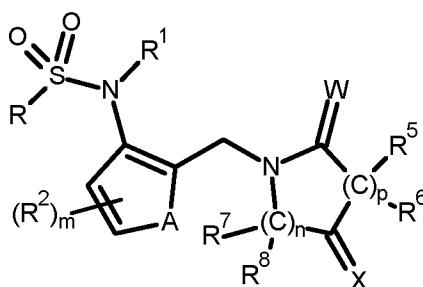


(i)

are taught in WO 2010/026989. Similar compounds are taught in WO 2010/119906.

- 10 However, new haloalkylsulphonamide derivatives with an improved efficacy and/or spectrum of activity and/or improved crop tolerance with respect to the known derivatives have now been identified.

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



(I)

- 15 wherein

A is selected from CH=CH, CR³=CH, CH=CR³, CR³=CR³ and S;

W is selected from oxygen and sulphur;

X is selected from oxygen and CR⁹R¹⁰;

m is 0, 1 or 2;

- 20 n is 1, 2, 3 or 4;

p is 0, 1, 2 or 3;

with the proviso that $2 \leq n + p \leq 4$;

R is C₁₋₆haloalkyl;

- R¹ is selected from H, C₁₋₄alkyl, C₃₋₅alkenyl, propargyl, C₁₋₄alkoxyC₁₋₂alkyl, C₁₋₄alkoxyC₁₋₂alkoxyC₁₋₂alkyl, C₁₋₄haloalkoxyC₁₋₂alkyl, C₁₋₄alkylthioC₁₋₂alkyl, cyanoC₁₋₂alkyl, C₁₋₄alkylcarbonylC₁₋₂alkyl, C₁₋₄alkoxycarbonylC₁₋₂alkyl, C₁₋₄alkylsulphonylC₁₋₂alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, heteroarylC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylthioC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkylthioC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylcarbonylC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkylcarbonyloxyC₁₋₂alkyl, arylcarbonyloxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkoxycarbonyloxyC₁₋₂alkyl, aryloxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₈alkylcarbonyl, C₂₋₅alkenylcarbonyl, C₁₋₄haloalkylcarbonyl, C₁₋₄alkoxyC₁₋₂alkylcarbonyl, C₃₋₆cycloalkylcarbonyl, C₁₋₄alkoxycarbonylC₁₋₂alkylcarbonyl, arylcarbonyl optionally substituted by 1-3 groups R²⁰, aryloxyC₁₋₂alkylcarbonyl optionally substituted by 1-3 groups R²⁰, C₁₋₁₀alkoxycarbonyl; C₁₋₄haloalkoxycarbonyl, C₃₋₅alkenylloxycarbonyl, propargyloxycarbonyl, C₁₋₄alkoxyC₁₋₂alkoxycarbonyl, C₁₋₄alkylthiocarbonyl, aryloxyC₁₋₂alkoxycarbonyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxycarbonyl optionally substituted by 1-3 groups R²⁰, aminocarbonyl, C₁₋₄alkylaminocarbonyl, di(C₁₋₄alkyl)aminocarbonyl, C₁₋₆alkylsulphonyl, C₁₋₆haloalkylsulphonyl and arylsulphonyl optionally substituted by 1-3 groups R²⁰, and each R²⁰ is, independently, selected from halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, phenyl and phenoxy;

- each R² is, independently, selected from halogen, nitrile, C₁₋₄alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, C₁₋₄alkylcarbonyl, C₁₋₄alkylsulphonyl and phenyl, or two R² groups together form -OCH₂O- or -OCH₂CH₂O-;

- each R³ is, independently, selected from halogen, nitrile, C₁₋₄alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, C₁₋₄alkylcarbonyl, C₁₋₄alkylsulphonyl and phenyl, or two R³ groups together form -OCH₂O- or -OCH₂CH₂O-;

- each R⁵ and R⁶ are, independently, selected from H, halogen, cyano, hydroxy, C₁₋₄alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl, C₁₋₂alkoxyC₁₋₂alkyl, C₂₋₅alkenyl, C₂₋₅alkynyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkoxycarbonyl, aryl optionally substituted by 1-3 groups R²⁴, aryloxy optionally substituted by 1-3 groups R²⁴ and arylthio optionally substituted by 1-3 groups R²⁴ or R⁵ and R⁶ on the same carbon atom together form a C₂₋₅alkylene chain optionally containing an oxygen atom, or R⁵ and R⁶ on different carbon atoms together form a C₁₋₄alkylene chain, or R⁵ and R¹⁰ together form a

C₂₋₄alkylene chain and each R²⁴ is, independently, selected from halogen, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, phenyl and phenoxy;

- each R⁷ and R⁸ are, independently, selected from H, C₁₋₅alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl optionally substituted with 1-3 groups selected from halogen, C₁₋₄alkyl and phenyl, C₁₋₄alkoxyC₁₋₄alkyl, C₁₋₄alkoxycarbonylaminoC₁₋₄alkyl, C₁₋₄alkylcarbonyloxyC₁₋₂alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²¹, aryloxyC₁₋₂alkyl optionally substituted by 1-3 groups R²¹, arylC₁₋₂alkoxyC₁₋₂alkyl optionally substituted by 1-3 groups R²¹, C₂₋₄alkenyl, hydroxyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylcarbonyloxy, aryl optionally substituted by 1-3 groups R²¹, aryloxy optionally substituted by 1-3 groups R²¹, arylthio optionally substituted by 1-3 groups R²¹ and heteroaryl optionally substituted by 1-3 groups R²¹, or R⁷ and R⁸ on the same carbon atom together form a C₂₋₆alkylene chain optionally containing an oxygen or sulphur atom and optionally substituted by 1-3 groups selected from halogen, C₁₋₂alkyl and an oxo group, or R⁷ and R⁸ on different carbon atoms together form a C₁₋₄alkylene chain, or R⁸ and R¹⁰ together form a C₂₋₄alkylene chain and each R²¹ is, independently, selected from halogen, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, phenyl and phenoxy or two R²¹ groups together form -OCH₂O- or -OCH₂CH₂O-;

- R⁹ is selected from H, C₁₋₆alkyl, C₁₋₆haloalkyl, C₃₋₆cycloalkylC₁₋₂alkyl, C₃₋₆cycloalkyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylamino, aryl optionally substituted by 1-3 groups R²², arylC₁₋₂alkyl optionally substituted by 1-3 groups R²² and heteroaryl optionally substituted by 1-3 groups R²² and each R²² is, independently, selected from halogen, nitro, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, C₁₋₄alkylthio, C₁₋₄haloalkylthio, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonyl, phenyl and phenoxy;

- R¹⁰ is selected from H, C₁₋₆alkyl, C₁₋₄alkylcarbonyl, C₁₋₄alkoxycarbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylamino and C₁₋₄alkylsulphonyl, or R¹⁰ and R⁵ or R⁸ together form a C₂₋₄alkylene chain;
- or an N-oxide or salt form thereof.

In particularly preferred embodiments of the invention, the preferred groups for A, W, X, m, n, p, R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R²⁰, R²¹, R²² and R²⁴ in any combination thereof, are as set out below:

Preferably, A is selected from CH=CH and CR³=CH.

- Most preferably, A is CH=CH.

Preferably, W is oxygen.

Preferably, m is 0 or 1.

Most preferably, m is 0.

Preferably, n is 2, 3 or 4.

Preferably, p is 0.

Preferably, R is C₁₋₂haloalkyl.

More preferably, R is trifluoromethyl.

Preferably, R¹ is selected from H, C₁₋₄alkoxyC₁₋₂alkyl, C₁₋₄alkoxyC₁₋₂alkoxyC₁₋₂alkyl, C₁₋₄haloalkoxyC₁₋₂alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkylcarbonyloxyC₁₋₂alkyl, arylcarbonyloxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkoxycarbonyloxyC₁₋₂alkyl, C₁₋₈alkylcarbonyl, C₁₋₄haloalkylcarbonyl, C₁₋₄alkoxyC₁₋₂alkylcarbonyl, C₁₋₁₀alkoxycarbonyl; C₁₋₄haloalkoxycarbonyl, C₁₋₄alkoxyC₁₋₂alkoxycarbonyl, aryloxycarbonyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxycarbonyl optionally substituted by 1-3 groups R²⁰, C₁₋₆alkylsulphonyl and C₁₋₆haloalkylsulphonyl.

More preferably, R¹ is selected from H, C₁₋₅alkylcarbonyl, C₁₋₄haloalkylcarbonyl, C₁₋₅alkoxycarbonyl, C₁₋₄haloalkoxycarbonyl and C₁₋₄haloalkylsulphonyl.

Most preferably, R¹ is selected from H, C₁₋₅alkylcarbonyl and C₁₋₅alkoxycarbonyl.

Preferably, R² is selected from halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy and C₁₋₄alkylsulphonyl.

Most preferably, R² is selected from halogen and methyl.

Preferably, R³ is selected from halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy and C₁₋₄alkylsulphonyl.

Most preferably, R³ is selected from halogen and methyl.

Preferably, R⁵ and R⁶ are, independently, selected from H, halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄alkylthio, aryl optionally substituted by 1-3 groups R²⁴, aryloxy optionally substituted by 1-3 groups R²⁴ and arylthio optionally substituted by 1-3 groups R²⁴.

More preferably, R⁵ and R⁶ are, independently, selected from H, halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄alkylthio and aryl optionally substituted by 1-2 groups R²⁴.

Most preferably, R⁵ and R⁶ are, independently, selected from H, halogen, C₁₋₃alkyl, C₁₋₃alkoxy and C₁₋₃alkylthio.

Preferably, R⁷ and R⁸ are, independently, selected from H, C₁₋₅alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl, C₁₋₄alkoxyC₁₋₄alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²¹, C₂₋₄alkenyl, C₁₋₄alkoxy, C₁₋₄alkylthio, aryl optionally substituted by 1-3 groups R²¹, aryloxy optionally substituted by 1-3 groups R²¹, arylthio optionally substituted by 1-3 groups R²¹ and heteroaryl optionally substituted by 1-3 groups R²¹, or R⁷ and R⁸ on the same carbon atom together form a

C₂₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a C₁₋₄alkylene chain.

More preferably, R⁷ and R⁸ are, independently, selected from H, C₁₋₄alkyl, C₁₋₃haloalkyl, cyclopropyl, C₁₋₂alkoxyC₁₋₂alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, aryl optionally substituted by 1-3 groups R²¹, aryloxy optionally substituted by 1-3 groups R²¹, arylthio optionally substituted by 1-3 groups R²¹ and heteroaryl optionally substituted by 1-3 groups R²¹, or R⁷ and R⁸ on the same carbon atom together form a C₃₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a C₁₋₄alkylene chain.

Most preferably, R⁷ and R⁸ are, independently, selected from H, C₁₋₃alkyl, trifluoromethyl, cyclopropyl, methoxymethyl, C₁₋₃alkoxy and aryl optionally substituted by 1-2 groups R²¹, or R⁷ and R⁸ together form a C₃₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a CH₂ group.

Preferably, R⁹ is selected from H, C₁₋₄alkyl, C₃₋₆cycloalkyl and aryl optionally substituted by 1-3 groups R²².

More preferably, R⁹ is selected from H, C₁₋₂alkyl and aryl optionally substituted by 1-3 groups R²².

Preferably, R¹⁰ is selected from H, C₁₋₄alkyl, C₁₋₂alkoxy and C₁₋₂alkylthio.

More preferably, R¹⁰ is selected from H and C₁₋₄alkyl.

Preferably, R²⁰ is selected from halogen, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy and C₁₋₄haloalkoxy.

Preferably, R²¹ is selected from halogen, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy and C₁₋₄haloalkoxy.

Most preferably, R²¹ is selected from halogen, methyl and methoxy.

Preferably, R²² is selected from halogen, cyano, C₁₋₂alkyl, C₁₋₂haloalkyl, C₁₋₂alkoxy and C₁₋₂haloalkoxy.

More preferably, R²² is selected from halogen, C₁₋₂alkyl, C₁₋₂haloalkyl, C₁₋₂alkoxy and C₁₋₂haloalkoxy.

Preferably, R²⁴ is selected from halogen, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy and C₁₋₄haloalkoxy.

More preferably, R²⁴ is selected from halogen, methyl and methoxy.

Table 1 below provides 422 compounds, designated compounds 1-1 to 1-422 respectively, of formula (Ia), wherein R¹ is H and A is CH=CH.

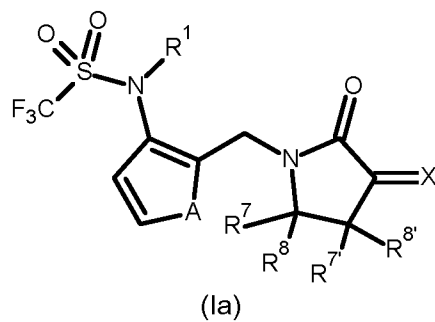


TABLE 1

Cpd No	R ¹	R ⁸	R ⁷	R ⁸	X
1	H	H	H	H	O
2	Me	H	H	H	O
3	Et	H	H	H	O
4	Me	Me	H	H	O
5	H	H	Me	H	O
6	H	H	Et	H	O
7	H	H	ⁱ Pr	H	O
8	H	H	ⁿ Pr	H	O
9	H	H	^c Pr	H	O
10	H	H	CH ₂ OMe	H	O
11	H	H	CF ₃	H	O
12	H	H	Ph	H	O
13	H	H	Me	Me	O
14	H	H	Me	Et	O
15	H	H	CF ₃	Me	O
16	H	H	CF ₃	Et	O
17	H	H	^c Pr	Me	O
18	H	H	Et	Et	O
19	H	H	-(CH ₂) ₂ -		O
20	H	H	-(CH ₂) ₃ -		O
21	H	H	-(CH ₂) ₄ -		O
22	H	H	-(CH ₂) ₅ -		O
23	H	H	-(CH ₂)O(CH ₂)-		O
24	H	H	-(CH ₂)O(CH ₂) ₂ -		O
25	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		O
26	Me	H	Me	H	O
27	H		-(CH ₂) ₃ -	H	O
28	Me	H	Me	Me	O
29	H	H	H	H	CH ₂
30	Me	H	H	H	CH ₂
31	Et	H	H	H	CH ₂
32	Me	Me	H	H	CH ₂
33	H	H	Me	H	CH ₂
34	H	H	Et	H	CH ₂
35	H	H	ⁱ Pr	H	CH ₂
36	H	H	ⁿ Pr	H	CH ₂
37	H	H	^c Pr	H	CH ₂
38	H	H	CH ₂ OMe	H	CH ₂
39	H	H	CF ₃	H	CH ₂
40	H	H	Ph	H	CH ₂
41	H	H	Me	Me	CH ₂
42	H	H	Me	Et	CH ₂
43	H	H	CF ₃	Me	CH ₂

44	H	H	CF ₃	Et	CH ₂
45	H	H	^o Pr	Me	CH ₂
46	H	H	Et	Et	CH ₂
47	H	H	-(CH ₂) ₂ -		CH ₂
48	H	H	-(CH ₂) ₃ -		CH ₂
49	H	H	-(CH ₂) ₄ -		CH ₂
50	H	H	-(CH ₂) ₅ -		CH ₂
51	H	H	-(CH ₂)O(CH ₂)-		CH ₂
52	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ₂
53	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ₂
54	Me	H	Me	H	CH ₂
55	H	-(CH ₂) ₃ -		H	CH ₂
56	Me	H	Me	Me	CH ₂
57	H	H	H	H	CHMe
58	Me	H	H	H	CHMe
59	Et	H	H	H	CHMe
60	Me	Me	H	H	CHMe
61	H	H	Me	H	CHMe
62	H	H	Et	H	CHMe
63	H	H	ⁱ Pr	H	CHMe
64	H	H	ⁿ Pr	H	CHMe
65	H	H	^o Pr	H	CHMe
66	H	H	CH ₂ OMe	H	CHMe
67	H	H	CF ₃	H	CHMe
68	H	H	Ph	H	CHMe
69	H	H	Me	Me	CHMe
70	H	H	Me	Et	CHMe
71	H	H	CF ₃	Me	CHMe
72	H	H	CF ₃	Et	CHMe
73	H	H	^o Pr	Me	CHMe
74	H	H	Et	Et	CHMe
75	H	H	-(CH ₂) ₂ -		CHMe
76	H	H	-(CH ₂) ₃ -		CHMe
77	H	H	-(CH ₂) ₄ -		CHMe
78	H	H	-(CH ₂) ₅ -		CHMe
79	H	H	-(CH ₂)O(CH ₂)-		CHMe
80	H	H	-(CH ₂)O(CH ₂) ₂ -		CHMe
81	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CHMe
82	Me	H	Me	H	CHMe
83	H	-(CH ₂) ₃ -		H	CHMe
84	Me	H	Me	Me	CHMe
85	H	H	H	H	CHEt
86	Me	H	H	H	CHEt
87	Et	H	H	H	CHEt
88	Me	Me	H	H	CHEt
89	H	H	Me	H	CHEt
90	H	H	Et	H	CHEt
91	H	H	ⁱ Pr	H	CHEt
92	H	H	ⁿ Pr	H	CHEt
93	H	H	^o Pr	H	CHEt
94	H	H	CH ₂ OMe	H	CHEt
95	H	H	CF ₃	H	CHEt
96	H	H	Ph	H	CHEt
97	H	H	Me	Me	CHEt
98	H	H	Me	Et	CHEt
99	H	H	CF ₃	Me	CHEt
100	H	H	CF ₃	Et	CHEt
101	H	H	^o Pr	Me	CHEt

102	H	H	Et	Et	CH ₂ Et
103	H	H	-(CH ₂) ₂ -		CH ₂ Et
104	H	H	-(CH ₂) ₃ -		CH ₂ Et
105	H	H	-(CH ₂) ₄ -		CH ₂ Et
106	H	H	-(CH ₂) ₅ -		CH ₂ Et
107	H	H	-(CH ₂)O(CH ₂)-		CH ₂ Et
108	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ₂ Et
109	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ₂ Et
110	Me	H	Me	H	CH ₂ Et
111	H	-(CH ₂) ₃ -		H	CH ₂ Et
112	Me	H	Me	Me	CH ₂ Et
113	H	H	H	H	CH(OMe)
114	Me	H	H	H	CH(OMe)
115	Et	H	H	H	CH(OMe)
116	Me	Me	H	H	CH(OMe)
117	H	H	Me	H	CH(OMe)
118	H	H	Et	H	CH(OMe)
119	H	H	ⁱ Pr	H	CH(OMe)
120	H	H	ⁿ Pr	H	CH(OMe)
121	H	H	^o Pr	H	CH(OMe)
122	H	H	CH ₂ OMe	H	CH(OMe)
123	H	H	CF ₃	H	CH(OMe)
124	H	H	Ph	H	CH(OMe)
125	H	H	Me	Me	CH(OMe)
126	H	H	Me	Et	CH(OMe)
127	H	H	CF ₃	Me	CH(OMe)
128	H	H	CF ₃	Et	CH(OMe)
129	H	H	^o Pr	Me	CH(OMe)
130	H	H	Et	Et	CH(OMe)
131	H	H	-(CH ₂) ₂ -		CH(OMe)
132	H	H	-(CH ₂) ₃ -		CH(OMe)
133	H	H	-(CH ₂) ₄ -		CH(OMe)
134	H	H	-(CH ₂) ₅ -		CH(OMe)
135	H	H	-(CH ₂)O(CH ₂)-		CH(OMe)
136	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(OMe)
137	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(OMe)
138	Me	H	Me	H	CH(OMe)
139	H	-(CH ₂) ₃ -		H	CH(OMe)
140	Me	H	Me	Me	CH(OMe)
141	H	H	H	H	CH ^o Pent
142	Me	H	H	H	CH ^o Pent
143	Et	H	H	H	CH ^o Pent
144	Me	Me	H	H	CH ^o Pent
145	H	H	Me	H	CH ^o Pent
146	H	H	Et	H	CH ^o Pent
147	H	H	ⁱ Pr	H	CH ^o Pent
148	H	H	ⁿ Pr	H	CH ^o Pent
149	H	H	^o Pr	H	CH ^o Pent
150	H	H	CH ₂ OMe	H	CH ^o Pent
151	H	H	CF ₃	H	CH ^o Pent
152	H	H	Ph	H	CH ^o Pent
153	H	H	Me	Me	CH ^o Pent
154	H	H	Me	Et	CH ^o Pent
155	H	H	CF ₃	Me	CH ^o Pent
156	H	H	CF ₃	Et	CH ^o Pent
157	H	H	^o Pr	Me	CH ^o Pent
158	H	H	Et	Et	CH ^o Pent
159	H	H	-(CH ₂) ₂ -		CH ^o Pent

160	H	H	-(CH ₂) ₃ -		CH ^o Pent
161	H	H	-(CH ₂) ₄ -		CH ^o Pent
162	H	H	-(CH ₂) ₅ -		CH ^o Pent
163	H	H	-(CH ₂)O(CH ₂)-		CH ^o Pent
164	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ^o Pent
165	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ^o Pent
166	Me	H	Me	H	CH ^o Pent
167	H	-(CH ₂) ₃ -		H	CH ^o Pent
168	Me	H	Me	Me	CH ^o Pent
169	H	H	H	H	CH ^o Hex
170	Me	H	H	H	CH ^o Hex
171	Et	H	H	H	CH ^o Hex
172	Me	Me	H	H	CH ^o Hex
173	H	H	Me	H	CH ^o Hex
174	H	H	Et	H	CH ^o Hex
175	H	H	ⁱ Pr	H	CH ^o Hex
176	H	H	ⁿ Pr	H	CH ^o Hex
177	H	H	^o Pr	H	CH ^o Hex
178	H	H	CH ₂ OMe	H	CH ^o Hex
179	H	H	CF ₃	H	CH ^o Hex
180	H	H	Ph	H	CH ^o Hex
181	H	H	Me	Me	CH ^o Hex
182	H	H	Me	Et	CH ^o Hex
183	H	H	CF ₃	Me	CH ^o Hex
184	H	H	CF ₃	Et	CH ^o Hex
185	H	H	^o Pr	Me	CH ^o Hex
186	H	H	Et	Et	CH ^o Hex
187	H	H	-(CH ₂) ₂ -		CH ^o Hex
188	H	H	-(CH ₂) ₃ -		CH ^o Hex
189	H	H	-(CH ₂) ₄ -		CH ^o Hex
190	H	H	-(CH ₂) ₅ -		CH ^o Hex
191	H	H	-(CH ₂)O(CH ₂)-		CH ^o Hex
192	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ^o Hex
193	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ^o Hex
194	Me	H	Me	H	CH ^o Hex
195	H	-(CH ₂) ₃ -		H	CH ^o Hex
196	Me	H	Me	Me	CH ^o Hex
197	H	H	H	H	CHPh
198	Me	H	H	H	CHPh
199	Et	H	H	H	CHPh
200	Me	Me	H	H	CHPh
201	H	H	Me	H	CHPh
202	H	H	Et	H	CHPh
203	H	H	ⁱ Pr	H	CHPh
204	H	H	ⁿ Pr	H	CHPh
205	H	H	^o Pr	H	CHPh
206	H	H	CH ₂ OMe	H	CHPh
207	H	H	CF ₃	H	CHPh
208	H	H	Ph	H	CHPh
209	H	H	Me	Me	CHPh
210	H	H	Me	Et	CHPh
211	H	H	CF ₃	Me	CHPh
212	H	H	CF ₃	Et	CHPh
213	H	H	^o Pr	Me	CHPh
214	H	H	Et	Et	CHPh
215	H	H	-(CH ₂) ₂ -		CHPh
216	H	H	-(CH ₂) ₃ -		CHPh
217	H	H	-(CH ₂) ₄ -		CHPh

218	H	H	-(CH ₂) ₅ -		CHPh
219	H	H	-(CH ₂)O(CH ₂)-		CHPh
220	H	H	-(CH ₂)O(CH ₂) ₂ -		CHPh
221	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CHPh
222	Me	H	Me	H	CHPh
223	H	-(CH ₂) ₃ -		H	CHPh
224	Me	H	Me	Me	CHPh
225	H	H	H	H	CH(2-FPh)
226	Me	H	H	H	CH(2-FPh)
227	Et	H	H	H	CH(2-FPh)
228	Me	Me	H	H	CH(2-FPh)
229	H	H	Me	H	CH(2-FPh)
230	H	H	Et	H	CH(2-FPh)
231	H	H	ⁱ Pr	H	CH(2-FPh)
232	H	H	ⁿ Pr	H	CH(2-FPh)
233	H	H	^o Pr	H	CH(2-FPh)
234	H	H	CH ₂ OMe	H	CH(2-FPh)
235	H	H	CF ₃	H	CH(2-FPh)
236	H	H	Ph	H	CH(2-FPh)
237	H	H	Me	Me	CH(2-FPh)
238	H	H	Me	Et	CH(2-FPh)
239	H	H	CF ₃	Me	CH(2-FPh)
240	H	H	CF ₃	Et	CH(2-FPh)
241	H	H	^o Pr	Me	CH(2-FPh)
242	H	H	Et	Et	CH(2-FPh)
243	H	H	-(CH ₂) ₂ -		CH(2-FPh)
244	H	H	-(CH ₂) ₃ -		CH(2-FPh)
245	H	H	-(CH ₂) ₄ -		CH(2-FPh)
246	H	H	-(CH ₂) ₅ -		CH(2-FPh)
247	H	H	-(CH ₂)O(CH ₂)-		CH(2-FPh)
248	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(2-FPh)
249	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(2-FPh)
250	Me	H	Me	H	CH(2-FPh)
251	H	-(CH ₂) ₃ -		H	CH(2-FPh)
252	Me	H	Me	Me	CH(2-FPh)
253	H	H	H	H	CH(4-FPh)
254	Me	H	H	H	CH(4-FPh)
255	Et	H	H	H	CH(4-FPh)
256	Me	Me	H	H	CH(4-FPh)
257	H	H	Me	H	CH(4-FPh)
258	H	H	Et	H	CH(4-FPh)
259	H	H	ⁱ Pr	H	CH(4-FPh)
260	H	H	ⁿ Pr	H	CH(4-FPh)
261	H	H	^o Pr	H	CH(4-FPh)
262	H	H	CH ₂ OMe	H	CH(4-FPh)
263	H	H	CF ₃	H	CH(4-FPh)
264	H	H	Ph	H	CH(4-FPh)
265	H	H	Me	Me	CH(4-FPh)
266	H	H	Me	Et	CH(4-FPh)
267	H	H	CF ₃	Me	CH(4-FPh)
268	H	H	CF ₃	Et	CH(4-FPh)
269	H	H	^o Pr	Me	CH(4-FPh)
270	H	H	Et	Et	CH(4-FPh)
271	H	H	-(CH ₂) ₂ -		CH(4-FPh)
272	H	H	-(CH ₂) ₃ -		CH(4-FPh)
273	H	H	-(CH ₂) ₄ -		CH(4-FPh)
274	H	H	-(CH ₂) ₅ -		CH(4-FPh)
275	H	H	-(CH ₂)O(CH ₂)-		CH(4-FPh)

276	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(4-FPh)
277	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(4-FPh)
278	Me	H	Me	H	CH(4-FPh)
279	H	-(CH ₂) ₃ -		H	CH(4-FPh)
280	Me	H	Me	Me	CH(4-FPh)
281	H	H	H	H	CH(4-CNPh)
282	Me	H	H	H	CH(4-CNPh)
283	Et	H	H	H	CH(4-CNPh)
284	Me	Me	H	H	CH(4-CNPh)
285	H	H	Me	H	CH(4-CNPh)
286	H	H	Et	H	CH(4-CNPh)
287	H	H	ⁱ Pr	H	CH(4-CNPh)
288	H	H	ⁿ Pr	H	CH(4-CNPh)
289	H	H	^o Pr	H	CH(4-CNPh)
290	H	H	CH ₂ OMe	H	CH(4-CNPh)
291	H	H	CF ₃	H	CH(4-CNPh)
292	H	H	Ph	H	CH(4-CNPh)
293	H	H	Me	Me	CH(4-CNPh)
294	H	H	Me	Et	CH(4-CNPh)
295	H	H	CF ₃	Me	CH(4-CNPh)
296	H	H	CF ₃	Et	CH(4-CNPh)
297	H	H	^o Pr	Me	CH(4-CNPh)
298	H	H	Et	Et	CH(4-CNPh)
299	H	H	-(CH ₂) ₂ -		CH(4-CNPh)
300	H	H	-(CH ₂) ₃ -		CH(4-CNPh)
301	H	H	-(CH ₂) ₄ -		CH(4-CNPh)
302	H	H	-(CH ₂) ₅ -		CH(4-CNPh)
303	H	H	-(CH ₂)O(CH ₂)-		CH(4-CNPh)
304	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(4-CNPh)
305	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(4-CNPh)
306	Me	H	Me	H	CH(4-CNPh)
307	H	-(CH ₂) ₃ -		H	CH(4-CNPh)
308	Me	H	Me	Me	CH(4-CNPh)
309	H	H	H	H	CH(2-thienyl)
310	Me	H	H	H	CH(2-thienyl)
311	Et	H	H	H	CH(2-thienyl)
312	Me	Me	H	H	CH(2-thienyl)
313	H	H	Me	H	CH(2-thienyl)
314	H	H	Et	H	CH(2-thienyl)
315	H	H	ⁱ Pr	H	CH(2-thienyl)
316	H	H	ⁿ Pr	H	CH(2-thienyl)
317	H	H	^o Pr	H	CH(2-thienyl)
318	H	H	CH ₂ OMe	H	CH(2-thienyl)
319	H	H	CF ₃	H	CH(2-thienyl)
320	H	H	Ph	H	CH(2-thienyl)
321	H	H	Me	Me	CH(2-thienyl)
322	H	H	Me	Et	CH(2-thienyl)
323	H	H	CF ₃	Me	CH(2-thienyl)
324	H	H	CF ₃	Et	CH(2-thienyl)
325	H	H	^o Pr	Me	CH(2-thienyl)
326	H	H	Et	Et	CH(2-thienyl)
327	H	H	-(CH ₂) ₂ -		CH(2-thienyl)
328	H	H	-(CH ₂) ₃ -		CH(2-thienyl)
329	H	H	-(CH ₂) ₄ -		CH(2-thienyl)
330	H	H	-(CH ₂) ₅ -		CH(2-thienyl)
331	H	H	-(CH ₂)O(CH ₂)-		CH(2-thienyl)
332	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(2-thienyl)
333	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(2-thienyl)

334	Me	H	Me	H	CH(2-thienyl)
335	H	-(CH ₂) ₃ -		H	CH(2-thienyl)
336	Me	H	Me	Me	CH(2-thienyl)
337	H	H	H	H	CH(3-furyl)
338	Me	H	H	H	CH(3-furyl)
339	Et	H	H	H	CH(3-furyl)
340	Me	Me	H	H	CH(3-furyl)
341	H	H	Me	H	CH(3-furyl)
342	H	H	Et	H	CH(3-furyl)
343	H	H	ⁱ Pr	H	CH(3-furyl)
344	H	H	ⁿ Pr	H	CH(3-furyl)
345	H	H	^o Pr	H	CH(3-furyl)
346	H	H	CH ₂ OMe	H	CH(3-furyl)
347	H	H	CF ₃	H	CH(3-furyl)
348	H	H	Ph	H	CH(3-furyl)
349	H	H	Me	Me	CH(3-furyl)
350	H	H	Me	Et	CH(3-furyl)
351	H	H	CF ₃	Me	CH(3-furyl)
352	H	H	CF ₃	Et	CH(3-furyl)
353	H	H	^o Pr	Me	CH(3-furyl)
354	H	H	Et	Et	CH(3-furyl)
355	H	H	-(CH ₂) ₂ -		CH(3-furyl)
356	H	H	-(CH ₂) ₃ -		CH(3-furyl)
357	H	H	-(CH ₂) ₄ -		CH(3-furyl)
358	H	H	-(CH ₂) ₅ -		CH(3-furyl)
359	H	H	-(CH ₂)O(CH ₂)-		CH(3-furyl)
360	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(3-furyl)
361	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(3-furyl)
362	Me	H	Me	H	CH(3-furyl)
363	H	-(CH ₂) ₃ -		H	CH(3-furyl)
364	Me	H	Me	Me	CH(3-furyl)
365	H	H	H	H	CMe ₂
366	Me	H	H	H	CMe ₂
367	Et	H	H	H	CMe ₂
368	Me	Me	H	H	CMe ₂
369	H	H	Me	H	CMe ₂
370	H	H	Et	H	CMe ₂
371	H	H	ⁱ Pr	H	CMe ₂
372	H	H	ⁿ Pr	H	CMe ₂
373	H	H	^o Pr	H	CMe ₂
374	H	H	CH ₂ OMe	H	CMe ₂
375	H	H	CF ₃	H	CMe ₂
376	H	H	Ph	H	CMe ₂
377	H	H	Me	Me	CMe ₂
378	H	H	Me	Et	CMe ₂
379	H	H	CF ₃	Me	CMe ₂
380	H	H	CF ₃	Et	CMe ₂
381	H	H	^o Pr	Me	CMe ₂
382	H	H	Et	Et	CMe ₂
383	H	H	-(CH ₂) ₂ -		CMe ₂
384	H	H	-(CH ₂) ₃ -		CMe ₂
385	H	H	-(CH ₂) ₄ -		CMe ₂
386	H	H	-(CH ₂) ₅ -		CMe ₂
387	H	H	-(CH ₂)O(CH ₂)-		CMe ₂
388	H	H	-(CH ₂)O(CH ₂) ₂ -		CMe ₂
389	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CMe ₂
390	Me	H	Me	H	CMe ₂
391	H	-(CH ₂) ₃ -		H	CMe ₂

392	Me	H	Me	Me	CMe ₂
393	H	H	H	H	C(Me)Ph
394	Me	H	H	H	C(Me)Ph
395	Et	H	H	H	C(Me)Ph
396	Me	Me	H	H	C(Me)Ph
397	H	H	Me	H	C(Me)Ph
398	H	H	Et	H	C(Me)Ph
399	H	H	ⁱ Pr	H	C(Me)Ph
400	H	H	ⁿ Pr	H	C(Me)Ph
401	H	H	^c Pr	H	C(Me)Ph
402	H	H	CH ₂ OMe	H	C(Me)Ph
403	H	H	CF ₃	H	C(Me)Ph
404	H	H	Ph	H	C(Me)Ph
405	H	H	Me	Me	C(Me)Ph
406	H	H	Me	Et	C(Me)Ph
407	H	H	CF ₃	Me	C(Me)Ph
408	H	H	CF ₃	Et	C(Me)Ph
409	H	H	^c Pr	Me	C(Me)Ph
410	H	H	Et	Et	C(Me)Ph
411	H	H	-(CH ₂) ₂ -		C(Me)Ph
412	H	H	-(CH ₂) ₃ -		C(Me)Ph
413	H	H	-(CH ₂) ₄ -		C(Me)Ph
414	H	H	-(CH ₂) ₅ -		C(Me)Ph
415	H	H	-(CH ₂)O(CH ₂)-		C(Me)Ph
416	H	H	-(CH ₂)O(CH ₂) ₂ -		C(Me)Ph
417	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		C(Me)Ph
418	Me	H	Me	H	C(Me)Ph
419	H	-(CH ₂) ₃ -		H	C(Me)Ph
420	Me	H	Me	Me	C(Me)Ph
421	H	H	H	-(CH ₂) ₂ CH-	
422	H	H	H	-(CH ₂) ₃ CH-	

422 compounds are described, designated compounds 2-1 to 2-422 respectively, of formula (Ia), wherein R¹ is H, m is 0, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 1.

5 422 compounds are described, designated compounds 3-1 to 3-422 respectively, of formula (Ia), wherein R¹ is H, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 1.

422 compounds are described, designated compounds 4-1 to 4-422 respectively, of formula (Ia), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 1.

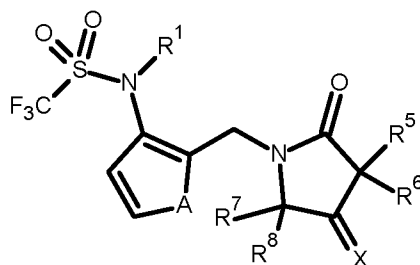
10

422 compounds are described, designated compounds 5-1 to 5-422 respectively, of formula (Ia), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 1.

422 compounds are described, designated compounds 6-1 to 6-422 respectively, of formula (Ia), wherein R¹ is CO₂Et, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 1.

15

Table 2 below provides 52 compounds, designated compounds 7-1 to 7-52 respectively, of formula (Ib), wherein R¹ is H and A is CH=CH.



(Ib)

5

TABLE 2

Cpd No	R ¹	R ⁸	X	R ⁵	R ⁶
1	H	H	O	H	H
2	Me	H	O	H	H
3	Et	H	O	H	H
4	Me	Me	O	H	H
5	H	H	O	Me	H
6	H	H	O	Et	H
7	H	H	O	Cl	H
8	H	H	O	OMe	H
9	H	H	O	SMe	H
10	H	H	O	Me	Me
11	H	H	O	Me	Et
12	H	H	O	-(CH ₂) ₂ -	
13	H	H	O	-(CH ₂) ₃ -	
14	H	H	O	-(CH ₂) ₄ -	
15	Me	H	O	Me	H
16	Me	H	O	Me	Me
17	H	H	CH ₂	H	H
18	Me	H	CH ₂	H	H
19	Et	H	CH ₂	H	H
20	Me	Me	CH ₂	H	H
21	H	H	CH ₂	Me	H
22	H	H	CH ₂	Et	H
23	H	H	CH ₂	Cl	H
24	H	H	CH ₂	OMe	H
25	H	H	CH ₂	SMe	H
26	H	H	CH ₂	Me	Me
27	H	H	CH ₂	Me	Et
28	H	H	CH ₂	-(CH ₂) ₂ -	
29	H	H	CH ₂	-(CH ₂) ₃ -	
30	H	H	CH ₂	-(CH ₂) ₄ -	
31	Me	H	CH ₂	Me	H
32	Me	H	CH ₂	Me	Me
33	H	H	CHPh	H	H
34	Me	H	CHPh	H	H
35	Et	H	CHPh	H	H
36	Me	Me	CHPh	H	H
37	H	H	CHPh	Me	H
38	H	H	CHPh	Et	H

39	H	H	CHPh	Cl	H
40	H	H	CHPh	OMe	H
41	H	H	CHPh	SMe	H
42	H	H	CHPh	Me	Me
43	H	H	CHPh	Me	Et
44	H	H	CHPh	-(CH ₂) ₂ -	
45	H	H	CHPh	-(CH ₂) ₃ -	
46	H	H	CHPh	-(CH ₂) ₄ -	
47	Me	H	CHPh	Me	H
48	Me	H	CHPh	Me	Me
49	H	H	-CH(CH ₂) ₂ -		H
50	H	H	-CH(CH ₂) ₃ -		H
51	H	-(CH ₂) ₂ CH-		H	H
52	H	-(CH ₂) ₃ CH-		H	H

52 compounds are described, designated compounds 8-1 to 8-52 respectively, of formula (Ib), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸ and X are as defined in Table 2.

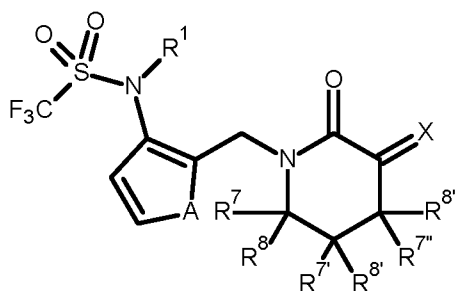
- 5 52 compounds are described, designated compounds 9-1 to 9-52 respectively, of formula (Ib), wherein R¹ is H, A is S and the values of R⁵, R⁶, R⁷, R⁸ and X are as defined in Table 2.

52 compounds are described, designated compounds 10-1 to 10-52 respectively, of formula (Ib), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁵, R⁶, R⁷, R⁸ and X are as defined in Table 2.

- 10 52 compounds are described, designated compounds 11-1 to 11-52 respectively, of formula (Ib), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸ and X are as defined in Table 2.

52 compounds are described, designated compounds 12-1 to 12-52 respectively, of formula (Ib), wherein R¹ is CO₂Et, A is S and the values of R⁵, R⁶, R⁷, R⁸ and X are as defined in Table 2.

Table 3 below provides 662 compounds, designated compounds 13-1 to 13-662 respectively, of formula (Ic), wherein R¹ is H and A is CH=CH.



