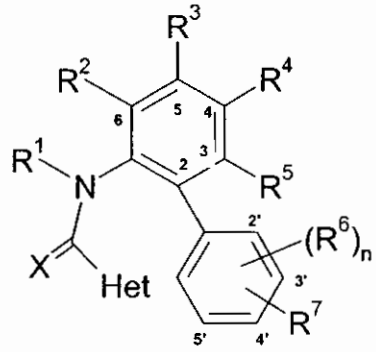
BIPHENYL DERIVATIVES AND THEIR USE AS FUNGICIDES

The present invention relates to novel carboxamide derivatives as active ingredients which have microbiocidal activity, in particular fungicidal activity. The invention also relates to preparation of these active ingredients, to novel diphenyl derivatives used as intermediates in the preparation of these active ingredients, to preparation of these novel intermediates, to agrochemical compositions which comprise at least one of the novel active ingredients, to preparation of these compositions and to use of the active ingredients or compositions in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

Fungicidally active carboxamide derivatives are disclosed in JP2001072510, JP2001072508, JP2001072507 and JP2001302605.

Certain amino- or halo-substituted diphenyl derivatives are disclosed in DE2205732 and JP2001302605.

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



(I)

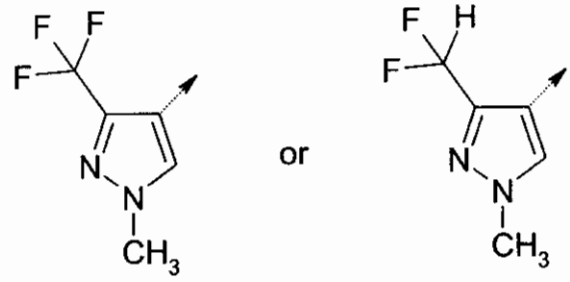
where Het is a 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from oxygen, nitrogen and suphur, provided that the ring is not 1,2,3-triazole, the ring being substituted by one, two or three groups R^y; R^1 is hydrogen, formyl, CO-C_{1-4} alkyl, COO-C_{1-4} alkyl, C_{1-4} alkoxy(C_{1-4})alkylene, CO-C_{1-4} alkylenoxy(C_{1-4})alkyl, propargyl or allenyl; R^2, R^3, R^4 and R^5 are each, independently, hydrogen, halogen, methyl or CF_3; each R^6 is, independently, halogen, methyl or CF_3; R^7 is $(Z)_mC{\equiv}C(Y^1)$, $(Z)_mC(Y^1){=}C(Y^2)(Y^3)$ or tri(C_{1-4})alkylsilyl; each R^y is, independently, halogen, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy(C_{1-3})alkylene or cyano; X is O or S; Y^1, Y^2 and Y^3 are each, independently, hydrogen, halogen, C_{1-6} alkyl [optionally

substituted by one or more substituents each independently selected from halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} haloalkylthio, C_{1-4} alkylamino, di(C_{1-4})alkylamino, C_{1-4} alkoxycarbonyl, C_{1-4} alkylcarbonyloxy and tri(C_{1-4})alkylsilyl], C_{2-4} alkenyl [optionally substituted by one or more substituents each independently selected from halogen], C_{2-4} alkynyl [optionally substituted by one or more substituents each independently selected from halogen], C_{3-7} cycloalkyl [optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or tri(C_{1-4})alkylsilyl; Z is C_{1-4} alkylene [optionally substituted by one or more substituents each independently selected from hydroxy, cyano, C_{1-4} alkoxy, halogen, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, C_{1-4} alkylthio, COOH and COO-C_{1-4} alkyl]; m is 0 or 1; and n is 0, 1 or 2.

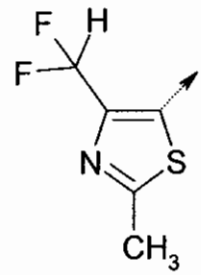
In one particular aspect, the present invention provides a compound of formula (I) as defined above where Y^1, Y^2 and Y^3 are each, independently, hydrogen, halogen, C_{1-4} alkyl [optionally substituted by one or more substituents each independently selected from halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} haloalkylthio, C_{1-4} alkylamino, di(C_{1-4})alkylamino, C_{1-4} alkoxycarbonyl and tri(C_{1-4})alkylsilyl], C_{2-4} alkenyl [optionally substituted by one or more substituents each independently selected from halogen], C_{2-4} alkynyl [optionally substituted by one or more substituents each independently selected from halogen], C_{3-7} cycloalkyl [optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or tri(C_{1-4})alkylsilyl.

In one aspect, the present invention provides a compound of formula (I) as defined above where Z is C_{1-4} alkylene [optionally substituted by one or more substituents each independently selected from hydroxy, cyano, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, COOH and COO-C_{1-4} alkyl].