(Ic)

Cpd No	R ⁷	R ⁸	R ^{7'}	R ^{8'}	R ^{7''}	R ^{8''}	X
1	H	H	H	H	H	H	O
2	Me	H	H	H	H	H	O
3	Et	H	H	H	H	H	O
4	H	H	Me	H	H	H	O
5	H	H	Et	H	H	H	O
6	H	H	ⁱ Pr	H	H	H	O
7	H	H	CH ₂ OMe	H	H	H	O
8	H	H	OMe	H	H	H	O
9	H	H	Me	Me	H	H	O
10	H	H	Et	Me	H	H	O
11	H	H	-(CH ₂) ₂ -		H	H	O
12	H	H	-(CH ₂) ₃ -		H	H	O
13	H	H	-(CH ₂) ₄ -		H	H	O
14	H	H	-(CH ₂) ₅ -		H	H	O
15	H	-(CH ₂) ₄ -		H	H	H	O
16	H	H	H	H	Me	H	O
17	H	H	H	H	Et	H	O
18	H	H	H	H	ⁱ Pr	H	O
19	H	H	H	H	ⁿ Pr	H	O
20	H	H	H	H	^c Pr	H	O
21	H	H	H	H	-CH ₂ CH=CH ₂	H	O
22	H	H	H	H	CH ₂ F	H	O
23	H	H	H	H	CH ₂ Cl	H	O
24	H	H	H	H	CH ₂ OMe	H	O
25	H	H	H	H	(CH ₂) ₂ Cl	H	O
26	H	H	H	H	CF ₃	H	O
27	H	H	H	H	CO ₂ Et	H	O
28	H	H	H	H	Ph	H	O
29	H	H	H	H	4-FPh	H	O
30	H	H	H	H	Me	Me	O
31	H	H	H	H	Me	Et	O
32	H	H	H	H	CF ₃	Me	O
33	H	H	H	H	CF ₃	Et	O
34	H	H	H	H	^c Pr	Me	O
35	H	H	H	H	Et	Et	O
36	H	H	H	H	-(CH ₂) ₂ -		O
37	H	H	H	H	-(CH ₂) ₃ -		O
38	H	H	H	H	-(CH ₂) ₄ -		O
39	H	H	H	H	-(CH ₂) ₅ -		O
40	H	H	H	H	-(CH ₂)O(CH ₂)-		O
41	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		O
42	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		O
43	H	H	H	-CH ₂ -		H	O
44	Me	H	H	-CH ₂ -		ⁱ Pr	O
45	H	H	H	H	H	H	CH ₂
46	Me	H	H	H	H	H	CH ₂
47	Et	H	H	H	H	H	CH ₂
48	H	H	Me	H	H	H	CH ₂
49	H	H	Et	H	H	H	CH ₂
50	H	H	ⁱ Pr	H	H	H	CH ₂
51	H	H	CH ₂ OMe	H	H	H	CH ₂
52	H	H	OMe	H	H	H	CH ₂
53	H	H	Me	Me	H	H	CH ₂
54	H	H	Et	Me	H	H	CH ₂
55	H	H	-(CH ₂) ₂ -		H	H	CH ₂
56	H	H	-(CH ₂) ₃ -		H	H	CH ₂

57	H	H	-(CH ₂) ₄ -		H	H	CH ₂
58	H	H	-(CH ₂) ₅ -		H	H	CH ₂
59	H	-(CH ₂) ₄ -		H	H	H	CH ₂
60	H	H	H	H	Me	H	CH ₂
61	H	H	H	H	Et	H	CH ₂
62	H	H	H	H	ⁱ Pr	H	CH ₂
63	H	H	H	H	ⁿ Pr	H	CH ₂
64	H	H	H	H	^c Pr	H	CH ₂
65	H	H	H	H	-CH ₂ CH=CH ₂	H	CH ₂
66	H	H	H	H	CH ₂ F	H	CH ₂
67	H	H	H	H	CH ₂ Cl	H	CH ₂
68	H	H	H	H	CH ₂ OMe	H	CH ₂
69	H	H	H	H	(CH ₂) ₂ Cl	H	CH ₂
70	H	H	H	H	CF ₃	H	CH ₂
71	H	H	H	H	CO ₂ Et	H	CH ₂
72	H	H	H	H	Ph	H	CH ₂
73	H	H	H	H	4-FPh	H	CH ₂
74	H	H	H	H	Me	Me	CH ₂
75	H	H	H	H	Me	Et	CH ₂
76	H	H	H	H	CF ₃	Me	CH ₂
77	H	H	H	H	CF ₃	Et	CH ₂
78	H	H	H	H	^c Pr	Me	CH ₂
79	H	H	H	H	Et	Et	CH ₂
80	H	H	H	H	-(CH ₂) ₂ -		CH ₂
81	H	H	H	H	-(CH ₂) ₃ -		CH ₂
82	H	H	H	H	-(CH ₂) ₄ -		CH ₂
83	H	H	H	H	-(CH ₂) ₅ -		CH ₂
84	H	H	H	H	-(CH ₂)O(CH ₂)-		CH ₂
85	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ₂
86	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ₂
87	H	H	H	-CH ₂ -		H	CH ₂
88	Me	H	H	-CH ₂ -		ⁱ Pr	CH ₂
89	H	H	H	H	H	H	CHMe
90	Me	H	H	H	H	H	CHMe
91	Et	H	H	H	H	H	CHMe
92	H	H	Me	H	H	H	CHMe
93	H	H	Et	H	H	H	CHMe
94	H	H	ⁱ Pr	H	H	H	CHMe
95	H	H	CH ₂ OMe	H	H	H	CHMe
96	H	H	OMe	H	H	H	CHMe
97	H	H	Me	Me	H	H	CHMe
98	H	H	Et	Me	H	H	CHMe
99	H	H	-(CH ₂) ₂ -		H	H	CHMe
100	H	H	-(CH ₂) ₃ -		H	H	CHMe
101	H	H	-(CH ₂) ₄ -		H	H	CHMe
102	H	H	-(CH ₂) ₅ -		H	H	CHMe
103	H	-(CH ₂) ₄ -		H	H	H	CHMe
104	H	H	H	H	Me	H	CHMe
105	H	H	H	H	Et	H	CHMe
106	H	H	H	H	ⁱ Pr	H	CHMe
107	H	H	H	H	ⁿ Pr	H	CHMe
108	H	H	H	H	^c Pr	H	CHMe
109	H	H	H	H	-CH ₂ CH=CH ₂	H	CHMe
110	H	H	H	H	CH ₂ F	H	CHMe
111	H	H	H	H	CH ₂ Cl	H	CHMe
112	H	H	H	H	CH ₂ OMe	H	CHMe
113	H	H	H	H	(CH ₂) ₂ Cl	H	CHMe
114	H	H	H	H	CF ₃	H	CHMe

115	H	H	H	H	CO ₂ Et	H	CHMe
116	H	H	H	H	Ph	H	CHMe
117	H	H	H	H	4-FPh	H	CHMe
118	H	H	H	H	Me	Me	CHMe
119	H	H	H	H	Me	Et	CHMe
120	H	H	H	H	CF ₃	Me	CHMe
121	H	H	H	H	CF ₃	Et	CHMe
122	H	H	H	H	^c Pr	Me	CHMe
123	H	H	H	H	Et	Et	CHMe
124	H	H	H	H	-(CH ₂) ₂ -		CHMe
125	H	H	H	H	-(CH ₂) ₃ -		CHMe
126	H	H	H	H	-(CH ₂) ₄ -		CHMe
127	H	H	H	H	-(CH ₂) ₅ -		CHMe
128	H	H	H	H	-(CH ₂)O(CH ₂)-		CHMe
129	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CHMe
130	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CHMe
131	H	H	H		-CH ₂ -	H	CHMe
132	Me	H	H		-CH ₂ -	ⁱ Pr	CHMe
133	H	H	H	H	H	H	CHEt
134	Me	H	H	H	H	H	CHEt
135	Et	H	H	H	H	H	CHEt
136	H	H	Me	H	H	H	CHEt
137	H	H	Et	H	H	H	CHEt
138	H	H	ⁱ Pr	H	H	H	CHEt
139	H	H	CH ₂ OMe	H	H	H	CHEt
140	H	H	OMe	H	H	H	CHEt
141	H	H	Me	Me	H	H	CHEt
142	H	H	Et	Me	H	H	CHEt
143	H	H	-(CH ₂) ₂ -		H	H	CHEt
144	H	H	-(CH ₂) ₃ -		H	H	CHEt
145	H	H	-(CH ₂) ₄ -		H	H	CHEt
146	H	H	-(CH ₂) ₅ -		H	H	CHEt
147	H		-(CH ₂) ₄ -	H	H	H	CHEt
148	H	H	H	H	Me	H	CHEt
149	H	H	H	H	Et	H	CHEt
150	H	H	H	H	ⁱ Pr	H	CHEt
151	H	H	H	H	ⁿ Pr	H	CHEt
152	H	H	H	H	^c Pr	H	CHEt
153	H	H	H	H	-CH ₂ CH=CH ₂	H	CHEt
154	H	H	H	H	CH ₂ F	H	CHEt
155	H	H	H	H	CH ₂ Cl	H	CHEt
156	H	H	H	H	CH ₂ OMe	H	CHEt
157	H	H	H	H	(CH ₂) ₂ Cl	H	CHEt
158	H	H	H	H	CF ₃	H	CHEt
159	H	H	H	H	CO ₂ Et	H	CHEt
160	H	H	H	H	Ph	H	CHEt
161	H	H	H	H	4-FPh	H	CHEt
162	H	H	H	H	Me	Me	CHEt
163	H	H	H	H	Me	Et	CHEt
164	H	H	H	H	CF ₃	Me	CHEt
165	H	H	H	H	CF ₃	Et	CHEt
166	H	H	H	H	^c Pr	Me	CHEt
167	H	H	H	H	Et	Et	CHEt
168	H	H	H	H	-(CH ₂) ₂ -		CHEt
169	H	H	H	H	-(CH ₂) ₃ -		CHEt
170	H	H	H	H	-(CH ₂) ₄ -		CHEt
171	H	H	H	H	-(CH ₂) ₅ -		CHEt
172	H	H	H	H	-(CH ₂)O(CH ₂)-		CHEt

173	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -	CH ₂ Et
174	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -	CH ₂ Et
175	H	H	H		-CH ₂ -	H
176	Me	H	H		-CH ₂ -	ⁱ Pr
177	H	H	H	H	H	H
178	Me	H	H	H	H	H
179	Et	H	H	H	H	H
180	H	H	Me	H	H	H
181	H	H	Et	H	H	H
182	H	H	ⁱ Pr	H	H	H
183	H	H	CH ₂ OMe	H	H	H
184	H	H	OMe	H	H	H
185	H	H	Me	Me	H	H
186	H	H	Et	Me	H	H
187	H	H	-(CH ₂) ₂ -		H	H
188	H	H	-(CH ₂) ₃ -		H	H
189	H	H	-(CH ₂) ₄ -		H	H
190	H	H	-(CH ₂) ₅ -		H	H
191	H	-(CH ₂) ₄ -		H	H	H
192	H	H	H	H	Me	H
193	H	H	H	H	Et	H
194	H	H	H	H	ⁱ Pr	H
195	H	H	H	H	ⁿ Pr	H
196	H	H	H	H	^o Pr	H
197	H	H	H	H	-CH ₂ CH=CH ₂	H
198	H	H	H	H	CH ₂ F	H
199	H	H	H	H	CH ₂ Cl	H
200	H	H	H	H	CH ₂ OMe	H
201	H	H	H	H	(CH ₂) ₂ Cl	H
202	H	H	H	H	CF ₃	H
203	H	H	H	H	CO ₂ Et	H
204	H	H	H	H	Ph	H
205	H	H	H	H	4-FPh	H
206	H	H	H	H	Me	Me
207	H	H	H	H	Me	Et
208	H	H	H	H	CF ₃	Me
209	H	H	H	H	CF ₃	Et
210	H	H	H	H	^o Pr	Me
211	H	H	H	H	Et	Et
212	H	H	H	H	-(CH ₂) ₂ -	
213	H	H	H	H	-(CH ₂) ₃ -	
214	H	H	H	H	-(CH ₂) ₄ -	
215	H	H	H	H	-(CH ₂) ₅ -	
216	H	H	H	H	-(CH ₂)O(CH ₂)-	
217	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -	
218	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -	
219	H	H	H		-CH ₂ -	H
220	Me	H	H		-CH ₂ -	ⁱ Pr
221	H	H	H	H	H	H
222	Me	H	H	H	H	H
223	Et	H	H	H	H	H
224	H	H	Me	H	H	H
225	H	H	Et	H	H	H
226	H	H	ⁱ Pr	H	H	H
227	H	H	CH ₂ OMe	H	H	H
228	H	H	OMe	H	H	H
229	H	H	Me	Me	H	H
230	H	H	Et	Me	H	H

231	H	H	-(CH ₂) ₂ -		H	H	CH ^c Pent
232	H	H	-(CH ₂) ₃ -		H	H	CH ^c Pent
233	H	H	-(CH ₂) ₄ -		H	H	CH ^c Pent
234	H	H	-(CH ₂) ₅ -		H	H	CH ^c Pent
235	H	-(CH ₂) ₄ -		H	H	H	CH ^c Pent
236	H	H	H	H	Me	H	CH ^c Pent
237	H	H	H	H	Et	H	CH ^c Pent
238	H	H	H	H	ⁱ Pr	H	CH ^c Pent
239	H	H	H	H	ⁿ Pr	H	CH ^c Pent
240	H	H	H	H	^c Pr	H	CH ^c Pent
241	H	H	H	H	-CH ₂ CH=CH ₂	H	CH ^c Pent
242	H	H	H	H	CH ₂ F	H	CH ^c Pent
243	H	H	H	H	CH ₂ Cl	H	CH ^c Pent
244	H	H	H	H	CH ₂ OMe	H	CH ^c Pent
245	H	H	H	H	(CH ₂) ₂ Cl	H	CH ^c Pent
246	H	H	H	H	CF ₃	H	CH ^c Pent
247	H	H	H	H	CO ₂ Et	H	CH ^c Pent
248	H	H	H	H	Ph	H	CH ^c Pent
249	H	H	H	H	4-FPh	H	CH ^c Pent
250	H	H	H	H	Me	Me	CH ^c Pent
251	H	H	H	H	Me	Et	CH ^c Pent
252	H	H	H	H	CF ₃	Me	CH ^c Pent
253	H	H	H	H	CF ₃	Et	CH ^c Pent
254	H	H	H	H	^c Pr	Me	CH ^c Pent
255	H	H	H	H	Et	Et	CH ^c Pent
256	H	H	H	H	-(CH ₂) ₂ -		CH ^c Pent
257	H	H	H	H	-(CH ₂) ₃ -		CH ^c Pent
258	H	H	H	H	-(CH ₂) ₄ -		CH ^c Pent
259	H	H	H	H	-(CH ₂) ₅ -		CH ^c Pent
260	H	H	H	H	-(CH ₂)O(CH ₂)-		CH ^c Pent
261	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ^c Pent
262	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ^c Pent
263	H	H	H		-CH ₂ -	H	CH ^c Pent
264	Me	H	H		-CH ₂ -	ⁱ Pr	CH ^c Pent
265	H	H	H	H	H	H	CH ^c Hex
266	Me	H	H	H	H	H	CH ^c Hex
267	Et	H	H	H	H	H	CH ^c Hex
268	H	H	Me	H	H	H	CH ^c Hex
269	H	H	Et	H	H	H	CH ^c Hex
270	H	H	ⁱ Pr	H	H	H	CH ^c Hex
271	H	H	CH ₂ OMe	H	H	H	CH ^c Hex
272	H	H	OMe	H	H	H	CH ^c Hex
273	H	H	Me	Me	H	H	CH ^c Hex
274	H	H	Et	Me	H	H	CH ^c Hex
275	H	H	-(CH ₂) ₂ -		H	H	CH ^c Hex
276	H	H	-(CH ₂) ₃ -		H	H	CH ^c Hex
277	H	H	-(CH ₂) ₄ -		H	H	CH ^c Hex
278	H	H	-(CH ₂) ₅ -		H	H	CH ^c Hex
279	H	-(CH ₂) ₄ -		H	H	H	CH ^c Hex
280	H	H	H	H	Me	H	CH ^c Hex
281	H	H	H	H	Et	H	CH ^c Hex
282	H	H	H	H	ⁱ Pr	H	CH ^c Hex
283	H	H	H	H	ⁿ Pr	H	CH ^c Hex
284	H	H	H	H	^c Pr	H	CH ^c Hex
285	H	H	H	H	-CH ₂ CH=CH ₂	H	CH ^c Hex
286	H	H	H	H	CH ₂ F	H	CH ^c Hex
287	H	H	H	H	CH ₂ Cl	H	CH ^c Hex
288	H	H	H	H	CH ₂ OMe	H	CH ^c Hex

289	H	H	H	H	(CH ₂) ₂ Cl	H	CH [°] Hex
290	H	H	H	H	CF ₃	H	CH [°] Hex
291	H	H	H	H	CO ₂ Et	H	CH [°] Hex
292	H	H	H	H	Ph	H	CH [°] Hex
293	H	H	H	H	4-FPh	H	CH [°] Hex
294	H	H	H	H	Me	Me	CH [°] Hex
295	H	H	H	H	Me	Et	CH [°] Hex
296	H	H	H	H	CF ₃	Me	CH [°] Hex
297	H	H	H	H	CF ₃	Et	CH [°] Hex
298	H	H	H	H	[°] Pr	Me	CH [°] Hex
299	H	H	H	H	Et	Et	CH [°] Hex
300	H	H	H	H	-(CH ₂) ₂ -		CH [°] Hex
301	H	H	H	H	-(CH ₂) ₃ -		CH [°] Hex
302	H	H	H	H	-(CH ₂) ₄ -		CH [°] Hex
303	H	H	H	H	-(CH ₂) ₅ -		CH [°] Hex
304	H	H	H	H	-(CH ₂)O(CH ₂)-		CH [°] Hex
305	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH [°] Hex
306	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH [°] Hex
307	H	H	H		-CH ₂ -	H	CH [°] Hex
308	Me	H	H		-CH ₂ -	ⁱ Pr	CH [°] Hex
309	H	H	H	H	H	H	CHPh
310	Me	H	H	H	H	H	CHPh
311	Et	H	H	H	H	H	CHPh
312	H	H	Me	H	H	H	CHPh
313	H	H	Et	H	H	H	CHPh
314	H	H	ⁱ Pr	H	H	H	CHPh
315	H	H	CH ₂ OMe	H	H	H	CHPh
316	H	H	OMe	H	H	H	CHPh
317	H	H	Me	Me	H	H	CHPh
318	H	H	Et	Me	H	H	CHPh
319	H	H	-(CH ₂) ₂ -		H	H	CHPh
320	H	H	-(CH ₂) ₃ -		H	H	CHPh
321	H	H	-(CH ₂) ₄ -		H	H	CHPh
322	H	H	-(CH ₂) ₅ -		H	H	CHPh
323	H	-(CH ₂) ₄ -		H	H	H	CHPh
324	H	H	H	H	Me	H	CHPh
325	H	H	H	H	Et	H	CHPh
326	H	H	H	H	ⁱ Pr	H	CHPh
327	H	H	H	H	ⁿ Pr	H	CHPh
328	H	H	H	H	[°] Pr	H	CHPh
329	H	H	H	H	-CH ₂ CH=CH ₂	H	CHPh
330	H	H	H	H	CH ₂ F	H	CHPh
331	H	H	H	H	CH ₂ Cl	H	CHPh
332	H	H	H	H	CH ₂ OMe	H	CHPh
333	H	H	H	H	(CH ₂) ₂ Cl	H	CHPh
334	H	H	H	H	CF ₃	H	CHPh
335	H	H	H	H	CO ₂ Et	H	CHPh
336	H	H	H	H	Ph	H	CHPh
337	H	H	H	H	4-FPh	H	CHPh
338	H	H	H	H	Me	Me	CHPh
339	H	H	H	H	Me	Et	CHPh
340	H	H	H	H	CF ₃	Me	CHPh
341	H	H	H	H	CF ₃	Et	CHPh
342	H	H	H	H	[°] Pr	Me	CHPh
343	H	H	H	H	Et	Et	CHPh
344	H	H	H	H	-(CH ₂) ₂ -		CHPh
345	H	H	H	H	-(CH ₂) ₃ -		CHPh
346	H	H	H	H	-(CH ₂) ₄ -		CHPh

347	H	H	H	H	$-(CH_2)_5-$		CHPh
348	H	H	H	H	$-(CH_2)O(CH_2)-$		CHPh
349	H	H	H	H	$-(CH_2)O(CH_2)_2-$		CHPh
350	H	H	H	H	$-(CH_2)_2O(CH_2)_2-$		CHPh
351	H	H	H		$-CH_2-$	H	CHPh
352	Me	H	H		$-CH_2-$	ⁱ Pr	CHPh
353	H	H	H	H	H	H	CH(2-FPh)
354	Me	H	H	H	H	H	CH(2-FPh)
355	Et	H	H	H	H	H	CH(2-FPh)
356	H	H	Me	H	H	H	CH(2-FPh)
357	H	H	Et	H	H	H	CH(2-FPh)
358	H	H	ⁱ Pr	H	H	H	CH(2-FPh)
359	H	H	CH ₂ OMe	H	H	H	CH(2-FPh)
360	H	H	OMe	H	H	H	CH(2-FPh)
361	H	H	Me	Me	H	H	CH(2-FPh)
362	H	H	Et	Me	H	H	CH(2-FPh)
363	H	H	$-(CH_2)_2-$		H	H	CH(2-FPh)
364	H	H	$-(CH_2)_3-$		H	H	CH(2-FPh)
365	H	H	$-(CH_2)_4-$		H	H	CH(2-FPh)
366	H	H	$-(CH_2)_5-$		H	H	CH(2-FPh)
367	H	$-(CH_2)_4-$		H	H	H	CH(2-FPh)
368	H	H	H	H	Me	H	CH(2-FPh)
369	H	H	H	H	Et	H	CH(2-FPh)
370	H	H	H	H	ⁱ Pr	H	CH(2-FPh)
371	H	H	H	H	ⁿ Pr	H	CH(2-FPh)
372	H	H	H	H	^c Pr	H	CH(2-FPh)
373	H	H	H	H	$-CH_2CH=CH_2$	H	CH(2-FPh)
374	H	H	H	H	CH ₂ F	H	CH(2-FPh)
375	H	H	H	H	CH ₂ Cl	H	CH(2-FPh)
376	H	H	H	H	CH ₂ OMe	H	CH(2-FPh)
377	H	H	H	H	$(CH_2)_2Cl$	H	CH(2-FPh)
378	H	H	H	H	CF ₃	H	CH(2-FPh)
379	H	H	H	H	CO ₂ Et	H	CH(2-FPh)
380	H	H	H	H	Ph	H	CH(2-FPh)
381	H	H	H	H	4-FPh	H	CH(2-FPh)
382	H	H	H	H	Me	Me	CH(2-FPh)
383	H	H	H	H	Me	Et	CH(2-FPh)
384	H	H	H	H	CF ₃	Me	CH(2-FPh)
385	H	H	H	H	CF ₃	Et	CH(2-FPh)
386	H	H	H	H	^c Pr	Me	CH(2-FPh)
387	H	H	H	H	Et	Et	CH(2-FPh)
388	H	H	H	H	$-(CH_2)_2-$		CH(2-FPh)
389	H	H	H	H	$-(CH_2)_3-$		CH(2-FPh)
390	H	H	H	H	$-(CH_2)_4-$		CH(2-FPh)
391	H	H	H	H	$-(CH_2)_5-$		CH(2-FPh)
392	H	H	H	H	$-(CH_2)O(CH_2)-$		CH(2-FPh)
393	H	H	H	H	$-(CH_2)O(CH_2)_2-$		CH(2-FPh)
394	H	H	H	H	$-(CH_2)_2O(CH_2)_2-$		CH(2-FPh)
395	H	H	H		$-CH_2-$	H	CH(2-FPh)
396	Me	H	H		$-CH_2-$	ⁱ Pr	CH(2-FPh)
397	H	H	H	H	H	H	CH(4-FPh)
398	Me	H	H	H	H	H	CH(4-FPh)
399	Et	H	H	H	H	H	CH(4-FPh)
400	H	H	Me	H	H	H	CH(4-FPh)
401	H	H	Et	H	H	H	CH(4-FPh)
402	H	H	ⁱ Pr	H	H	H	CH(4-FPh)
403	H	H	CH ₂ OMe	H	H	H	CH(4-FPh)
404	H	H	OMe	H	H	H	CH(4-FPh)

405	H	H	Me	Me	H	H	CH(4-FPh)
406	H	H	Et	Me	H	H	CH(4-FPh)
407	H	H	-(CH ₂) ₂ -		H	H	CH(4-FPh)
408	H	H	-(CH ₂) ₃ -		H	H	CH(4-FPh)
409	H	H	-(CH ₂) ₄ -		H	H	CH(4-FPh)
410	H	H	-(CH ₂) ₅ -		H	H	CH(4-FPh)
411	H	-(CH ₂) ₄ -		H	H	H	CH(4-FPh)
412	H	H	H	H	Me	H	CH(4-FPh)
413	H	H	H	H	Et	H	CH(4-FPh)
414	H	H	H	H	ⁱ Pr	H	CH(4-FPh)
415	H	H	H	H	ⁿ Pr	H	CH(4-FPh)
416	H	H	H	H	^c Pr	H	CH(4-FPh)
417	H	H	H	H	-CH ₂ CH=CH ₂	H	CH(4-FPh)
418	H	H	H	H	CH ₂ F	H	CH(4-FPh)
419	H	H	H	H	CH ₂ Cl	H	CH(4-FPh)
420	H	H	H	H	CH ₂ OMe	H	CH(4-FPh)
421	H	H	H	H	(CH ₂) ₂ Cl	H	CH(4-FPh)
422	H	H	H	H	CF ₃	H	CH(4-FPh)
423	H	H	H	H	CO ₂ Et	H	CH(4-FPh)
424	H	H	H	H	Ph	H	CH(4-FPh)
425	H	H	H	H	4-FPh	H	CH(4-FPh)
426	H	H	H	H	Me	Me	CH(4-FPh)
427	H	H	H	H	Me	Et	CH(4-FPh)
428	H	H	H	H	CF ₃	Me	CH(4-FPh)
429	H	H	H	H	CF ₃	Et	CH(4-FPh)
430	H	H	H	H	^c Pr	Me	CH(4-FPh)
431	H	H	H	H	Et	Et	CH(4-FPh)
432	H	H	H	H	-(CH ₂) ₂ -		CH(4-FPh)
433	H	H	H	H	-(CH ₂) ₃ -		CH(4-FPh)
434	H	H	H	H	-(CH ₂) ₄ -		CH(4-FPh)
435	H	H	H	H	-(CH ₂) ₅ -		CH(4-FPh)
436	H	H	H	H	-(CH ₂)O(CH ₂)-		CH(4-FPh)
437	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(4-FPh)
438	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(4-FPh)
439	H	H	H	-CH ₂ -		H	CH(4-FPh)
440	Me	H	H	-CH ₂ -		ⁱ Pr	CH(4-FPh)
441	H	H	H	H	H	H	CH(4-CNPh)
442	Me	H	H	H	H	H	CH(4-CNPh)
443	Et	H	H	H	H	H	CH(4-CNPh)
444	H	H	Me	H	H	H	CH(4-CNPh)
445	H	H	Et	H	H	H	CH(4-CNPh)
446	H	H	ⁱ Pr	H	H	H	CH(4-CNPh)
447	H	H	CH ₂ OMe	H	H	H	CH(4-CNPh)
448	H	H	OMe	H	H	H	CH(4-CNPh)
449	H	H	Me	Me	H	H	CH(4-CNPh)
450	H	H	Et	Me	H	H	CH(4-CNPh)
451	H	H	-(CH ₂) ₂ -		H	H	CH(4-CNPh)
452	H	H	-(CH ₂) ₃ -		H	H	CH(4-CNPh)
453	H	H	-(CH ₂) ₄ -		H	H	CH(4-CNPh)
454	H	H	-(CH ₂) ₅ -		H	H	CH(4-CNPh)
455	H	-(CH ₂) ₄ -		H	H	H	CH(4-CNPh)
456	H	H	H	H	Me	H	CH(4-CNPh)
457	H	H	H	H	Et	H	CH(4-CNPh)
458	H	H	H	H	ⁱ Pr	H	CH(4-CNPh)
459	H	H	H	H	ⁿ Pr	H	CH(4-CNPh)
460	H	H	H	H	^c Pr	H	CH(4-CNPh)
461	H	H	H	H	-CH ₂ CH=CH ₂	H	CH(4-CNPh)
462	H	H	H	H	CH ₂ F	H	CH(4-CNPh)

463	H	H	H	H	CH ₂ Cl	H	CH(4-CNPh)
464	H	H	H	H	CH ₂ OMe	H	CH(4-CNPh)
465	H	H	H	H	(CH ₂) ₂ Cl	H	CH(4-CNPh)
466	H	H	H	H	CF ₃	H	CH(4-CNPh)
467	H	H	H	H	CO ₂ Et	H	CH(4-CNPh)
468	H	H	H	H	Ph	H	CH(4-CNPh)
469	H	H	H	H	4-FPh	H	CH(4-CNPh)
470	H	H	H	H	Me	Me	CH(4-CNPh)
471	H	H	H	H	Me	Et	CH(4-CNPh)
472	H	H	H	H	CF ₃	Me	CH(4-CNPh)
473	H	H	H	H	CF ₃	Et	CH(4-CNPh)
474	H	H	H	H	^c Pr	Me	CH(4-CNPh)
475	H	H	H	H	Et	Et	CH(4-CNPh)
476	H	H	H	H	-(CH ₂) ₂ -		CH(4-CNPh)
477	H	H	H	H	-(CH ₂) ₃ -		CH(4-CNPh)
478	H	H	H	H	-(CH ₂) ₄ -		CH(4-CNPh)
479	H	H	H	H	-(CH ₂) ₅ -		CH(4-CNPh)
480	H	H	H	H	-(CH ₂)O(CH ₂)-		CH(4-CNPh)
481	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(4-CNPh)
482	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(4-CNPh)
483	H	H	H		-CH ₂ -	H	CH(4-CNPh)
484	Me	H	H		-CH ₂ -	ⁱ Pr	CH(4-CNPh)
485	H	H	H	H	H	H	CH(2-thienyl)
486	Me	H	H	H	H	H	CH(2-thienyl)
487	Et	H	H	H	H	H	CH(2-thienyl)
488	H	H	Me	H	H	H	CH(2-thienyl)
489	H	H	Et	H	H	H	CH(2-thienyl)
490	H	H	ⁱ Pr	H	H	H	CH(2-thienyl)
491	H	H	CH ₂ OMe	H	H	H	CH(2-thienyl)
492	H	H	OMe	H	H	H	CH(2-thienyl)
493	H	H	Me	Me	H	H	CH(2-thienyl)
494	H	H	Et	Me	H	H	CH(2-thienyl)
495	H	H	-(CH ₂) ₂ -		H	H	CH(2-thienyl)
496	H	H	-(CH ₂) ₃ -		H	H	CH(2-thienyl)
497	H	H	-(CH ₂) ₄ -		H	H	CH(2-thienyl)
498	H	H	-(CH ₂) ₅ -		H	H	CH(2-thienyl)
499	H		-(CH ₂) ₄ -	H	H	H	CH(2-thienyl)
500	H	H	H	H	Me	H	CH(2-thienyl)
501	H	H	H	H	Et	H	CH(2-thienyl)
502	H	H	H	H	ⁱ Pr	H	CH(2-thienyl)
503	H	H	H	H	ⁿ Pr	H	CH(2-thienyl)
504	H	H	H	H	^c Pr	H	CH(2-thienyl)
505	H	H	H	H	-CH ₂ CH=CH ₂	H	CH(2-thienyl)
506	H	H	H	H	CH ₂ F	H	CH(2-thienyl)
507	H	H	H	H	CH ₂ Cl	H	CH(2-thienyl)
508	H	H	H	H	CH ₂ OMe	H	CH(2-thienyl)
509	H	H	H	H	(CH ₂) ₂ Cl	H	CH(2-thienyl)
510	H	H	H	H	CF ₃	H	CH(2-thienyl)
511	H	H	H	H	CO ₂ Et	H	CH(2-thienyl)
512	H	H	H	H	Ph	H	CH(2-thienyl)
513	H	H	H	H	4-FPh	H	CH(2-thienyl)
514	H	H	H	H	Me	Me	CH(2-thienyl)
515	H	H	H	H	Me	Et	CH(2-thienyl)
516	H	H	H	H	CF ₃	Me	CH(2-thienyl)
517	H	H	H	H	CF ₃	Et	CH(2-thienyl)
518	H	H	H	H	^c Pr	Me	CH(2-thienyl)
519	H	H	H	H	Et	Et	CH(2-thienyl)
520	H	H	H	H	-(CH ₂) ₂ -		CH(2-thienyl)

521	H	H	H	H	-(CH ₂) ₃ -		CH(2-thienyl)
522	H	H	H	H	-(CH ₂) ₄ -		CH(2-thienyl)
523	H	H	H	H	-(CH ₂) ₅ -		CH(2-thienyl)
524	H	H	H	H	-(CH ₂)O(CH ₂)-		CH(2-thienyl)
525	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(2-thienyl)
526	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(2-thienyl)
527	H	H	H		-CH ₂ -	H	CH(2-thienyl)
528	Me	H	H		-CH ₂ -	ⁱ Pr	CH(2-thienyl)
529	H	H	H	H	H	H	CH(3-furyl)
530	Me	H	H	H	H	H	CH(3-furyl)
531	Et	H	H	H	H	H	CH(3-furyl)
532	H	H	Me	H	H	H	CH(3-furyl)
533	H	H	Et	H	H	H	CH(3-furyl)
534	H	H	ⁱ Pr	H	H	H	CH(3-furyl)
535	H	H	CH ₂ OMe	H	H	H	CH(3-furyl)
536	H	H	OMe	H	H	H	CH(3-furyl)
537	H	H	Me	Me	H	H	CH(3-furyl)
538	H	H	Et	Me	H	H	CH(3-furyl)
539	H	H	-(CH ₂) ₂ -		H	H	CH(3-furyl)
540	H	H	-(CH ₂) ₃ -		H	H	CH(3-furyl)
541	H	H	-(CH ₂) ₄ -		H	H	CH(3-furyl)
542	H	H	-(CH ₂) ₅ -		H	H	CH(3-furyl)
543	H	-(CH ₂) ₄ -		H	H	H	CH(3-furyl)
544	H	H	H	H	Me	H	CH(3-furyl)
545	H	H	H	H	Et	H	CH(3-furyl)
546	H	H	H	H	ⁱ Pr	H	CH(3-furyl)
547	H	H	H	H	ⁿ Pr	H	CH(3-furyl)
548	H	H	H	H	^c Pr	H	CH(3-furyl)
549	H	H	H	H	-CH ₂ CH=CH ₂	H	CH(3-furyl)
550	H	H	H	H	CH ₂ F	H	CH(3-furyl)
551	H	H	H	H	CH ₂ Cl	H	CH(3-furyl)
552	H	H	H	H	CH ₂ OMe	H	CH(3-furyl)
553	H	H	H	H	(CH ₂) ₂ Cl	H	CH(3-furyl)
554	H	H	H	H	CF ₃	H	CH(3-furyl)
555	H	H	H	H	CO ₂ Et	H	CH(3-furyl)
556	H	H	H	H	Ph	H	CH(3-furyl)
557	H	H	H	H	4-FPh	H	CH(3-furyl)
558	H	H	H	H	Me	Me	CH(3-furyl)
559	H	H	H	H	Me	Et	CH(3-furyl)
560	H	H	H	H	CF ₃	Me	CH(3-furyl)
561	H	H	H	H	CF ₃	Et	CH(3-furyl)
562	H	H	H	H	^c Pr	Me	CH(3-furyl)
563	H	H	H	H	Et	Et	CH(3-furyl)
564	H	H	H	H	-(CH ₂) ₂ -		CH(3-furyl)
565	H	H	H	H	-(CH ₂) ₃ -		CH(3-furyl)
566	H	H	H	H	-(CH ₂) ₄ -		CH(3-furyl)
567	H	H	H	H	-(CH ₂) ₅ -		CH(3-furyl)
568	H	H	H	H	-(CH ₂)O(CH ₂)-		CH(3-furyl)
569	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH(3-furyl)
570	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH(3-furyl)
571	H	H	H		-CH ₂ -	H	CH(3-furyl)
572	Me	H	H		-CH ₂ -	ⁱ Pr	CH(3-furyl)
573	H	H	H	H	H	H	CMe ₂
574	Me	H	H	H	H	H	CMe ₂
575	Et	H	H	H	H	H	CMe ₂
576	H	H	Me	H	H	H	CMe ₂
577	H	H	Et	H	H	H	CMe ₂
578	H	H	ⁱ Pr	H	H	H	CMe ₂

579	H	H	CH ₂ OMe	H	H	H	CMe ₂
580	H	H	OMe	H	H	H	CMe ₂
581	H	H	Me	Me	H	H	CMe ₂
582	H	H	Et	Me	H	H	CMe ₂
583	H	H	-(CH ₂) ₂ -		H	H	CMe ₂
584	H	H	-(CH ₂) ₃ -		H	H	CMe ₂
585	H	H	-(CH ₂) ₄ -		H	H	CMe ₂
586	H	H	-(CH ₂) ₅ -		H	H	CMe ₂
587	H	-(CH ₂) ₄ -		H	H	H	CMe ₂
588	H	H	H	H	Me	H	CMe ₂
589	H	H	H	H	Et	H	CMe ₂
590	H	H	H	H	ⁱ Pr	H	CMe ₂
591	H	H	H	H	ⁿ Pr	H	CMe ₂
592	H	H	H	H	^c Pr	H	CMe ₂
593	H	H	H	H	-CH ₂ CH=CH ₂	H	CMe ₂
594	H	H	H	H	CH ₂ F	H	CMe ₂
595	H	H	H	H	CH ₂ Cl	H	CMe ₂
596	H	H	H	H	CH ₂ OMe	H	CMe ₂
597	H	H	H	H	(CH ₂) ₂ Cl	H	CMe ₂
598	H	H	H	H	CF ₃	H	CMe ₂
599	H	H	H	H	CO ₂ Et	H	CMe ₂
600	H	H	H	H	Ph	H	CMe ₂
601	H	H	H	H	4-FPh	H	CMe ₂
602	H	H	H	H	Me	Me	CMe ₂
603	H	H	H	H	Me	Et	CMe ₂
604	H	H	H	H	CF ₃	Me	CMe ₂
605	H	H	H	H	CF ₃	Et	CMe ₂
606	H	H	H	H	^c Pr	Me	CMe ₂
607	H	H	H	H	Et	Et	CMe ₂
608	H	H	H	H	-(CH ₂) ₂ -		CMe ₂
609	H	H	H	H	-(CH ₂) ₃ -		CMe ₂
610	H	H	H	H	-(CH ₂) ₄ -		CMe ₂
611	H	H	H	H	-(CH ₂) ₅ -		CMe ₂
612	H	H	H	H	-(CH ₂)O(CH ₂)-		CMe ₂
613	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CMe ₂
614	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CMe ₂
615	H	H	H		-CH ₂ -	H	CMe ₂
616	Me	H	H		-CH ₂ -	ⁱ Pr	CMe ₂
617	H	H	H	H	H	H	C(Me)Ph
618	Me	H	H	H	H	H	C(Me)Ph
619	Et	H	H	H	H	H	C(Me)Ph
620	H	H	Me	H	H	H	C(Me)Ph
621	H	H	Et	H	H	H	C(Me)Ph
622	H	H	ⁱ Pr	H	H	H	C(Me)Ph
623	H	H	CH ₂ OMe	H	H	H	C(Me)Ph
624	H	H	OMe	H	H	H	C(Me)Ph
625	H	H	Me	Me	H	H	C(Me)Ph
626	H	H	Et	Me	H	H	C(Me)Ph
627	H	H	-(CH ₂) ₂ -		H	H	C(Me)Ph
628	H	H	-(CH ₂) ₃ -		H	H	C(Me)Ph
629	H	H	-(CH ₂) ₄ -		H	H	C(Me)Ph
630	H	H	-(CH ₂) ₅ -		H	H	C(Me)Ph
631	H	-(CH ₂) ₄ -		H	H	H	C(Me)Ph
632	H	H	H	H	Me	H	C(Me)Ph
633	H	H	H	H	Et	H	C(Me)Ph
634	H	H	H	H	ⁱ Pr	H	C(Me)Ph
635	H	H	H	H	ⁿ Pr	H	C(Me)Ph
636	H	H	H	H	^c Pr	H	C(Me)Ph

637	H	H	H	H	-CH ₂ CH=CH ₂	H	C(Me)Ph
638	H	H	H	H	CH ₂ F	H	C(Me)Ph
639	H	H	H	H	CH ₂ Cl	H	C(Me)Ph
640	H	H	H	H	CH ₂ OMe	H	C(Me)Ph
641	H	H	H	H	(CH ₂) ₂ Cl	H	C(Me)Ph
642	H	H	H	H	CF ₃	H	C(Me)Ph
643	H	H	H	H	CO ₂ Et	H	C(Me)Ph
644	H	H	H	H	Ph	H	C(Me)Ph
645	H	H	H	H	4-FPh	H	C(Me)Ph
646	H	H	H	H	Me	Me	C(Me)Ph
647	H	H	H	H	Me	Et	C(Me)Ph
648	H	H	H	H	CF ₃	Me	C(Me)Ph
649	H	H	H	H	CF ₃	Et	C(Me)Ph
650	H	H	H	H	^c Pr	Me	C(Me)Ph
651	H	H	H	H	Et	Et	C(Me)Ph
652	H	H	H	H	-(CH ₂) ₂ -		C(Me)Ph
653	H	H	H	H	-(CH ₂) ₃ -		C(Me)Ph
654	H	H	H	H	-(CH ₂) ₄ -		C(Me)Ph
655	H	H	H	H	-(CH ₂) ₅ -		C(Me)Ph
656	H	H	H	H	-(CH ₂)O(CH ₂)-		C(Me)Ph
657	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		C(Me)Ph
658	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		C(Me)Ph
659	H	H	H		-CH ₂ -	H	C(Me)Ph
660	Me	H	H		-CH ₂ -	ⁱ Pr	C(Me)Ph
661	H	H	H	H	H	-(CH ₂) ₂ CH-	
662	H	H	H	H	H	-(CH ₂) ₃ CH-	

662 compounds are described, designated compounds 14-1 to 14-662 respectively, of formula (Ic), wherein R¹ is H, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 3.