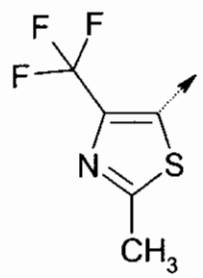
In one aspect, the present invention provides a compound of formula (I) as defined above provided that R^7 is not C_{2-6} alkenyl when X is O; R^1 is hydrogen; one of R^2, R^3, R^4 and R^5 is fluorine and the others are all hydrogen; n is 1; and Het is



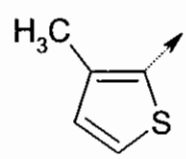
In another aspect, the present invention provides a compound of formula (I) as defined above provided that R^7 is not C_{2-6} alkenyl when X is O; R^1, R^2, R^3, R^4 and R^5 are all hydrogen; and Het is



In a further aspect, the present invention provides a compound of formula (I) as defined above provided that R^7 is not C_{2-6} alkenyl when X is O; R^1, R^2, R^3, R^4 and R^5 are all hydrogen; n is 1; and Het is



In yet another aspect, the present invention provides a compound of formula (I) as defined above provided that R^7 is not C_{2-6} alkenyl in the 4' position when X is O; R^1, R^3 and R^5 are all hydrogen; R^2 and R^4 are each, independently, hydrogen or fluorine; n is 0; or n is 1; or n is 2 and the two independent R^6 substituents are in positions 2',3' or 2',5' or 3',5'; and Het is



Halogen is fluorine, chlorine, bromine or iodine [preferably fluorine, chlorine or bromine].

Each alkyl moiety is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, iso-propyl, n-butyl, sec-butyl, iso-butyl or tert-butyl. Likewise, each alkylene moiety is a straight or branched chain.

Haloalkyl moieties are alkyl moieties which are substituted by one or more of the same or different halogen atoms and are, for example, CF_3, CF_2Cl, CHF_2, CH_2F, CCl_3, CF_3CH_2, CHF_2CH_2, CH_2FCH_2, CH_3CHF or CH_3CF_2.

Alkenyl and alkynyl moieties can be in the form of straight or branched chains. The alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration. Examples are vinyl, allyl, ethynyl and propargyl.

Cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

In tri(C_{1-4})alkylsilyl and in di(C_{1-4})alkylamino, each alkyl moiety is selected independently.

Throughout this description, Me stands for methyl and Et stands for ethyl.

It is preferred that Het is pyrazole, pyrrole, thiophene, furan, thiazole, isothiazole, oxazole, isoxazole, pyridine, pyrazine, pyrimidine, pyridazine, 5.6-dihydropyran or 5.6-dihydro-1.4-oxathiine [more preferably pyrazole, pyrrole, thiophene, furan, thiazole, oxazole, pyridine, pyrimidine, pyridazine or 5.6-dihydropyran; yet more preferably pyrazole, pyrrole, pyridine or thiazole; and even more preferably pyrazole, pyrrole or thiazole].

In one aspect it is preferred that Het is pyrazole, pyrrole, thiophene, furan, thiazole, isothiazole, oxazole, isoxazole, pyrazine, pyrimidine, pyridazine, 5.6-dihydropyran or 5.6-dihydro-1.4-oxathiine [more preferably pyrazole, pyrrole, thiophene, furan, thiazole, oxazole, pyrimidine, pyridazine or 5.6-dihydropyran and even more preferably pyrazole, pyrrole or thiazole].

Preferably R^1 is hydrogen, propargyl, allenyl, formyl, COMe, COEt or $COCH_2OMe$.

More preferably R^1 is hydrogen.

Preferably R^2 is hydrogen.

Preferably R^3 is hydrogen.

Preferably R^4 is hydrogen.

Preferably R^5 is hydrogen or halogen.

More preferably R^5 is hydrogen or fluorine.

Even more preferably R^5 is hydrogen.

In one aspect of the invention R^7 is tri(C_{1-4})alkylsilyl.

Preferably Y^1, Y^2 and Y^3 are, independently, hydrogen, halogen, C_{1-6} alkyl, C_{1-3} haloalkyl, C_{1-4}(haloalkoxy)C_{1-4}alkyl, C_{1-4}(haloalkylthio)C_{1-4} alkyl, trimethylsilyl, C_{2-4} alkenyl,

C_{2-4} haloalkenyl or C_{3-6} cycloalkyl (optionally substituted by one or more substituents each independently selected from halogen and C_{1-2} alkyl).

Preferably Z is C_{1-2} alkylene [which may be optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} haloalkyl and C_{1-4} haloalkoxy].

Preferably R^7 is in the 4' position.

Preferably R^7 is vinyl [optionally substituted by one to three substituents each independently selected from halogen, C_{1-4} alkyl, C_{1-3} haloalkyl, C_{3-6} cycloalkyl (optionally substituted by one to five substituents each independently selected from halogen, CH_3 and C_{1-2} haloalkyl) and trimethylsilyl], ethynyl [optionally substituted by one substituent selected from cyclopropyl, cyclopentyl and cyclohexyl (each optionally substituted by one to five substituents each independently selected from halogen, CH_3 and C_{1-2} haloalkyl), halogen, C_{1-6} alkyl, C_{1-4} haloalkyl, C_{2-4} alkenyl, C_{2-4} haloalkenyl and tri(C_{1-4})alkylsilyl], allyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl], propargyl [optionally substituted by one to three substituents each independently selected from halogen, C_{1-4} alkyl, C_{1-2} haloalkyl and trimethylsilyl] or tri(C_{1-4})alkylsilyl.

In one particular aspect R^7 is preferably vinyl [optionally substituted by one to three substituents each independently selected from halogen, C_{1-4} alkyl, C_{1-3} haloalkyl, C_{3-6} cycloalkyl and trimethylsilyl], ethynyl [optionally substituted by one substituent selected from halogen, C_{1-4} alkyl, C_{1-2} haloalkyl, cyclopropyl (optionally substituted by one to five substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl) and trimethylsilyl], allyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl], propargyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl] or tri(C_{1-4})alkylsilyl.

In another particular aspect R^7 is preferably vinyl [optionally substituted by one to three substituents each independently selected from halogen, C_{1-4} alkyl, C_{1-3} haloalkyl, C_{3-6} cycloalkyl and trimethylsilyl], ethynyl [optionally substituted by one substituent selected from halogen, C_{1-4} alkyl, C_{1-2} haloalkyl and trimethylsilyl], allyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl], propargyl [optionally substituted by one to three substituents each

independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl] or tri(C_{1-4})alkylsilyl.

More preferably R^7 is $CH=CH_2$, $CH=CH(CH_3)$, $CH=CHSiMe_3$, $CH=CF_2$, $CH=CCl_2$, $C(CH_3)=CCl_2$, $CH=CBr_2$, $C(CH_3)=CBr_2$, $C(CH_3)=CF_2$, $CH=CFCl$, $CH=CFBr$, $C(CH_3)=CFCl$, $C(CH_3)=CFBr$, $CH=CFMe$, $CH=CBrMe$, $CH=CClMe$, $CH=CHBr$, $CH=CHF$, $CH=CHCl$, $CF=CF_2$, $CCl=CF_2$, $CCl=CH_2$, $CBr=CH_2$, $CF=CH_2$, $C(CF_3)=CFBr$, $C(CF_3)=CFCl$, $C(CF_3)=CBr_2$, $C(CF_3)=CCl_2$, $C(CF_3)=CF_2$, $C(CF_3)=CH_2$, $CF=CHF$, $CH=CHCF_3$, $CH=CFCF_2Cl$, $CH=CClCF_2Cl$, $CH=CBrCF_2Cl$, $CH=C(CF_3)_2$, $CH=CHC_2F_5$, $CH=CHCF(CF_3)_2$, $C(CH_3)=CHCF_3$, $C(CH_3)=CFCF_3$, $C(CH_3)=CClCF_3$, $C(CH_3)=CBrCF_3$, $CH=CClCF_3$, $CH=CClC_2F_5$, $CH=CBrCF_3$, $CH=CFC_2F_5$, $CH=CFCF_3$, $CH_2CH=CH_2$, $CH_2CH=CF_2$, $CH_2CH=CCl_2$, $CH_2CH=CBr_2$, $CH_2CH=CFBr$, $CH_2CH=CFCl$, $CH_2CH=CClCF_3$, $CH_2CH=CHSiMe_3$, $C\equiv CH$, $C\equiv CSiMe_3$, $C\equiv CSiEt_3$, $C\equiv CSiMe_2C(CH_3)_3$, $C\equiv CCl$, $C\equiv CBr$, $C\equiv CF$, $C\equiv CCF_3$, $C\equiv CCF_2H$, $C\equiv CCF_2Cl$, $C\equiv CCF_2Me$, $C\equiv CCF_2Et$, $C\equiv CCHFCl$, $C\equiv CCF_2Br$, $C\equiv CC_2F_5$, $C\equiv CCF(CF_3)_2$, $C\equiv CCHF(CF_3)$, $C\equiv CCH_2F$, $C\equiv CCH(Me)F$, $C\equiv CCH(Et)F$, $C\equiv CMe$, $C\equiv CCH_2Me$, $C\equiv CCHMe_2$, $C\equiv CCH_2CHMe_2$, $C\equiv CCMe_3$, $C\equiv CCH_2CMe_3$, $C\equiv CCH_2SiMe_3$, $C\equiv CCMe_2Cl$, $C\equiv CCMe_2F$, $C\equiv CCH_2OMe$, $C\equiv CCH_2CF_3$, $C\equiv CCMe_2OMe$, $C\equiv CCMe_2OH$, $C\equiv CCMe_2OCOMe$, $C\equiv CC(Me)=CH_2$, $C\equiv CCF=CF_2$, C≡C(cyclopropyl), C≡C(cyclopentyl), C≡C(1-F-cyclopentyl), $CH_2C\equiv CH$, $CF_2C\equiv CH$, $CHFC\equiv CH$, $CH(CF_3)C\equiv CH$, $SiMe_3$, $CH_2C\equiv CCMe_3$ or $CH_2C\equiv CSiMe_3$.

In one particular aspect R^7 is more preferably $CH=CH_2$, $CH=CH(CH_3)$, $CH=CHSiMe_3$, $CH=CF_2$, $CH=CCl_2$, $C(CH_3)=CCl_2$, $CH=CBr_2$, $CF=CF_2$, $CCl=CH_2$, $CBr=CH_2$, $CF=CH_2$, $CF=CHF$, $CH=CHCF_3$, $CH=CClCF_3$, $CH=CBrCF_3$, $CH_2CH=CH_2$, $CH_2CH=CHSiMe_3$, $C\equiv CH$, $C\equiv CSiMe_3$, $C\equiv CSiEt_3$, $C\equiv CSiMe_2C(CH_3)_3$, $C\equiv CCl$, $C\equiv CBr$, $C\equiv CCF_3$, $C\equiv CCF_2H$, $C\equiv CCF_2Cl$, $C\equiv CCF_2Br$, $C\equiv CCF(CF_3)_2C\equiv CMe$, $C\equiv CCHMe_2$, $C\equiv CCMe_3$, $C\equiv CCMe_2Cl$, $C\equiv CCH_2OMe$, $C\equiv C(cycloC_3H_5)$, $C\equiv C(cycloC_5H_9)$, $CH_2C\equiv CH$, $SiMe_3$ or $CH_2C\equiv CSiMe_3$.