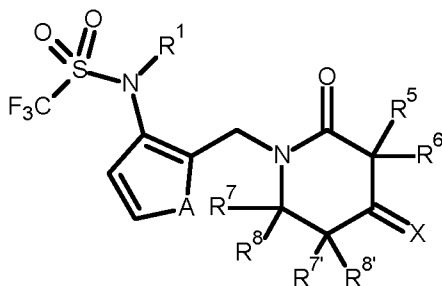
- 5 662 compounds are described, designated compounds 15-1 to 15-662 respectively, of formula (Ic), wherein R¹ is H, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 3.

- 10 662 compounds are described, designated compounds 16-1 to 16-662 respectively, of formula (Ic), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 3.

662 compounds are described, designated compounds 17-1 to 17-662 respectively, of formula (Ic), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 3.

- 15 662 compounds are described, designated compounds 18-1 to 18-662 respectively, of formula (Ic), wherein R¹ is CO₂Et, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 3.

Table 4 below provides 115 compounds, designated compounds 19-1 to 19-115 respectively, of formula (Id), wherein R¹ is H and A is CH=CH.



(Id)

TABLE 4

Cpd No	R ¹	R ⁵	R ⁶	R ⁷	R ⁸	X	R ⁵	R ⁶
1	H	H	H	H	H	O	H	H
2	Me	H	H	H	H	O	H	H
3	Et	H	H	H	H	O	H	H
4	H	H	Me	H	H	O	H	H
5	H	H	Et	H	H	O	H	H
6	H	H	ⁱ Pr	H	H	O	H	H
7	H	H	CH ₂ OMe	H	H	O	H	H
8	H	H	OMe	H	H	O	H	H
9	H	H	Me	Me	H	O	H	H
10	H	H	Et	Me	H	O	H	H
11	H	H	-(CH ₂) ₂ -		H	O	H	H
12	H	H	-(CH ₂) ₃ -		H	O	H	H
13	H	H	-(CH ₂) ₄ -		H	O	H	H
14	H	H	-(CH ₂) ₅ -		H	O	H	H
15	H	-(CH ₂) ₄ -		H	H	O	H	H
16	H	H	H	H	H	O	Me	H
17	H	H	H	H	H	O	Et	H
18	H	H	H	H	H	O	ⁿ Pr	H
19	H	H	H	H	H	O	ⁿ Bu	H
20	H	H	H	H	H	O	ⁱ Bu	H
21	H	H	H	H	H	O	-CH ₂ CH=CH ₂	H
22	H	H	H	H	H	O	F	H
23	H	H	H	H	H	O	Cl	H
24	H	H	H	H	H	O	Br	H
25	H	H	H	H	H	O	OH	H
26	H	H	H	H	H	O	OMe	H
27	H	H	H	H	H	O	OEt	H
28	H	H	H	H	H	O	OPh	H
29	H	H	H	H	H	O	SMe	H
30	H	H	H	H	H	O	SPh	H
31	H	H	H	H	H	O	Ph	H
32	H	H	H	H	H	O	-CH ₂ Ph	H
33	H	H	H	H	H	O	-(CH ₂) ₂ Ph	H
34	H	H	H	H	H	O	CO ₂ Et	H
35	H	H	H	H	H	O	Me	Me
36	H	H	H	H	H	O	Cl	Cl
37	H	H	H	H	H	O	CO ₂ Et	Me
38	H	H	H	H	H	CH ₂	H	H
39	Me	H	H	H	H	CH ₂	H	H
40	Et	H	H	H	H	CH ₂	H	H
41	H	H	Me	H	H	CH ₂	H	H
42	H	H	Et	H	H	CH ₂	H	H

43	H	H	ⁱ Pr	H	CH ₂	H	H
44	H	H	CH ₂ OMe	H	CH ₂	H	H
45	H	H	OMe	H	CH ₂	H	H
46	H	H	Me	Me	CH ₂	H	H
47	H	H	Et	Me	CH ₂	H	H
48	H	H	-(CH ₂) ₂ -		CH ₂	H	H
49	H	H	-(CH ₂) ₃ -		CH ₂	H	H
50	H	H	-(CH ₂) ₄ -		CH ₂	H	H
51	H	H	-(CH ₂) ₅ -		CH ₂	H	H
52	H	-(CH ₂) ₄ -		H	CH ₂	H	H
53	H	H	H	H	CH ₂	Me	H
54	H	H	H	H	CH ₂	Et	H
55	H	H	H	H	CH ₂	ⁿ Pr	H
56	H	H	H	H	CH ₂	ⁿ Bu	H
57	H	H	H	H	CH ₂	ⁱ Bu	H
58	H	H	H	H	CH ₂	-CH ₂ CH=CH ₂	H
59	H	H	H	H	CH ₂	F	H
60	H	H	H	H	CH ₂	Cl	H
61	H	H	H	H	CH ₂	Br	H
62	H	H	H	H	CH ₂	OH	H
63	H	H	H	H	CH ₂	OMe	H
64	H	H	H	H	CH ₂	OEt	H
65	H	H	H	H	CH ₂	OPh	H
66	H	H	H	H	CH ₂	SMe	H
67	H	H	H	H	CH ₂	SPh	H
68	H	H	H	H	CH ₂	Ph	H
69	H	H	H	H	CH ₂	-CH ₂ Ph	H
70	H	H	H	H	CH ₂	-(CH ₂) ₂ Ph	H
71	H	H	H	H	CH ₂	CO ₂ Et	H
72	H	H	H	H	CH ₂	Me	Me
73	H	H	H	H	CH ₂	Cl	Cl
74	H	H	H	H	CH ₂	CO ₂ Et	Me
75	H	H	H	H	CHPh	H	H
76	Me	H	H	H	CHPh	H	H
77	Et	H	H	H	CHPh	H	H
78	H	H	Me	H	CHPh	H	H
79	H	H	Et	H	CHPh	H	H
80	H	H	ⁱ Pr	H	CHPh	H	H
81	H	H	CH ₂ OMe	H	CHPh	H	H
82	H	H	OMe	H	CHPh	H	H
83	H	H	Me	Me	CHPh	H	H
84	H	H	Et	Me	CHPh	H	H
85	H	H	-(CH ₂) ₂ -		CHPh	H	H
86	H	H	-(CH ₂) ₃ -		CHPh	H	H
87	H	H	-(CH ₂) ₄ -		CHPh	H	H
88	H	H	-(CH ₂) ₅ -		CHPh	H	H
89	H	-(CH ₂) ₄ -		H	CHPh	H	H
90	H	H	H	H	CHPh	Me	H
91	H	H	H	H	CHPh	Et	H
92	H	H	H	H	CHPh	ⁿ Pr	H
93	H	H	H	H	CHPh	ⁿ Bu	H
94	H	H	H	H	CHPh	ⁱ Bu	H
95	H	H	H	H	CHPh	-CH ₂ CH=CH ₂	H
96	H	H	H	H	CHPh	F	H
97	H	H	H	H	CHPh	Cl	H
98	H	H	H	H	CHPh	Br	H
99	H	H	H	H	CHPh	OH	H
100	H	H	H	H	CHPh	OMe	H

101	H	H	H	H	CHPh	OEt	H
102	H	H	H	H	CHPh	OPh	H
103	H	H	H	H	CHPh	SMe	H
104	H	H	H	H	CHPh	SPh	H
105	H	H	H	H	CHPh	Ph	H
106	H	H	H	H	CHPh	-CH ₂ Ph	H
107	H	H	H	H	CHPh	-(CH ₂) ₂ Ph	H
108	H	H	H	H	CHPh	CO ₂ Et	H
109	H	H	H	H	CHPh	Me	Me
110	H	H	H	H	CHPh	Cl	Cl
111	H	H	H	H	CHPh	CO ₂ Et	Me
112	H	H	H	H	-CH(CH ₂) ₂ -		H
113	H	H	H	H	-CH(CH ₂) ₃ -		H
114	H	H	H		-(CH ₂) ₂ CH-	H	H
115	H	H	H		-(CH ₂) ₃ CH-	H	H

115 compounds are described, designated compounds 20-1 to 20-115 respectively, of formula (Id), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 4.

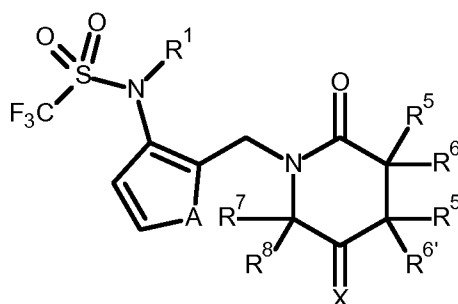
5 115 compounds are described, designated compounds 21-1 to 21-115 respectively, of formula (Id), wherein R¹ is H, A is S and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 4.

115 compounds are described, designated compounds 22-1 to 22-115 respectively, of formula (Id), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 4.

115 compounds are described, designated compounds 23-1 to 23-115 respectively, of formula (Id), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 4.

15 115 compounds are described, designated compounds 24-1 to 24-115 respectively, of formula (Id), wherein R¹ is CO₂Et, A is S and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 4.

Table 5 below provides 124 compounds, designated compounds 25-1 to 25-124 respectively, of formula (Ie), wherein R¹ is H and A is CH=CH.



(Ie)

TABLE 5

Cpd No	R ⁷	R ⁸	X	R ⁵	R ⁶	R ⁵	R ⁶
1	H	H	O	H	H	H	H
2	Me	H	O	H	H	H	H
3	Et	H	O	H	H	H	H
4	H	H	O	Me	H	H	H
5	H	H	O	Et	H	H	H
6	H	H	O	ⁱ Pr	H	H	H
7	H	H	O	ⁿ Pr	H	H	H
8	H	H	O	^c Pr	H	H	H
9	H	H	O	CH ₂ OMe	H	H	H
10	H	H	O	CF ₃	H	H	H
11	H	H	O	Me	Me	H	H
12	H	H	O	Me	Et	H	H
13	H	H	O	CF ₃	Me	H	H
14	H	H	O	CF ₃	Et	H	H
15	H	H	O	^c Pr	Me	H	H
16	H	H	O	Et	Et	H	H
17	H	H	O	-(CH ₂) ₃ -		H	H
18	H	H	O	-(CH ₂) ₄ -		H	H
19	H	H	O	-(CH ₂) ₅ -		H	H
20	H	H	O	-(CH ₂)O(CH ₂)-		H	H
21	H	H	O	-(CH ₂)O(CH ₂) ₂ -		H	H
22	H	H	O	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H
23	H	H	O	H	H	Me	H
24	H	H	O	H	H	Et	H
25	H	H	O	H	H	ⁿ Pr	H
26	H	H	O	H	H	Cl	H
27	H	H	O	H	H	Br	H
28	H	H	O	H	H	OMe	H
29	H	H	O	H	H	SMe	H
30	H	H	O	H	H	SPh	H
31	H	H	O	H	H	Ph	H
32	H	H	O	H	H	Me	Me
33	H	H	O	H	Me	OMe	H
34	H	H	O	H	Me	Br	H
35	H	H	O	Me	Me	Me	H
36	H	H	O	Me	Me	OMe	H
37	H	H	O	Et	Et	OMe	H
38	H	H	O	-(CH ₂) ₃ -		OMe	H
39	H	H	O	-(CH ₂) ₄ -		OMe	H
40	H	H	O	H	-CH ₂ -		H
41	H	H	CH ₂	H	H	H	H
42	Me	H	CH ₂	H	H	H	H
43	Et	H	CH ₂	H	H	H	H
44	H	H	CH ₂	Me	H	H	H
45	H	H	CH ₂	Et	H	H	H
46	H	H	CH ₂	ⁱ Pr	H	H	H
47	H	H	CH ₂	ⁿ Pr	H	H	H
48	H	H	CH ₂	^c Pr	H	H	H
49	H	H	CH ₂	CH ₂ OMe	H	H	H
50	H	H	CH ₂	CF ₃	H	H	H
51	H	H	CH ₂	Me	Me	H	H
52	H	H	CH ₂	Me	Et	H	H
53	H	H	CH ₂	CF ₃	Me	H	H
54	H	H	CH ₂	CF ₃	Et	H	H
55	H	H	CH ₂	^c Pr	Me	H	H

56	H	H	CH ₂	Et	Et	H	H
57	H	H	CH ₂	-(CH ₂) ₃ -		H	H
58	H	H	CH ₂	-(CH ₂) ₄ -		H	H
59	H	H	CH ₂	-(CH ₂) ₅ -		H	H
60	H	H	CH ₂	-(CH ₂)O(CH ₂)-		H	H
61	H	H	CH ₂	-(CH ₂)O(CH ₂) ₂ -		H	H
62	H	H	CH ₂	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H
63	H	H	CH ₂	H	H	Me	H
64	H	H	CH ₂	H	H	Et	H
65	H	H	CH ₂	H	H	ⁿ Pr	H
66	H	H	CH ₂	H	H	Cl	H
67	H	H	CH ₂	H	H	Br	H
68	H	H	CH ₂	H	H	OMe	H
69	H	H	CH ₂	H	H	SMe	H
70	H	H	CH ₂	H	H	SPh	H
71	H	H	CH ₂	H	H	Ph	H
72	H	H	CH ₂	H	H	Me	Me
73	H	H	CH ₂	H	Me	OMe	H
74	H	H	CH ₂	H	Me	Br	H
75	H	H	CH ₂	Me	Me	Me	H
76	H	H	CH ₂	Me	Me	OMe	H
77	H	H	CH ₂	Et	Et	OMe	H
78	H	H	CH ₂	-(CH ₂) ₃ -		OMe	H
79	H	H	CH ₂	-(CH ₂) ₄ -		OMe	H
80	H	H	CH ₂	H	-CH ₂ -		H
81	H	H	CHPh	H	H	H	H
82	Me	H	CHPh	H	H	H	H
83	Et	H	CHPh	H	H	H	H
84	H	H	CHPh	Me	H	H	H
85	H	H	CHPh	Et	H	H	H
86	H	H	CHPh	ⁱ Pr	H	H	H
87	H	H	CHPh	ⁿ Pr	H	H	H
88	H	H	CHPh	^c Pr	H	H	H
89	H	H	CHPh	CH ₂ OMe	H	H	H
90	H	H	CHPh	CF ₃	H	H	H
91	H	H	CHPh	Me	Me	H	H
92	H	H	CHPh	Me	Et	H	H
93	H	H	CHPh	CF ₃	Me	H	H
94	H	H	CHPh	CF ₃	Et	H	H
95	H	H	CHPh	^c Pr	Me	H	H
96	H	H	CHPh	Et	Et	H	H
97	H	H	CHPh	-(CH ₂) ₃ -		H	H
98	H	H	CHPh	-(CH ₂) ₄ -		H	H
99	H	H	CHPh	-(CH ₂) ₅ -		H	H
100	H	H	CHPh	-(CH ₂)O(CH ₂)-		H	H
101	H	H	CHPh	-(CH ₂)O(CH ₂) ₂ -		H	H
102	H	H	CHPh	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H
103	H	H	CHPh	H	H	Me	H
104	H	H	CHPh	H	H	Et	H
105	H	H	CHPh	H	H	ⁿ Pr	H
106	H	H	CHPh	H	H	Cl	H
107	H	H	CHPh	H	H	Br	H
108	H	H	CHPh	H	H	OMe	H
109	H	H	CHPh	H	H	SMe	H
110	H	H	CHPh	H	H	SPh	H
111	H	H	CHPh	H	H	Ph	H
112	H	H	CHPh	H	H	Me	Me
113	H	H	CHPh	H	Me	OMe	H

114	H	H	CHPh	H	Me	Br	H
115	H	H	CHPh	Me	Me	Me	H
116	H	H	CHPh	Me	Me	OMe	H
117	H	H	CHPh	Et	Et	OMe	H
118	H	H	CHPh	-(CH ₂) ₃ -		OMe	H
119	H	H	CHPh	-(CH ₂) ₄ -		OMe	H
120	H	H	CHPh	H	-CH ₂ -		H
121	H	H	-CH(CH ₂) ₂ -		H	H	H
122	H	H	-CH(CH ₂) ₃ -		H	H	H
123	H	-(CH ₂) ₂ CH-		H	H	H	H
124	H	-(CH ₂) ₃ CH-		H	H	H	H

124 compounds are described, designated compounds 26-1 to 26-124 respectively, of formula (Ie), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸ and X are as defined in Table 5.

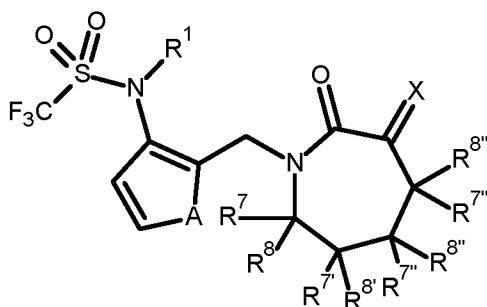
- 5 124 compounds are described, designated compounds 27-1 to 27-124 respectively, of formula (Ie), wherein R¹ is H, A is S and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸ and X are as defined in Table 5.

- 124 compounds are described, designated compounds 28-1 to 28-124 respectively, of formula (Ie), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸ and X are as defined in Table 5.

- 124 compounds are described, designated compounds 29-1 to 29-124 respectively, of formula (Ie), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸ and X are as defined in Table 5.

- 124 compounds are described, designated compounds 30-1 to 30-124 respectively, of formula (Ie), wherein R¹ is CO₂Et, A is S and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸ and X are as defined in Table 5.

Table 6 below provides 411 compounds, designated compounds 31-1 to 31-411 respectively, of formula (If), wherein R¹ is H and A is CH=CH.



(If)

TABLE 6

Cpd No	R ⁷	R ⁸	R ^{7'}	R ^{8'}	R ^{7''}	R ^{8''}	R ^{7'''}	R ^{8'''}	X
1	H	H	H	H	H	H	H	H	O
2	Me	H	H	H	H	H	H	H	O
3	H	H	Me	H	H	H	H	H	O
4	H	H	H	H	Me	H	H	H	O
5	H	H	H	H	Et	H	H	H	O
6	H	H	H	H	ⁱ Pr	H	H	H	O
7	H	H	H	H	ⁿ Pr	H	H	H	O
8	H	H	H	H	^c Pr	H	H	H	O
9	H	H	H	H	^t Bu	H	H	H	O
10	H	H	H	H	CH ₂ OMe	H	H	H	O
11	H	H	H	H	Cl	H	H	H	O
12	H	H	H	H	CF ₃	H	H	H	O
13	H	H	H	H	Ph	H	H	H	O
14	H	H	H	H	Me	Me	H	H	O
15	H	H	H	H	Me	Et	H	H	O
16	H	H	H	H	CF ₃	Me	H	H	O
17	H	H	H	H	CF ₃	Et	H	H	O
18	H	H	H	H	^c Pr	Me	H	H	O
19	H	H	H	H	Et	Et	H	H	O
20	H	H	H	H	-(CH ₂) ₂ -		H	H	O
21	H	H	H	H	-(CH ₂) ₃ -		H	H	O
22	H	H	H	H	-(CH ₂) ₄ -		H	H	O
23	H	H	H	H	-(CH ₂) ₅ -		H	H	O
24	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	O
25	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	O
26	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	O
27	H	H	H	H	H	H	Me	H	O
28	H	H	H	H	H	H	H	H	CH ₂
29	Me	H	H	H	H	H	H	H	CH ₂
30	H	H	Me	H	H	H	H	H	CH ₂
31	H	H	H	H	Me	H	H	H	CH ₂
32	H	H	H	H	Et	H	H	H	CH ₂
33	H	H	H	H	ⁱ Pr	H	H	H	CH ₂
34	H	H	H	H	ⁿ Pr	H	H	H	CH ₂
35	H	H	H	H	^c Pr	H	H	H	CH ₂
36	H	H	H	H	^t Bu	H	H	H	CH ₂
37	H	H	H	H	CH ₂ OMe	H	H	H	CH ₂
38	H	H	H	H	Cl	H	H	H	CH ₂
39	H	H	H	H	CF ₃	H	H	H	CH ₂
40	H	H	H	H	Ph	H	H	H	CH ₂
41	H	H	H	H	Me	Me	H	H	CH ₂
42	H	H	H	H	Me	Et	H	H	CH ₂
43	H	H	H	H	CF ₃	Me	H	H	CH ₂
44	H	H	H	H	CF ₃	Et	H	H	CH ₂
45	H	H	H	H	^c Pr	Me	H	H	CH ₂
46	H	H	H	H	Et	Et	H	H	CH ₂
47	H	H	H	H	-(CH ₂) ₂ -		H	H	CH ₂
48	H	H	H	H	-(CH ₂) ₃ -		H	H	CH ₂
49	H	H	H	H	-(CH ₂) ₄ -		H	H	CH ₂
50	H	H	H	H	-(CH ₂) ₅ -		H	H	CH ₂
51	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH ₂
52	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH ₂
53	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH ₂
54	H	H	H	H	H	H	Me	H	CH ₂
55	H	H	H	H	H	H	H	H	CHMe
56	Me	H	H	H	H	H	H	H	CHMe

57	H	H	Me	H	H	H	H	H	CHMe
58	H	H	H	H	Me	H	H	H	CHMe
59	H	H	H	H	Et	H	H	H	CHMe
60	H	H	H	H	ⁱ Pr	H	H	H	CHMe
61	H	H	H	H	ⁿ Pr	H	H	H	CHMe
62	H	H	H	H	^c Pr	H	H	H	CHMe
63	H	H	H	H	^t Bu	H	H	H	CHMe
64	H	H	H	H	CH ₂ OMe	H	H	H	CHMe
65	H	H	H	H	Cl	H	H	H	CHMe
66	H	H	H	H	CF ₃	H	H	H	CHMe
67	H	H	H	H	Ph	H	H	H	CHMe
68	H	H	H	H	Me	Me	H	H	CHMe
69	H	H	H	H	Me	Et	H	H	CHMe
70	H	H	H	H	CF ₃	Me	H	H	CHMe
71	H	H	H	H	CF ₃	Et	H	H	CHMe
72	H	H	H	H	^c Pr	Me	H	H	CHMe
73	H	H	H	H	Et	Et	H	H	CHMe
74	H	H	H	H	-(CH ₂) ₂ -		H	H	CHMe
75	H	H	H	H	-(CH ₂) ₃ -		H	H	CHMe
76	H	H	H	H	-(CH ₂) ₄ -		H	H	CHMe
77	H	H	H	H	-(CH ₂) ₅ -		H	H	CHMe
78	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CHMe
79	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CHMe
80	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CHMe
81	H	H	H	H	H	H	Me	H	CHMe
82	H	H	H	H	H	H	H	H	CH ₂ Et
83	Me	H	H	H	H	H	H	H	CH ₂ Et
84	H	H	Me	H	H	H	H	H	CH ₂ Et
85	H	H	H	H	Me	H	H	H	CH ₂ Et
86	H	H	H	H	Et	H	H	H	CH ₂ Et
87	H	H	H	H	ⁱ Pr	H	H	H	CH ₂ Et
88	H	H	H	H	ⁿ Pr	H	H	H	CH ₂ Et
89	H	H	H	H	^c Pr	H	H	H	CH ₂ Et
90	H	H	H	H	^t Bu	H	H	H	CH ₂ Et
91	H	H	H	H	CH ₂ OMe	H	H	H	CH ₂ Et
92	H	H	H	H	Cl	H	H	H	CH ₂ Et
93	H	H	H	H	CF ₃	H	H	H	CH ₂ Et
94	H	H	H	H	Ph	H	H	H	CH ₂ Et
95	H	H	H	H	Me	Me	H	H	CH ₂ Et
96	H	H	H	H	Me	Et	H	H	CH ₂ Et
97	H	H	H	H	CF ₃	Me	H	H	CH ₂ Et
98	H	H	H	H	CF ₃	Et	H	H	CH ₂ Et
99	H	H	H	H	^c Pr	Me	H	H	CH ₂ Et
100	H	H	H	H	Et	Et	H	H	CH ₂ Et
101	H	H	H	H	-(CH ₂) ₂ -		H	H	CH ₂ Et
102	H	H	H	H	-(CH ₂) ₃ -		H	H	CH ₂ Et
103	H	H	H	H	-(CH ₂) ₄ -		H	H	CH ₂ Et
104	H	H	H	H	-(CH ₂) ₅ -		H	H	CH ₂ Et
105	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH ₂ Et
106	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH ₂ Et
107	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH ₂ Et
108	H	H	H	H	H	H	Me	H	CH ₂ Et
109	H	H	H	H	H	H	H	H	CH(OMe)
110	Me	H	H	H	H	H	H	H	CH(OMe)
111	H	H	Me	H	H	H	H	H	CH(OMe)
112	H	H	H	H	Me	H	H	H	CH(OMe)
113	H	H	H	H	Et	H	H	H	CH(OMe)
114	H	H	H	H	ⁱ Pr	H	H	H	CH(OMe)

115	H	H	H	H	ⁿ Pr	H	H	H	CH(OMe)
116	H	H	H	H	^c Pr	H	H	H	CH(OMe)
117	H	H	H	H	^t Bu	H	H	H	CH(OMe)
118	H	H	H	H	CH ₂ OMe	H	H	H	CH(OMe)
119	H	H	H	H	Cl	H	H	H	CH(OMe)
120	H	H	H	H	CF ₃	H	H	H	CH(OMe)
121	H	H	H	H	Ph	H	H	H	CH(OMe)
122	H	H	H	H	Me	Me	H	H	CH(OMe)
123	H	H	H	H	Me	Et	H	H	CH(OMe)
124	H	H	H	H	CF ₃	Me	H	H	CH(OMe)
125	H	H	H	H	CF ₃	Et	H	H	CH(OMe)
126	H	H	H	H	^c Pr	Me	H	H	CH(OMe)
127	H	H	H	H	Et	Et	H	H	CH(OMe)
128	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(OMe)
129	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(OMe)
130	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(OMe)
131	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(OMe)
132	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(OMe)
133	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(OMe)
134	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(OMe)
135	H	H	H	H	H	H	Me	H	CH(OMe)
136	H	H	H	H	H	H	H	H	CH ^c Pent
137	Me	H	H	H	H	H	H	H	CH ^c Pent
138	H	H	Me	H	H	H	H	H	CH ^c Pent
139	H	H	H	H	Me	H	H	H	CH ^c Pent
140	H	H	H	H	Et	H	H	H	CH ^c Pent
141	H	H	H	H	ⁱ Pr	H	H	H	CH ^c Pent
142	H	H	H	H	ⁿ Pr	H	H	H	CH ^c Pent
143	H	H	H	H	^c Pr	H	H	H	CH ^c Pent
144	H	H	H	H	^t Bu	H	H	H	CH ^c Pent
145	H	H	H	H	CH ₂ OMe	H	H	H	CH ^c Pent
146	H	H	H	H	Cl	H	H	H	CH ^c Pent
147	H	H	H	H	CF ₃	H	H	H	CH ^c Pent
148	H	H	H	H	Ph	H	H	H	CH ^c Pent
149	H	H	H	H	Me	Me	H	H	CH ^c Pent
150	H	H	H	H	Me	Et	H	H	CH ^c Pent
151	H	H	H	H	CF ₃	Me	H	H	CH ^c Pent
152	H	H	H	H	CF ₃	Et	H	H	CH ^c Pent
153	H	H	H	H	^c Pr	Me	H	H	CH ^c Pent
154	H	H	H	H	Et	Et	H	H	CH ^c Pent
155	H	H	H	H	-(CH ₂) ₂ -		H	H	CH ^c Pent
156	H	H	H	H	-(CH ₂) ₃ -		H	H	CH ^c Pent
157	H	H	H	H	-(CH ₂) ₄ -		H	H	CH ^c Pent
158	H	H	H	H	-(CH ₂) ₅ -		H	H	CH ^c Pent
159	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH ^c Pent
160	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH ^c Pent
161	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH ^c Pent
162	H	H	H	H	H	H	Me	H	CH ^c Pent
163	H	H	H	H	H	H	H	H	CH ^c Hex
164	Me	H	H	H	H	H	H	H	CH ^c Hex
165	H	H	Me	H	H	H	H	H	CH ^c Hex
166	H	H	H	H	Me	H	H	H	CH ^c Hex
167	H	H	H	H	Et	H	H	H	CH ^c Hex
168	H	H	H	H	ⁱ Pr	H	H	H	CH ^c Hex
169	H	H	H	H	ⁿ Pr	H	H	H	CH ^c Hex
170	H	H	H	H	^c Pr	H	H	H	CH ^c Hex
171	H	H	H	H	^t Bu	H	H	H	CH ^c Hex
172	H	H	H	H	CH ₂ OMe	H	H	H	CH ^c Hex

173	H	H	H	H	Cl	H	H	H	CH ^c Hex
174	H	H	H	H	CF ₃	H	H	H	CH ^c Hex
175	H	H	H	H	Ph	H	H	H	CH ^c Hex
176	H	H	H	H	Me	Me	H	H	CH ^c Hex
177	H	H	H	H	Me	Et	H	H	CH ^c Hex
178	H	H	H	H	CF ₃	Me	H	H	CH ^c Hex
179	H	H	H	H	CF ₃	Et	H	H	CH ^c Hex
180	H	H	H	H	^c Pr	Me	H	H	CH ^c Hex
181	H	H	H	H	Et	Et	H	H	CH ^c Hex
182	H	H	H	H	-(CH ₂) ₂ -		H	H	CH ^c Hex
183	H	H	H	H	-(CH ₂) ₃ -		H	H	CH ^c Hex
184	H	H	H	H	-(CH ₂) ₄ -		H	H	CH ^c Hex
185	H	H	H	H	-(CH ₂) ₅ -		H	H	CH ^c Hex
186	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH ^c Hex
187	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH ^c Hex
188	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH ^c Hex
189	H	H	H	H	H	H	Me	H	CH ^c Hex
190	H	H	H	H	H	H	H	H	CHPh
191	Me	H	H	H	H	H	H	H	CHPh
192	H	H	Me	H	H	H	H	H	CHPh
193	H	H	H	H	Me	H	H	H	CHPh
194	H	H	H	H	Et	H	H	H	CHPh
195	H	H	H	H	ⁱ Pr	H	H	H	CHPh
196	H	H	H	H	ⁿ Pr	H	H	H	CHPh
197	H	H	H	H	^c Pr	H	H	H	CHPh
198	H	H	H	H	^t Bu	H	H	H	CHPh
199	H	H	H	H	CH ₂ OMe	H	H	H	CHPh
200	H	H	H	H	Cl	H	H	H	CHPh
201	H	H	H	H	CF ₃	H	H	H	CHPh
202	H	H	H	H	Ph	H	H	H	CHPh
203	H	H	H	H	Me	Me	H	H	CHPh
204	H	H	H	H	Me	Et	H	H	CHPh
205	H	H	H	H	CF ₃	Me	H	H	CHPh
206	H	H	H	H	CF ₃	Et	H	H	CHPh
207	H	H	H	H	^c Pr	Me	H	H	CHPh
208	H	H	H	H	Et	Et	H	H	CHPh
209	H	H	H	H	-(CH ₂) ₂ -		H	H	CHPh
210	H	H	H	H	-(CH ₂) ₃ -		H	H	CHPh
211	H	H	H	H	-(CH ₂) ₄ -		H	H	CHPh
212	H	H	H	H	-(CH ₂) ₅ -		H	H	CHPh
213	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CHPh
214	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CHPh
215	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CHPh
216	H	H	H	H	H	H	Me	H	CHPh
217	H	H	H	H	H	H	H	H	CH(2-FPh)
218	Me	H	H	H	H	H	H	H	CH(2-FPh)
219	H	H	Me	H	H	H	H	H	CH(2-FPh)
220	H	H	H	H	Me	H	H	H	CH(2-FPh)
221	H	H	H	H	Et	H	H	H	CH(2-FPh)
222	H	H	H	H	ⁱ Pr	H	H	H	CH(2-FPh)
223	H	H	H	H	ⁿ Pr	H	H	H	CH(2-FPh)
224	H	H	H	H	^c Pr	H	H	H	CH(2-FPh)
225	H	H	H	H	^t Bu	H	H	H	CH(2-FPh)
226	H	H	H	H	CH ₂ OMe	H	H	H	CH(2-FPh)
227	H	H	H	H	Cl	H	H	H	CH(2-FPh)
228	H	H	H	H	CF ₃	H	H	H	CH(2-FPh)
229	H	H	H	H	Ph	H	H	H	CH(2-FPh)
230	H	H	H	H	Me	Me	H	H	CH(2-FPh)

231	H	H	H	H	Me	Et	H	H	CH(2-FPh)
232	H	H	H	H	CF ₃	Me	H	H	CH(2-FPh)
233	H	H	H	H	CF ₃	Et	H	H	CH(2-FPh)
234	H	H	H	H	^c Pr	Me	H	H	CH(2-FPh)
235	H	H	H	H	Et	Et	H	H	CH(2-FPh)
236	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(2-FPh)
237	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(2-FPh)
238	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(2-FPh)
239	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(2-FPh)
240	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(2-FPh)
241	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(2-FPh)
242	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(2-FPh)
243	H	H	H	H	H	H	Me	H	CH(2-FPh)
244	H	H	H	H	H	H	H	H	CH(4-FPh)
245	Me	H	H	H	H	H	H	H	CH(4-FPh)
246	H	H	Me	H	H	H	H	H	CH(4-FPh)
247	H	H	H	H	Me	H	H	H	CH(4-FPh)
248	H	H	H	H	Et	H	H	H	CH(4-FPh)
249	H	H	H	H	ⁱ Pr	H	H	H	CH(4-FPh)
250	H	H	H	H	ⁿ Pr	H	H	H	CH(4-FPh)
251	H	H	H	H	^c Pr	H	H	H	CH(4-FPh)
252	H	H	H	H	^t Bu	H	H	H	CH(4-FPh)
253	H	H	H	H	CH ₂ OMe	H	H	H	CH(4-FPh)
254	H	H	H	H	Cl	H	H	H	CH(4-FPh)
255	H	H	H	H	CF ₃	H	H	H	CH(4-FPh)
256	H	H	H	H	Ph	H	H	H	CH(4-FPh)
257	H	H	H	H	Me	Me	H	H	CH(4-FPh)
258	H	H	H	H	Me	Et	H	H	CH(4-FPh)
259	H	H	H	H	CF ₃	Me	H	H	CH(4-FPh)
260	H	H	H	H	CF ₃	Et	H	H	CH(4-FPh)
261	H	H	H	H	^c Pr	Me	H	H	CH(4-FPh)
262	H	H	H	H	Et	Et	H	H	CH(4-FPh)
263	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(4-FPh)
264	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(4-FPh)
265	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(4-FPh)
266	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(4-FPh)
267	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(4-FPh)
268	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(4-FPh)
269	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(4-FPh)
270	H	H	H	H	H	H	Me	H	CH(4-FPh)
271	H	H	H	H	H	H	H	H	CH(4-CNPh)
272	Me	H	H	H	H	H	H	H	CH(4-CNPh)
273	H	H	Me	H	H	H	H	H	CH(4-CNPh)
274	H	H	H	H	Me	H	H	H	CH(4-CNPh)
275	H	H	H	H	Et	H	H	H	CH(4-CNPh)
276	H	H	H	H	ⁱ Pr	H	H	H	CH(4-CNPh)
277	H	H	H	H	ⁿ Pr	H	H	H	CH(4-CNPh)
278	H	H	H	H	^c Pr	H	H	H	CH(4-CNPh)
279	H	H	H	H	^t Bu	H	H	H	CH(4-CNPh)
280	H	H	H	H	CH ₂ OMe	H	H	H	CH(4-CNPh)
281	H	H	H	H	Cl	H	H	H	CH(4-CNPh)
282	H	H	H	H	CF ₃	H	H	H	CH(4-CNPh)
283	H	H	H	H	Ph	H	H	H	CH(4-CNPh)
284	H	H	H	H	Me	Me	H	H	CH(4-CNPh)
285	H	H	H	H	Me	Et	H	H	CH(4-CNPh)
286	H	H	H	H	CF ₃	Me	H	H	CH(4-CNPh)
287	H	H	H	H	CF ₃	Et	H	H	CH(4-CNPh)
288	H	H	H	H	^c Pr	Me	H	H	CH(4-CNPh)

289	H	H	H	H	Et	Et	H	H	CH(4-CNPh)
290	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(4-CNPh)
291	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(4-CNPh)
292	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(4-CNPh)
293	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(4-CNPh)
294	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(4-CNPh)
295	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(4-CNPh)
296	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(4-CNPh)
297	H	H	H	H	H	H	Me	H	CH(4-CNPh)
298	H	H	H	H	H	H	H	H	CH(2-thienyl)
299	Me	H	H	H	H	H	H	H	CH(2-thienyl)
300	H	H	Me	H	H	H	H	H	CH(2-thienyl)
301	H	H	H	H	Me	H	H	H	CH(2-thienyl)
302	H	H	H	H	Et	H	H	H	CH(2-thienyl)
303	H	H	H	H	ⁱ Pr	H	H	H	CH(2-thienyl)
304	H	H	H	H	ⁿ Pr	H	H	H	CH(2-thienyl)
305	H	H	H	H	^o Pr	H	H	H	CH(2-thienyl)
306	H	H	H	H	^t Bu	H	H	H	CH(2-thienyl)
307	H	H	H	H	CH ₂ OMe	H	H	H	CH(2-thienyl)
308	H	H	H	H	Cl	H	H	H	CH(2-thienyl)
309	H	H	H	H	CF ₃	H	H	H	CH(2-thienyl)
310	H	H	H	H	Ph	H	H	H	CH(2-thienyl)
311	H	H	H	H	Me	Me	H	H	CH(2-thienyl)
312	H	H	H	H	Me	Et	H	H	CH(2-thienyl)
313	H	H	H	H	CF ₃	Me	H	H	CH(2-thienyl)
314	H	H	H	H	CF ₃	Et	H	H	CH(2-thienyl)
315	H	H	H	H	^o Pr	Me	H	H	CH(2-thienyl)
316	H	H	H	H	Et	Et	H	H	CH(2-thienyl)
317	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(2-thienyl)
318	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(2-thienyl)
319	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(2-thienyl)
320	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(2-thienyl)
321	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(2-thienyl)
322	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(2-thienyl)
323	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(2-thienyl)
324	H	H	H	H	H	H	Me	H	CH(2-thienyl)
325	H	H	H	H	H	H	H	H	CH(3-furyl)
326	Me	H	H	H	H	H	H	H	CH(3-furyl)
327	H	H	Me	H	H	H	H	H	CH(3-furyl)
328	H	H	H	H	Me	H	H	H	CH(3-furyl)
329	H	H	H	H	Et	H	H	H	CH(3-furyl)
330	H	H	H	H	ⁱ Pr	H	H	H	CH(3-furyl)
331	H	H	H	H	ⁿ Pr	H	H	H	CH(3-furyl)
332	H	H	H	H	^o Pr	H	H	H	CH(3-furyl)
333	H	H	H	H	^t Bu	H	H	H	CH(3-furyl)
334	H	H	H	H	CH ₂ OMe	H	H	H	CH(3-furyl)
335	H	H	H	H	Cl	H	H	H	CH(3-furyl)
336	H	H	H	H	CF ₃	H	H	H	CH(3-furyl)
337	H	H	H	H	Ph	H	H	H	CH(3-furyl)
338	H	H	H	H	Me	Me	H	H	CH(3-furyl)
339	H	H	H	H	Me	Et	H	H	CH(3-furyl)
340	H	H	H	H	CF ₃	Me	H	H	CH(3-furyl)
341	H	H	H	H	CF ₃	Et	H	H	CH(3-furyl)
342	H	H	H	H	^o Pr	Me	H	H	CH(3-furyl)
343	H	H	H	H	Et	Et	H	H	CH(3-furyl)
344	H	H	H	H	-(CH ₂) ₂ -		H	H	CH(3-furyl)
345	H	H	H	H	-(CH ₂) ₃ -		H	H	CH(3-furyl)
346	H	H	H	H	-(CH ₂) ₄ -		H	H	CH(3-furyl)

347	H	H	H	H	-(CH ₂) ₅ -		H	H	CH(3-furyl)
348	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CH(3-furyl)
349	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CH(3-furyl)
350	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CH(3-furyl)
351	H	H	H	H	H	H	Me	H	CH(3-furyl)
352	H	H	H	H	H	H	H	H	CMe ₂
353	Me	H	H	H	H	H	H	H	CMe ₂
354	H	H	Me	H	H	H	H	H	CMe ₂
355	H	H	H	H	Me	H	H	H	CMe ₂
356	H	H	H	H	Et	H	H	H	CMe ₂
357	H	H	H	H	ⁱ Pr	H	H	H	CMe ₂
358	H	H	H	H	ⁿ Pr	H	H	H	CMe ₂
359	H	H	H	H	^c Pr	H	H	H	CMe ₂
360	H	H	H	H	^t Bu	H	H	H	CMe ₂
361	H	H	H	H	CH ₂ OMe	H	H	H	CMe ₂
362	H	H	H	H	Cl	H	H	H	CMe ₂
363	H	H	H	H	CF ₃	H	H	H	CMe ₂
364	H	H	H	H	Ph	H	H	H	CMe ₂
365	H	H	H	H	Me	Me	H	H	CMe ₂
366	H	H	H	H	Me	Et	H	H	CMe ₂
367	H	H	H	H	CF ₃	Me	H	H	CMe ₂
368	H	H	H	H	CF ₃	Et	H	H	CMe ₂
369	H	H	H	H	^c Pr	Me	H	H	CMe ₂
370	H	H	H	H	Et	Et	H	H	CMe ₂
371	H	H	H	H	-(CH ₂) ₂ -		H	H	CMe ₂
372	H	H	H	H	-(CH ₂) ₃ -		H	H	CMe ₂
373	H	H	H	H	-(CH ₂) ₄ -		H	H	CMe ₂
374	H	H	H	H	-(CH ₂) ₅ -		H	H	CMe ₂
375	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	CMe ₂
376	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	CMe ₂
377	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	CMe ₂
378	H	H	H	H	H	H	Me	H	CMe ₂
379	H	H	H	H	H	H	H	H	C(Me)Ph
380	Me	H	H	H	H	H	H	H	C(Me)Ph
381	H	H	Me	H	H	H	H	H	C(Me)Ph
382	H	H	H	H	Me	H	H	H	C(Me)Ph
383	H	H	H	H	Et	H	H	H	C(Me)Ph
384	H	H	H	H	ⁱ Pr	H	H	H	C(Me)Ph
385	H	H	H	H	ⁿ Pr	H	H	H	C(Me)Ph
386	H	H	H	H	^c Pr	H	H	H	C(Me)Ph
387	H	H	H	H	^t Bu	H	H	H	C(Me)Ph
388	H	H	H	H	CH ₂ OMe	H	H	H	C(Me)Ph
389	H	H	H	H	Cl	H	H	H	C(Me)Ph
390	H	H	H	H	CF ₃	H	H	H	C(Me)Ph
391	H	H	H	H	Ph	H	H	H	C(Me)Ph
392	H	H	H	H	Me	Me	H	H	C(Me)Ph
393	H	H	H	H	Me	Et	H	H	C(Me)Ph
394	H	H	H	H	CF ₃	Me	H	H	C(Me)Ph
395	H	H	H	H	CF ₃	Et	H	H	C(Me)Ph
396	H	H	H	H	^c Pr	Me	H	H	C(Me)Ph
397	H	H	H	H	Et	Et	H	H	C(Me)Ph
398	H	H	H	H	-(CH ₂) ₂ -		H	H	C(Me)Ph
399	H	H	H	H	-(CH ₂) ₃ -		H	H	C(Me)Ph
400	H	H	H	H	-(CH ₂) ₄ -		H	H	C(Me)Ph
401	H	H	H	H	-(CH ₂) ₅ -		H	H	C(Me)Ph
402	H	H	H	H	-(CH ₂)O(CH ₂)-		H	H	C(Me)Ph
403	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		H	H	C(Me)Ph
404	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	C(Me)Ph

405	H	H	H	H	H	H	Me	H	C(Me)Ph
406	H	H	H	H	H	H	H		-(CH ₂) ₂ CH-
407	H	H	H	H	H	H	H		-(CH ₂) ₃ CH-
408	H	H	H	H	Me	H	H		-(CH ₂) ₂ CH-
409	H	H	H	H	Me	H	H		-(CH ₂) ₃ CH-
410	H	H	H	H	ⁱ Pr	H	H		-(CH ₂) ₂ CH-
411	H	H	H	H	ⁱ Pr	H	H		-(CH ₂) ₃ CH-

411 compounds are described, designated compounds 32-1 to 32-411 respectively, of formula (If), wherein R¹ is H, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''}, R^{7'''}, R^{8'''} and X are as defined in Table 6.