Even more preferably R^7 is $CH=CF_2$, $CH=CCl_2$, $C(CH_3)=CCl_2$, $CH=CBr_2$, $C(CH_3)=CBr_2$, $C(CH_3)=CF_2$, $CH=CFCl$, $CH=CFBr$, $C(CH_3)=CFCl$, $C(CH_3)=CFBr$, $CH=CHBr$, $CH=CHF$, $CH=CHCl$, $CCl=CH_2$, $CH=CHCF_3$, $CH=CFCF_2Cl$, $CH=CClCF_2Cl$, $CH=CBrCF_2Cl$, $CH=C(CF_3)_2$, $CH=CHC_2F_5$, $CH=CHCF(CF_3)_2$, $C(CH_3)=CHCF_3$, $CH=CClCF_3$, $CH=CClC_2F_5$, $CH=CFC_2F_5$, $CH=CBrCF_3$, $CH=CFCF_3$, $CH_2CH=CClCF_3$,

$CH_2CH{=}CCl_2$, $CH_2CH{=}CBr_2$, C≡CH, C≡CSiMe$_3$, C≡CSiEt$_3$, C≡CSiMe$_2$C(CH$_3$)$_3$, C≡CCl, C≡CCF$_3$, C≡CCF$_2$H, C≡CCF$_2$Cl, C≡CCHFCl, C≡CCF$_2$Me, C≡CCF$_2$Et, C≡CCHFEt, C≡CCF$_2$Br, C≡CCF(CF$_3$)$_2$, C≡CCF$_2$CF$_3$, C≡CCHF(CF$_3$), C≡CCH$_2$F, C≡CCH(Me)F, C≡CMe, C≡CCHMe$_2$, C≡CCH$_2$Me, C≡CCH$_2$CHMe$_2$, C≡CCMe$_3$, C≡CCH$_2$CMe$_3$, C≡CCMe$_2$F, C≡CCH$_2$CF$_3$, C≡C(cyclopropyl), C≡C(cyclopentyl), C≡C(1-F-cyclopentyl), C≡CC(Me)=CH$_2$, C≡CCF=CF$_2$, C≡CCH$_2$SiMe$_3$, CH$_2$C≡CH, CF$_2$C≡CH or CHFC≡CH.

In one particular aspect R^7 is even more preferably $CH{=}CH_2$, CH=CHSiMe$_3$, $CH{=}CF_2$, $CH{=}CCl_2$, $CH{=}CBr_2$, $CF{=}CF_2$, $CCl{=}CH_2$, $CBr{=}CH_2$, $CF{=}CHF$, $CH{=}CHCF_3$, $CH{=}CClCF_3$, C≡CH, C≡CSiMe$_3$, C≡CCl, C≡CBr, C≡CCF$_3$, C≡CMe, C≡CCMe$_3$, C≡CCHMe$_2$, C≡C(cycloC$_3$H$_5$), CH$_2$C≡CH, SiMe$_3$ or CH$_2$C≡CSiMe$_3$.

Yet more preferably R^7 is $CH{=}CF_2$, $CH{=}CCl_2$, $CH{=}CBr_2$, CH=CFCl, CH=CFBr, CH=CHBr, CH=CHF, CH=CHCl, $CCl{=}CH_2$, $CH{=}CHCF_3$, $CH{=}CFCF_2Cl$, $CH{=}CClCF_2Cl$, $CH{=}CBrCF_2Cl$, $CH{=}C(CF_3)_2$, $CH{=}CHC_2F_5$, $CH{=}CClCF_3$, $CH{=}CBrCF_3$, $CH{=}CFCF_3$, C≡CH, C≡CSiMe$_3$, C≡CCl, C≡CCF$_3$, C≡CCF$_2$H, C≡CCHFCl, C≡CCHF(CF$_3$), C≡CCF$_2$Cl, C≡CCF$_2$Me, C≡CCF$_2$Br, C≡CCF$_2$CF$_3$, C≡CCH$_2$F, C≡CCH(Me)F, C≡CMe, C≡CCHMe$_2$, C≡CCH$_2$CHMe$_2$, C≡CCMe$_3$, C≡CCCH$_2$CMe$_3$, C≡CCMe$_2$F, C≡CCH$_2$CF$_3$, C≡C(cyclopropyl), C≡C(cyclopentyl), C≡C(1-F-cyclopentyl), CH$_2$C≡CH, CF$_2$C≡CH, CHFC≡CH or C≡CCH$_2$Me.

In one particular aspect R^7 is yet more preferably $CH{=}CHSiMe_3$, $CH{=}CF_2$, $CH{=}CCl_2$, $CH{=}CBr_2$, $CF{=}CF_2$, $CCl{=}CH_2$, $CBr{=}CH_2$, $CF{=}CHF$, $CH{=}CHCF_3$, $CH{=}CClCF_3$, C≡CH, C≡CSiMe$_3$, C≡CCl, C≡CBr, C≡CCF$_3$, C≡CMe, C≡CCMe$_3$, C≡CCHMe$_2$, C≡C(cycloC$_3$H$_5$), CH$_2$C≡CH, SiMe$_3$ or CH$_2$C≡CSiMe$_3$.

Preferably nitrogen atoms in the Het ring are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a nitrogen atom it is preferably $C_{1\text{-}3}$ alkyl, $C_{1\text{-}3}$ haloalkyl or methoxymethylene; more preferably $C_{1\text{-}2}$ alkyl, CF_3, CF_2Cl, CHF_2, CH_2F or methoxymethylene; even more preferably methyl, CHF_2 or methoxymethylene; yet more preferably methyl or methoxymethylene; and most preferably methyl.

Preferably carbon atoms in the Het ring which are not bonded to the atom substituted by $CXNR^1$ are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a carbon atom which is not bonded to the atom substituted by $CXNR^1$ it is preferably halogen, C_{1-3} alkyl, C_{1-3} haloalkyl or methoxymethylene; more preferably chloro, methoxymethylene, CH_3, CHF_2 or CF_3; yet more preferably chloro, CH_3, CHF_2 or CF_3; and even more preferably CH_3 or CF_3.There may be one or two carbon atoms in the Het ring bonded to the atom substituted by $CXNR^1$; preferably such carbon atoms are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a carbon atom bonded to the atom substituted by $CXNR^1$ it is preferably halogen, C_{1-3} alkyl or C_{1-3} haloalkyl; more preferably chloro, fluoro, bromo, C_{1-2} alkyl, CF_3, CF_2Cl, CHF_2, CH_2F; and even more preferably chloro, fluoro, bromo, methyl, CF_3, CHF_2 or CH_2F.

More preferably, when there is only one carbon atom in the Het ring bonded to the atom substituted by $CXNR^1$ that carbon atom is substituted by R^y.

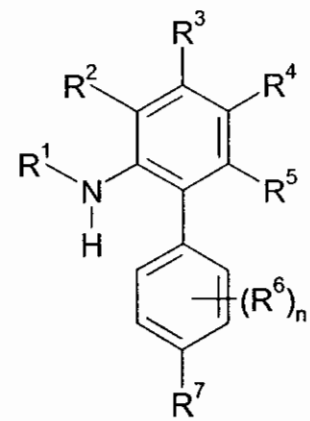
More preferably, when there are two carbon atoms in the Het ring bonded to the atom substituted by $CXNR^1$ one such carbon atom is substituted by R^y and the other carbon atom is either unsubstituted or is substituted by fluoro, chloro or methyl.

Preferably m is 0.

Preferably n is 0.

Preferably X is O.

Compounds of formula (II):



(II)

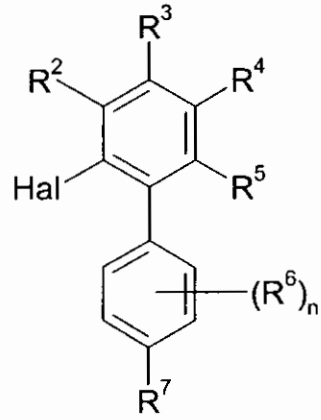
where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I), are also novel [except for the compound of formula (II) where R^1, R^2, R^3, R^4 and R^5 are each

hydrogen, n is 0 and R^7 is $CH=CHCH_2CO_2H$] and are useful as intermediates in the preparation of compounds of formula (I).

Therefore, in another aspect the present invention provides a compound of formula (II), where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) provided that when R^1, R^2, R^3, R^4 and R^5 are each hydrogen and n is 0 then R^7 is not $CH=CHCH_2CO_2H$.

The preferred values for R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n for a compound of formula (II) are as defined above for a compound of formula (I).

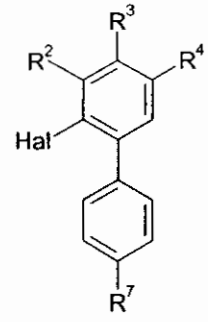
Many compounds of formula (III):



(III)

where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) and Hal is bromo, chloro or iodo, are also novel and are useful as intermediates in the preparation of compounds of formula (I).

Certain compounds of formula (III) are already known; Table 0 shows known compounds of formula (IIIa) where Hal, R^2, R^3, R^4 and R^7 are as defined in Table 0.



(IIIa)

Table 0

Hal	R^2	R^3	R^4	R^7
Cl	Cl	H	H	$C(CH_3)=CH-CH_2-OH$
Br	H	Me	H	$C(CF_3)=CF_2$
Br	H	Me	Br	$C(CF_3)=CF_2$
Cl	H	H	H	$C\equiv CH$
Cl	H	H	H	$CH=CH-CH_2-CH_2-OH$
Cl	H	H	H	$C(CH_3)=CH-CH_2-OH$
Cl	H	H	H	$C(CH_3)=CH-C(=O)-OC_2H_5$
Cl	H	H	H	$C(CH_3)=CH-CH(OH)CH_3$
Cl	H	H	H	$CH=CH-CH(OH)CH_3$

Therefore, in a further aspect the present invention provides a compound of formula (III), where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) and Hal is bromo, chloro or iodo; provided that the compound is not a compound of formula (IIIa) according to Table 0.