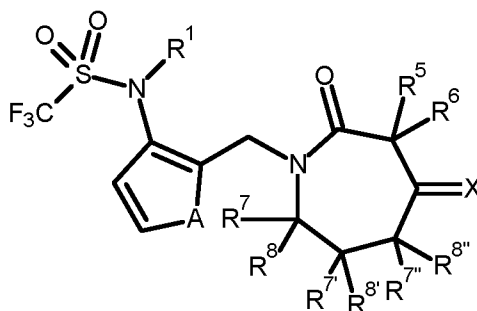
- 5 411 compounds are described, designated compounds 33-1 to 33-411 respectively, of formula (If), wherein R¹ is H, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''}, R^{7'''}, R^{8'''} and X are as defined in Table 6.

- 411 compounds are described, designated compounds 34-1 to 34-411 respectively, of formula (If), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''}, R^{7'''}, R^{8'''} and X are as defined in Table 6.
- 10

411 compounds are described, designated compounds 35-1 to 35-411 respectively, of formula (If), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''}, R^{7'''}, R^{8'''} and X are as defined in Table 6.

- 411 compounds are described, designated compounds 36-1 to 36-411 respectively, of formula (If), wherein R¹ is CO₂Et, A is S and the values of R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''}, R^{7'''}, R^{8'''} and X are as defined in Table 6.
- 15

Table 7 below provides 143 compounds, designated compounds 37-1 to 37-143 respectively, of formula (Ig), wherein R¹ is H and A is CH=CH.



(Ig)

TABLE 7

Cpd No	R ⁷	R ⁸	R ^{7'}	R ^{8'}	R ^{7''}	R ^{8''}	X	R ⁵	R ⁶
1	H	H	H	H	H	H	O	H	H
2	Me	H	H	H	H	H	O	H	H

3	H	H	Me	H	H	H	O	H	H
4	H	H	H	H	Me	H	O	H	H
5	H	H	H	H	Et	H	O	H	H
6	H	H	H	H	ⁱ Pr	H	O	H	H
7	H	H	H	H	ⁿ Pr	H	O	H	H
8	H	H	H	H	^c Pr	H	O	H	H
9	H	H	H	H	^t Bu	H	O	H	H
10	H	H	H	H	CH ₂ OMe	H	O	H	H
11	H	H	H	H	Cl	H	O	H	H
12	H	H	H	H	CF ₃	H	O	H	H
13	H	H	H	H	Ph	H	O	H	H
14	H	H	H	H	Me	Me	O	H	H
15	H	H	H	H	Me	Et	O	H	H
16	H	H	H	H	CF ₃	Me	O	H	H
17	H	H	H	H	CF ₃	Et	O	H	H
18	H	H	H	H	^c Pr	Me	O	H	H
19	H	H	H	H	Et	Et	O	H	H
20	H	H	H	H	-(CH ₂) ₂ -		O	H	H
21	H	H	H	H	-(CH ₂) ₃ -		O	H	H
22	H	H	H	H	-(CH ₂) ₄ -		O	H	H
23	H	H	H	H	-(CH ₂) ₅ -		O	H	H
24	H	H	H	H	-(CH ₂)O(CH ₂)-		O	H	H
25	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		O	H	H
26	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		O	H	H
27	H	H	H	H	H	H	O	Me	H
28	H	H	H	H	H	H	O	Et	H
29	H	H	H	H	H	H	O	Cl	H
30	H	H	H	H	H	H	O	OMe	H
31	H	H	H	H	Me	H	O	Me	H
32	H	H	H	H	Et	H	O	Me	H
33	H	H	H	H	ⁱ Pr	H	O	Me	H
34	H	H	H	H	Me	Me	O	Me	H
35	H	H	H	H	-(CH ₂) ₄ -		O	Me	H
36	H	H	H	H	Me	H	O	Et	H
37	H	H	H	H	Et	H	O	Et	H
38	H	H	H	H	ⁱ Pr	H	O	Et	H
39	H	H	H	H	Me	Me	O	Et	H
40	H	H	H	H	-(CH ₂) ₄ -		O	Et	H
41	H	H	H	H	Me	H	O	OMe	H
42	H	H	H	H	Et	H	O	OMe	H
43	H	H	H	H	ⁱ Pr	H	O	OMe	H
44	H	H	H	H	Me	Me	O	OMe	H
45	H	H	H	H	-(CH ₂) ₄ -		O	OMe	H
46	H	H	H	H	H	H	CH ₂	H	H
47	Me	H	H	H	H	H	CH ₂	H	H
48	H	H	Me	H	H	H	CH ₂	H	H
49	H	H	H	H	Me	H	CH ₂	H	H
50	H	H	H	H	Et	H	CH ₂	H	H
51	H	H	H	H	ⁱ Pr	H	CH ₂	H	H
52	H	H	H	H	ⁿ Pr	H	CH ₂	H	H
53	H	H	H	H	^c Pr	H	CH ₂	H	H
54	H	H	H	H	^t Bu	H	CH ₂	H	H
55	H	H	H	H	CH ₂ OMe	H	CH ₂	H	H
56	H	H	H	H	Cl	H	CH ₂	H	H
57	H	H	H	H	CF ₃	H	CH ₂	H	H
58	H	H	H	H	Ph	H	CH ₂	H	H
59	H	H	H	H	Me	Me	CH ₂	H	H
60	H	H	H	H	Me	Et	CH ₂	H	H

61	H	H	H	H	CF ₃	Me	CH ₂	H	H
62	H	H	H	H	CF ₃	Et	CH ₂	H	H
63	H	H	H	H	^c Pr	Me	CH ₂	H	H
64	H	H	H	H	Et	Et	CH ₂	H	H
65	H	H	H	H	-(CH ₂) ₂ -		CH ₂	H	H
66	H	H	H	H	-(CH ₂) ₃ -		CH ₂	H	H
67	H	H	H	H	-(CH ₂) ₄ -		CH ₂	H	H
68	H	H	H	H	-(CH ₂) ₅ -		CH ₂	H	H
69	H	H	H	H	-(CH ₂)O(CH ₂)-		CH ₂	H	H
70	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CH ₂	H	H
71	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CH ₂	H	H
72	H	H	H	H	H	H	CH ₂	Me	H
73	H	H	H	H	H	H	CH ₂	Et	H
74	H	H	H	H	H	H	CH ₂	Cl	H
75	H	H	H	H	H	H	CH ₂	OMe	H
76	H	H	H	H	Me	H	CH ₂	Me	H
77	H	H	H	H	Et	H	CH ₂	Me	H
78	H	H	H	H	ⁱ Pr	H	CH ₂	Me	H
79	H	H	H	H	Me	Me	CH ₂	Me	H
80	H	H	H	H	-(CH ₂) ₄ -		CH ₂	Me	H
81	H	H	H	H	Me	H	CH ₂	Et	H
82	H	H	H	H	Et	H	CH ₂	Et	H
83	H	H	H	H	ⁱ Pr	H	CH ₂	Et	H
84	H	H	H	H	Me	Me	CH ₂	Et	H
85	H	H	H	H	-(CH ₂) ₄ -		CH ₂	Et	H
86	H	H	H	H	Me	H	CH ₂	OMe	H
87	H	H	H	H	Et	H	CH ₂	OMe	H
88	H	H	H	H	ⁱ Pr	H	CH ₂	OMe	H
89	H	H	H	H	Me	Me	CH ₂	OMe	H
90	H	H	H	H	-(CH ₂) ₄ -		CH ₂	OMe	H
91	H	H	H	H	H	H	CHPh	H	H
92	Me	H	H	H	H	H	CHPh	H	H
93	H	H	Me	H	H	H	CHPh	H	H
94	H	H	H	H	Me	H	CHPh	H	H
95	H	H	H	H	Et	H	CHPh	H	H
96	H	H	H	H	ⁱ Pr	H	CHPh	H	H
97	H	H	H	H	ⁿ Pr	H	CHPh	H	H
98	H	H	H	H	^c Pr	H	CHPh	H	H
99	H	H	H	H	^t Bu	H	CHPh	H	H
100	H	H	H	H	CH ₂ OMe	H	CHPh	H	H
101	H	H	H	H	Cl	H	CHPh	H	H
102	H	H	H	H	CF ₃	H	CHPh	H	H
103	H	H	H	H	Ph	H	CHPh	H	H
104	H	H	H	H	Me	Me	CHPh	H	H
105	H	H	H	H	Me	Et	CHPh	H	H
106	H	H	H	H	CF ₃	Me	CHPh	H	H
107	H	H	H	H	CF ₃	Et	CHPh	H	H
108	H	H	H	H	^c Pr	Me	CHPh	H	H
109	H	H	H	H	Et	Et	CHPh	H	H
110	H	H	H	H	-(CH ₂) ₂ -		CHPh	H	H
111	H	H	H	H	-(CH ₂) ₃ -		CHPh	H	H
112	H	H	H	H	-(CH ₂) ₄ -		CHPh	H	H
113	H	H	H	H	-(CH ₂) ₅ -		CHPh	H	H
114	H	H	H	H	-(CH ₂)O(CH ₂)-		CHPh	H	H
115	H	H	H	H	-(CH ₂)O(CH ₂) ₂ -		CHPh	H	H
116	H	H	H	H	-(CH ₂) ₂ O(CH ₂) ₂ -		CHPh	H	H
117	H	H	H	H	H	H	CHPh	Me	H
118	H	H	H	H	H	H	CHPh	Et	H

119	H	H	H	H	H	H	CHPh	Cl	H
120	H	H	H	H	H	H	CHPh	OMe	H
121	H	H	H	H	Me	H	CHPh	Me	H
122	H	H	H	H	Et	H	CHPh	Me	H
123	H	H	H	H	ⁱ Pr	H	CHPh	Me	H
124	H	H	H	H	Me	Me	CHPh	Me	H
125	H	H	H	H	-(CH ₂) ₄ -		CHPh	Me	H
126	H	H	H	H	Me	H	CHPh	Et	H
127	H	H	H	H	Et	H	CHPh	Et	H
128	H	H	H	H	ⁱ Pr	H	CHPh	Et	H
129	H	H	H	H	Me	Me	CHPh	Et	H
130	H	H	H	H	-(CH ₂) ₄ -		CHPh	Et	H
131	H	H	H	H	Me	H	CHPh	OMe	H
132	H	H	H	H	Et	H	CHPh	OMe	H
133	H	H	H	H	ⁱ Pr	H	CHPh	OMe	H
134	H	H	H	H	Me	Me	CHPh	OMe	H
135	H	H	H	H	-(CH ₂) ₄ -		CHPh	OMe	H
136	H	H	H	H	H	H	-CH(CH ₂) ₂ -		H
137	H	H	H	H	H	H	-CH(CH ₂) ₃ -		H
138	H	H	H	H	Me	H	-CH(CH ₂) ₂ -		H
139	H	H	H	H	Me	H	-CH(CH ₂) ₃ -		H
140	H	H	H	H	ⁱ Pr	H	-CH(CH ₂) ₂ -		H
141	H	H	H	H	ⁱ Pr	H	-CH(CH ₂) ₃ -		H
142	H	H	H	H	H	-(CH ₂) ₂ CH-		H	H
143	H	H	H	H	H	-(CH ₂) ₃ CH-		H	H

143 compounds are described, designated compounds 38-1 to 38-143 respectively, of formula (Ig), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 7.

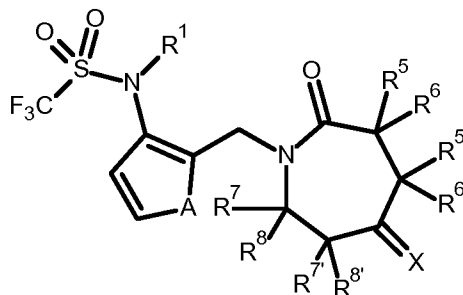
- 5 143 compounds are described, designated compounds 39-1 to 39-143 respectively, of formula (Ig), wherein R¹ is H, A is S and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 7.

- 10 143 compounds are described, designated compounds 40-1 to 40-143 respectively, of formula (Ig), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 7.

143 compounds are described, designated compounds 41-1 to 41-143 respectively, of formula (Ig), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 7.

- 15 143 compounds are described, designated compounds 42-1 to 42-143 respectively, of formula (Ig), wherein R¹ is CO₂Et, A is S and the values of R⁵, R⁶, R⁷, R⁸, R^{7'}, R^{8'}, R^{7''}, R^{8''} and X are as defined in Table 7.

Table 8 below provides 31 compounds, designated compounds 43-1 to 43-31 respectively, of formula (Ih), wherein R¹ is H and A is CH=CH.



(Ih)

TABLE 8

Cpd No	R ¹	R ⁸	R ^{7'}	R ^{8'}	X	R ^{5'}	R ^{6'}	R ⁵	R ⁶
1	H	H	H	H	O	H	H	H	H
2	Me	H	H	H	O	H	H	H	H
3	H	H	Me	H	O	H	H	H	H
4	H	H	H	H	O	Me	H	H	H
5	H	H	H	H	O	H	H	Me	H
6	H	H	H	H	O	H	H	Et	H
7	H	H	H	H	O	H	H	Cl	H
8	H	H	H	H	O	H	H	OMe	H
9	H	H	H	H	O	Me	H	OMe	H
10	H	H	H	H	CH ₂	H	H	H	H
11	Me	H	H	H	CH ₂	H	H	H	H
12	H	H	Me	H	CH ₂	H	H	H	H
13	H	H	H	H	CH ₂	Me	H	H	H
14	H	H	H	H	CH ₂	H	H	Me	H
15	H	H	H	H	CH ₂	H	H	Et	H
16	H	H	H	H	CH ₂	H	H	Cl	H
17	H	H	H	H	CH ₂	H	H	OMe	H
18	H	H	H	H	CH ₂	Me	H	OMe	H
19	H	H	H	H	CHPh	H	H	H	H
20	Me	H	H	H	CHPh	H	H	H	H
21	H	H	Me	H	CHPh	H	H	H	H
22	H	H	H	H	CHPh	Me	H	H	H
23	H	H	H	H	CHPh	H	H	Me	H
24	H	H	H	H	CHPh	H	H	Et	H
25	H	H	H	H	CHPh	H	H	Cl	H
26	H	H	H	H	CHPh	H	H	OMe	H
27	H	H	H	H	CHPh	Me	H	OMe	H
28	H	H	H	H	-CH(CH ₂) ₂ -	H	H	H	H
29	H	H	H	H	-CH(CH ₂) ₃ -	H	H	H	H
30	H	H	H	H	-(CH ₂) ₂ CH-	H	H	H	H
31	H	H	H	H	-(CH ₂) ₃ CH-	H	H	H	H

5 31 compounds are described, designated compounds 44-1 to 44-31 respectively, of formula (Ih), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 8.

31 compounds are described, designated compounds 45-1 to 45-31 respectively, of formula (Ih), wherein R¹ is H, A is S and the values of R⁵, R⁶, R^{5'}, R^{6'}, R⁷, R⁸, R^{7'}, R^{8'} and X are as defined in Table 8.

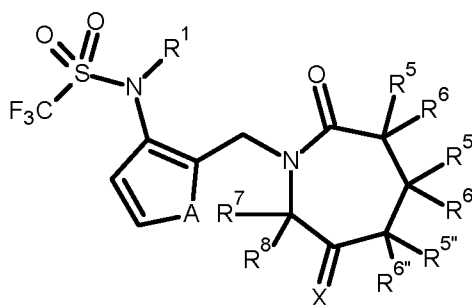
10

31 compounds are described, designated compounds 46-1 to 46-31 respectively, of formula (Ih), wherein R^1 is CO_2Et , A is $\text{CH}=\text{CH}$ and the values of R^5 , R^6 , $R^{5'}$, $R^{6'}$, R^7 , R^8 , $R^{7'}$, $R^{8'}$ and X are as defined in Table 8.

31 compounds are described, designated compounds 47-1 to 47-31 respectively, of formula (Ih), wherein R^1 is CO_2Et , A is $\text{CF}=\text{CH}$ and the values of R^5 , R^6 , $R^{5'}$, $R^{6'}$, R^7 , R^8 , $R^{7'}$, $R^{8'}$ and X are as defined in Table 8.

31 compounds are described, designated compounds 48-1 to 48-31 respectively, of formula (Ih), wherein R^1 is CO_2Et , A is S and the values of R^5 , R^6 , $R^{5'}$, $R^{6'}$, R^7 , R^8 , $R^{7'}$, $R^{8'}$ and X are as defined in Table 8.

10 Table 9 below provides 142 compounds, designated compounds 49-1 to 49-142 respectively, of formula (Ii), wherein R^1 is H and A is $\text{CH}=\text{CH}$.



(Ii)

TABLE 9

Cpd No	R^7	R^8	X	$R^{5''}$	$R^{6''}$	$R^{5'}$	$R^{6'}$	R^5	R^6
1	H	H	O	H	H	H	H	H	H
2	Me	H	O	H	H	H	H	H	H
3	H	H	O	Me	H	H	H	H	H
4	H	H	O	Et	H	H	H	H	H
5	H	H	O	ⁱ Pr	H	H	H	H	H
6	H	H	O	ⁿ Pr	H	H	H	H	H
7	H	H	O	^c Pr	H	H	H	H	H
8	H	H	O	^t Bu	H	H	H	H	H
9	H	H	O	CH_2OMe	H	H	H	H	H
10	H	H	O	Cl	H	H	H	H	H
11	H	H	O	CF_3	H	H	H	H	H
12	H	H	O	Ph	H	H	H	H	H
13	H	H	O	Me	Me	H	H	H	H
14	H	H	O	Me	Et	H	H	H	H
15	H	H	O	CF_3	Me	H	H	H	H
16	H	H	O	CF_3	Et	H	H	H	H
17	H	H	O	^c Pr	Me	H	H	H	H
18	H	H	O	Et	Et	H	H	H	H
19	H	H	O	$-(\text{CH}_2)_2-$		H	H	H	H
20	H	H	O	$-(\text{CH}_2)_3-$		H	H	H	H
21	H	H	O	$-(\text{CH}_2)_4-$		H	H	H	H

22	H	H	O	-(CH ₂) ₅ -		H	H	H	H
23	H	H	O	-(CH ₂)O(CH ₂)-		H	H	H	H
24	H	H	O	-(CH ₂)O(CH ₂) ₂ -		H	H	H	H
25	H	H	O	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	H	H
26	H	H	O	H	H	Me	H	H	H
27	H	H	O	H	H	H	H	Me	H
28	H	H	O	H	H	H	H	Et	H
29	H	H	O	H	H	H	H	Cl	H
30	H	H	O	H	H	H	H	OMe	H
31	H	H	O	H	H	Me	H	OMe	H
32	H	H	O	Me	H	H	H	Me	H
33	H	H	O	Et	H	H	H	Me	H
34	H	H	O	ⁱ Pr	H	H	H	Me	H
35	H	H	O	Me	Me	H	H	Me	H
36	H	H	O	-(CH ₂) ₄ -		H	H	Me	H
37	H	H	O	Me	H	H	H	Et	H
38	H	H	O	Et	H	H	H	Et	H
39	H	H	O	ⁱ Pr	H	H	H	Et	H
40	H	H	O	Me	Me	H	H	Et	H
41	H	H	O	-(CH ₂) ₄ -		H	H	Et	H
42	H	H	O	Me	H	H	H	OMe	H
43	H	H	O	Et	H	H	H	OMe	H
44	H	H	O	ⁱ Pr	H	H	H	OMe	H
45	H	H	O	Me	Me	H	H	OMe	H
46	H	H	O	-(CH ₂) ₄ -		H	H	OMe	H
47	H	H	CH ₂	H	H	H	H	H	H
48	Me	H	CH ₂	H	H	H	H	H	H
49	H	H	CH ₂	Me	H	H	H	H	H
50	H	H	CH ₂	Et	H	H	H	H	H
51	H	H	CH ₂	ⁱ Pr	H	H	H	H	H
52	H	H	CH ₂	ⁿ Pr	H	H	H	H	H
53	H	H	CH ₂	^c Pr	H	H	H	H	H
54	H	H	CH ₂	^t Bu	H	H	H	H	H
55	H	H	CH ₂	CH ₂ OMe	H	H	H	H	H
56	H	H	CH ₂	Cl	H	H	H	H	H
57	H	H	CH ₂	CF ₃	H	H	H	H	H
58	H	H	CH ₂	Ph	H	H	H	H	H
59	H	H	CH ₂	Me	Me	H	H	H	H
60	H	H	CH ₂	Me	Et	H	H	H	H
61	H	H	CH ₂	CF ₃	Me	H	H	H	H
62	H	H	CH ₂	CF ₃	Et	H	H	H	H
63	H	H	CH ₂	^c Pr	Me	H	H	H	H
64	H	H	CH ₂	Et	Et	H	H	H	H
65	H	H	CH ₂	-(CH ₂) ₂ -		H	H	H	H
66	H	H	CH ₂	-(CH ₂) ₃ -		H	H	H	H
67	H	H	CH ₂	-(CH ₂) ₄ -		H	H	H	H
68	H	H	CH ₂	-(CH ₂) ₅ -		H	H	H	H
69	H	H	CH ₂	-(CH ₂)O(CH ₂)-		H	H	H	H
70	H	H	CH ₂	-(CH ₂)O(CH ₂) ₂ -		H	H	H	H
71	H	H	CH ₂	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	H	H
72	H	H	CH ₂	H	H	Me	H	H	H
73	H	H	CH ₂	H	H	H	H	Me	H
74	H	H	CH ₂	H	H	H	H	Et	H
75	H	H	CH ₂	H	H	H	H	Cl	H
76	H	H	CH ₂	H	H	H	H	OMe	H
77	H	H	CH ₂	H	H	Me	H	OMe	H
78	H	H	CH ₂	Me	H	H	H	Me	H
79	H	H	CH ₂	Et	H	H	H	Me	H

80	H	H	CH ₂	ⁱ Pr	H	H	H	Me	H
81	H	H	CH ₂	Me	Me	H	H	Me	H
82	H	H	CH ₂	-(CH ₂) ₄ -		H	H	Me	H
83	H	H	CH ₂	Me	H	H	H	Et	H
84	H	H	CH ₂	Et	H	H	H	Et	H
85	H	H	CH ₂	ⁱ Pr	H	H	H	Et	H
86	H	H	CH ₂	Me	Me	H	H	Et	H
87	H	H	CH ₂	-(CH ₂) ₄ -		H	H	Et	H
88	H	H	CH ₂	Me	H	H	H	OMe	H
89	H	H	CH ₂	Et	H	H	H	OMe	H
90	H	H	CH ₂	ⁱ Pr	H	H	H	OMe	H
91	H	H	CH ₂	Me	Me	H	H	OMe	H
92	H	H	CH ₂	-(CH ₂) ₄ -		H	H	OMe	H
93	H	H	CHPh	H	H	H	H	H	H
94	Me	H	CHPh	H	H	H	H	H	H
95	H	H	CHPh	Me	H	H	H	H	H
96	H	H	CHPh	Et	H	H	H	H	H
97	H	H	CHPh	ⁱ Pr	H	H	H	H	H
98	H	H	CHPh	ⁿ Pr	H	H	H	H	H
99	H	H	CHPh	^c Pr	H	H	H	H	H
100	H	H	CHPh	^t Bu	H	H	H	H	H
101	H	H	CHPh	CH ₂ OMe	H	H	H	H	H
102	H	H	CHPh	Cl	H	H	H	H	H
103	H	H	CHPh	CF ₃	H	H	H	H	H
104	H	H	CHPh	Ph	H	H	H	H	H
105	H	H	CHPh	Me	Me	H	H	H	H
106	H	H	CHPh	Me	Et	H	H	H	H
107	H	H	CHPh	CF ₃	Me	H	H	H	H
108	H	H	CHPh	CF ₃	Et	H	H	H	H
109	H	H	CHPh	^c Pr	Me	H	H	H	H
110	H	H	CHPh	Et	Et	H	H	H	H
111	H	H	CHPh	-(CH ₂) ₂ -		H	H	H	H
112	H	H	CHPh	-(CH ₂) ₃ -		H	H	H	H
113	H	H	CHPh	-(CH ₂) ₄ -		H	H	H	H
114	H	H	CHPh	-(CH ₂) ₅ -		H	H	H	H
115	H	H	CHPh	-(CH ₂)O(CH ₂)-		H	H	H	H
116	H	H	CHPh	-(CH ₂)O(CH ₂) ₂ -		H	H	H	H
117	H	H	CHPh	-(CH ₂) ₂ O(CH ₂) ₂ -		H	H	H	H
118	H	H	CHPh	H	H	Me	H	H	H
119	H	H	CHPh	H	H	H	H	Me	H
120	H	H	CHPh	H	H	H	H	Et	H
121	H	H	CHPh	H	H	H	H	Cl	H
122	H	H	CHPh	H	H	H	H	OMe	H
123	H	H	CHPh	H	H	Me	H	OMe	H
124	H	H	CHPh	Me	H	H	H	Me	H
125	H	H	CHPh	Et	H	H	H	Me	H
126	H	H	CHPh	ⁱ Pr	H	H	H	Me	H
127	H	H	CHPh	Me	Me	H	H	Me	H
128	H	H	CHPh	-(CH ₂) ₄ -		H	H	Me	H
129	H	H	CHPh	Me	H	H	H	Et	H
130	H	H	CHPh	Et	H	H	H	Et	H
131	H	H	CHPh	ⁱ Pr	H	H	H	Et	H
132	H	H	CHPh	Me	Me	H	H	Et	H
133	H	H	CHPh	-(CH ₂) ₄ -		H	H	Et	H
134	H	H	CHPh	Me	H	H	H	OMe	H
135	H	H	CHPh	Et	H	H	H	OMe	H
136	H	H	CHPh	ⁱ Pr	H	H	H	OMe	H
137	H	H	CHPh	Me	Me	H	H	OMe	H

138	H	H	CHPh	-(CH ₂) ₄ -	H	H	OMe	H
139	H	H	-CH(CH ₂) ₂ -	H	H	H	H	H
140	H	H	-CH(CH ₂) ₃ -	H	H	H	H	H
141	H		-(CH ₂) ₂ CH-	H	H	H	H	H
142	H		-(CH ₂) ₃ CH-	H	H	H	H	H

142 compounds are described, designated compounds 50-1 to 50-142 respectively, of formula (li), wherein R¹ is H, A is CF=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R^{5''}, R^{6''}, R⁷, R⁸ and X are as defined in Table 9.

5 142 compounds are described, designated compounds 51-1 to 51-142 respectively, of formula (li), wherein R¹ is H, A is S and the values of R⁵, R⁶, R^{5'}, R^{6'}, R^{5''}, R^{6''}, R⁷, R⁸ and X are as defined in Table 9.

142 compounds are described, designated compounds 52-1 to 52-142 respectively, of formula (li), wherein R¹ is CO₂Et, A is CH=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R^{5''}, R^{6''}, R⁷, R⁸ and X are as defined in Table 9.

142 compounds are described, designated compounds 53-1 to 53-142 respectively, of formula (li), wherein R¹ is CO₂Et, A is CF=CH and the values of R⁵, R⁶, R^{5'}, R^{6'}, R^{5''}, R^{6''}, R⁷, R⁸ and X are as defined in Table 9.

15 142 compounds are described, designated compounds 54-1 to 54-142 respectively, of formula (li), wherein R¹ is CO₂Et, A is S and the values of R⁵, R⁶, R^{5'}, R^{6'}, R^{5''}, R^{6''}, R⁷, R⁸ and X are as defined in Table 9.

The compounds of formula (I) may exist as different geometric isomers, or in different 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.

20 The compounds of this invention may contain one or more asymmetric centers and may thus give rise to optical isomers and diastereomers. While shown without respect to stereochemistry, the present invention includes all such optical isomers and diastereomers as well as the racemic and resolved, enantiomerically pure R and S stereoisomers and other mixtures of the R and S stereoisomers and agrochemically acceptable salts thereof. It is
25 recognized that certain optical isomers, or diastereomers may have favorable properties over the other. Thus when disclosing and claiming the invention, when a racemic mixture is disclosed, it is clearly contemplated that both optical isomers, including diastereomers substantially free of the other are disclosed and claimed as well.

Alkyl, as used herein, means an aliphatic hydrocarbon chain and includes straight and
30 branched chains e. g. of 1 to 8 carbon atoms such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, t-butyl, n-pentyl, isopentyl, neo-pentyl, n-hexyl, and isohexyl.

Cycloalkyl, as used herein, means a cyclic, saturated hydrocarbon group having from 3 to 6 ring carbon atoms. Examples of cycloalkyl groups are cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

5 Cycloalkylalkyl, as used herein, means a radical –R-cycloalkyl, wherein R is alkyl as defined above.

Alkenyl, as used herein, means an aliphatic hydrocarbon chain having at least one double bond, and preferably one double bond, and includes straight and branched chains e. g. of 2 to 8 carbon atoms such as ethenyl (vinyl), prop-1-enyl, prop-2-enyl (allyl), isopropenyl, but-1-enyl, but-2-enyl, but-3-enyl, 2-methypropenyl.

10 Alkynyl, as used herein, means an aliphatic hydrocarbon chain having at least one triple bond, and preferably one triple bond, and includes straight and branched chains e. g. of 2 to 8 carbon atoms such as ethynyl, prop-1-ynyl, prop-2-ynyl (propargyl), but-1-ynyl, but-2-ynyl and but-3-ynyl.

15 Alkylene, as used herein, means a linear saturated divalent hydrocarbon radical of one to six carbon atoms or a branched saturated divalent hydrocarbon radical of three to six carbon atoms, e.g. methylene, ethylene, propylene, 2-methylpropylene and the like.

Alkoxy, as used herein, means a radical –OR, wherein R is alkyl as defined above. Examples of alkoxy groups include methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, t-butoxy, n-pentoxy, isopentoxy, neo-pentoxy, n-hexyloxy, and isohexyloxy.

20 Alkoxyalkyl, as used herein, means a radical –ROR, wherein each R is, independently, an alkyl group as defined above.

Alkoxyalkoxy, as used herein, means a radical –OROR, wherein each R is, independently, alkyl as defined above.

25 Alkoxyalkoxyalkyl, as used herein, means a radical –ROROR, wherein each R is, independently, alkyl as defined above.

Cyanoalkyl, as used herein, means an alkyl group as defined above substituted with one or more cyano groups.

30 Alkylthio, as used herein, means a radical –SR, wherein R is alkyl as defined above. Alkylthio groups include, but are not limited to, methylthio, ethylthio, propylthio, tert-butylthio, and the like.

Alkylthioalkyl, as used herein, means a radical –RSR, wherein each R is, independently, alkyl as defined above.

Halogen, halide and halo refer to iodine, bromine, chlorine and fluorine.

Haloalkyl, as used herein, means an alkyl group as defined above wherein at least one hydrogen atom has been replaced with a halogen atom as defined above. Examples of haloalkyl groups include chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl and trifluoromethyl. Preferred haloalkyl groups are fluoroalkyl groups {i.e. haloalkyl groups, containing
5 fluorine as the only halogen}. More highly preferred haloalkyl groups are perfluoroalkyl groups, i.e. alkyl groups wherein all the hydrogen atoms are replaced with fluorine atoms.

Haloalkoxy, as used herein, means a radical $-OR$, wherein R is haloalkyl as defined above.

Haloalkoxyalkyl, as used herein, means a radical $-ROR'$, wherein R is alkyl as defined
10 above and R' is haloalkyl as defined above.

Haloalkylthio, as used herein, means a radical $-SR$, wherein R is haloalkyl as defined above.

Alkylcarbonyl, as used herein, means a radical $-C(O)R$, wherein R is alkyl as defined above.

15 Alkenylcarbonyl, as used herein, means a radical $-C(O)R$, wherein R is alkenyl as defined above.

Cycloalkylcarbonyl, as used herein, means a radical $-C(O)R$, wherein R is cycloalkyl as defined above.

20 Alkoxycarbonyl, as used herein, means a radical $-C(O)OR$, wherein R is alkyl as defined above.

Alkoxyalkoxy carbonyl, as used herein, means a radical $-C(O)OROR$, wherein each R is, independently, alkyl as defined above.

Alkenyloxy carbonyl, as used herein, means a radical $-C(O)OR$, wherein R is alkenyl as defined above.

25 Propargyloxy carbonyl, as used herein, means a radical $-C(O)OR$, wherein R is propargyl.

Alkylcarbonylalkyl, as used herein, means a radical $-RC(O)R$, wherein each R is, independently, alkyl as defined above.

Alkoxy carbonylalkyl, as used herein, means a radical $-RC(O)OR$, wherein each R is, independently, alkyl as defined above.

30 Alkoxyalkylcarbonyl, as used herein, means a radical $-C(O)ROR$, wherein each R is, independently, alkyl as defined above.

Alkoxycarbonylalkylcarbonyl, as used herein, means a radical $-C(O)RC(O)OR$, wherein each R is, independently, alkyl as defined above.

Alkylthiocarbonyl, as used herein, means a radical $-C(O)SR$, wherein R is alkyl as defined above.

- 5 Haloalkylcarbonyl, as used herein means a radical $-C(O)R$, wherein R is haloalkyl as defined above.

Haloalkoxycarbonyl, as used herein, means a radical $-C(O)OR$, wherein R is haloalkyl as defined above.

- 10 Alkylcarbonyloxy, as used herein, means a radical $-OC(O)R$, wherein R is an alkyl group as defined above.

Alkylcarbonyloxyalkyl, as used herein, means a radical $-ROC(O)R$, wherein each R is, independently, alkyl as defined above.

Alkoxycarbonyloxyalkyl, as used herein, means a radical $-ROC(O)OR$, wherein each R is, independently, alkyl as defined above.

- 15 Alkylsulphonyl, as used herein, means a radical $-S(O)_2R$, wherein R is alkyl as defined above.

Haloalkylsulphonyl, as used herein, means a radical $-S(O)_2R$, wherein R is haloalkyl as defined above.

- 20 Alkylsulphonylalkyl, as used herein, means a radical $-RS(O)_2R$, wherein each R is, independently, alkyl as defined above.

Hydroxy or hydroxyl, as used herein, means the group $-OH$.

Nitro, as used herein, means the group $-NO_2$.

Cyano, or nitrile, as used herein, means the group $-CN$.

Oxo, as used herein, means the group $=O$.

- 25 Aryl, as used herein, means an unsaturated aromatic carbocyclic group of from 6 to 10 carbon atoms having a single ring (e.g., phenyl) or multiple condensed (fused) rings, at least one of which is aromatic (e.g., indanyl, naphthyl). Preferred aryl groups include phenyl, naphthyl and the like. Most preferably, an aryl group is a phenyl group.

Aryloxy, as used herein, means a radical $-O-Aryl$, wherein Aryl is as defined above.

- 30 Preferred aryloxy groups include phenoxy, naphthyloxy and the like.

Arylalkyl, as used herein, means a radical $-R-Aryl$, wherein R is alkyl as defined above.

Arylalkoxyalkyl, as used herein, means a radical -ROR-Aryl , wherein each R is, independently, alkyl as defined above.

Aryloxyalkyl, as used herein, means a radical -RO-Aryl , wherein R is alkyl as defined above.

5 Arylthio, as used herein, means a radical -S-Aryl .

Arylthioalkyl, as used herein, means a radical -RS-Aryl , wherein R is alkyl as defined above.

Arylalkylthioalkyl, as used herein, means a radical -RSR-Aryl , wherein each R is, independently, alkyl as defined above.

10 Arylcarbonyl, as used herein, means a radical -C(O)-Aryl .

Arylcarbonylalkyl, as used herein, means a radical -RC(O)-Aryl , wherein R is alkyl as defined above.

Aryloxy carbonyl, as used herein, means a radical -C(O)O-Aryl .

15 Arylalkoxycarbonyl, as used herein, means a radical -C(O)OR-Aryl , wherein R is alkyl as defined above.

Aryloxyalkylcarbonyl, as used herein, means a radical -C(O)RO-Aryl , wherein R is alkyl as defined above.

Arylcarbonyloxyalkyl, as used herein, means a radical -ROC(O)-Aryl , wherein R is alkyl as defined above.

20 Aryloxy carbonyloxyalkyl, as used herein, means a radical -ROC(O)O-Aryl , wherein R is alkyl as defined above.

Arylsulphonyl, as used herein, means a radical $\text{-S(O)}_2\text{-Aryl}$.

Heteroaryl, as used herein, means a ring system containing 5 to 10 ring atoms, 1 to 4 ring heteroatoms and consisting either of a single aromatic ring or of two or more fused rings, at least one of which is aromatic. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be independently chosen from nitrogen, oxygen and sulfur. Examples of such groups include pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl and tetrazolyl. Examples of bicyclic groups are benzothiophenyl, benzimidazolyl, benzothiadiazolyl, quinolinyl, cinnolinyl, quinoxalinyl and pyrazolo[1,5-a]pyrimidinyl.

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Heteroarylalkyl, as used herein, means a radical $-R-Het$, wherein R is alkyl as defined above and Het is heteroaryl as defined above.

Heterocyclyl, as used herein, means a non-aromatic ring system containing 3 to 10 ring atoms, at least one ring heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulfur. Examples of such groups include pyrrolidinyl, imidazoliny, pyrazolidinyl, piperidyl, piperazinyl, quinuclidinyl, morpholinyl, tetrahydrofuranyl, together with unsaturated or partially unsaturated analogues such as 4,5,6,7-tetrahydro-benzothiophenyl, chromen-4-onyl, 9H-fluorenyl, 3,4-dihydro-2H-benzo-1,4-dioxepinyl, 2,3-dihydro-benzofuranyl, piperidinyl, 1,3-dioxolanyl, 1,3-dioxanyl and 4,5-dihydro-isoxazolyl.

Aminocarbonyl, as used herein, means a radical $-C(O)NH_2$.

Alkylaminocarbonyl, as used herein, means a radical $-C(O)NRH$, wherein R is alkyl as defined above.

Dialkylaminocarbonyl, as used herein, means a radical $-C(O)NRR$, wherein each R is, independently, alkyl as defined above.

Alkoxy-carbonylaminoalkyl, as used herein, means a radical $-RNHC(O)OR$, wherein each R is, independently, alkyl as defined above.

'Optionally substituted' as used herein means the group referred to can be substituted at one or more positions by any one or any combination of the radicals listed thereafter. For most groups, one or more hydrogen atoms are replaced by the radicals listed thereafter. For halogenated groups, for example, haloalkyl groups, one or more halogen atoms are replaced by the radicals listed thereafter.

Suitable salts include those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Preferred cations include sodium, potassium, magnesium, and ammonium cations of the formula $N^+(R^{29}R^{30}R^{31}R^{32})$ wherein R^{29} , R^{30} , R^{31} and R^{32} are independently selected from hydrogen, C_1 - C_6 alkyl and C_1 - C_6 hydroxyalkyl. Salts of the compounds of formula (I) can be prepared by treatment of compounds of formula (I) with a metal hydroxide, such as sodium hydroxide, or an amine, such as ammonia, trimethylamine, diethanolamine, 2-methylthiopropylamine, bisallylamine, 2-butoxyethylamine, morpholine, cyclododecylamine, or benzylamine. Amine salts are often preferred forms of the compounds of formula (I) because they are water-soluble and lend themselves to the preparation of desirable aqueous based herbicidal compositions.

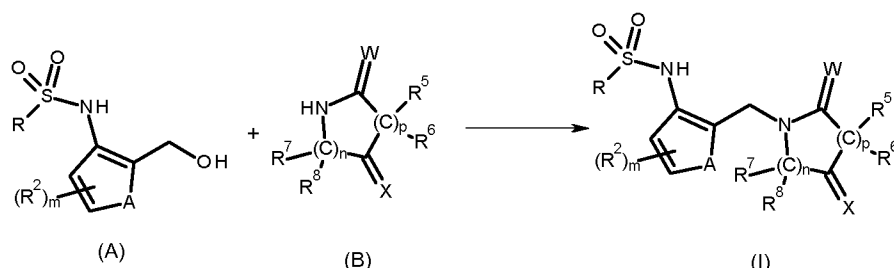
Acceptable salts can also be formed from organic and inorganic acids, for example, acetic, propionic, lactic, citric, tartaric, succinic, fumaric, maleic, malonic, mandelic, malic, phthalic, hydrochloric, hydrobromic, phosphoric, nitric, sulfuric, methanesulfonic, naphthalenesulfonic,

benzenesulfonic, toluenesulfonic, camphorsulfonic, and similarly known acceptable acids when a compound of this invention contains a basic moiety.

Compounds of the invention may be prepared by techniques known to the person skilled in the art of organic chemistry. General methods for the production of compounds of formula (I) are described below. Unless otherwise stated in the text, the substituents R, R¹, R², R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, m, n, p, A, W and X are as defined hereinbefore. The abbreviations LG and LG' as used herein refer to any suitable leaving group, and includes halogen and sulphonate groups. The abbreviation R' as used herein refers to an alkyl group, typically a methyl or ethyl group. The starting materials used for the preparation of the compounds of the invention may be purchased from usual commercial suppliers or may be prepared by known methods. The starting materials as well as the intermediates may be purified before use in the next step by state of the art methodologies such as chromatography, crystallization, distillation and filtration.

Compounds of formula (I) in which R¹ is H may be prepared from compounds of formula (A) and compounds of formula (B) as shown in reaction scheme 1.

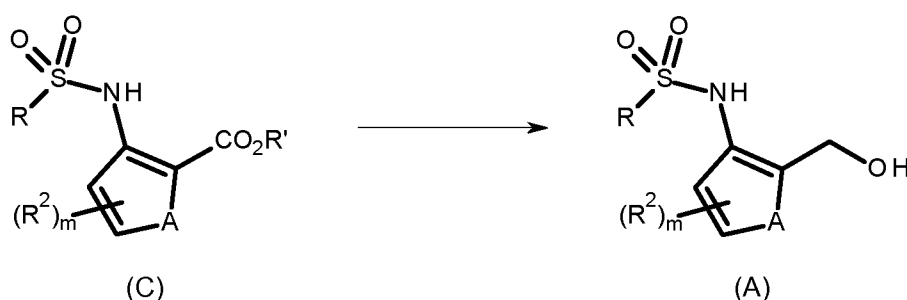
Reaction scheme 1



For example, A compound of formula (A) may be heated with a compound of formula (B) in the presence of a catalyst, for example an acid, such as para-toluene sulphonic acid, in a suitable solvent, for example toluene or chlorobenzene. The process may conveniently be carried out using microwave heating or conventional heating in an apparatus for the removal of water, for example a Dean-Stark trap.

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

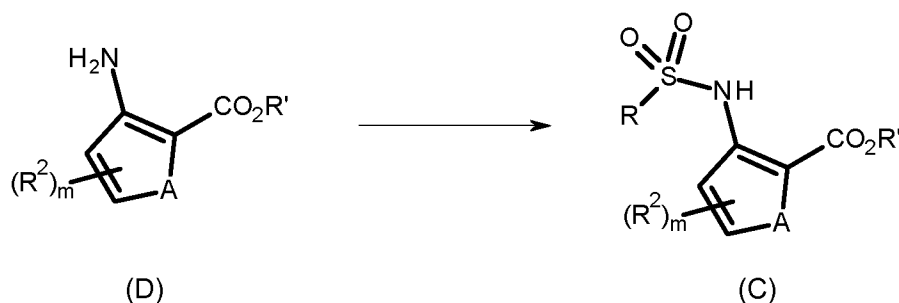
Reaction scheme 2



For example, a compound of formula (C) may be treated with a reducing agent such as lithium aluminium hydride in a suitable solvent such as tetrahydrofuran.

Compounds of formula (C) may be prepared from compounds of formula (D) as shown in reaction scheme 3.

5 Reaction scheme 3

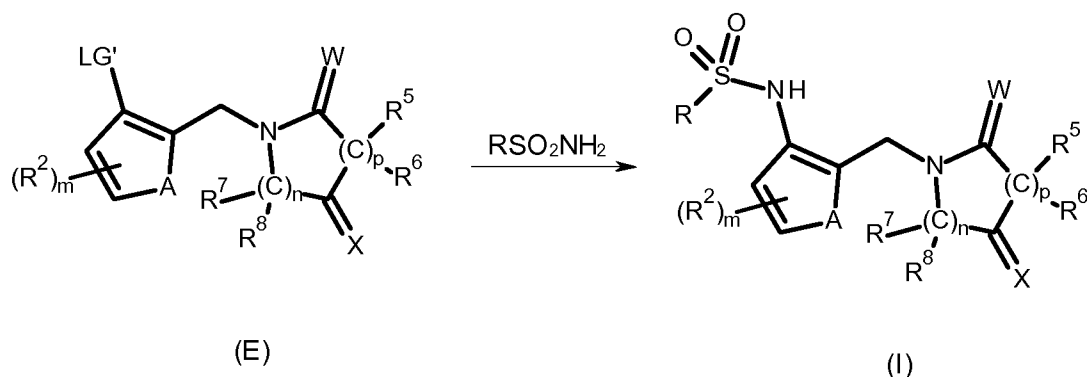


For example, a compound of formula (D) may be treated with a sulfonylating reagent, for example a sulphonic anhydride such as trifluoromethanesulphonic anhydride or a sulphonyl halide such as trifluoromethanesulphonyl chloride, in the presence of a base, for example an organic base such as triethylamine, in a suitable solvent, for example dichloromethane.

Compounds of formula (D) are commercially available or can be made by standard methods well known in the chemical literature.

Compounds of formula (I) in which R^1 is H may alternatively be prepared from compounds of formula (E) as shown in reaction scheme 4.

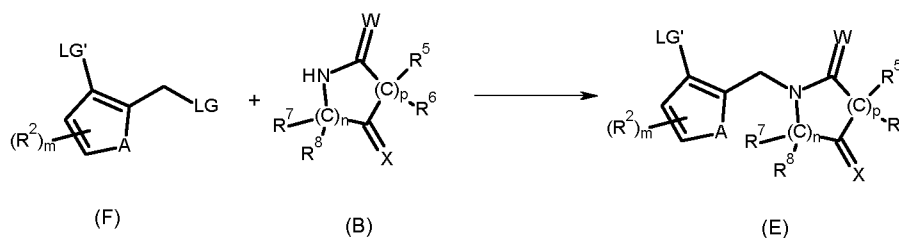
15 Reaction scheme 4



For example, a compound of formula (E) in which LG' is a halogen, for example a bromine atom, may be treated with a sulphonamide RSO_2NH_2 in the presence of a base, for example an inorganic base such as caesium carbonate or sodium hydride, in a suitable solvent such as dioxane. Optionally the reaction may be performed in the presence of a suitable catalyst, for example a metal catalyst such as a palladium dibenzylidene acetone complex, and optionally a ligand, for example a phosphine ligand such as XantPhos.

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

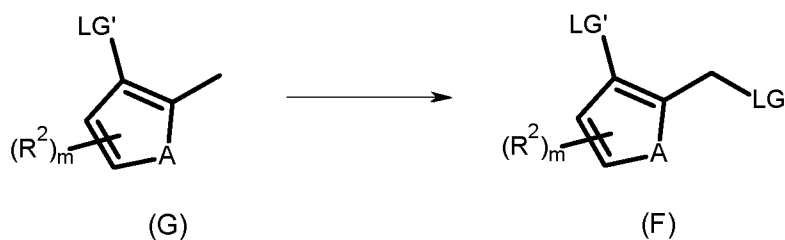
Reaction scheme 5



- 5 For example, a compound of formula (F) in which LG and LG' are halogens, for example chlorine atoms, may be reacted with a compound of formula (B) in the presence of a base, for example an inorganic base such as sodium hydride, in a suitable solvent, for example tetrahydrofuran.

- 10 Compounds of formula (F) are commercially available or can be made by standard methods well known in the chemical literature. For example, compounds of formula (F) may be prepared from compounds of formula (G) as shown in reaction scheme 6.

Reaction scheme 6

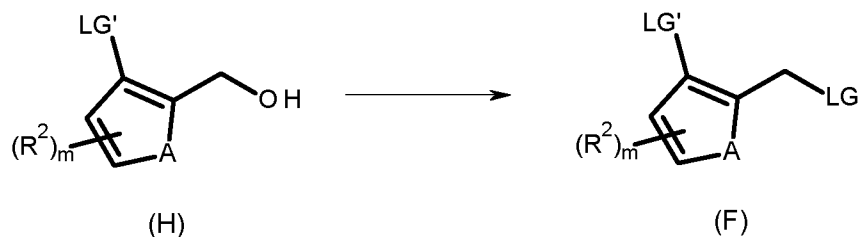


- 15 For example, a compound of formula (G) may be treated with a halogenating agent, for example *N*-bromosuccinimide, in a suitable solvent, for example carbon tetrachloride, optionally in the presence of a reaction initiator, for example a radical initiator such as azobisisobutyronitrile or irradiation with UV or visible light.

Compounds of formula (G) are commercially available or can be made by standard methods well known in the chemical literature.

- 20 Alternatively, compounds of formula (F) may be prepared from compounds of formula (H) as shown in reaction scheme 7.

Reaction scheme 7

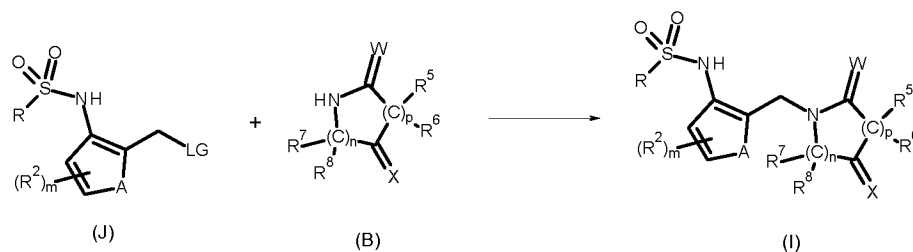


For example, a compound of formula (H) may be treated with a halogenating agent, for example, thionyl chloride, in a suitable solvent, for example dichloromethane.

Compounds of formula (H) are commercially available or can be made by standard methods well known in the chemical literature.

Compounds of formula (I) in which R^1 is H may alternatively be prepared from compounds of formula (J) and compounds of formula (B) as shown in reaction scheme 8.

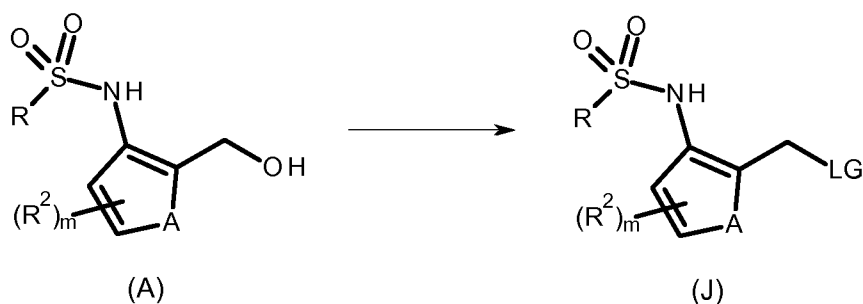
Reaction scheme 8



For example, a compound of formula (J) in which LG is a halogen, for example a chlorine atom, may be reacted with a compound of formula (B) in the presence of a base, for example an inorganic base such as potassium t-butoxide, in a suitable solvent, for example tetrahydrofuran.

Compounds of formula (J) may be prepared from compounds of formula (A) as shown in reaction scheme 9.

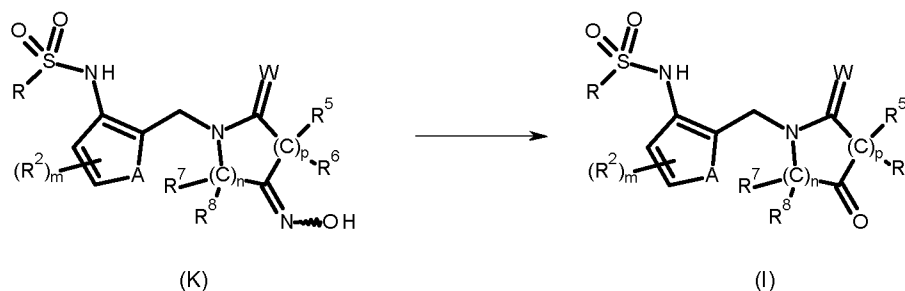
Reaction scheme 9



For example, a compound of formula (A) may be treated with a halogenating agent, for example, thionyl chloride, in a suitable solvent, for example dichloromethane.

Alternatively, compounds of formula (I) in which X = oxygen may be prepared from compounds of formula (K) as shown in reaction scheme 10.

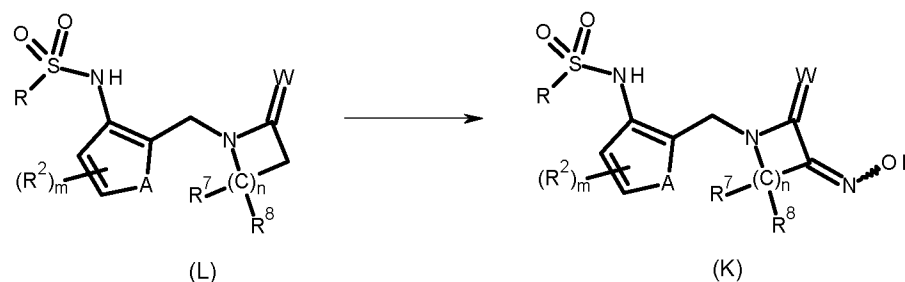
Reaction scheme 10



- 5 For example, a compound of formula (K) may be reacted with an aldehyde, for example aqueous formaldehyde, in the presence of an acid, for example an organic acid such as para-toluene sulphonic acid, in a suitable solvent, for example dioxane.

Compounds of formula (K) in which $p = 0$ may be prepared from compounds of formula (L) in as shown in reaction scheme 11.

10 Reaction scheme 11

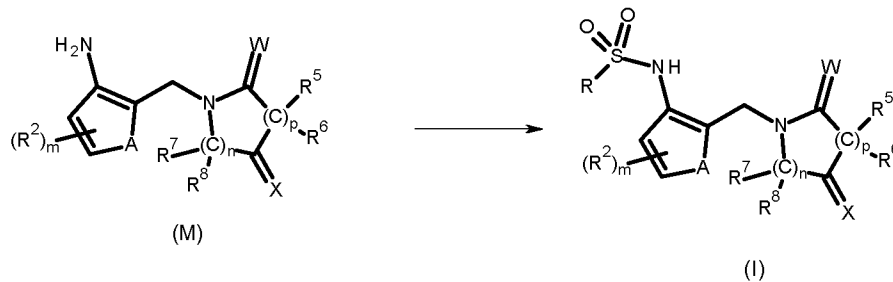


For example, a compound of formula (L) may be treated with a base, for example a strong base such as sodium hexamethyldisilazide, and a nitrosylating agent, for example isopentyl nitrite, in a suitable solvent, for example tetrahydrofuran.

- 15 Compounds of formula (L) may be prepared by methods known in the literature, for example as described in WO2010/026989 and WO2015/004282.

Compounds of formula (I) in which R^1 is H may be prepared from compounds of formula (M) as shown in reaction scheme 12.

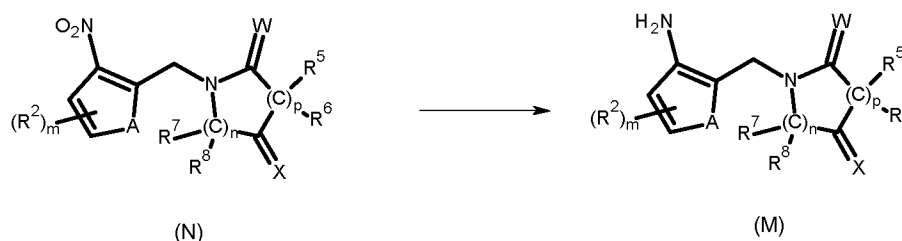
Reaction scheme 12



For example, a compound of formula (M) may be treated with a sulfonylating reagent, for example a sulphonic anhydride such as trifluoromethanesulphonic anhydride, in the presence of a base, for example an organic base such as triethylamine, in a suitable solvent, for example dichloromethane.

Compounds of formula (M) may be prepared from compounds of formula (N) as shown in reaction scheme 13.

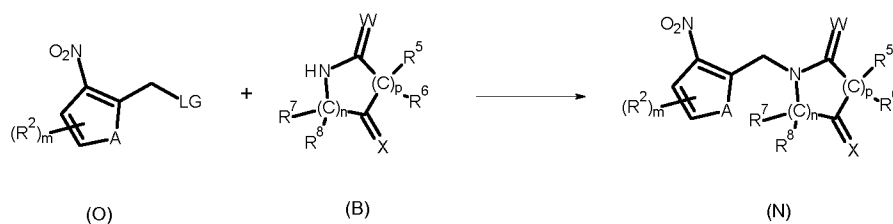
Reaction scheme 13



For example, a compound of formula (N) may be treated with a reducing agent, for example a dissolving metal such as iron and ammonium chloride, in a suitable solvent, for example a mixture of water and ethanol.

Compounds of formula (N) may be made from compounds of formula (O) and compounds of formula (B), as shown in reaction scheme 14.

Reaction scheme 14

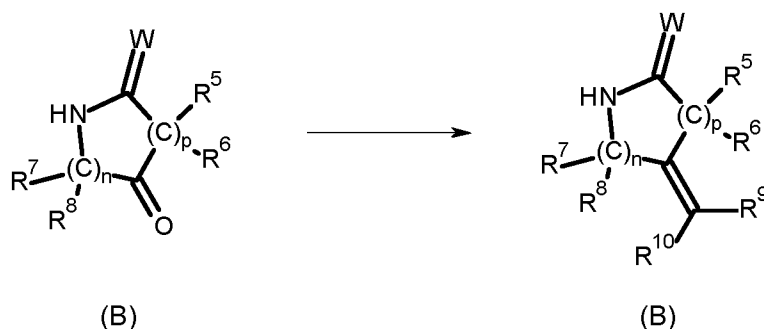


For example, a compound of formula (O) in which LG is a halogen, for example a bromine atom, may be reacted with a compound of formula (B) in the presence of a base, for example an inorganic base such as sodium hydride or caesium carbonate, in a suitable solvent, for example dimethylformamide.

Compounds of formula (O) are commercially available or can be made by standard methods well known in the chemical literature.

Compounds of formula (B) are commercially available or may be made by methods well known in the literature. For example compounds of formula (B) in which $X = CR^9R^{10}$ may be made from compounds of formula (B) in which X is oxygen as shown in reaction scheme 15.

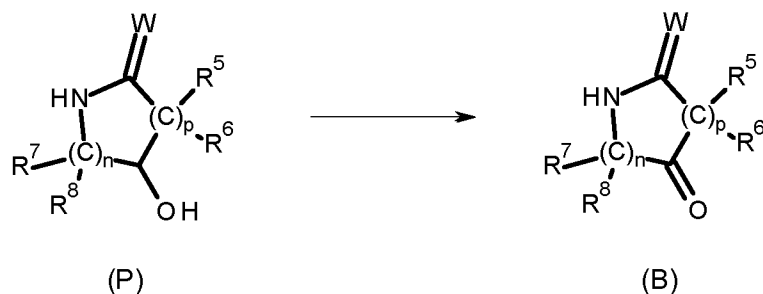
Reaction scheme 15



For example, a compound of formula (B) in which X is oxygen may be treated with an olefinating reagent, for example a phosphonium salt, in the presence of a base, for example a strong base such as sodium hydride, in a suitable solvent, for example tetrahydrofuran.

Compounds of formula (B) in which X is oxygen are commercially available or can be made by standard methods well known in the chemical literature. For example, compounds of formula (B) in which X is oxygen may be prepared from compounds of formula (P) as shown in reaction scheme 16.

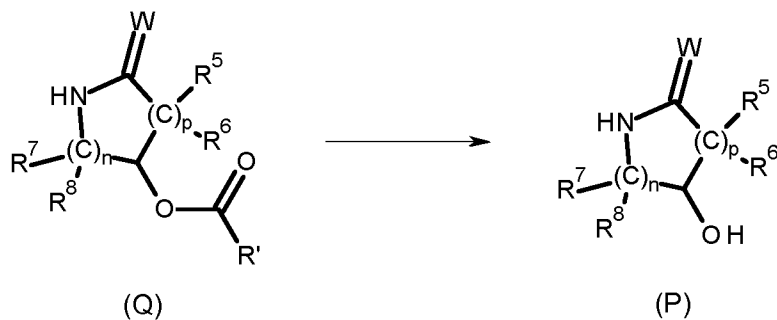
Reaction scheme 16



For example, a compound (P) may be treated with an oxidising agent, for example a hypervalent iodine compound such as the Dess-Martin periodinane, in a suitable solvent, for example dichloromethane.

Compounds of formula (P) may be prepared from compounds of formula (Q) as shown in reaction scheme 17.

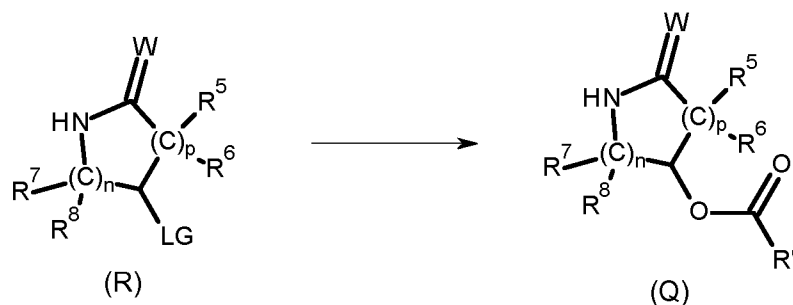
Reaction scheme 17



For example, a compound of formula (Q) may be reacted with a base, for example an inorganic base such as potassium carbonate, in a suitable solvent, for example an alcoholic solvent such as methanol.

- 5 Compounds of formula (Q) may be prepared from compounds of formula (R), as shown in reaction scheme 18.

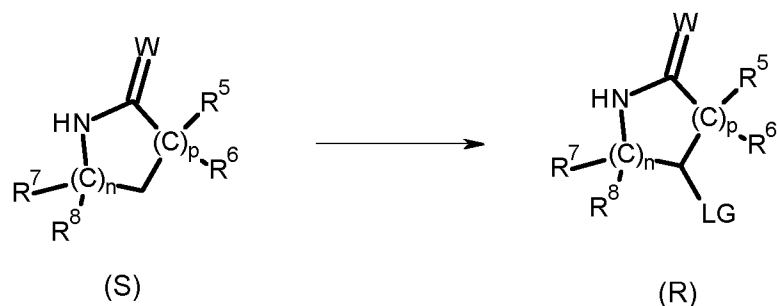
Reaction scheme 18



- 10 For example, a compound of formula (R) in which LG is a bromine atom may be reacted with a suitable nucleophile, for example potassium acetate, optionally in the presence of an additive such as 18-crown-6, in a suitable solvent, for example acetonitrile.

Compounds of formula (R) may be prepared from compounds of formula (S), as shown in reaction scheme 19.

Reaction scheme 19



15

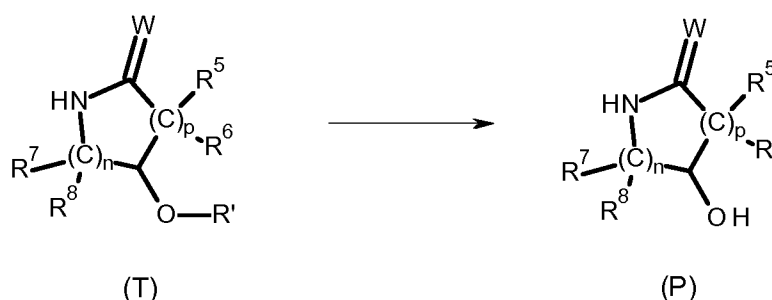
For example, a compound of formula (S) may be treated with a halogenation reagent, for example a brominating reagent such as phenyltrimethylammonium tribromide, optionally in the

presence of a base, for example an organic base such as triethylamine, and a suitable additive, for example a silylating agent such as trimethylsilyl trifluoromethanesulphonate, in a suitable solvent, for example dichloromethane.

Compounds of formula (S) are commercially available or can be made by standard methods well known in the chemical literature.

Alternatively compounds of formula (P) may be prepared from compounds of formula (T), as shown in reaction scheme 20.

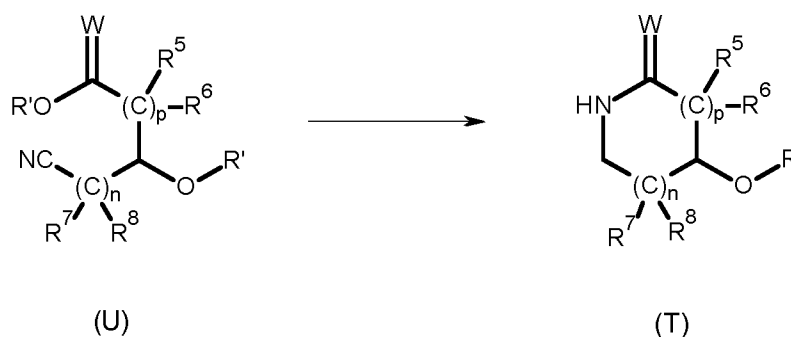
Reaction scheme 20



For example, a compound of formula (T) may be reacted with a Lewis acid, for example, boron tribromide, in a suitable solvent, for example dichloromethane.

Compounds of formula (T) may be prepared from compounds of formula (U), as shown in reaction scheme 21.

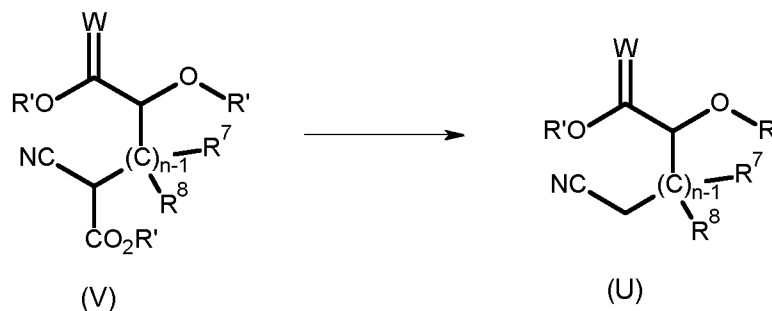
Reaction scheme 21



For example, a compound of formula (U) may be treated with a reducing agent, for example a metal hydride reducing agent such sodium borohydride, optionally in the presence of an additive, for example a metal salt such as cobalt chloride, in a suitable solvent, for example tetrahydrofuran.

Compounds of formula (U) in which $p = 0$ can be prepared from compounds of formula (V), as shown in reaction scheme 22.

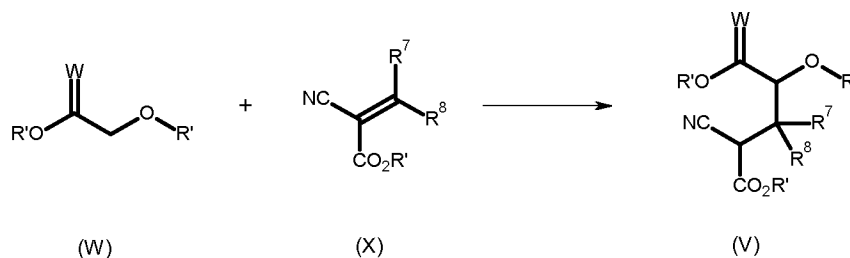
Reaction scheme 22



For example, a compound of formula (V) may be heated with a suitable additive, for example a metal salt such as lithium chloride, in a suitable solvent, for example dimethyl sulphoxide.

- 5 Compounds of formula (V) may be prepared from compounds of formula (W) and compounds of formula (X), as shown in reaction scheme 23.

Reaction scheme 23

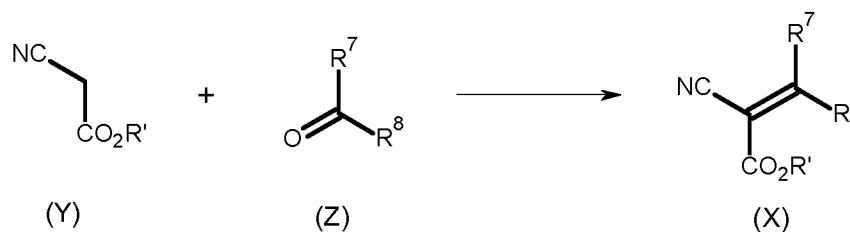


- 10 For example, a mixture of a compound of formula (W) and a compound of formula (X) maybe treated with a base, for example a strong base such as lithium hexamethyldisilazide, in a suitable solvent, such as tetrahydrofuran.

Compounds of formula (W) are commercially available or can be made by standard methods well known in the chemical literature.

- 15 Compounds of formula (X) may be prepared from compounds of formula (Y) and compounds of formula (Z), as shown in reaction scheme 24.

Reaction scheme 24

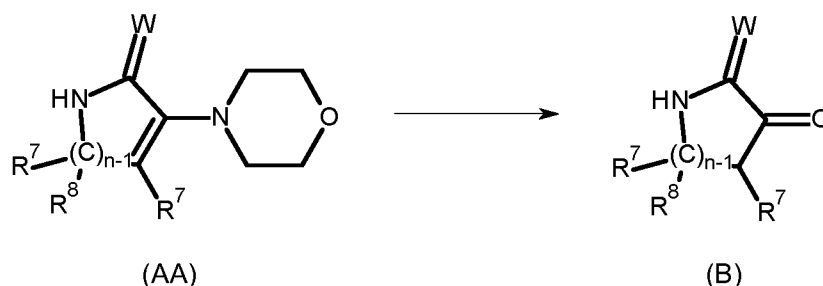


For example, a mixture of a compound of formula (Y) and a compound of formula (Z) may be treated with a base, for example an organic base such as piperidine, optionally in the presence of a suitable solvent, for example tetrahydrofuran.

Compounds of formula (Y) and compounds of formula (Z) are commercially available or
5 can be made by standard methods well known in the chemical literature.

Alternatively, compounds of formula (B) in which $p = 0$ and X is oxygen may be prepared from compounds of formula (AA), as shown in reaction scheme 25.

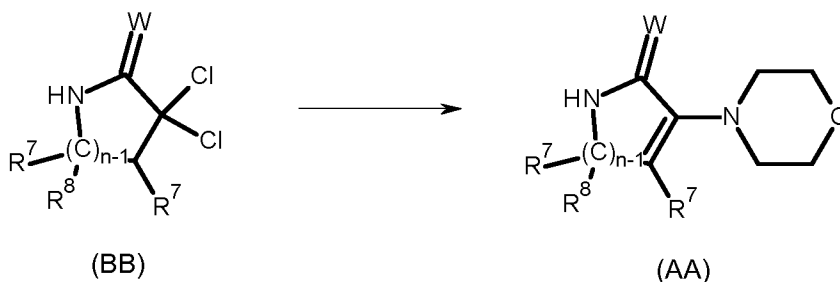
Reaction scheme 25



10 For example, a compound of formula (AA) may be heated in the presence of a catalyst, for example an acid, such as para-toluene sulphonic acid, in a suitable solvent, for example dioxane.

Compounds of formula (AA) may be prepared from compounds of formula (BB), as shown in reaction scheme 26.

Reaction scheme 26

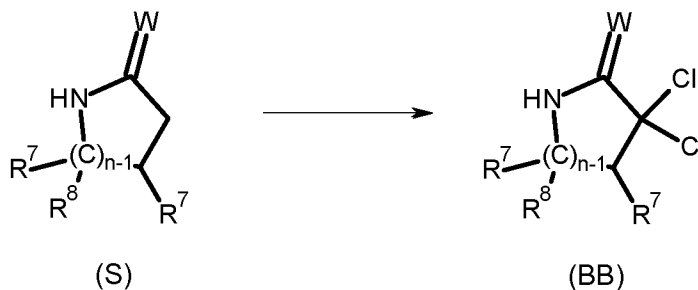


15

For example, a compound of formula (BB) may be heated with morpholine.

Compounds of formula (BB) may be prepared from compounds of formula (S), as shown in reaction scheme 27.

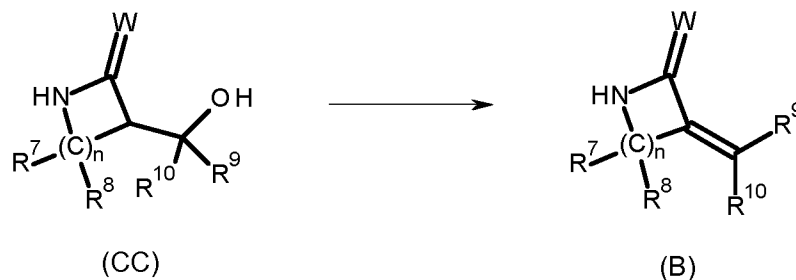
Reaction scheme 27



For example, a compound of formula (S) may be treated with a chlorinating reagent, for example phosphorus pentachloride, in a suitable solvent, for example chloroform.

Alternatively, compounds of formula (B) in which $p = 0$ and $X = CR^9R^{10}$ may be prepared from compounds of formula (CC), as shown in reaction scheme 28.

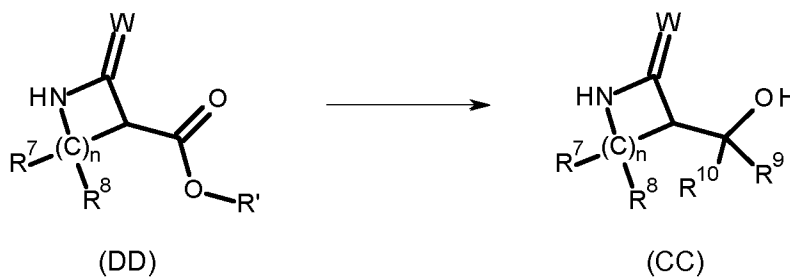
Reaction scheme 28



For example, a compound of formula (CC) may be treated with a dehydrating agent, for example a carbodiimide such as dicyclohexyl carbodiimide, optionally in the presence of a catalyst, for example a metal salt such as copper (I) iodide, in a suitable solvent, for example toluene.

Compounds of formula (CC) may be prepared from compounds of formula (DD) as shown in reaction scheme 29.

Reaction scheme 29

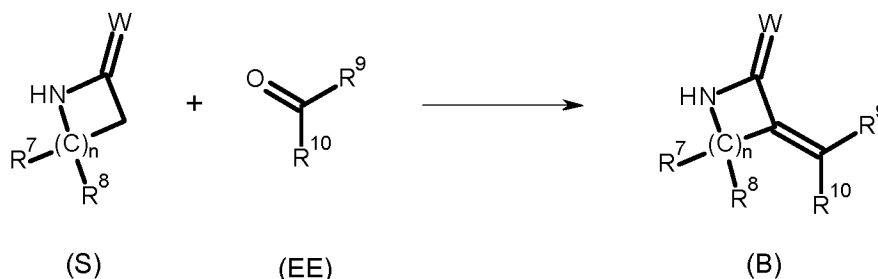


For example, a compound of formula (DD) may be treated with a reducing agent, for example a metal hydride reducing agent such as sodium borohydride, in a suitable solvent, for example methanol.

Compounds of formula (DD) are commercially available or can be made by standard methods well known in the chemical literature.

Alternatively, compounds of formula (B) in which $p = 0$ and $X = CR^9R^{10}$ may be prepared from compounds of formula (S) in which $p = 0$ and compounds of formula (EE), as shown in reaction scheme 30.

Reaction scheme 30

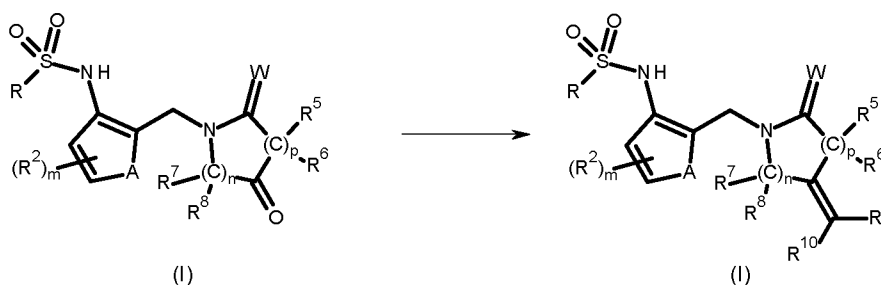


For example, a compound of formula (S) may be treated with a base, for example a strong base such as butyl lithium, and a ketone or aldehyde of formula (EE) in a suitable solvent, for example tetrahydrofuran.

Compounds of formula (EE) are commercially available or can be made by standard methods well known in the chemical literature.

Compounds of formula (I) in which $X = CR^9R^{10}$ may alternatively be prepared from compounds of formula (I) in which X is oxygen as shown in reaction scheme 31.

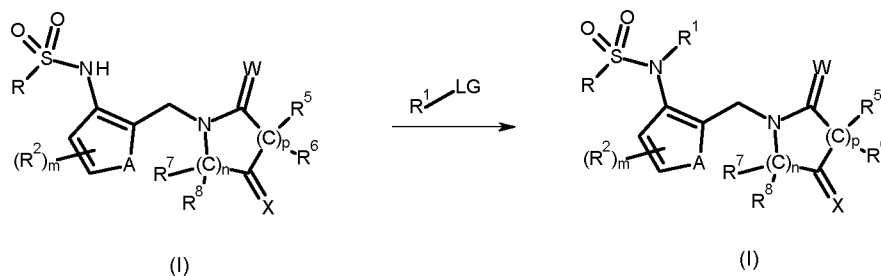
Reaction scheme 31



For example, a compound of formula (I) in which X is oxygen may be treated with an olefinating reagent, for example a phosphonium salt, in the presence of a base, for example a strong base such as sodium hydride, in a suitable solvent, for example tetrahydrofuran.