The preferred values for R^2, R^3, R^4, R^5, R^6, R^7 and n for a compound of formula (III) are as defined above for a compound of formula (I).

Preferably Hal is bromo or chloro.

More preferably Hal is bromo.

The compounds of formulae (I), (II) and (III) may exist as different geometric or optical isomers or in different tautomeric forms. For each formula, this invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

The compounds in Tables 1 to 13 below illustrate compounds of the invention.

Table 1

Compound No.	R^1	R^7	R^8	R^9	R^{10}	X
1.01	H	$C\equiv CH$	H	Me	CF_3	O
1.02	H	$C\equiv CH$	H	Me	CF_3	S
1.03	H	$C\equiv CH$	H	Me	CF_2H	O
1.04	propargyl	$C\equiv CH$	H	Me	CF_3	O
1.05	H	$C\equiv CH$	F	Me	Me	O
1.06	H	$C\equiv CH$	H	CH_2OMe	CF_3	O

1.07	allenyl	C≡CH	H	Me	CF_3	O
1.08	H	C≡CSiMe$_3$	H	Me	CF_3	O
1.09	H	C≡CSiMe$_3$	H	Me	CF_3	S
1.10	H	C≡CSiMe$_3$	H	Me	CF_2H	O
1.11	H	C≡CSiMe$_3$	F	Me	Me	O
1.12	H	C≡CCl	H	Me	CF_3	O
1.13	H	C≡CCl	H	Me	CF_2H	O
1.14	H	C≡CCl	F	Me	Me	O
1.15	H	C≡CBr	H	Me	CF_3	O
1.16	H	C≡CBr	H	Me	CF_2H	O
1.17	H	C≡CBr	F	Me	Me	O
1.18	H	C≡CCF$_3$	H	Me	CF_3	O
1.19	H	C≡CCF$_3$	H	Me	CF_2H	O
1.20	H	C≡CCF$_3$	F	Me	Me	O
1.21	allenyl	C≡CCF$_3$	H	Me	CF_3	O
1.22	H	CH=CH$_2$	H	Me	CF_3	O
1.23	H	CH=CH$_2$	H	Me	CF_3	S
1.24	H	CH=CH$_2$	H	Me	CF_2H	O
1.25	propargyl	CH=CH$_2$	H	Me	CF_3	O
1.26	H	CH=CH$_2$	F	Me	Me	O
1.27	H	CH=CH$_2$	H	CH_2OMe	CF_3	O
1.28	allenyl	CH=CH$_2$	H	Me	CF_3	O
1.29	H	CH=CF$_2$	H	Me	CF_3	O
1.30	H	CH=CF$_2$	H	Me	CF_2H	O
1.31	H	CH=CF$_2$	F	Me	Me	O
1.32	H	CH=CCl$_2$	H	Me	CF_3	O
1.33	H	CH=CCl$_2$	H	Me	CF_2H	O
1.34	H	CH=CCl$_2$	F	Me	Me	O
1.35	H	CH=CBr$_2$	H	Me	CF_3	O

1.36	H	$CH=CBr_2$	H	Me	CF_2H	O
1.37	H	$CH=CBr_2$	F	Me	Me	O
1.38	H	$CF=CF_2$	H	Me	CF_3	O
1.39	H	$CF=CF_2$	H	Me	CF_2H	O
1.40	H	$CF=CF_2$	F	Me	Me	O
1.41	H	$CCl=CH_2$	H	Me	CF_3	O
1.42	H	$CCl=CH_2$	H	Me	CF_2H	O
1.43	H	$CCl=CH_2$	F	Me	Me	O
1.44	H	$CBr=CH_2$	H	Me	CF_3	O
1.45	H	$CBr=CH_2$	H	Me	CF_2H	O
1.46	H	$CBr=CH_2$	F	Me	Me	O
1.47	H	$CF=CHF$	H	Me	CF_3	O
1.48	H	$CF=CHF$	H	Me	CF_2H	O
1.49	H	$CF=CHF$	F	Me	Me	O
1.50	H	$CH=CHSiMe_3$	H	Me	CF_3	O
1.51	H	$CH=CHSiMe_3$	H	Me	CF_2H	O
1.52	H	$CH=CHSiMe_3$	F	Me	Me	O
1.53	H	$CH=CHCF_3$	H	Me	CF_3	O
1.54	H	$CH=CHCF_3$	H	Me	CF_2H	O
1.55	H	$CH=CHCF_3$	F	Me	Me	O
1.56	H	$CH=CClCF_3$	H	Me	CF_3	O
1.57	H	$CH=CClCF_3$	H	Me	CF_2H	O
1.58	H	$CH=CClCF_3$	F	Me	Me	O
1.59	H	$CH_2C\equiv CH$	H	Me	CF_3	O
1.60	H	$CH_2C\equiv CH$	H	Me	CF_2H	O
1.61	H	$CH_2C\equiv CH$	F	Me	Me	O
1.62	H	$CH_2C\equiv CH$	H	CH_2OMe	CF_3	O
1.63	H	$CH_2C\equiv CSiMe_3$	H	Me	CF_3	O
1.64	H	$CH_2C\equiv CSiMe_3$	H	Me	CF_2H	O