Compounds of formula (I) in which R^1 is not H may be prepared from compounds of formula (I) in which R^1 is H as shown in reaction scheme 32.

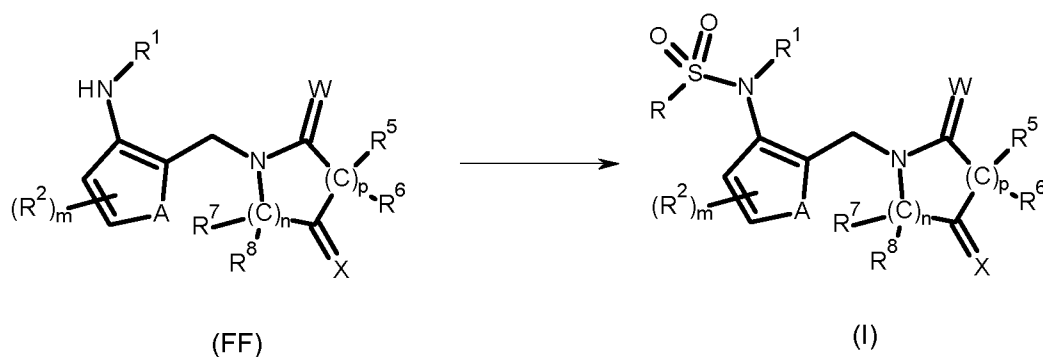
Reaction scheme 32



For example, a compound of formula (I) in which R^1 is H may be treated with a base, for example an inorganic base such as sodium hydride or an organic base such as triethylamine, and an electrophilic reagent $LG-R^1$, in a suitable solvent, for example dichloromethane.

- 5 Alternatively, a compound of formula (I) in which R^1 is not H may be prepared from compounds of formula (FF) as shown in reaction scheme 33.

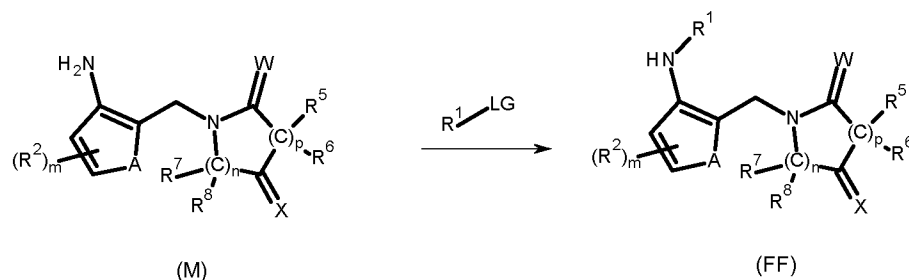
Reaction scheme 33



- 10 For example, a compound of formula (FF) may be treated with a sulphonylating reagent, for example a sulphonyl halide such as trifluoromethanesulphonyl chloride, in the presence of a base, for example an inorganic base such as sodium hydride, in a suitable solvent, for example dimethoxyethane.

Compounds of formula (FF) may be prepared from compounds of formula (M), as shown in reaction scheme 34.

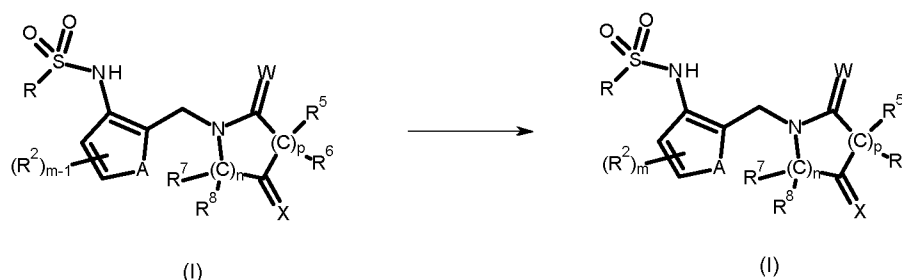
- 15 Reaction scheme 34



For example, a compound of formula (M) may be treated with a base, for example an inorganic base such as sodium bicarbonate or an organic base such as triethylamine, and an electrophilic reagent LG-R^1 , for example a chloroformate, in a suitable solvent, for example acetonitrile.

- 5 Compounds of formula (I) in which m is not 0 may be prepared from compounds of formula (I), as shown in reaction scheme 35.

Reaction scheme 35



- For example, a compound of formula (I) in which R^1 is H may be treated with a suitable electrophilic reagent, for example a halogenating agent such as *N*-bromosuccinimide, optionally in the presence of an additive, such as a radical initiator such as AIBN, in a suitable solvent, for example carbon tetrachloride.
- 10

- One skilled in the art will realise that it is often possible to alter the order in which the transformations described above are conducted, or to combine them in alternative ways to prepare a wide range of compounds of formula (I). Multiple steps may also be combined in a single reaction. All such variations are contemplated within the scope of the invention.
- 15

- The skilled man will also be aware that some reagents will be incompatible with certain values or combinations of the substituents R , R^1 , R^2 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , m , n , p , A , W and X as defined herein, and any additional steps, such as protection and/or deprotection steps, which are necessary to achieve the desired transformation will be clear to the skilled man.
- 20

- The compounds of formula (I) according to the invention can be used as herbicides in unmodified form, as obtained in the synthesis, but they are generally formulated into herbicidal compositions in various ways using formulation adjuvants, such as carriers, solvents and surface-active substances. Therefore, the invention also relates to a herbicidal composition which comprises a herbicidally effective amount of a compound of formula (I) in addition to formulation adjuvants.
- 25

- The compounds according to the invention are generally formulated 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
- 30

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

- 5 Development and Use of FAO and WHO Specifications for Pesticides, United Nations, First Edition, Second Revision (2010). 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.

- 10 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.

- 15 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
- 20 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
- 25 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 formulations according to the invention are known per se. As liquid carriers there may be used: water, toluene,
- 30 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-
- 35 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, octadecanoic 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, tetrahydro-
5 furfuryl alcohol, hexanol, octanol, ethylene glycol, propylene glycol, glycerol, N-methyl-2-
10 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
15 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
20 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 alkyl naphthalenesulfonates, such as sodium
25 dibutyl naphthalenesulfonate; 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
30 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,
35 micronutrients, plasticisers, glidants, lubricants, dispersants, thickeners, antifreezes, microbicides, and liquid and solid fertilisers.

The formulations 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 C₈-C₂₂ fatty acids, especially the methyl derivatives of C₁₂-C₁₈ 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 formulations generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of the compound 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. 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 %
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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 %
5 solid carrier: 99.5 to 70 %, preferably 97 to 85 %

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

<u>Wettable powders</u>	a)	b)	c)
active ingredients	25 %	50 %	75 %
sodium lignosulfonate	5 %	5 %	-
sodium lauryl sulphate	3 %	-	5 %
sodium diisobutyl naphthalenesulfonate	-	6 %	10 %
phenol polyethylene glycol ether (7-8 mol of ethylene oxide)	-	2 %	-
highly dispersed silicic acid	5 %	10 %	10 %
Kaolin	62 %	27 %	-

The combination is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording wettable powders that can be diluted with water to give suspensions of the
10 desired concentration.

<u>Powders for dry seed treatment</u>	a)	b)	c)
active ingredients	25 %	50 %	75 %
light mineral oil	5 %	5 %	5 %
highly dispersed silicic acid	5 %	5 %	-
Kaolin	65 %	40 %	-
Talcum	-		20

The combination is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording powders that can be used directly for seed treatment.

<u>Emulsifiable concentrate</u>	
active ingredients	10 %
octylphenol polyethylene glycol ether	3 %
(4-5 mol of ethylene oxide)	
calcium dodecylbenzenesulfonate	3 %
castor oil polyglycol ether (35 mol of ethylene oxide)	4 %

Cyclohexanone	30 %
xylene mixture	50 %

Emulsions of any required dilution, which can be used in plant protection, can be obtained from this concentrate by dilution with water.

<u>Dusts</u>	a)	b)	c)
Active ingredients	5 %	6 %	4 %
Talcum	95 %	-	-
Kaolin	-	94 %	-
mineral filler	-	-	96 %

- 5 Ready-for-use dusts are obtained by mixing the combination with the carrier and grinding the mixture in a suitable mill. Such powders can also be used for dry dressings for seed.

<u>Extruded granules</u>	
Active ingredients	15 %
sodium lignosulfonate	2 %
Carboxymethylcellulose	1 %
Kaolin	82 %

The combination is mixed and ground with the adjuvants, and the mixture is moistened with water. The mixture is extruded and then dried in a stream of air.

<u>Coated granules</u>	
Active ingredients	8 %
polyethylene glycol (mol. wt. 200)	3 %
Kaolin	89 %

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The finely ground combination is uniformly applied, in a mixer, to the kaolin moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

Suspension concentrate

active ingredients	40 %
propylene glycol	10 %
nonylphenol polyethylene glycol ether (15 mol of ethylene oxide)	6 %
Sodium lignosulfonate	10 %
Carboxymethylcellulose	1 %

silicone oil (in the form of a 75 % emulsion in water)	1 %
Water	32 %

- The finely ground combination is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with water. Using such dilutions, living plants as well as plant propagation material can be treated and
- 5 protected against infestation by microorganisms, by spraying, pouring or immersion.

Flowable concentrate for seed treatment

active ingredients	40 %
propylene glycol	5 %
copolymer butanol PO/EO	2 %
Tristyrenephenole with 10-20 moles EO	2 %
1,2-benzisothiazolin-3-one (in the form of a 20% solution in water)	0.5 %
monoazo-pigment calcium salt	5 %
Silicone oil (in the form of a 75 % emulsion in water)	0.2 %
Water	45.3 %

- The finely ground combination is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with
- 10 water. Using such dilutions, living plants as well as plant propagation material can be treated and protected against infestation by microorganisms, by spraying, pouring or immersion.

Slow Release Capsule Suspension

- 28 parts of the combination are mixed with 2 parts of an aromatic solvent and 7 parts of toluene diisocyanate/polymethylene-polyphenylisocyanate-mixture (8:1). This mixture is emulsified in a
- 15 mixture of 1.2 parts of polyvinylalcohol, 0.05 parts of a defoamer and 51.6 parts of water until the desired particle size is achieved. To this emulsion a mixture of 2.8 parts 1,6-diaminohexane in 5.3 parts of water is added. The mixture is agitated until the polymerization reaction is completed. The obtained capsule suspension is stabilized by adding 0.25 parts of a thickener and 3 parts of a dispersing agent. The capsule suspension formulation contains 28% of the active ingredients.
- 20 The medium capsule diameter is 8-15 microns. The resulting formulation is applied to seeds as an aqueous suspension in an apparatus suitable for that purpose.

The invention also provides a method of controlling plants which comprises applying to the plants or to the locus thereof a herbicidally effective amount of a compound of formula (I) or a composition according to the invention.

The invention also provides a method of inhibiting plant growth which comprises applying to the plants or to the locus thereof a herbicidally effective amount of a compound of formula (I) or a composition according to the invention.

5 The invention also provides a method of controlling weeds in crops of useful plants, comprising applying to said weeds or to the locus of said weeds, or to said useful plants or to the locus of said useful plants, a compound of formula (I) or a composition according to the invention.

10 The invention also provides a method of selectively controlling grasses and/or weeds in crops of useful plants which comprises applying to the useful plants or locus thereof or to the area of cultivation a herbicidally effective amount of a compound of formula (I) or a composition according to the invention.

15 The term "herbicide" as used herein means a compound that controls or modifies the growth of plants. The term "herbicidally effective amount" means the quantity of such a compound or combination of such compounds that is capable of producing a controlling or modifying effect on the growth of plants. Controlling or modifying effects include all deviation from natural development, for example killing, retardation, leaf burn, albinism, dwarfing and the like.

The term "locus" as used herein means fields in or on which plants are growing, or where seeds of cultivated plants are sown, or where seed will be placed into the soil. It includes soil, seeds, and seedlings, as well as established vegetation.

20 The term "plants" refers to all physical parts of a plant, including seeds, seedlings, saplings, roots, tubers, stems, stalks, foliage, and fruits.

The term "plant propagation material" denotes all generative parts of a plant, for example seeds or vegetative parts of plants such as cuttings and tubers. It includes seeds in the strict sense, as well as roots, fruits, tubers, bulbs, rhizomes, and parts of plants.

25 Crops of useful plants in which the compounds and composition according to the invention can be used include perennial and annual crops, such as berry plants for example blackberries, blueberries, cranberries, raspberries and strawberries; cereals for example barley, maize (corn), millet, oats, rice, rye, sorghum tritcale and wheat; fibre plants for example cotton, flax, hemp, jute and sisal; field crops for example sugar and fodder beet, coffee, hops, mustard, oilseed rape (canola), poppy, sugar cane, sunflower, tea and tobacco; fruit trees for example apple, apricot, avocado, banana, cherry, citrus, nectarine, peach, pear and plum; grasses for example Bermuda grass, bluegrass, bentgrass, centipede grass, fescue, ryegrass, St. Augustine grass and Zoysia grass; herbs such as basil, borage, chives, coriander, lavender, lovage, mint, oregano, parsley, rosemary, sage and thyme; legumes for example beans, lentils, peas and soya beans; nuts for example almond, cashew, ground nut, hazelnut, peanut, pecan, pistachio and walnut; palms for example oil palm; ornamentals for example flowers, shrubs and trees; other trees, for example cacao, coconut, olive and rubber; vegetables for example asparagus, aubergine, broccoli,

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cabbage, carrot, cucumber, garlic, lettuce, marrow, melon, okra, onion, pepper, potato, pumpkin, rhubarb, spinach and tomato; and vines for example grapes.

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

Crops are to be understood as also including those crops which have been rendered tolerant to herbicides like bromoxynil or classes of herbicides such as ALS-, EPSPS-, GS-, HPPD- and PPO-inhibitors. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding is Clearfield® summer 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®, Herculex I® and LibertyLink®.

Crops are also to be understood as being those which naturally are or have been rendered resistant to harmful insects. This includes plants transformed by the use of recombinant DNA techniques, for example, to be capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria. Examples of toxins which can be expressed include δ -endotoxins, vegetative insecticidal proteins (Vip), insecticidal proteins of bacteria colonising nematodes, and toxins produced by scorpions, arachnids, wasps and fungi.

An example of a crop that has been modified to express the *Bacillus thuringiensis* toxin is the Bt maize KnockOut® (Syngenta Seeds). An example of a crop comprising more than one gene that codes for insecticidal resistance and thus expresses more than one toxin is VipCot® (Syngenta Seeds). Crops or seed material thereof can also be resistant to multiple types of pests (so-called stacked transgenic events when created by genetic modification). For example, a plant can have the ability to express an insecticidal protein while at the same time being herbicide tolerant, for example Herculex I® (Dow AgroSciences, Pioneer Hi-Bred International).

Compounds 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 the invention can be applied before or after planting of the crops, before weeds emerge (pre-emergence application) or after weeds emerge (post-emergence application), and are particularly effective when applied pre-emergence to the weeds.

Any method of application to weeds/crop of useful plant, or locus thereof, which is routinely used in agriculture may be used, for example application by spray or broadcast method typically after suitable dilution of a compound of formula (I) (whether said compound is formulated and/or in combination with one or more further active ingredients and/or safeners, as described herein).

The compounds of formula (I) according to the invention can also be used in combination with other active ingredients, e.g. other herbicides, and/or insecticides, and/or acaricides, and/or nematocides, and/or molluscicides, and/or fungicides, and/or plant growth regulators. Such mixtures, and the use of such mixtures to control weeds and/or undesired plant growth, form yet further aspects of the invention. For the avoidance of doubt, mixtures of invention also include mixtures of two or more different compounds of formula (I). In particular, the present invention also relates to a composition of the invention which comprises at least one further herbicide in addition to the compound of formula (I).

Compounds of formula I can be used in combination with one or more other herbicides to provide various herbicidal mixtures. Specific examples of such mixtures include (wherein "I" represents a compound of formula I):- I + acetochlor, I + acifluorfen, I + acifluorfen-sodium, I + aclonifen, I + acrolein, I + alachlor, I + alloxymid, I + allyl alcohol, I + ametryn, I + amicarbazone, I + amidosulfuron, I + aminocyclopyrachlor, I + aminopyralid, I + amitrole, I + ammonium sulfamate, I + anilofos, I + asulam, I + atrazine, I + aviglycine, I + azafenidin, I + azimsulfuron, I + BCPC, I + beflubutamid, I + benazolin, I + bencarbazone, I + benfluralin, I + benfuresate, I + bensulfuron, I + bensulfuron-methyl, I + bensulide, I + bentazone, I + benzfendizone, I + benzobicyclon, I + benzofenap, I + bicyclopyrone, I + bifenox, I + bilanafos, I + bispyribac, I + bispyribac-sodium, I + borax, I + bromacil, I + bromobutide, I + bromophenoxim, I + bromoxynil, I + butachlor, I + butafenacil, I + butamifos, I + butralin, I + butoxydim, I + butylate, I + cacodylic acid, I + calcium chlorate, I + cafenstrole, I + carbetamide, I + carfentrazone, I + carfentrazone-ethyl, I + CDEA, I + CEPC, I + chlorflurenol, I + chlorflurenol-methyl, I + chloridazon, I + chlorimuron, I + chlorimuron-ethyl, I + chloroacetic acid, I + chlorotoluron, I + chlorpropham, I + chloresulfuron, I + chlorthal, I + chlorthal-dimethyl, I + cinidon-ethyl, I + cinmethylin, I + cinosulfuron, I + cisanilide, I + clethodim, I + clodinafop, I + clodinafop-propargyl, I + clomazone, I + clomeprop, I + clocyralid, I + cloransulam, I + cloransulam-methyl, I + CMA, I + 4-CPB, I + CPMF, I + 4-CPP, I + CPPC, I + cresol, I + cumyluron, I + cyanamide, I + cyanazine, I + cycloate, I + cyclosulfamuron, I + cycloxydim, I + cyhalofop, I + cyhalofop-butyl, I + 2,4-D, I + 3,4-DA, I + daimuron, I + dalapon, I + dazomet, I + 2,4-DB, I + 3,4-DB, I + 2,4-DEB, I + desmedipham, I + desmetryn, I + dicamba, I + dichlobenil, I + ortho-dichlorobenzene, I + para-dichlorobenzene, I + dichlorprop, I + dichlorprop-P, I + diclofop, I + diclofop-methyl, I + diclosulam, I + difenzoquat, I + difenzoquat metilsulfate, I + diflufenican, I + diflufenzopyr, I + dimefuron, I + dimepiperate, I +

- dimethachlor, I + dimethametryn, I + dimethenamid, I + dimethenamid-P, I + dimethipin, I + dimethylarsinic acid, I + dinitramine, I + dinoterb, I + diphenamid, I + dipropetryn, I + diquat, I + diquat dibromide, I + dithiopyr, I + diuron, I + DNOC, I + 3,4-DP, I + DSMA, I + EBEP, I + endothal, I + EPTC, I + esprocarb, I + ethalfluralin, I + ethametsulfuron, I + ethametsulfuron-methyl, I + ethephon, I + ethofumesate, I + ethoxyfen, I + ethoxysulfuron, I + etobenzanid, I + fenoxaprop, I + fenoxaprop-P, I + fenoxaprop-ethyl, I + fenoxaprop-P-ethyl, I + fentrazamide, I + ferrous sulfate, I + flamprop-M, I + flazasulfuron, I + florasulam, I + fluazifop, I + fluazifop-butyl, I + fluazifop-P, I + fluazifop-P-butyl, I + fluazolate, I + flucarbazone, I + flucarbazone-sodium, I + flucetosulfuron, I + fluchloralin, I + flufenacet, I + flufenpyr, I + flufenpyr-ethyl, I + flumetralin, I + flumetsulam, I + flumiclorac, I + flumiclorac-pentyl, I + flumioxazin, I + flumipropin, I + fluometuron, I + fluoroglycofen, I + fluoroglycofen-ethyl, I + fluoxaprop, I + flupoxam, I + flupropacil, I + flupropanate, I + flupyrsulfuron, I + flupyrsulfuron-methyl-sodium, I + flurenol, I + fluridone, I + flurochloridone, I + fluropyrr, I + flurtamone, I + fluthiacet, I + fluthiacet-methyl, I + fomesafen, I + foramsulfuron, I + fosamine, I + glufosinate, I + glufosinate-ammonium, I + glyphosate, I + halauxifen, I + halauxifen-methyl, I + halosulfuron, I + halosulfuron-methyl, I + haloxyfop, I + haloxyfop-P, I + HC-252, I + hexazinone, I + imazamethabenz, I + imazamethabenz-methyl, I + imazamox, I + imazapic, I + imazapyr, I + imazaquin, I + imazethapyr, I + imazosulfuron, I + indanofan, I and indaziflam, I + iodomethane, I + iodosulfuron, I + iodosulfuron-methyl-sodium, I + ioxynil, I + ipfencarbazone, I + isoproturon, I + isouron, I + isoxaben, I + isoxachlortole, I + isoxaflutole, I + isoxapyrifop, I + karbutilate, I + lactofen, I + lenacil, I + linuron, I + MAA, I + MAMA, I + MCPA, I + MCPA-thioethyl, I + MCPB, I + mecoprop, I + mecoprop-P, I + mefenacet, I + mefluidide, I + mesosulfuron, I + mesosulfuron-methyl, I + mesotrione, I + metam, I + metamifop, I + metamitron, I + metazachlor, I + metazosulfuron, I + methabenzthiazuron, I + methazole, I + methiozolin, I + methylarsonic acid, I + methylidymron, I + methyl isothiocyanate, I + metobenzuron, I + metobromuron, I + metolachlor, I + S-metolachlor, I + metosulam, I + metoxuron, I + metribuzin, I + metsulfuron, I + metsulfuron-methyl, I + MK-616, I + molinate, I + monolinuron, I + monosulfuron, I + monosulfuron-ester I + MSMA, I + naproanilide, I + napropamide, I + naptalam, I + NDA-402989, I + neburon, I + nicosulfuron, I + nipyraclufen, I + n-methyl glyphosate, I + nonanoic acid, I + norflurazon, I + oleic acid (fatty acids), I + orbencarb, I + orthosulfamuron, I + oryzalin, I + oxadiargyl, I + oxadiazon, I + oxasulfuron, I + oxaziclomefone, I + oxyfluorfen, I + paraquat, I + paraquat dichloride, I + pebulate, I + pendimethalin, I + penoxsulam, I + pentachlorophenol, I + pentanochlor, I + pentoxazone, I + pethoxamid, I + petroleum oils, I + phenmedipham, I + phenmedipham-ethyl, I + picloram, I + picolinafen, I + pinoxaden, I + piperophos, I + potassium arsenite, I + potassium azide, I + pretilachlor, I + primisulfuron, I + primisulfuron-methyl, I + prodiamine, I + profluazol, I + profoxydim, I + prohexadione-calcium, I + prometon, I + prometryn, I + propachlor, I + propanil, I + propaquizafop, I + propazine, I + propham, I + propisochlor, I + propoxycarbazone, I + propoxycarbazone-sodium, I + propyzamide, I + prosulfocarb, I + prosulfuron, I + pyraclonil, I + pyraflufen, I + pyraflufen-ethyl, I + pyrasulfotole, I + pyrazolynate, I + pyrazosulfuron, I + pyrazosulfuron-ethyl, I + pyrazoxyfen, I + pyribenzoxim, I + pyributicarb, I + pyridafol, I +

- pyridate, I + pyriftalid, I + pyriminobac, I + pyriminobac-methyl, I + pyrimisulfan, I + pyriothiobac, I + pyriothiobac-sodium, I + pyroxasulfone, I + pyroxulam, I + quinclo rac, I + quinmerac, I + quinclamine, I + quizalofop, I + quizalofop-P, I + quizalofop-ethyl, I + quizalofop-P-ethyl, I + rimsulfuron, I + saflufenacil, I + sethoxydim, I + siduron, I + simazine, I + simetryn, I + SMA, I + sodium arsenite, I + sodium azide, I + sodium chlorate, I + sulcotrione, I + sulfentrazone, I + sulfometuron, I + sulfometuron-methyl, I + sulfosate, I + sulfosulfuron, I + sulfuric acid, I + tar oils, I + 2,3,6-TBA, I + TCA, I + TCA-sodium, I + tebutam, I + tebuthiuron, I + tefuryltrione, I + tembotrione, I + tepraloxymid, I + terbacil, I + terbutometon, I + terbuthylazine, I + terbutryn, I + thenylchlor, I + thiazafuron, I + thiazopyr, I + thifensulfuron, I + thien carbazone, I + thifensulfuron-methyl, I + thiobencarb, I + tiocarbamil, I + topamezone, I + tralkoxydim, I + triafamone I + tri-allate, I + triasulfuron, I + triaziflam, I + tribenuron, I + tribenuron-methyl, I + tricamba, I + triclopyr, I + trietazine, I + trifloxysulfuron, I + trifloxysulfuron-sodium, I + trifluralin, I + triflusulfuron, I + triflusulfuron-methyl, I + trifop, I + trifop-methyl, I + trihydroxytriazine, I + trinexapac-ethyl, I + tritosulfuron, I + [3-[2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidin-3-yl)phenoxy]-2-pyridyloxy]acetic acid ethyl ester (CAS RN 353292-31-6), I + 2-[[8-chloro-3,4-dihydro-4-(4-methoxyphenyl)-3-oxo-2-quinoxaliny]carbonyl-1,3-cyclohexanedione, I + 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-5-fluoropyridine-2-carboxylate and I + VX-573.

In particular, the following mixtures are important:

- 20 Mixtures of a compound of the formula (I) with a triazine (e.g. I + ametryn, I + atrazine, I + cyanazine, I + dimethametryn, I + metribuzin, I + prometon, I + prometryn, I + propazine, I + simazine, I + simetryn, I + terbutometon, I + terbuthylazine, I + terbutryn, I + trietazine).

- Mixtures of a compound of formula (I) with an HPPD inhibitor (e.g. I + isoxaflutole, I + mesotrione, I + pyrasulfotole, I + sulcotrione, I + tembotrione, I + topamezone, I + bicyclopyrone, I + benzobicyclon or I + 2-[[8-chloro-3,4-dihydro-4-(4-methoxyphenyl)-3-oxo-2-quinoxaliny]carbonyl]1,3-cyclohexanedione (CAS RN 1342891-70-6)).

- Mixtures of a compound of formula (I) with an auxin (e.g. I + dicamba, I + 2,4-D, I + 2,4-DB, I + MCPA, I + fluroxypyr, I + picloram, I + triclopyr, I + quinclo rac, I + clopyralid, I + aminopyralid, I + aminocyclopyrachlor, I + halauxifen, I + halauxifen-methyl).

- 30 Mixtures of a compound of formula (I) with a VLCFA inhibitor (e.g. I + metolachlor, I + S-metolachlor, I + acetochlor, I + dimethenamid-P, I + pyroxasulfone, I + dimethachlor, I + flufenacet, I + metazachlor, I + napropamide, I + pretilachlor).

Mixtures of a compound of formula (I) with a triazolinone (e.g. I + amicarbazone).

- Mixtures of a compound of formula (I) with an ALS inhibitor (e.g. I + chlorsulfuron, I + cinosulfuron, I + cloransulam, I + ethametsulfuron, I + flazasulfuron, I + foramsulfuron, I + flumetsulam, I + imazamethabenz, I + imazamox, I + imazapic, I + imazapyr, I + imazethapyr, I + iodosulfuron, I + iofensulfuron, I + metsulfuron, I + nicosulfuron, I + oxasulfuron, I + primisulfuron,

- I + prosulfuron, I + pyriothiac, I + pyroxsulam, I + rimsulfuron, I + sulfosulfuron, I + thifensulfuron, I + triasulfuron, I + tribenuron, I + trifloxysulfuron, I + thiencarbazone, I + tritosulfuron, I + bispyribac-sodium, I + pyribenzoxim, I + pyrithalid, I + flucarbazone-sodium, I + bensulfuron-methyl, I + chlorimuron-ethyl, I + sulfometuron-methyl, I + diclosulam, I + florasulam, I + penoxsulam).

Mixtures of a compound of formula (I) with a PPO inhibitor (e.g. I + fomesafen, I + flumioxazin, I + sulfentrazone, I + acifluorfen-sodium, I + lactofen, I + oxyfluorfen, I + oxadiazon, I + butafenacil, I + carfentrazone-ethyl, I + [3-[2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidin-3-yl)phenoxy]-2-pyridyloxy]acetic acid ethyl ester).

- 10 Mixtures of a compound of formula (I) with and ACCase inhibitor (i.e. I + clodinafop, I + fluazifop, I + fenoxaprop, I + clethodim, I + quizalofop, I + haloxyfop, I + pinoxaden, I + cycloxydim, I + sethoxydim).

- In addition, the following mixtures are also preferred: I + glyphosate, I + glufosinate, I + paraquat, I + diquat, I + pendimethalin, I + trifluralin, I + metamitron, I + clomazone, I + prodiamine, I + saflufenacil, I + prosulfocarb, I + diflufenican, I + isoxaben, I + beflubutamide, I + flurtamone, I + benfluralin, I + chlorotoluron, I + linuron, I + isoproturon, I + triallate, I + hexazinone, I + diuron, I + propanil, I + indaziflam.

- Thus, in particular, the following mixtures are preferred: I + ametryn, I + atrazine, I + cyanazine, I + dimethametryn, I + metribuzin, I + prometon, I + prometryn, I + propazine, I + simazine, I + simetryn, I + terbumeton, I + terbutylazine, I + terbutryn, I + trietazine, I + isoxaflutole, I + mesotrione, I + pyrasulfotole, I + sulcotrione, I + tembotrione, I + topramezone, I + bicyclopyrone, I + benzobicyclon, I + 2-[[8-chloro-3,4-dihydro-4-(4-methoxyphenyl)-3-oxo-2-quinoxaliny]carbonyl]1,3-cyclohexanedione (CAS RN 1342891-70-6), I + dicamba, I + 2,4-D, I + 2,4-DB, I + MCPA, I + fluroxypyr, I + picloram, I + triclopyr, I + quinclorac, I + clopyralid, I + aminopyralid, I + aminocyclopyrachlor, I + halauxifen, I + halauxifen-methyl, I + metolachlor, I + S-metolachlor, I + acetochlor, I + dimethenamid-P, I + pyroxasulfone, I + dimethachlor, I + flufenacet, I + metazachlor, I + napropamide, I + pretilachlor, I + amicarbazone, I + chlorsulfuron, I + cinosulfuron, I + cloransulam, I + ethametsulfuron, I + flazasulfuron, I + foramsulfuron, I + flumetsulam, I + imazamethabenz, I + imazamox, I + imazapic, I + imazapyr, I + imazethapyr, I + iodosulfuron, I + iofensulfuron, I + metsulfuron, I + nicosulfuron, I + oxasulfuron, I + primisulfuron, I + prosulfuron, I + pyriothiac, I + pyroxsulam, I + rimsulfuron, I + sulfosulfuron, I + thifensulfuron, I + triasulfuron, I + tribenuron, I + trifloxysulfuron, I + thiencarbazone, I + tritosulfuron, I + bispyribac-sodium, I + pyribenzoxim, I + pyrithalid, I + flucarbazone-sodium, I + bensulfuron-methyl, I + chlorimuron-ethyl, I + sulfometuron-methyl, I + diclosulam, I + florasulam, I + penoxsulam, I + fomesafen, I + flumioxazin, I + sulfentrazone, I + acifluorfen-sodium, I + lactofen, I + oxyfluorfen, I + oxadiazon, I + butafenacil, I + carfentrazone-ethyl, I + [3-[2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidin-3-yl)phenoxy]-2-pyridyloxy]acetic acid ethyl ester, I + clodinafop, I + fluazifop, I + fenoxaprop, I +

clethodim, I + quizalofop, I + haloxyfop, I + pinoxaden, I + cycloxydim, I + sethoxydim, I + glyphosate, I + glufosinate, I + paraquat, I + diquat, I + pendimethalin, I + trifluralin, I + metamitron, I + clomazone, I + prodiamine, I + saflufenacil, I + prosulfocarb, I + diflufenican, I + isoxaben, I + beflubutamide, I + flurtamone, I + benfluralin, I + chlorotoluron, I + linuron, I + isoproturon, I + triallate, I + hexazinone, I + diuron, I + propanil, I + indaziflam.

The following mixtures are particularly preferred: I + atrazine, I + terbutylazine, I + isoxaflutole, I + mesotrione, I + S-metolachlor, I + acetochlor, I + pyroxasulfone, I + dimethachlor, I + flufenacet, I + nicosulfuron, I + fomesafen, I + glyphosate, I + glufosinate, I + paraquat, I + saflufenacil, I + prosulfocarb.

For the avoidance of doubt, the present invention also includes three-way mixtures of a compound of formula (1), a herbicide as defined above and a further herbicide. In particular, the following three-way mixtures are preferred: I + atrazine + mesotrione, I + atrazine + S-metolachlor, I + S-metolachlor + mesotrione, I + glyphosate + mesotrione, I + glufosinate + mesotrione, I + atrazine + isoxaflutole, I + S-metolachlor + isoxaflutole, I + glyphosate + isoxaflutole, I + glufosinate + isoxaflutole, I + glyphosate + fomesafen.

In addition, the present invention also includes four-way mixtures of a compound of formula (I), a herbicide as defined above and two further herbicides. In particular, the following four-way mixture is preferred: I + atrazine + mesotrione + S-metolachlor.

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, 14th Edition (BCPC), 2006. For example, the reference to acifluorfen-sodium also applies to acifluorfen, the reference to dimethenamid also applies to dimethenamid-P, the reference to glufosinate-ammonium also applies to glufosinate, the reference to bensulfuron-methyl also applies to bensulfuron, the reference to cloransulam-methyl also applies to cloransulam, the reference to flamprop-M also applies to flamprop, and the reference to pyriithiobac-sodium also applies to pyriithiobac, etc.

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) may also be combined with herbicide safeners. As combinations with safeners, are preferred, for example, (wherein "I" represents a compound of formula (I)) I + AD-67, I + benoxacor, I + cloquintocet-mexyl, I + cyomerinil, I + dichlormid, I + dicyclonone, I + cyprosulfamide, I + diethorate, I + DKA-24, I + dymron, I + fenclorazole ethyl, I + fenclorim, I + HEXIM, I + flurazole, I + fluxofenim, I + furilazole, I + isoxadifen, I + isoxadifen ethyl, I + MCPA, I + mecoprop, I + mefenpyr, I + mefenpyr ethyl, I + mefenpyr diethyl, I + mephenate, I + MG-191, I + NA (naphthalic anhydride), I + OM (octamethylene diamine), I + oxabetrinil, I +

PPG-1292, I + R-29148, I + N-(2-methoxybenzoyl)-4-
[(methylaminocarbonyl)amino]benzenesulfonamide and the like are mentioned. These
components can be used alone or by mixing 2 or more types, and the ratio when they are mixed
can also be freely selected.

- 5 In particular, the following compound/safener combinations are preferred: I + cloquintocet-
mexyl, I + cyprosulfamide, I + N-(2-methoxybenzoyl)-4-
[(methylaminocarbonyl)amino]benzenesulfonamide, I + isoxadifen-ethyl, I + benoxacor, I +
dichlormid and I + fluxofenim.

- 10 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. For example, the reference
to cloquintocet-mexyl also applies to cloquintocet and to a lithium, sodium, potassium, calcium,
magnesium, aluminium, iron, ammonium, quaternary ammonium, sulfonium or phosphonium salt
thereof as disclosed in WO02/34048 and the reference to fenchlorazole-ethyl also applies to
fenchlorazole, etc.

- 15 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) and any
further active ingredient, in particular a further herbicide, with the safener).

- 20 It is possible that the safener and a compound of formula (I) and one or more additional
herbicide(s), if any, are applied simultaneously. For example, the safener, a compound of formula
(I) and one or more additional herbicide(s), if any, might be applied to the locus pre-emergence or
might be applied to the crop post-emergence. It is also possible that the safener and a compound
of formula (I) and one or more additional herbicide(s), if any, are applied sequentially. For
25 example, the safener might be applied before sowing the seeds as a seed treatment and a
compound of formula (I) and one or more additional herbicides, if any, might be applied to the
locus pre-emergence or might be applied to the crop post-emergence.

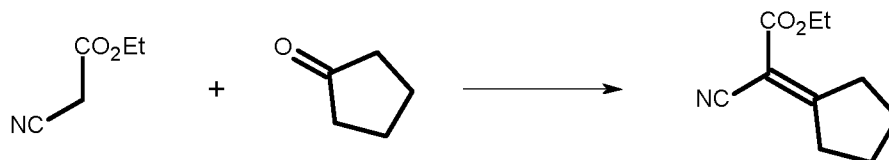
- 30 Various aspects and embodiments of the present invention will now be illustrated in more
detail by way of example. It will be appreciated that modification of detail may be made without
departing from the scope of the invention.

For the avoidance of doubt, where a literary reference, patent application, or patent, is
cited within the text of this application, the entire text of said citation is herein incorporated by
reference.

Examples

Example 1 Synthesis of Preparation of *N*-{2-[(9,10-dioxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (Compound 13-38)

Step 1.1 Synthesis of 2-cyano-2-cyclopentylidene-acetic acid



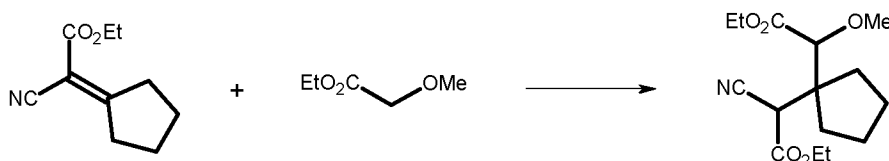
5

Piperidine (0.18 g, 2.12 mmol) was added to a stirred mixture of ethyl 2-cyanoacetate (6g, 53 mmol) and cyclopentanone (4.4 g, 53 mmol) at room temperature and stirring continued for 2 hours. The reaction mixture was diluted with water (100 ml) and extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 2-cyano-2-cyclopentylidene-acetic acid (8.27 g, 87%).

10

^1H NMR (400 MHz, CDCl_3) δ 4.27 (m, 2H), 3.00 (t, 2H), 2.81 (t, 2H), 1.90-1.70 (m, 4H), 1.34 (t, 3H) ppm.

Step 1.2 Synthesis of 2-cyano-2-[1-(2-hydroxy-1-methoxy-2-oxo-ethyl)cyclopentyl]acetic acid



15

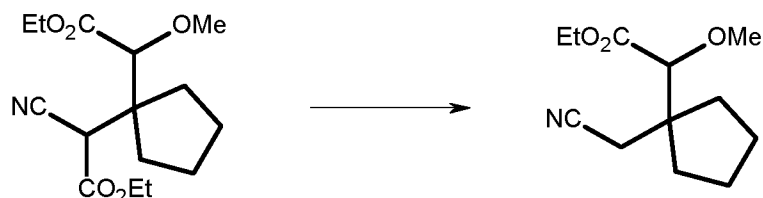
Ethyl-2-methoxyacetate (2.9 g, 24.5 mmol) was slowly added to a stirred solution of lithium hexamethyldisilazide (1M in THF; 30 ml, 30 mmol) in tetrahydrofuran (40 ml) at -78°C . After stirring for 40 minutes at -78°C a solution of 2-cyano-2-cyclopentylidene-acetic acid (4 g, 22 mmol) in tetrahydrofuran (20 ml) was added. The resulting mixture was stirred for 1 hour at -78°C , allowed to warm to room temperature over 30 minutes, stirred for 2 hours, then water (60 ml) added. The mixture was extracted with ethyl acetate (3 x 150 ml) and the combined organic extracts washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was then purified by silica gel chromatography to provide 2-cyano-2-[1-(2-hydroxy-1-methoxy-2-oxo-ethyl)cyclopentyl]acetic acid (5.4 g, 90 %).

20

^1H NMR (400 MHz, CDCl_3) δ 4.28 (m, 4H), 3.93 (m, 2H), 3.34 (s, 3H), 2.17-1.36 (m, 8H), 1.37-1.33 (m, 6H) ppm.

25

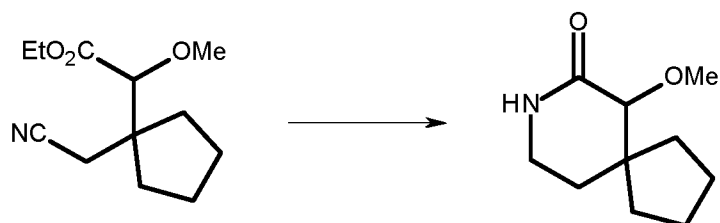
Step 1.3 Synthesis of 2-[1-(cyanomethyl)cyclopentyl]-2-methoxy-acetic acid



A solution of lithium chloride (2.49 g, 58.8 mmol) in water (35 ml) was added to a stirred solution of 2-cyano-2-[1-(2-hydroxy-1-methoxy-2-oxo-ethyl)cyclopentyl] acetic acid (7 g, 24 mmol) in dimethyl sulfoxide (70 ml) at room temperature. The resulting mixture was heated at 110 °C for 45 hours, then allowed to cool to room temperature, water added and extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 2-[1-(cyanomethyl)cyclopentyl]-2-methoxy-acetic acid (4.6 g, 87%).

¹H NMR (400 MHz, CDCl₃) δ 4.26 (m, 2H), 3.69 (s, 1H), 3.38 (s, 3H), 2.69-2.45 (m, 2H), 1.89-1.69 (m, 2H), 1.70-1.64 (m, 5H), 1.63 (m, 1H), 1.33 (t, 3H) ppm.

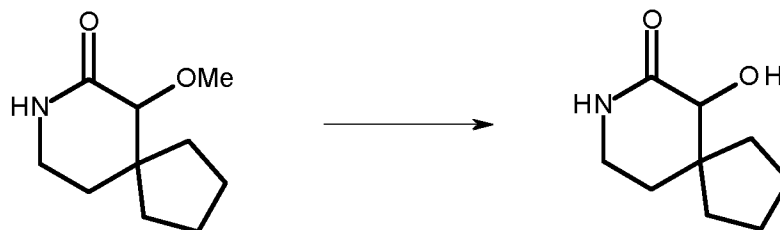
Step 1.4 Synthesis of 10-methoxy-8-azaspiro[4.5]decan-9-one



A solution of cobalt (II) chloride hexahydrate (2.56 g, 19.8 mmol) in water (44.5 ml) was added to a solution of 2-[1-(cyanomethyl)cyclopentyl]-2-methoxy-acetic acid (4.45 g, 19.8 mmol) in tetrahydrofuran (89 ml). Sodium borohydride (3.81 g, 98.8 mmol) was added portionwise over 15 minutes to this stirred mixture at room temperature and stirring continued for 18. The resulting mixture was diluted with 20% isopropyl alcohol in chloroform (40 ml) and filtered through a bed of Celite®. The filtrate was washed with water (30 ml), dried over sodium sulfate and concentrated under reduced pressure to provide 10-methoxy-8-azaspiro[4.5]decan-9-one (3.2 g, 88%).

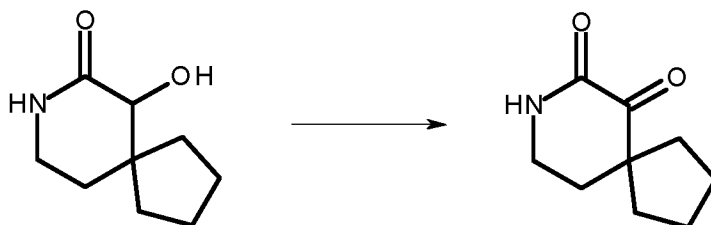
¹H NMR (400 MHz, CDCl₃) δ 6.01 (s, 1H), 3.59 (s, 3H), 3.39-3.28 (m, 2H), 3.24 (s, 1H), 2.04-1.69 (m, 1H), 1.78 (m, 1H), 1.66 (m, 4H), 1.56-1.36 (m, 4H) ppm.

Step 1.5 Synthesis of 10-hydroxy-8-azaspiro[4.5]decan-9-one



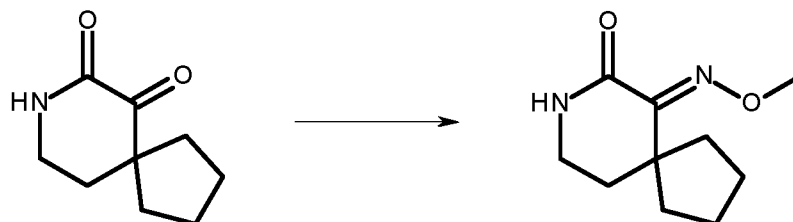
- Boron tribromide (1M solution in dichloromethane; 1.06 ml, 1.06 mmol) was added dropwise to a stirred solution of 10-methoxy-8-azaspiro[4.5]decan-9-one (150 mg, 0.81 mmol) in dichloromethane (6 ml) maintaining the temperature below -70 °C. The mixture was stirred for 30 minutes, allowed to warm to room temperature and stirred for 2 hours. Aqueous sodium bicarbonate solution was added until the mixture reached pH 7 and the mixture extracted with dichloromethane (3 x 30 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to provide 10-hydroxy-8-azaspiro[4.5]decan-9-one (130 mg, 97 %), which was used without further purification.
- ¹H NMR (400 MHz, CDCl₃) δ 5.85 (br s, 1H), 3.95 (s, 1H), 3.39-3.26 (m, 2H), 2.01-1.86 (m, 1H), 1.85-1.70 (m, 1H), 1.74-1.54 (m, 6H), 1.71-1.34 (m, 2H) ppm.

Step 1.6 Synthesis of 8-azaspiro[4.5]decane-9,10-dione



- Dess-Martin periodinane (0.39 g, 0.92 mmol) was added to a stirred solution of 10-hydroxy-8-azaspiro[4.5]decan-9-one (130 mg, 0.76 mmol) in dichloromethane (2.6 ml) under nitrogen and the resulting mixture stirred for 2 hours at room temperature. Dichloromethane (50 ml) was added, followed by 2% aqueous sodium thiosulfate (5 ml) and saturated aqueous sodium bicarbonate (15 ml). The organic layer was separated, dried over sodium sulfate and concentrated under reduced pressure to provide 8-azaspiro[4.5]decane-9,10-dione (125 mg, 97 %), which was used without further purification.
- ¹H NMR (400 MHz, CDCl₃) δ 8.06 (s, 1H), 3.50-3.42 (m, 2H), 2.12-2.04 (m, 4H), 1.73-1.68 (m, 4H), 1.52-1.48 (m, 2H) ppm.

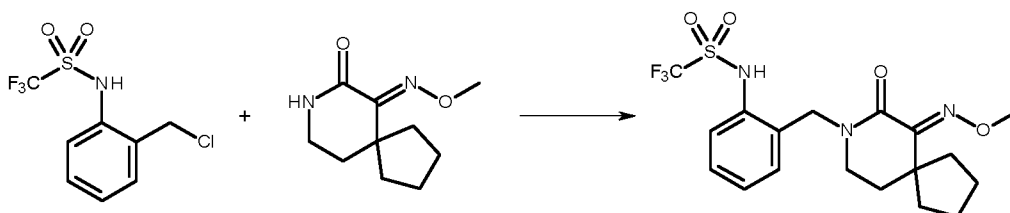
Step 1.7 Synthesis of 10-methoxyimino-8-azaspiro[4.5]decan-9-one



Sodium acetate (59 mg, 0.71 mmol) and O-methoxylamine hydrochloride (59 mg, 0.71 mmol) were added to a stirred solution of 8-azaspiro[4.5]decan-9,10-dione (100 mg, 0.59 mmol) in methanol (1 ml) at room temperature. The resulting mixture was heated at reflux for 2 hours, allowed to cool to room temperature and concentrated under reduced pressure. Water was added to the residue and the resulting mixture extracted with ethyl acetate (3 x 30 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 10-methoxyimino-8-azaspiro[4.5]decan-9-one (62 mg, 53 %).

¹H NMR (400 MHz, CDCl₃) δ 7.80 (s, 1H), 4.06 (s, 3H), 3.34-3.25 (m, 2H), 2.31-2.26 (m, 2H), 1.88-1.84 (m, 2H), 1.77-1.72 (m, 2H), 1.65-1.62 (m, 2H), 1.58-1.43 (m, 2H) ppm.

Step 1.8 Synthesis of 1,1,1-trifluoro-N-[2-[(10-methoxyimino-9-oxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl]methanesulfonamide

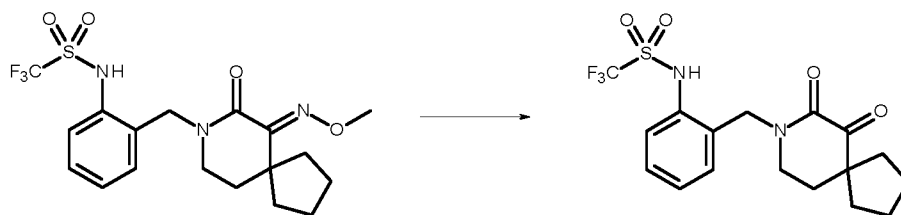


Potassium tertiary butoxide (1M in tetrahydrofuran; 0.64 ml, 0.64 mmol) was added to a stirred solution of 10-methoxyimino-8-azaspiro[4.5]decan-9-one (50 mg, 0.25 mmol) in tetrahydrofuran (1 ml) at room temperature and stirring continued for 15 minutes. *N*-[2-(Chloromethyl)phenyl]-1,1,1-trifluoro-methanesulfonamide (77 mg, 28 mmol) was added and the resulting mixture stirred for 3 hours. Saturated aqueous ammonium chloride was added and the mixture extracted with ethyl acetate (3 x 30 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-[2-[(10-methoxyimino-9-oxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl]methanesulfonamide (35 mg, 32 %).

Melting point 213-215 °C;

¹H NMR (400 MHz, CDCl₃) δ 11.12 (s, 1H), 7.60 (d, 1H), 7.39 (m, 1H), 7.32 (m, 1H), 7.24 (m, 1H), 4.56 (s, 2H), 4.08 (s, 3H), 3.57 (m, 2H), 2.27 (m, 2H), 1.85 (m, 2H), 1.75 (m, 2H), 1.66 (m, 2H), 1.41 (m, 2H) ppm.

Step 1.9 Synthesis of *N*-{2-[(9,10-dioxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (Compound 13-38)

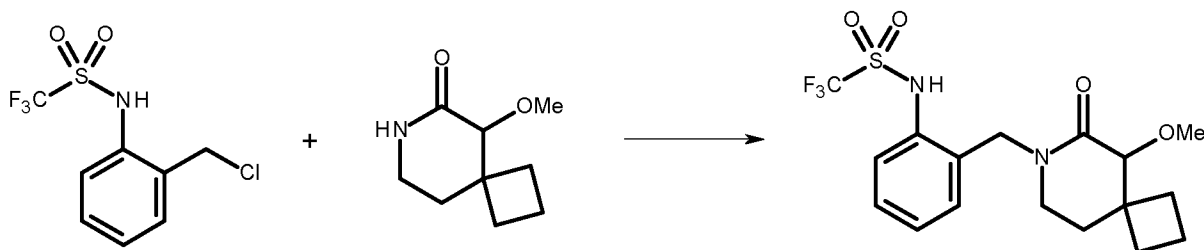


- 5 p-Toluenesulfonic acid monohydrate (8.7 g, 46 mmol), formalin (37% aq.; 18 ml, 230 mmol) and water (11 ml) were added to a stirred solution of 1,1,1-trifluoro-*N*-{2-[(10-methoxyimino-9-oxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl}methanesulfonamide (10 g, 23.07 mmol) in dioxane (100 ml) at room temperature. The resulting mixture was heated at 100 °C for 30 minutes, allowed to cool and concentrated under reduced pressure. Water was added and the resulting mixture extracted with ethyl acetate (3 x 300 ml). The combined organic extracts were washed with
- 10 water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide *N*-{2-[(9,10-dioxo-8-azaspiro[4.5]decan-8-yl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (6.23 g, 67 %).

¹H NMR (400 MHz, CDCl₃) δ 10.71 (s, 1H), 7.60 (d, 1H), 7.43 (t, 1H), 7.35-7.28 (m, 2H), 4.58 (s, 2H), 3.75 (t, 2H), 2.14-2.05 (m, 4H), 1.72-1.48 (m, 6H) ppm.

15 **Example 2 Preparation of *N*-{2-[(8,9-dioxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (Compound 13-37)**

Step 2.1 Synthesis of 1,1,1-trifluoro-*N*-{2-[(9-methoxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide



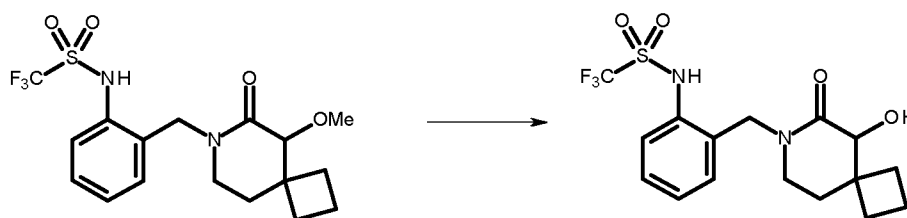
20

- Potassium tertiary butoxide (1M in tetrahydrofuran; 25 ml, 25 mmol) was added to a stirred solution of 9-methoxy-7-azaspiro[3.5]nonan-8-one (1.7 g, 10 mmol) in tetrahydrofuran (17 ml) at 0 °C and stirring continued for 30 minutes. A solution of *N*-[2-(chloromethyl)phenyl]-1,1,1-trifluoromethanesulfonamide (2.7 g, 10 mmol) in tetrahydrofuran (8.5 ml) was added and the resulting
- 25 mixture stirred 0 °C for 1 hour, then allowed to warm and stirred at room temperature for 2 hours. Saturated aqueous ammonium chloride was added and the mixture extracted with ethyl acetate (3 x 50 ml). The combined organic extracts were washed with water, dried over sodium sulfate

and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-{2-[(9-methoxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide (2.8 g, 69 %).

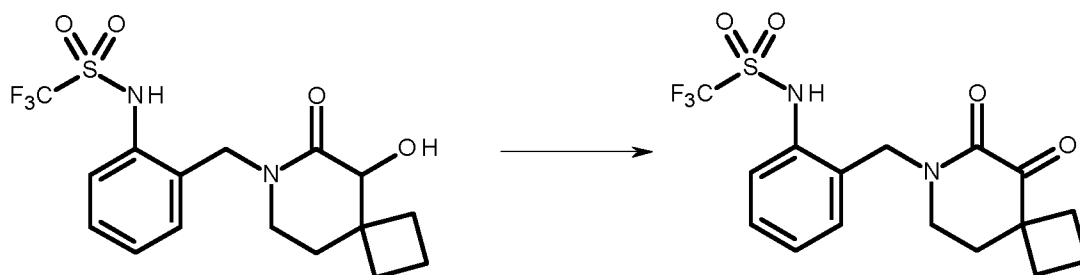
- ¹H NMR (400 MHz, CDCl₃) δ 11.70 (s, 1H), 7.62 (d, 1H), 7.38 (t, 1H), 7.26-7.17 (m, 2H), 4.55-4.37 (m, 2H), 3.62 (s, 3H), 3.49 (s, 1H), 3.42-3.33 (m, 2H), 2.13-2.08 (m, 2H), 1.94-1.86 (m, 2H), 1.86-1.70 (m, 4H) ppm.

Step 2.2 Synthesis of 1,1,1-trifluoro-*N*-{2-[(9-hydroxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide



- Boron tribromide (1M solution in dichloromethane; 8.3 ml, 8.3 mmol) was added dropwise to a stirred solution of 1,1,1-trifluoro-*N*-{2-[(9-methoxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide (2.8 g, 6.9 mmol) in dichloromethane (8.3 ml) maintaining the temperature below -70 °C. The mixture was stirred for 30 minutes, allowed to warm to room temperature and stirred for 2 hours. Aqueous sodium bicarbonate solution was added until the mixture reached pH 7 and the mixture extracted with dichloromethane (3 x 150 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-{2-[(9-hydroxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide (1.7 g, 63 %).
- ¹H NMR (400 MHz, CDCl₃) δ 11.14 (s, 1H), 7.62 (d, 1H), 7.40 (t, 1H), 7.38-7.28 (m, 2H), 4.51-4.38 (m, 2H), 3.81 (s, 1H), 3.58 (s, 1H), 3.51-3.39 (m, 2H), 2.36-2.12 (m, 1H), 2.10-1.91 (m, 2H), 1.91-1.88 (m, 3H), 1.82-1.70 (m, 1H), 1.70-1.52 (m, 1H) ppm.

Step 2.3 Synthesis of *N*-{2-[(8,9-dioxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}-1,1,1-trifluoromethanesulfonamide (Compound 13-37)

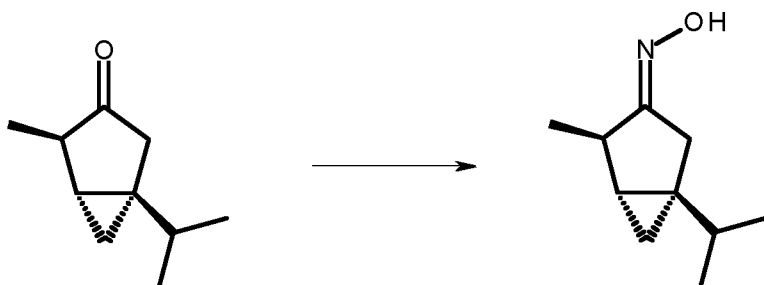


Dess-Martin periodinane (2.4 g, 5.6 mmol) was added to a stirred solution of 1,1,1-trifluoro-*N*-{2-[(9-hydroxy-8-oxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}methanesulfonamide (1.7 g, 4.3 mmol) in dichloromethane (34 ml) under nitrogen and the resulting mixture stirred for 9 hours at room temperature. Dichloromethane (150 ml) was added, followed by 2% aqueous sodium thiosulfate (40 ml) and saturated aqueous sodium bicarbonate (50 ml). The organic layer was separated, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide *N*-{2-[(8,9-dioxo-7-azaspiro[3.5]nonan-7-yl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (1.3 g, 57 %).

¹H NMR (400 MHz, CDCl₃) δ 7.57 (d, 1H), 7.41 (t, 1H), 7.33 (d, 1H), 7.29-7.23 (m, 1H), 4.57 (s, 2H), 3.73 (t, 2H), 2.46-2.39 (m, 2H), 2.26 (t, 2H), 2.03-1.88 (m, 4H) ppm.

Example 3 Preparation of 1,1,1-trifluoro-*N*-(2-[[*(1S,2R,6S)*-6-isopropyl-2-methyl-4,5-dioxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide (Compound 13-44)

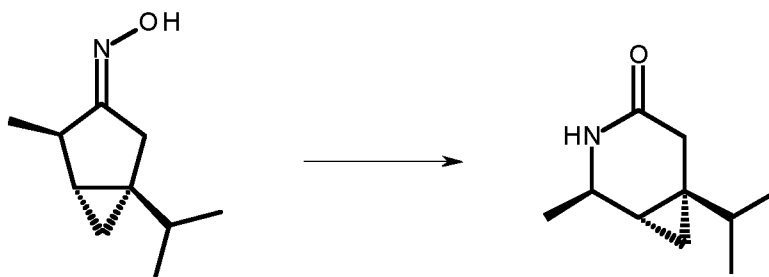
Step 3.1 Synthesis of (*1R,2R,5S*)-5-isopropyl-2-methyl-bicyclo[3.1.0]hexan-3-one *E*-oxime



A solution of sodium hydroxide (2.40 g, 60.0 mmol) in water (45 ml) was added slowly to a stirred solution of (*1R,2R,5S*)-5-isopropyl-2-methyl-bicyclo[3.1.0]hexan-3-one (5 ml, 30 mmol) and hydroxylamine hydrochloride (2.09 g, 30.0 mmol) in ethanol (15 ml) and water (15 ml) at 0 °C under nitrogen, maintaining the temperature below 30 °C. The resulting mixture was stirred at room temperature for 24 hours and then extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over magnesium sulphate, filtered and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide (*1R,2R,5S*)-5-isopropyl-2-methyl-bicyclo[3.1.0]hexan-3-one *E*-oxime (2.93 g, 58%).

¹H NMR (400 MHz, CDCl₃) δ 7.71 (br s, 1H), 2.71 (d, 1H), 2.68-2.59 (dq, 1H), 2.40 (td, 1H), 1.36 (quintet, 1H), 1.16 (d, 3H), 1.01-0.91 (m, 7H), 0.55 (ddd, 1H), 0.04 (dd, 1H) ppm.

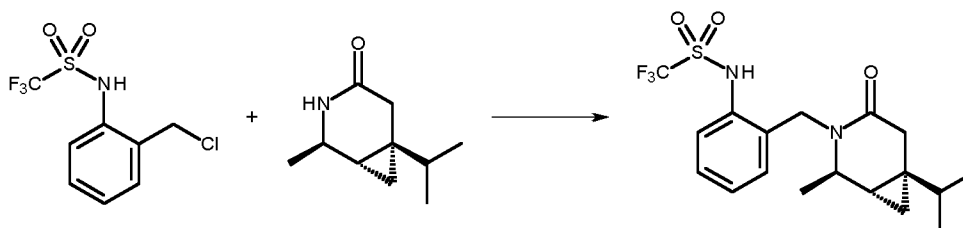
Step 3.2 Synthesis of (*1S,2R,6S*)-6-isopropyl-2-methyl-3-azabicyclo[4.1.0]heptan-4-one



A solution of sodium hydroxide (2.77 g, 69.4 mmol) in water (17 ml) was added slowly to a stirred solution of (1*R*,2*R*,5*S*)-5-isopropyl-2-methyl-bicyclo[3.1.0]hexan-3-one *E*-oxime (2.90 g, 17.3 mmol) in acetone (52 ml). A solution of 4-methylbenzenesulfonyl chloride (5.95 g, 31.2 mmol) in acetone (52 ml) was added and the resulting mixture stirred at room temperature for 24 hours. Hydrochloric acid was added to bring the mixture to neutral pH and it was then extracted with dichloromethane. The combined organic extracts were dried over magnesium sulphate, filtered and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide (1*S*,2*R*,6*S*)-6-isopropyl-2-methyl-3-azabicyclo[4.1.0]heptan-4-one (2.43 g, 88%).

¹H NMR (400 MHz, CDCl₃) δ 3.76-3.68 (m, 1H), 2.49 (br d, 2H), 2.20 (br s, 1H), 1.33 (d, 3H), 1.17-1.06 (m, 1H), 0.99 (d, 3H), 0.95 (d, 3H), 0.91-0.78 (m, 1H), 0.60 (t, 1H), 0.50 (dd, 1H) ppm.

Step 3.3 Synthesis of 1,1,1-trifluoro-*N*-(2-[[1*S*,2*R*,6*S*]-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide



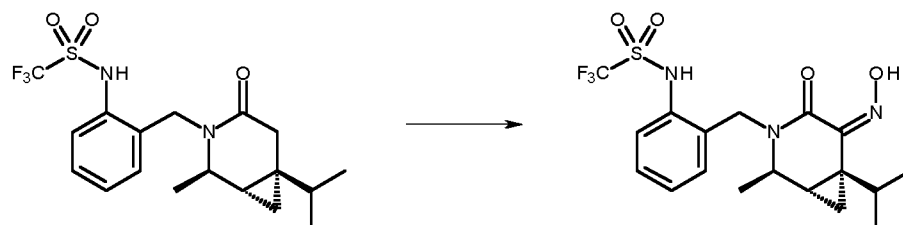
15

Potassium tertiary butoxide (1M in tetrahydrofuran; 30.2 ml, 30.2 mmol) was added to a stirred solution of provide (1*S*,2*R*,6*S*)-6-isopropyl-2-methyl-3-azabicyclo[4.1.0]heptan-4-one (2.42 g, 14.5 mmol) in tetrahydrofuran (30 ml) at room temperature and stirring continued for 15 minutes. A solution of *N*-[2-(chloromethyl)phenyl]-1,1,1-trifluoro-methanesulfonamide (3.31 g, 12.1 mmol) in tetrahydrofuran (18 ml) was added and the resulting mixture stirred for 16 hours. Hydrochloric acid was added and the mixture extracted with ethyl acetate. The combined organic extracts were washed with water, dried over magnesium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-(2-[[1*S*,2*R*,6*S*]-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide (3.91 g, 80 %).

25

^1H NMR (400 MHz, CDCl_3) δ 11.64 (br s, 1H), 7.61 (dd, 1H), 7.37 (t, 1H), 7.27 (dd, 1H), 7.23-7.16 (m, 1H), 4.65 (d, 1H), 4.17 (d, 1H), 3.90 (dd, 1H), 2.63 (dd, 1H), 2.52-2.44 (m, 1H), 1.31 (d, 3H), 1.16-1.04 (m, 1H), 1.02-0.88 (m, 7H), 0.32 (ddd, 1H), 0.13 (t, 1H) ppm.

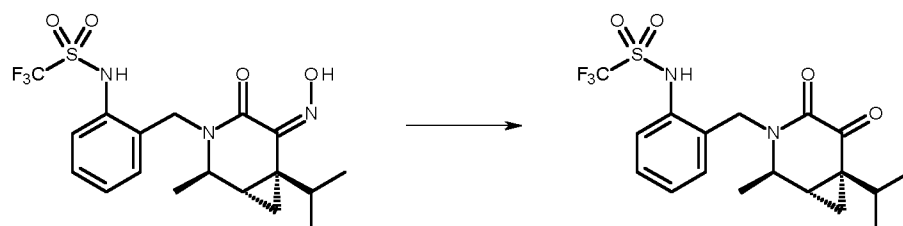
5 Step 3.4 Synthesis of 1,1,1-trifluoro-*N*-(2-[[[(1*S*,2*R*,6*S*,*Z*)-5-hydroxyimino-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide



Sodium hexamethyldisilazide (1M in tetrahydrofuran; 7.4 ml, 7.4 mmol) was added to a stirred solution of 1,1,1-trifluoro-*N*-(2-[[[(1*S*,2*R*,6*S*)-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide (0.86 g, 2.1 mmol) in tetrahydrofuran (10.6 ml). The resulting mixture was stirred at room temperature for 30 minutes, then isopentyl nitrite (0.43 ml, 3.2 mmol) was added and stirring continued. Further sodium hexamethyldisilazide (1M in tetrahydrofuran; 3.7 ml, 3.7 mmol) and isopentyl nitrite (0.43 ml, 3.2 mmol) were added and stirring continued for 17 hours. Hydrochloric acid was added and the mixture extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over magnesium sulphate, filtered and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-(2-[[[(1*S*,2*R*,6*S*,*Z*)-5-hydroxyimino-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide (0.29 g, 31%).

^1H NMR (400 MHz, CDCl_3) δ 13.26 (br s, 1H), 10.00 (br s, 1H), 7.59 (d, 1H), 7.42 (dt, 1H), 7.32-7.24 (m, 2H), 4.81 (d, 1H), 4.13 (d, 1H), 4.08-4.01 (m, 1H), 2.19 (m, 1H), 1.41-1.35 (m, 4H), 1.01 (d, 3H), 0.96-0.90 (m, 1H), 0.89 (d, 3H), 0.42 (t, 1H) ppm.

Step 3.5 Synthesis of 1,1,1-trifluoro-*N*-(2-[[[(1*S*,2*R*,6*S*)-6-isopropyl-2-methyl-4,5-dioxo-3-azabicyclo[4.1.0]heptan-3-yl]methyl]phenyl)methanesulfonamide (Compound 13-44)



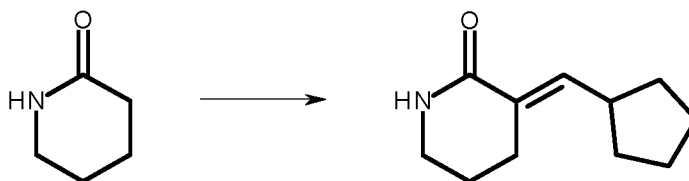
25 p-Toluenesulfonic acid monohydrate (0.19 g, 0.50 mmol), formalin (37% aq.; 0.37 ml, 5.0 mmol) and water (0.25 ml) were added to a stirred solution of 1,1,1-trifluoro-*N*-(2-[[[(1*S*,2*R*,6*S*,*Z*)-5-hydroxyimino-6-isopropyl-2-methyl-4-oxo-3-azabicyclo[4.1.0]heptan-3-

- yl)methyl}phenyl)methanesulfonamide (0.215 g, 0.496 mmol) in tetrahydrofuran (2.2 ml) at room temperature. The resulting mixture was heated at 100 °C for 90 minutes in a microwave reactor, then allowed to cool. Water was added and the resulting mixture extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over magnesium sulfate, filtered and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 1,1,1-trifluoro-*N*-(2-[[1*S*,2*R*,6*S*]-6-isopropyl-2-methyl-4,5-dioxo-3-azabicyclo[4.1.0]heptan-3-yl)methyl}phenyl)methanesulfonamide (147 mg, 71 %).

¹H NMR (400 MHz, CDCl₃) δ 7.58 (d, 1H), 7.43-7.24 (m, 3H), 4.81 (d, 1H), 4.18-4.05 (m, 2H), 1.92 (heptet, 1H), 1.76 (ddd, 1H), 1.44 (d, 3H), 1.19 (dd, 1H), 1.05-0.94 (m, 7H) ppm.

10 **Example 4 Preparation of *N*-(2-[[3-(cyclopentylmethylene)-2-oxo-1-piperidyl]methyl}phenyl)-1,1,1-trifluoro-methanesulfonamide (Compound 13-221)**

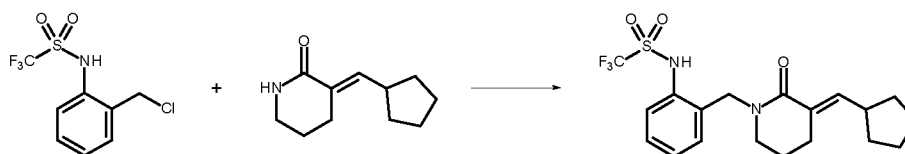
Step 4.1 Synthesis of 3-(cyclopentylmethylene)piperidin-2-one



- n-Butyl lithium (2M in cyclohexane; 15 ml, 30 mmol) was added to a solution of piperidin-2-one (1.0 g, 10.1 mmol) in tetrahydrofuran (15 ml) at 0 °C and the resulting mixture stirred for 60 minutes, then cooled to -78 °C and a solution of cyclopentane carboxaldehyde (1.43 g, 12.1 mmol) in tetrahydrofuran (5 ml) added. The mixture was warmed to room temperature and stirred for 5 hours, then saturated aqueous ammonium chloride added and the mixture extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 3-(cyclopentylmethylene)piperidin-2-one (0.7 g, 39 %).

¹H NMR (400 MHz, CDCl₃) δ 6.20 (br s, 1H), 3.80-3.75 (m, 1H), 3.30- 3.27 (m, 2H), 2.32-1.80 (m, 4H), 1.80-1.45 (m, 9H) ppm.

25 Step 4.2 synthesis of *N*-(2-[[3-(cyclopentylmethylene)-2-oxo-1-piperidyl]methyl}phenyl)-1,1,1-trifluoro-methanesulfonamide (Compound 13-221)



Potassium tertiary butoxide (1M in tetrahydrofuran; 9.8 ml, 9.8 mmol,) was added to a stirred solution of 3-(cyclopentylmethylene)piperidin-2-one (700 mg, 3.9 mmol) in tetrahydrofuran (7 ml)

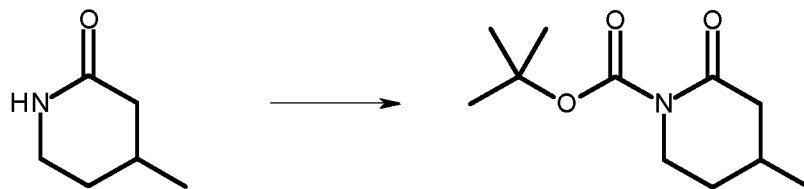
at 0 °C and stirring continued for 30 minutes. A solution of *N*-[2-(chloromethyl)phenyl]-1,1,1-trifluoro-methanesulfonamide (1.17 g, 4.29 mmol) in tetrahydrofuran (3.5 ml) was added and the resulting mixture allowed to warm to room temperature and stirred for 3 hours. Saturated aqueous ammonium chloride was added and the mixture extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide *N*-(2-[[3-(cyclopentylmethylene)-2-oxo-1-piperidyl]methyl]phenyl)-1,1,1-trifluoro-methanesulfonamide (190 mg, 12 %).

Melting point 106-108 °C;

¹H NMR (400 MHz, CDCl₃) δ 12.30 (s, 1H), 7.64 (d, 1H), 7.35 (t, 1H), 7.3 (s, 1H), 7.21 (m, 1H), 6.95 (d, 1H), 4.54 (s, 2H), 3.50 (t, 2H), 2.68-2.63 (m, 1H), 2.50-2.46 (m, 2H), 1.86-1.75 (m, 6H), 1.68-1.60 (m, 2H), 1.40-1.35 (m, 2H) ppm.

Example 5 Preparation of *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (Compound 13-324)

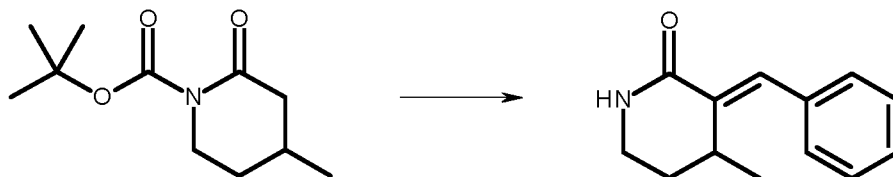
Step 5.1 Synthesis of tert-butyl 4-methyl-2-oxo-piperidine-1-carboxylate



Di-tertiary-butyl carbonate (4.2 g, 24 mmol) and 4-dimethylaminopyridine (3 g, 24 mmol) were added to a stirred solution of 4-methylpiperidin-2-one (2.5 g, 22 mmol) in dichloromethane (25 ml) at room temperature and the resulting mixture stirred for 16 hours. Water was added and the resulting mixture extracted with dichloromethane (3 x 400 ml). The combined organic extracts were washed with 1N hydrochloric acid (40 ml) and water, dried over sodium sulfate and concentrated under reduced pressure to provide tert-butyl 4-methyl-2-oxo-piperidine-1-carboxylate (2 g, 42 %).

¹H NMR (400 MHz, CDCl₃) δ 3.82-3.75 (m, 1H), 3.52-3.46 (m, 1H), 2.62-2.56 (m, 1H), 2.15-2.07 (m, 1H), 1.94-1.90 (m, 2H), 1.52 (s, 9H), 1.48-1.42 (m, 1H), 1.02 (d, 3H) ppm.

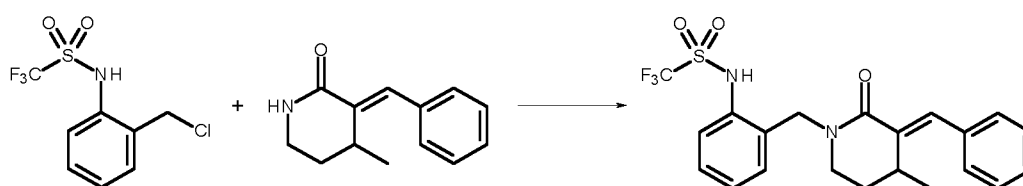
Step 5.2 Synthesis of 3-benzylidene-4-methyl-piperidin-2-one



A solution of tert-butyl 4-methyl-2-oxo-piperidine-1-carboxylate (900 mg, 4.22 mmol) and benzaldehyde (630 mg, 5.06 mmol) in tetrahydrofuran (10 ml) was added to a stirred suspension of sodium hydride (220 mg, 5.48 mmol) in tetrahydrofuran (5 ml) at 0 °C and the resulting mixture stirred for 2 hours. 2N Hydrochloric acid was added and the resulting mixture extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 3-benzylidene-4-methyl-piperidin-2-one (394 mg, 43 %).

LC-MS: (positive ES MH⁺) 202.

10 Step 5.3 Synthesis of *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (Compound 13-324)

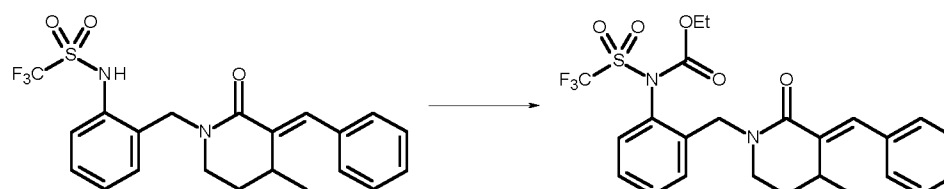


Potassium tertiary butoxide (1M in tetrahydrofuran; 1.6 ml, 1.6 mmol) was added to a stirred solution of 3-benzylidene-4-methyl-piperidin-2-one (130 mg, 0.64 mmol) in tetrahydrofuran (2.5 ml) at 0 °C and stirring continued for 30 minutes. A solution of *N*-[2-(chloromethyl)phenyl]-1,1,1-trifluoro-methanesulfonamide (195 mg, 0.71 mmol) in tetrahydrofuran (2.5 ml) was added and the resulting mixture stirred at 0 °C for 30 minutes, then allowed to warm to room temperature and stirred for 2 hours. Saturated aqueous ammonium chloride was added and the mixture extracted with ethyl acetate (3 x 40 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (270 mg, 95 %).

Melting point 138-140 °C;

¹H NMR (400 MHz, CDCl₃) δ 12.22 (s, 1H), 7.82 (s, 1H), 7.67 (d, 1H), 7.46-7.29 (m, 7H), 7.25-7.17 (m, 1H), 4.93 (d, 1H), 4.28 (d, 1H), 3.73 (m, 1H), 3.53 (m, 1H), 3.31 (m, 1H), 1.92 (m, 1H), 1.77 (m, 1H), 1.23 (d, 3H) ppm.

Example 6 Preparation of ethyl *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-*N*-(trifluoromethylsulfonyl)carbamate (Compound 16-324)



Potassium carbonate (65 mg, 0.45 mmol) was added to a solution of *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (100 mg, 0.22 mmol) in acetone (1 ml) and the mixture stirred at room temperature for 20 minutes. Ethyl chloroformate (98 mg, 0.91 mmol) was added and the mixture stirred for 2 hours and then concentrated under reduced pressure. Water was added and the resulting mixture extracted with ethyl acetate (3 x 40 ml). The combined organic extracts were washed with water, dried over sodium sulfate, filtered and concentrated under reduced pressure. The residue was purified by silica gel chromatography to provide *N*-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-*N*-(trifluoromethylsulfonyl)carbamate (80 mg, 68%).

Melting point 126-128 °C;

¹H NMR (400 MHz, CDCl₃) δ 7.81 (s, 1H), 7.36-7.51 (m, 8H), 7.23 (d, 1H), 5.20 (d, 1H), 4.78-4.91 (m, 1H), 4.41 (m, 2H), 3.53 (m, 1H), 3.39 (m, 1H), 3.21 (m, 1H), 1.99 (m, 1H), 1.74 (m, 1H), 1.34 (d, 3H), 1.29 (t, 3H) ppm.

Example 7 Preparation of 1,1,1-trifluoro-*N*-{2-[(3-methylene-2-oxo-1-piperidyl)methyl]phenyl}methanesulfonamide (Compound 13-45)

Step 7.1 Synthesis of 3-(hydroxymethyl) piperidin-2-one



Sodium borohydride (2.56 g, 67.2 mmol) was added to a stirred suspension of ethyl 2-oxopiperidine-3-carboxylate (5 g, 29 mmol) and anhydrous calcium chloride (3.6 g, 32.1 mmol) in methanol (60 ml) at 0 °C and the resulting mixture stirred for 2 hours, allowed to warm to room temperature and stirring continued for 18 hours. The reaction mixture was concentrated under reduced pressure, water added and the mixture adjusted to pH 2-3 with 3N aqueous citric acid and extracted with dichloromethane (3 x 150 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 3-(hydroxymethyl) piperidin-2-one (1.99 g, 46 %).

¹H NMR (400 MHz, CDCl₃) δ 6.30 (br s, 1H), 3.86-3.61 (m, 2H), 3.41-3.22 (m, 2H), 2.53-2.40 (m, 1H), 2.00-1.70 (m, 3H), 1.59-1.39 (m, 1H) ppm.

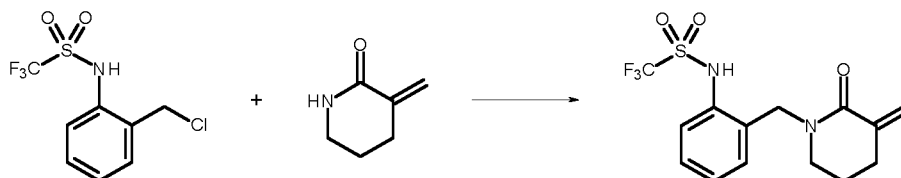
Step 7.2 Synthesis of 3-methylenepiperidin-2-one



Dicyclohexyl carbodiimide (1.0 g, 4.8 mmol) and cuprous iodide (60 mg, 0.3 mmol) were added to a stirred solution of 3-(hydroxymethyl) piperidin-2-one (500 mg, 3.87 mmol) in toluene and the resulting mixture heated at reflux for 1 hour, allowed to cool to room temperature and stirred for 18 hours. Water was added and the mixture extracted with ethyl acetate (3 x 250 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide 3-methylenepiperidin-2-one (230 mg, 53 %).