1.65	H	$CH_2C{\equiv}CSiMe_3$	F	Me	Me	O
1.66	H	$C{\equiv}CCMe_3$	H	Me	CF_3	O
1.67	H	$C{\equiv}CCMe_3$	H	Me	CF_2H	O
1.68	H	$C{\equiv}CCMe_3$	F	Me	Me	O
1.69	H	$C{\equiv}CMe$	H	Me	CF_3	O
1.70	H	$C{\equiv}CMe$	H	Me	CF_2H	O
1.71	H	$C{\equiv}CMe$	F	Me	Me	O
1.72	COMe	$C{\equiv}CH$	H	Me	CF_3	O
1.73	H	$C{\equiv}CH$	H	CF_2H	CF_2H	O
1.74	H	$C{\equiv}CH$	H	CF_2H	CF_3	O
1.75	H	$C{\equiv}CH$	H	Me	CH_2F	O
1.76	H	$C{\equiv}CSiMe_3$	H	Me	CH_2F	O
1.77	H	C≡C(cyclopropyl)	H	Me	CF_3	O
1.78	H	C≡C(cyclopropyl)	H	Me	CHF_2	O
1.79	H	$SiMe_3$	H	Me	CH_2F	O
1.80	H	$SiMe_3$	H	Me	CF_3	O
1.81	H	$SiMe_3$	H	Me	CHF_2	O
1.82	H	$C{\equiv}CF$	H	Me	CF_3	O
1.83	H	$C{\equiv}CF$	H	Me	CF_2H	O
1.84	H	$C{\equiv}CF$	F	Me	Me	O
1.85	H	$C{\equiv}CCF_2Cl$	H	Me	CF_3	O
1.86	H	$C{\equiv}CCF_2Cl$	H	Me	CF_2H	O
1.87	H	$C{\equiv}CCF_2Cl$	F	Me	Me	O
1.88	H	$C{\equiv}CCF_2H$	H	Me	CF_3	O
1.89	H	$C{\equiv}CCF_2H$	H	Me	CF_2H	O
1.90	H	$C{\equiv}CCF_2H$	F	Me	Me	O
1.91	H	$C{\equiv}CCF_2Br$	H	Me	CF_3	O
1.92	H	$C{\equiv}CCF_2Br$	H	Me	CF_2H	O
1.93	H	$C{\equiv}CCF_2Br$	F	Me	Me	O

1.94	H	C≡CCH$_2$F	H	Me	CF_3	O
1.95	H	C≡CCH$_2$F	H	Me	CF_2H	O
1.96	H	C≡CCH$_2$F	F	Me	Me	O
1.97	H	C≡CCH(Me)F	H	Me	CF_3	O
1.98	H	C≡CCH(Me)F	H	Me	CF_2H	O
1.99	H	C≡CCH(Me)F	F	Me	Me	O
1.100	H	C≡CC(Me)$_2$F	H	Me	CF_3	O
1.101	H	C≡CC(Me)$_2$F	H	Me	CF_2H	O
1.102	H	C≡CC(Me)$_2$F	F	Me	Me	O
1.103	H	C≡CCH$_2$C(Me)$_3$	H	Me	CF_3	O
1.104	H	C≡CCH$_2$C(Me)$_3$	H	Me	CF_2H	O
1.105	H	C≡CCH$_2$C(Me)$_3$	F	Me	Me	O
1.106	H	C≡CCH(Me)$_2$	H	Me	CF_3	O
1.107	H	C≡CCH(Me)$_2$	H	Me	CF_2H	O
1.108	H	C≡CCH(Me)$_2$	F	Me	Me	O
1.109	H	C≡CCH$_2$CH(Me)$_2$	H	Me	CF_3	O
1.110	H	C≡CCH$_2$CH(Me)$_2$	H	Me	CF_2H	O
1.111	H	C≡CCH$_2$CH(Me)$_2$	F	Me	Me	O
1.112	H	CH$_2$C≡CCMe$_3$	H	Me	CF_3	O
1.113	H	CH$_2$C≡CCMe$_3$	H	Me	CF_2H	O
1.114	H	CH$_2$C≡CCMe$_3$	F	Me	Me	O
1.115	H	CF$_2$C≡CCMe$_3$	H	Me	CF_3	O
1.116	H	CF$_2$C≡CCMe$_3$	H	Me	CF_2H	O
1.117	H	CF$_2$C≡CCMe$_3$	F	Me	Me	O
1.118	H	CF$_2$C≡CMe	H	Me	CF_3	O
1.119	H	CF$_2$C≡CMe	H	Me	CF_2H	O
1.120	H	CF$_2$C≡CMe	F	Me	Me	O
1.121	H	CF$_2$C≡CH	H	Me	CF_3	O