¹H NMR (400 MHz, CDCl₃) δ 7.30 (s, 1H), 6.20 (s, 1H), 5.30 (s, 1H), 3.40 (m, 2H), 2.55 (m, 2H), 1.70 (m, 2H) ppm.

Step 7.3 Synthesis of 1,1,1-trifluoro-N-{2-[(3-methylene-2-oxo-1-piperidyl)methyl]phenyl}methanesulfonamide (Compound 13-45)



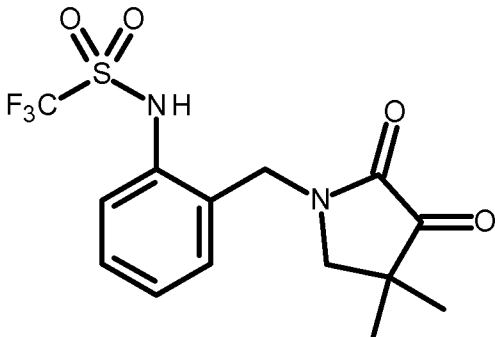
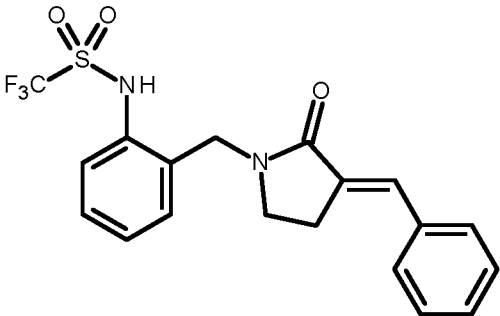
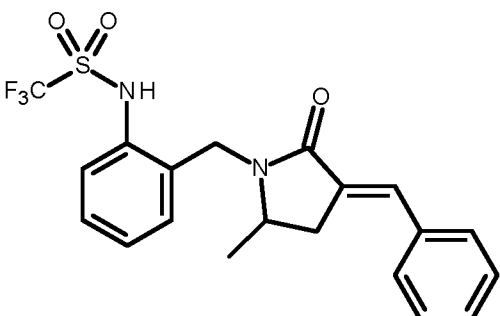
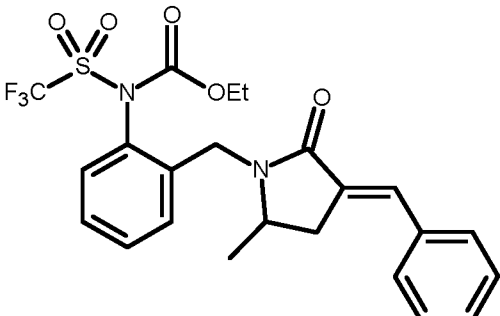
Potassium tertiary butoxide (1M in tetrahydrofuran; 13 ml, 13 mmol) was added to a stirred solution of 3-methylenepiperidin-2-one (570 mg, 5.12 mmol) in tetrahydrofuran (12 ml) at 0 °C and stirring continued for 30 minutes. A solution of N-[2-(chloromethyl)phenyl]-1,1,1-trifluoromethanesulfonamide (1.54 g, 5.64 mmol) in tetrahydrofuran (6 ml) was added and the resulting mixture stirred at 0 °C for 30 minutes, then allowed to warm to room temperature and stirred for 2 hours. Saturated aqueous ammonium chloride (50 ml) was added and the mixture extracted with ethyl acetate (3 x 100 ml). The combined organic extracts were washed with water, dried over sodium sulfate and concentrated under reduced pressure to leave a residue which was purified by silica gel chromatography to provide N-{2-[(3-benzylidene-4-methyl-2-oxo-1-piperidyl)methyl]phenyl}-1,1,1-trifluoro-methanesulfonamide (700 mg, 39 %).

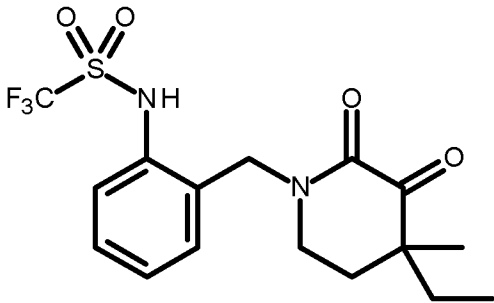
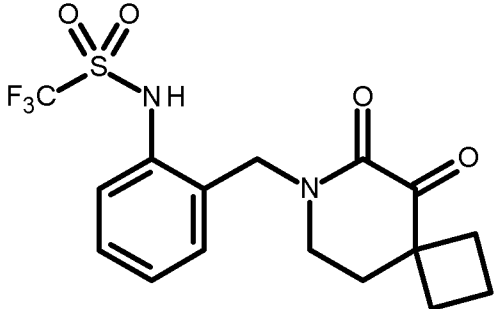
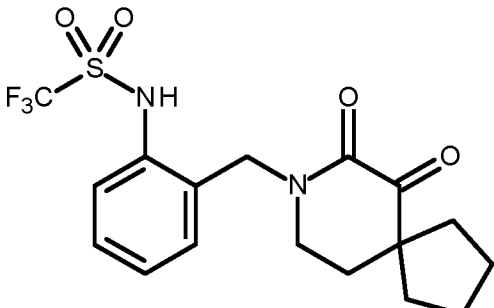
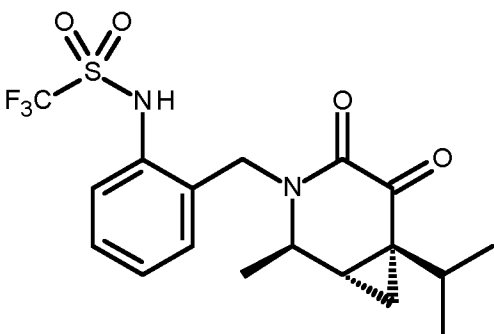
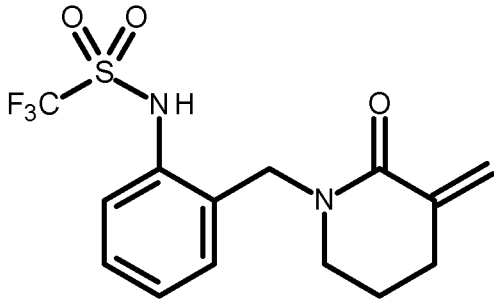
Melting point 130-132 °C;

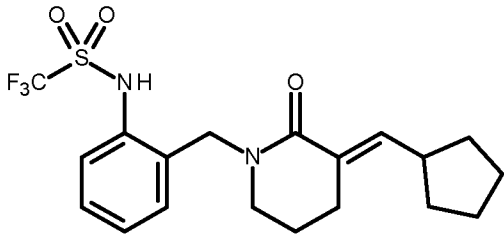
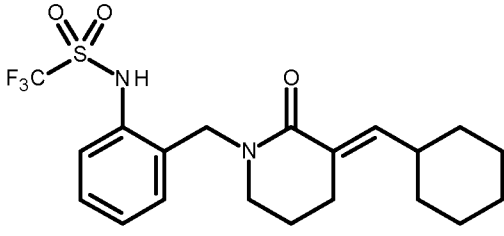
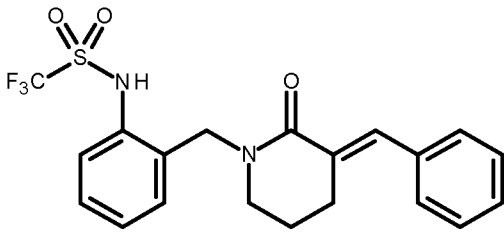
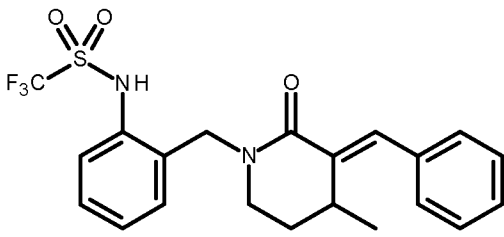
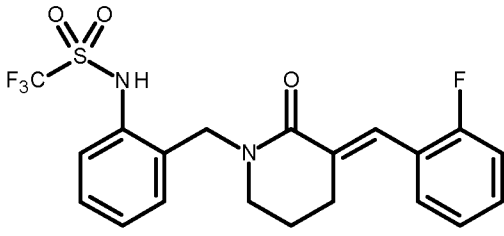
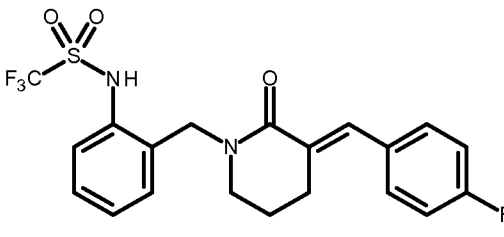
¹H NMR (400 MHz, CDCl₃) δ 12.00 (s, 1H), 7.64 (d, 1H), 7.38 (m, 1H), 7.29 (m, 1H), 7.22 (m, 1H), 6.34 (s, 1H), 5.40 (s, 1H), 4.55 (s, 2H), 3.55 (t, 2H), 2.55 (t, 2H), 1.89 (m, 2H) ppm.

Compounds made using the general methods described are listed in Table 10 below.

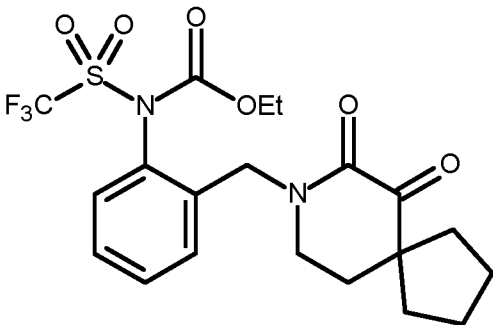
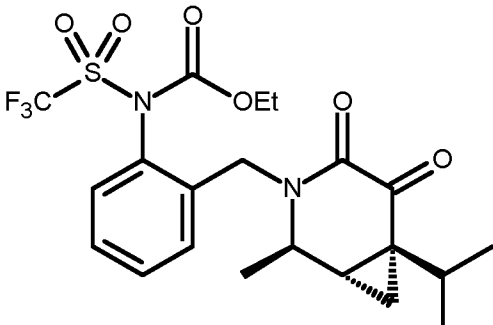
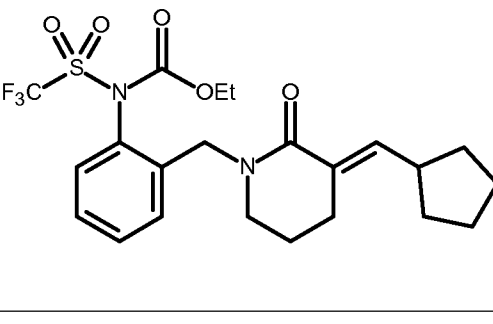
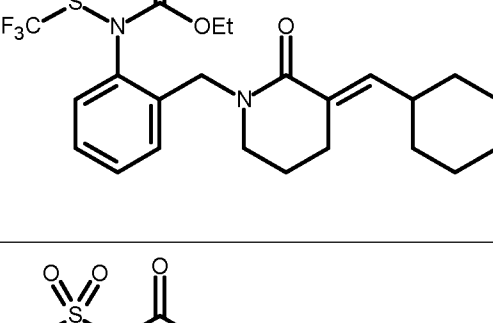
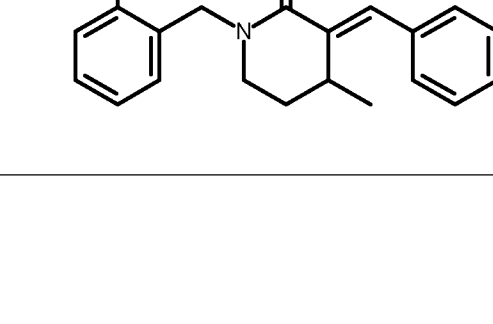
TABLE 10 Compounds made according to the general methods described.
Characteristic data is melting point (°C) and/or ¹H nmr data (400 MHz, CDCl₃ unless otherwise stated) δ_H ppm.

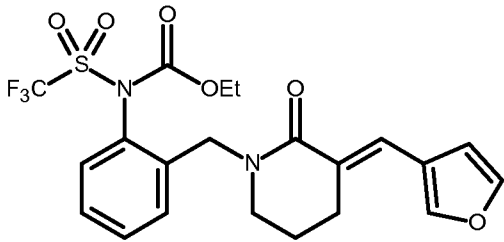
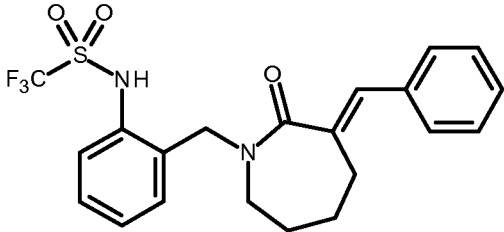
Compound Number	Structure	Characteristic Data
1-13		7.63-7.52 (m, 1H), 7.47-7.39 (m, 1H), 7.37-7.22 (m, 2H), 4.68 (s, 2H), 3.59 (s, 2H), 1.23 (s, 6H)
1-197		11.90 (br s, 1H), 7.62 (d, 1H), 7.48-7.20 (m, 9H), 4.55 (s, 2H), 3.65 (t, 2H), 3.09 (m, 2H)
1-198 (<i>E</i> isomer)		11.85 (br s, 1H), 7.62 (dd, 1H), 7.48-7.16 (m, 9H), 4.87-4.74 (m, 1H), 4.36-4.22 (m, 1H), 3.95-3.82 (m, 1H), 3.36-3.18 (m, 1H), 2.77-2.61 (m, 1H), 1.48 (d, 3H)
4-198 (<i>E</i> isomer)		7.56-7.29 (m, 9H), 7.25-7.17 (m, 1H), 5.18-4.93 (m, 1H), 4.48-4.23 (m, 3H), 3.72 (m, 1H), 3.27 (m, 1H), 2.79-2.54 (m, 1H), 1.41-1.14 (m, 6H)

13-31		10.71 (s, 1H), 7.60 (d, 1H), 7.43 (t, 1H), 7.34 (m, 1H), 7.30 (m, 1H), 4.61 (d, 1H), 4.55 (d, 1H), 3.76 (m, 2H), 2.05 (m, 2H), 1.61 (m, 2H), 1.15 (s, 3H), 0.87 (t, 3H)
13-37		110-112; 10.71 (s, 1H), 7.59 (d, 1H), 7.42 (t, 1H), 7.33 (d, 1H), 7.29 (m, 1H), 4.56 (s, 2H), 3.72 (t, 2H), 2.43 (m, 2H), 2.27 (t, 2H), 2.05-1.88 (m, 4H)
13-38		129-131; 10.71 (s, 1H), 7.60 (d, 1H), 7.42 (t, 1H), 7.34 (d, 1H), 7.29 (m, 1H), 4.58 (s, 2H), 3.75 (t, 2H), 2.12 (m, 4H), 1.71-1.48 (m, 6H)
13-44 (1 <i>S</i> ,2 <i>R</i> ,6 <i>S</i> isomer)		7.58 (d, 1H), 7.43-7.24 (m, 3H), 4.81 (d, 1H), 4.18-4.05 (m, 2H), 1.92 (heptet, 1H), 1.76 (ddd, 1H), 1.44 (d, 3H), 1.19 (dd, 1H), 1.05-0.94 (m, 7H)
13-45		130-132; 12.00 (s, 1H), 7.64 (d, 1H), 7.38 (m, 1H), 7.29 (m, 1H), 7.22 (m, 1H), 6.34 (s, 1H), 5.40 (s, 1H), 4.55 (s, 2H), 3.55 (t, 2H), 2.55 (t, 2H), 1.89 (m, 2H)

13-221		106-108; 12.30 (s, 1H), 7.64 (d, 1H), 7.35 (t, 1H), 7.30 (s, 1H), 7.21 (m, 1H), 6.95 (d, 1H), 4.54 (s, 2H), 3.50 (t, 2H), 2.68-2.63 (m, 1H), 2.50-2.46 (m, 2H), 1.86-1.75 (m, 6H), 1.68-1.60 (m, 2H), 1.40-1.35 (m, 2H)
13-265		82-84; 12.27 (s, 1H), 7.64 (d, 1H), 7.37 (m, 1H), 7.29 (m, 1H), 7.19 (m, 1H), 6.84 (d, 1H), 4.54 (s, 2H), 3.50 (t, 2H), 2.47 (t, 2H), 2.22 (m, 1H), 1.85 (m, 2H), 1.73 (m, 5H), 1.23 (m, 5H)
13-309		12.20 (br s, 1H), 7.92 (s, 1H), 7.64 (d, 1H), 7.35 (m, 7H), 7.21 (t, 1H), 4.60 (s, 2H), 3.58 (t, 2H), 2.77 (m, 2H), 1.84 (quintet, 2H)
13-324		138-140; 12.22 (s, 1H), 7.82 (s, 1H), 7.67 (d, 1H), 7.46-7.29 (m, 7H), 7.25-7.17 (m, 1H), 4.93 (d, 1H), 4.28 (d, 1H), 3.73 (m, 1H), 3.53 (m, 1H), 3.31 (m, 1H), 1.92 (m, 1H), 1.77 (m, 1H), 1.23 (d, 3H)
13-353		120-122; 12.09 (s, 1H), 7.90 (s, 1H), 7.66 (d, 1H), 7.35 (m, 1H), 7.32 (m, 2H), 7.23 (m, 2H), 7.14 (m, 2H), 4.61 (s, 2H), 3.60 (t, 2H), 2.63 (t, 2H), 1.86 (m, 2H)
13-397		12.16 (s, 1H), 7.90 (s, 1H), 7.66 (d, 1H), 7.42-7.37 (m, 1H), 7.35 (m, 3H), 7.24 (m, 1H), 7.08 (m, 2H), 4.61 (s, 2H), 3.59 (t, 2H), 2.75 (t, 2H), 1.88 (m, 2H)

13-412		160-162; 12.16 (s, 1H), 7.77 (s, 1H), 7.66 (d, 1H), 7.42-7.30 (m, 4H), 7.20 (m, 1H), 7.08 (m, 2H), 4.93 (d, 1H), 4.27 (d, 1H), 3.72 (m, 1H), 3.53 (m, 1H), 3.26 (m, 1H), 1.91 (m, 1H), 1.77 (m, 1H), 1.22 (d, 3H)
13-441		66-68; 11.92 (s, 1H), 7.92 (s, 1H), 7.67 (m, 3H), 7.43 (m, 4H), 7.23 (m, 1H), 4.62 (s, 2H), 3.61 (t, 2H), 2.74 (t, 2H), 1.89 (m, 2H)
13-485 (<i>E</i> isomer)		168-170; 12.18 (s, 1H), 8.12 (s, 1H), 7.65 (d, 1H), 7.49 (d, 1H), 7.36 (m, 1H), 7.31 (m, 2H), 7.21 (m, 1H), 7.14 (m, 1H), 4.61 (s, 2H), 3.58 (m, 2H), 2.82 (t, 2H), 1.97 (m, 2H)
13-485 (<i>Z</i> isomer)		158-160; 12.19 (s, 1H), 8.12 (s, 1H), 7.65 (d, 1H), 7.49 (d, 1H), 7.3 (m, 3H), 7.23 (m, 1H), 7.14 (m, 1H), 4.61 (s, 2H), 3.58 (t, 2H), 2.82 (t, 2H), 1.97 (quintet, 2H)
13-529		131-133; 12.22 (s, 1H), 7.74 (s, 1H), 7.63 (m, 2H), 7.45 (s, 1H), 7.38 (t, 1H), 7.31 (d, 1H), 7.20 (m, 1H), 6.56 (s, 1H), 4.60 (s, 2H), 3.57 (t, 2H), 2.70 (t, 2H), 1.93 (quintet, 2H)

16-38		7.53-7.37 (m, 3H), 7.24 (d, 1H), 4.95 (d, 1H), 4.63 (d, 1H), 4.38 (m, 2H), 3.40 (t, 2H), 2.16 (m, 2H), 2.06 (m, 2H), 1.72 (m, 4H), 1.69 (m, 2H), 1.34 (t, 3H)
16-44 (1S,2R,6S isomer)		7.52-7.37 (m, 3H), 7.21 (dd, 1H), 5.21 (d, 0.6H), 4.85 (d, 0.4H), 4.54 (d, 0.4H), 4.42-4.30 (m, 2H), 4.15 (d, 0.6H), 3.81-3.72 (m, 1H), 2.02-1.92 (m, 1H), 1.72-1.62 (m, 2H), 1.50-1.41 (m, 1H), 1.38-1.19 (m, 6H), 1.08-0.96 (m, 6H)
16-221		7.47 (t, 1H), 7.36 (m, 2H), 7.21 (d, 1H), 6.89 (d, 1H), 4.99 (d, 1H), 4.52 (d, 1H), 4.36 (m, 2H), 3.27 (t, 2H), 2.71 (m, 1H), 2.57 (m, 2H), 1.85-1.63 (m, 8H), 1.42 (m, 2H), 1.31 (t, 3H)
16-265		130-132; 7.46 (m, 1H), 7.37 (m, 2H), 7.21 (d, 1H), 6.79 (d, 1H), 4.99 (d, 1H), 4.51 (d, 1H), 4.36 (m, 2H), 3.27 (t, 2H), 2.55 (m, 2H), 2.27 (m, 1H), 1.86-1.63 (m, 7H), 1.33-1.20 (m, 8H)
16-324		126-128; 7.81 (s, 1H), 7.51-7.36 (m, 8H), 7.23 (d, 1H), 5.20 (d, 1H), 4.91-4.78 (m, 1H), 4.41 (m, 2H), 3.53 (m, 1H), 3.39 (m, 1H), 3.21 (m, 1H), 1.99 (m, 1H), 1.74 (m, 1H), 1.34 (t, 3H), 1.29 (t, 3H)

16-529		84-86; 7.70-7.35 (m, 6H), 7.23 (d, 1H), 6.60 (s, 1H), 5.00 (d, 1H), 4.62 (d, 1H), 4.37 (m, 2H), 3.33 (t, 2H), 2.78 (t, 2H), 1.91 (m, 2H), 1.33 (t, 3H)
31-190		11.90 (br s, 1H), 7.67 (d, 1H), 7.39 (m, 5H), 7.31 (m, 2H), 7.21 (t, 1H), 7.14 (s, 1H), 4.55 (s, 2H), 3.49 (m, 2H), 2.59 (m, 2H), 1.81 (m, 4H)

Example 8 - Herbicidal action

EXAMPLE 8a Pre-emergence biological efficacy

- Seeds of *Zea mays* (ZEAMX), *Setaria faberi* (SETFA), *Echinochloa crus-galli* (ECHCG), *Lolium perenne* (LOLPE), *Alopecurus myosuroides* (ALOMY), *Amaranthus retroflexus* (AMARE) and *Abutilon theophrasti* (ABUTH) were sown in standard soil in pots. After cultivation for one day under controlled conditions in a glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity), the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in acetone / water (50:50) solution containing 0.5% Tween 20 (polyoxyethylene sorbitan monolaurate, CAS RN 9005-64-5) to give a final dose of 250 or 1000 g/ha of test compound.

The test plants were then grown under controlled conditions in the glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity) and watered twice daily. After 13 days the test was evaluated (100 = total damage to plant; 0 = no damage to plant). Results are shown below in Table 11.

TABLE 11 Percentage damage caused to weed species by compounds of the invention when applied pre-emergence.

Cpd No	Rate (g/ha)	Species						
		ZEAMX	SETFA	ECHCG	LOLPE	ALOMY	AMARE	ABUTH
1-13	1000	80	90	90	90	-	80	70
1-197	1000	40	90	90	-	80	100	80
1-198(E)	1000	20	70	60	50	-	30	50
4-198(E)	1000	0	40	70	30	-	30	10
13-31	1000	80	100	90	90	-	70	80

13-37	1000	90	100	100	90	-	90	80
13-38	1000	80	100	100	90	-	100	80
13-44	1000	100	100	100	100	-	100	80
13-45	1000	90	90	100	90	-	60	40
13-221	1000	80	90	100	100	-	90	70
13-265	1000	80	100	100	90	-	100	70
13-309	250	0	80	100	-	80	100	70
13-324	1000	70	90	100	90	-	90	80
13-353	1000	80	90	90	90	-	80	80
13-397	1000	70	90	100	90	-	70	60
13-412	1000	60	100	100	100	-	90	60
13-441	1000	70	100	100	90	-	90	60
13-485(E)	1000	60	80	90	70	-	70	50
13-485(Z)	1000	0	70	70	70	-	80	10
13-529	1000	30	80	80	70	-	70	50
16-38	1000	90	100	100	90	-	90	80
16-44	1000	80	100	100	100	-	100	80
16-221	1000	80	90	100	90	-	80	70
16-265	1000	10	10	10	40	-	30	10
16-324	1000	70	100	100	90	-	80	70
16-529	1000	50	70	80	60	-	70	30
31-190	1000	40	90	100	-	80	90	50

EXAMPLE 8b Post-emergence biological efficacy

Seeds of *Zea mays* (ZEAMX), *Setaria faberi* (SETFA), *Echinochloa crus-galli* (ECHCG), *Lolium perenne* (LOLPE), *Alopecurus myosuroides* (ALOMY), *Amaranthus retroflexus* (AMARE) and

- 5 *Abutilon theophrasti* (ABUTH) were sown in standard soil in pots. After cultivation for 8 days under controlled conditions in a glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity), the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in acetone / water (50:50) solution containing 0.5% Tween 20 (polyoxyethylene sorbitan monolaurate, CAS RN 9005-64-5) to give a final dose of 1000 g/ha of
- 10 test compound.

The test plants were then grown on under controlled conditions in a glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity) and watered twice daily. After 13 days the test was evaluated (100 = total damage to plant; 0 = no damage to plant). Results are shown below in Table 12.

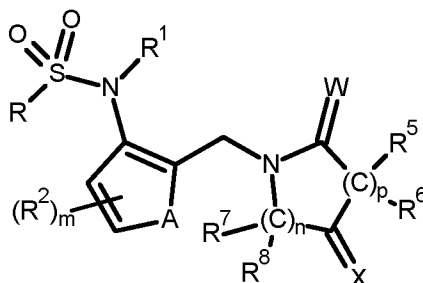
15 **TABLE 12 Percentage damage caused to weed species by compounds of the invention when applied post-emergence**

Cpd No	Species
--------	---------

	ZEAMX	SETFA	ECHCG	LOLPE	ALOMY	AMARE	ABUTH
1-13	60	80	60	60	-	80	60
1-197	70	70	80	-	50	80	80
1-198(E)	60	30	60	30	-	30	30
4-198(E)	80	40	60	20	-	40	40
13-31	70	70	70	60	-	70	80
13-37	70	70	60	60	-	80	80
13-38	70	80	70	70	-	90	80
13-44	80	70	70	70	-	90	80
13-45	60	70	60	50	-	20	70
13-221	60	70	70	60	-	70	80
13-265	40	60	50	60	-	40	60
13-309	30	50	30	-	20	50	70
13-324	50	70	50	20	-	90	80
13-353	20	60	70	60	-	80	80
13-397	20	70	80	30	-	80	80
13-412	30	30	60	30	-	80	80
13-441	70	70	80	60	-	90	90
13-485(E)	60	60	80	60	-	80	70
13-485(Z)	30	30	70	40	-	60	40
13-529	60	50	80	60	-	60	70
16-38	70	80	70	70	-	80	80
16-44	80	70	60	60	-	80	80
16-221	60	70	30	40	-	80	70
16-265	50	50	60	30	-	40	30
16-324	60	70	70	60	-	70	80
16-529	60	40	70	40	-	70	70
31-190	20	60	40	-	40	70	50

Claims

1. A compound of formula (I)



5

(I)

wherein

A is selected from CH=CH , $\text{CR}^3=\text{CH}$, CH=CR^3 , $\text{CR}^3=\text{CR}^3$ and S;

W is selected from oxygen and sulphur;

10 X is selected from oxygen and CR^9R^{10} ;

m is 0, 1 or 2;

n is 1, 2, 3 or 4;

p is 0, 1, 2 or 3;

with the proviso that $2 \leq n + p \leq 4$;

15 R is C_{1-6} haloalkyl;

R^1 is selected from H, C_{1-4} alkyl, C_{3-5} alkenyl, propargyl, C_{1-4} alkoxy C_{1-2} alkyl, C_{1-4} alkoxy C_{1-2} alkoxy C_{1-2} alkyl, C_{1-4} haloalkoxy C_{1-2} alkyl, C_{1-4} alkylthio C_{1-2} alkyl, cyano C_{1-2} alkyl, C_{1-4} alkylcarbonyl C_{1-2} alkyl, C_{1-4} alkoxycarbonyl C_{1-2} alkyl, C_{1-4} alkylsulphonyl C_{1-2} alkyl, aryl C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , heteroaryl C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , arylthio C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , aryl C_{1-2} alkoxy C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , aryl C_{1-2} alkylthio C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , arylcarbonyl C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , C_{1-4} alkylcarbonyloxy C_{1-2} alkyl, arylcarbonyloxy C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , C_{1-4} alkoxycarbonyloxy C_{1-2} alkyl, aryloxy C_{1-2} alkyl optionally substituted by 1-3 groups R^{20} , C_{1-8} alkylcarbonyl, C_{2-5} alkenylcarbonyl, C_{1-4} haloalkylcarbonyl, C_{1-4} alkoxy C_{1-2} alkylcarbonyl, C_{3-6} cycloalkylcarbonyl, C_{1-4} alkoxycarbonyl C_{1-2} alkylcarbonyl,

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- arylcarbonyl optionally substituted by 1-3 groups R^{20} , aryloxy C_{1-2} alkylcarbonyl optionally substituted by 1-3 groups R^{20} , C_{1-10} alkoxycarbonyl; C_{1-4} haloalkoxycarbonyl, C_{3-5} alkenyloxy carbonyl, propargyloxy carbonyl, C_{1-4} alkoxy C_{1-2} alkoxycarbonyl, C_{1-4} alkylthiocarbonyl, aryloxy carbonyl optionally substituted by 1-3 groups R^{20} , aryl C_{1-2} alkoxycarbonyl optionally substituted by 1-3 groups R^{20} , aminocarbonyl, C_{1-4} alkylaminocarbonyl, di(C_{1-4} alkyl)aminocarbonyl, C_{1-6} alkylsulphonyl, C_{1-6} haloalkylsulphonyl and arylsulphonyl optionally substituted by 1-3 groups R^{20} , and each R^{20} is, independently, selected from halogen, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-2} alkoxy C_{1-2} alkoxy, hydroxy, phenyl and phenoxy;
- 10 each R^2 is, independently, selected from halogen, nitrile, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{3-6} cycloalkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-2} alkoxy C_{1-2} alkoxy, hydroxy, C_{1-4} alkylcarbonyl, C_{1-4} alkylsulphonyl and phenyl, or two R^2 groups together form –OCH₂O– or –OCH₂CH₂O–;
- 15 each R^3 is, independently, selected from halogen, nitrile, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{3-6} cycloalkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-2} alkoxy C_{1-2} alkoxy, hydroxy, C_{1-4} alkylcarbonyl, C_{1-4} alkylsulphonyl and phenyl, or two R^3 groups together form –OCH₂O– or –OCH₂CH₂O–;
- 20 each R^5 and R^6 are, independently, selected from H, halogen, cyano, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{3-6} cycloalkyl, C_{1-2} alkoxy C_{1-2} alkyl, C_{2-5} alkenyl, C_{2-5} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkoxycarbonyl, aryl optionally substituted by 1-3 groups R^{24} , aryloxy optionally substituted by 1-3 groups R^{24} and arylthio optionally substituted by 1-3 groups R^{24} or R^5 and R^6 on the same carbon atom together form a C_{2-5} alkylene chain optionally containing an oxygen atom, or R^5 and R^6 on different carbon atoms together form a C_{1-4} alkylene chain, or R^5 and R^{10} together form a C_{2-4} alkylene chain and each R^{24} is,
- 25 independently, selected from halogen, cyano, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-2} alkoxy C_{1-2} alkoxy, hydroxy, phenyl and phenoxy;
- 30 each R^7 and R^8 are, independently, selected from H, C_{1-5} alkyl, C_{1-4} haloalkyl, C_{3-6} cycloalkyl optionally substituted with 1-3 groups selected from halogen, C_{1-4} alkyl and phenyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkoxycarbonylamino C_{1-4} alkyl, C_{1-4} alkylcarbonyloxy C_{1-2} alkyl, aryl C_{1-2} alkyl optionally substituted by 1-3 groups R^{21} , aryloxy C_{1-2} alkyl optionally substituted by 1-3 groups R^{21} , aryl C_{1-2} alkoxy C_{1-2} alkyl optionally substituted by 1-3 groups R^{21} , C_{2-4} alkenyl, hydroxyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylcarbonyloxy, aryl optionally substituted by 1-3 groups R^{21} , aryloxy optionally substituted by 1-3 groups R^{21} , arylthio optionally substituted by 1-3 groups R^{21} and heteroaryl optionally substituted by 1-3 groups R^{21} , or R^7 and R^8 on the same carbon atom together form a C_{2-6} alkylene chain optionally containing an oxygen or sulphur atom and optionally substituted by 1-3 groups selected from halogen, C_{1-2} alkyl and an oxo group, or R^7 and R^8 on different carbon atoms together form a C_{1-4} alkylene chain, or R^8 and R^{10} together form a C_{2-4} alkylene chain and each R^{21} is, independently,
- 35

selected from halogen, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, phenyl and phenoxy or two R²¹ groups together form -OCH₂O- or -OCH₂CH₂O-;

5 R⁹ is selected from H, C₁₋₆alkyl, C₁₋₆haloalkyl, C₃₋₆cycloalkylC₁₋₂alkyl, C₃₋₆cycloalkyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylamino, aryl optionally substituted by 1-3 groups R²², arylC₁₋₂alkyl optionally substituted by 1-3 groups R²² and heteroaryl optionally substituted by 1-3 groups R²² and each R²² is, independently, selected from halogen, nitro, cyano, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy, C₁₋₂alkoxyC₁₋₂alkoxy, hydroxy, C₁₋₄alkylthio, C₁₋₄haloalkylthio, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonyl, phenyl and phenoxy;

10 R¹⁰ is selected from H, C₁₋₆alkyl, C₁₋₄alkylcarbonyl, C₁₋₄alkoxycarbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylamino and C₁₋₄alkylsulphonyl, or R¹⁰ and R⁵ or R⁸ together form a C₂₋₄alkylene chain;

or an N-oxide or salt form thereof.

2. The compound as claimed in claim 1, wherein A is selected from CH=CH and CR³=CH.
- 15 3. The compound as claimed in claim 2, wherein A is CH=CH.
4. The compound as claimed in any one of claims 1 to 3, wherein W is oxygen.
5. The compound as claimed in any one of claims 1 to 4, wherein m is 0 or 1.
6. The compound as claimed in claim 5, wherein m is 0.
7. The compound as claimed in any one of claims 1 to 6, wherein n is 2, 3 or 4.
- 20 8. The compound as claimed in any one of claims 1 to 7, wherein p is 0.
9. The compound as claimed in any one of claims 1 to 8, wherein R is C₁₋₂haloalkyl.
10. The compound as claimed in claim 9, wherein R is trifluoromethyl.
11. The compound as claimed in any one of claims 1 to 10, wherein R¹ is selected from H, C₁₋₄alkoxyC₁₋₂alkyl, C₁₋₄alkoxyC₁₋₂alkoxyC₁₋₂alkyl, C₁₋₄haloalkoxyC₁₋₂alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkylcarbonyloxyC₁₋₂alkyl, arylcarbonyloxyC₁₋₂alkyl optionally substituted by 1-3 groups R²⁰, C₁₋₄alkoxycarbonyloxyC₁₋₂alkyl, C₁₋₈alkylcarbonyl, C₁₋₄haloalkylcarbonyl, C₁₋₄alkoxyC₁₋₂alkylcarbonyl, C₁₋₁₀alkoxycarbonyl; C₁₋₄haloalkoxycarbonyl, C₁₋₄alkoxyC₁₋₂alkoxycarbonyl, aryloxy carbonyl optionally substituted by 1-3 groups R²⁰, arylC₁₋₂alkoxycarbonyl optionally substituted by 1-3 groups R²⁰, C₁₋₆alkylsulphonyl and C₁₋₆haloalkylsulphonyl.
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- 30

12. The compound as claimed in claim 11, wherein R¹ is selected from H, C₁₋₅alkylcarbonyl, C₁₋₄haloalkylcarbonyl, C₁₋₅alkoxycarbonyl, C₁₋₄haloalkoxycarbonyl and C₁₋₄haloalkylsulphonyl.
13. The compound as claimed in claim 12, wherein R¹ is selected from H, C₁₋₅alkylcarbonyl and C₁₋₅alkoxycarbonyl.
14. The compound as claimed in any one of claims 1 to 13, wherein R⁷ and R⁸ are, independently, selected from H, C₁₋₅alkyl, C₁₋₄haloalkyl, C₃₋₆cycloalkyl, C₁₋₄alkoxyC₁₋₄alkyl, arylC₁₋₂alkyl optionally substituted by 1-3 groups R²¹, C₂₋₄alkenyl, C₁₋₄alkoxy, C₁₋₄alkylthio, aryl optionally substituted by 1-3 groups R²¹, aryloxy optionally substituted by 1-3 groups R²¹, arylthio optionally substituted by 1-3 groups R²¹ and heteroaryl optionally substituted by 1-3 groups R²¹, or R⁷ and R⁸ on the same carbon atom together form a C₂₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a C₁₋₄alkylene chain.
15. The compound as claimed in claim 14, wherein R⁷ and R⁸ are, independently, selected from H, C₁₋₄alkyl, C₁₋₃haloalkyl, cyclopropyl, C₁₋₂alkoxyC₁₋₂alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, aryl optionally substituted by 1-3 groups R²¹, aryloxy optionally substituted by 1-3 groups R²¹, arylthio optionally substituted by 1-3 groups R²¹ and heteroaryl optionally substituted by 1-3 groups R²¹, or R⁷ and R⁸ on the same carbon atom together form a C₃₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a C₁₋₄alkylene chain.
16. The compound as claimed in claim 15, wherein R⁷ and R⁸ are, independently, selected from H, C₁₋₃alkyl, trifluoromethyl, cyclopropyl, methoxymethyl, C₁₋₃alkoxy and aryl optionally substituted by 1-2 groups R²¹, or R⁷ and R⁸ together form a C₃₋₅alkylene chain optionally containing an oxygen atom, or R⁷ and R⁸ on adjacent carbon atoms together form a -CH₂- group.
17. The compound as claimed in any one of claims 1 to 16, wherein R⁹ is selected from H, C₁₋₄alkyl, C₃₋₆cycloalkyl and aryl optionally substituted by 1-3 groups R²².
18. The compound as claimed in claim 17, wherein R⁹ is selected from H, C₁₋₂alkyl and aryl optionally substituted by 1-3 groups R²².
19. The compound as claimed in any one of claims 1 to 18, wherein R¹⁰ is selected from H, C₁₋₄alkyl, C₁₋₂alkoxy and C₁₋₂alkylthio.
20. The compound as claimed in claim 19, wherein R¹⁰ is selected from H and C₁₋₄alkyl.
21. A herbicidal composition comprising a compound of formula (I) as defined in any one of claims 1 to 20 together with at least one agriculturally acceptable adjuvant or diluent.

22. A composition according to claim 21 which comprises a further herbicide in addition to the compound of formula (I).
23. A composition according to claim 21 or 22 which comprises a safener.
- 5 24. Use of a compound of formula (I) as defined in any one of claims 1 to 20 or a composition as defined in any one of claims 21 to 23 as a herbicide.
- 10 25. A method of controlling weeds in crops of useful plants, comprising applying to said weeds or to the locus of said weeds, or to said useful plants or to the locus of said useful plants, a compound of formula (I) as defined in any one of claims 1 to 20 or a composition as claimed in any one of claims 21 to 23.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2016/064090

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D221/20 A01N43/08 A01N43/10 A01N43/36 A01N43/40
A01N43/42 C07D407/06 C07D409/06 C07D207/36 C07D207/38
C07D211/86

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D A01N

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

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

EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2013/061973 A1 (ISHIHARA SANGYO KAISHA [JP]) 2 May 2013 (2013-05-02) abstract page 90 - page 92; compounds 4_50-4_85, 4_95-4_103 claim 1 -----	1-25
X	EP 2 336 104 A1 (NISSAN CHEMICAL IND LTD [JP]) 22 June 2011 (2011-06-22) abstract claim 1 -----	1-25
X	WO 2014/184070 A1 (SYNGENTA LTD [GB]) 20 November 2014 (2014-11-20) abstract claim 1 -----	1-25



Further documents are listed in the continuation of Box C.



See patent family annex.

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Date of the actual completion of the international search

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2016/064090

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2013061973 A1	02-05-2013	JP 2015013809 A WO 2013061973 A1	22-01-2015 02-05-2013
EP 2336104 A1	22-06-2011	CN 102137841 A EP 2336104 A1 JP 5549592 B2 KR 20110056378 A WO 2010026989 A1	27-07-2011 22-06-2011 16-07-2014 27-05-2011 11-03-2010
WO 2014184070 A1	20-11-2014	NONE	