1.122	H	$CF_2C{\equiv}CH$	H	Me	CF_2H	O
1.123	H	$CF_2C{\equiv}CH$	F	Me	Me	O
1.124	H	$CMe_2C{\equiv}CH$	H	Me	CF_3	O
1,125	H	$CMe_2C{\equiv}CH$	H	Me	CF_2H	O
1.126	H	$CMe_2C{\equiv}CH$	F	Me	Me	O
1.127	H	$CHFC{\equiv}CH$	H	Me	CF_3	O
1.128	H	$CHFC{\equiv}CH$	H	Me	CF_2H	O
1.129	H	$CHFC{\equiv}CH$	F	Me	Me	O
1.130	H	$CHMeC{\equiv}CH$	H	Me	CF_3	O
1.131	H	$CHMeC{\equiv}CH$	H	Me	CF_2H	O
1.132	H	$CHMeC{\equiv}CH$	F	Me	Me	O
1.133	H	$CH(CF_3)C{\equiv}CH$	H	Me	CF_3	O
1.134	H	$CH(CF_3)C{\equiv}CH$	H	Me	CF_2H	O
1.135	H	$CH(CF_3)C{\equiv}CH$	F	Me	Me	O
1.136	H	C≡C (1-F-cyclopentyl)	H	Me	CF_3	O
1.137	H	C≡C (1-F-cyclopentyl)	H	Me	CHF_2	O
1.138	H	$C{\equiv}CCH_2OMe$	H	Me	CF_3	O
1.139	H	$C{\equiv}CCH_2OMe$	H	Me	CF_2H	O
1.140	H	$C{\equiv}CCH_2OMe$	F	Me	Me	O
1.141	H	$C{\equiv}CCMe_2OMe$	H	Me	CF_3	O
1.142	H	$C{\equiv}CCMe_2OMe$	H	Me	CF_2H	O
1.143	H	$C{\equiv}CCMe_2OMe$	F	Me	Me	O
1.144	H	$C{\equiv}CCMe_2OCOMe$	H	Me	CF_3	O
1.145	H	$C{\equiv}CCMe_2OCOMe$	H	Me	CF_2H	O
1.146	H	$C{\equiv}CCF_2Me$	H	Me	CF_3	O
1.147	H	$C{\equiv}CCF_2Me$	H	Me	CF_2H	O
1.148	H	$C{\equiv}CCF_2Me$	F	Me	Me	O
1.149	H	$C{\equiv}CC(Me){=}CH_2$	H	Me	CF_3	O

1.150	H	C≡CC(Me)=CH_2	H	Me	CF_2H	O
1.151	H	CH=CFCl	H	Me	CF_3	O
1.152	H	CH=CFCl	H	Me	CF_2H	O
1.153	H	CH=CFCl	F	Me	Me	O
1.154	H	CH=CFBr	H	Me	CF_3	O
1.155	H	CH=CFBr	H	Me	CF_2H	O
1.156	H	CH=CFBr	F	Me	Me	O
1.157	H	CH=CHBr	H	Me	CF_3	O
1.158	H	CH=CHBr	H	Me	CF_2H	O
1.159	H	CH=CHBr	F	Me	Me	O
1.160	H	CH=CHF	H	Me	CF_3	O
1.161	H	CH=CHF	H	Me	CF_2H	O
1.162	H	CH=CHF	F	Me	Me	O
1.163	H	CMe=$CHCF_3$	H	Me	CF_3	O
1.164	H	CMe=$CHCF_3$	H	Me	CF_2H	O
1.165	H	CMe=$CHCF_3$	F	Me	Me	O
1.166	H	CH=$CFCF_3$	H	Me	CF_3	O
1.167	H	CH=$CFCF_3$	H	Me	CF_2H	O
1.168	H	CH=$CFCF_3$	F	Me	Me	O
1.169	H	CH=$CBrCF_3$	H	Me	CF_3	O
1.170	H	CH=$CBrCF_3$	H	Me	CF_2H	O
1.171	H	CH=$CBrCF_3$	F	Me	Me	O
1.172	H	CH=CHC_2F_5	H	Me	CF_3	O
1.173	H	CH=CHC_2F_5	H	Me	CF_2H	O
1.174	H	CH=CHC_2F_5	F	Me	Me	O
1.175	H	CH=CHCl	H	Me	CF_3	O
1.176	H	CH=CHCl	H	Me	CF_2H	O
1.177	H	CH=CHCl	F	Me	Me	O
1.178	H	CH=$C(CF_3)_2$	H	Me	CF_3	O
1.179	H	CH=$C(CF_3)_2$	H	Me	CF_2H	O

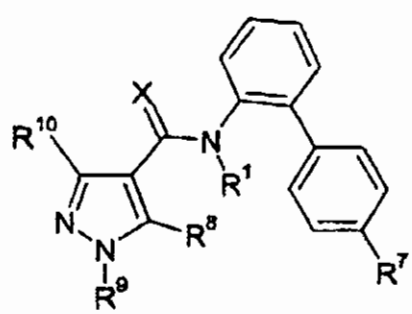
1.180	H	$CH=C(CF_3)_2$	F	Me	Me	O
1.181	H	CMe=CFCl	H	Me	CF_3	O
1.182	H	CMe=CFCl	H	Me	CF_2H	O
1.183	H	CMe=CFCl	F	Me	Me	O
1.184	H	CMe=CFBr	H	Me	CF_3	O
1.185	H	CMe=CFBr	H	Me	CF_2H	O
1.186	H	CMe=CFBr	F	Me	Me	O
1.187	H	$CMe=CF_2$	H	Me	CF_3	O
1.188	H	$CMe=CF_2$	H	Me	CF_2H	O
1.189	H	$CMe=CF_2$	F	Me	Me	O
1.190	H	$CMe=CCl_2$	H	Me	CF_3	O
1.191	H	$CMe=CCl_2$	H	Me	CF_2H	O
1.192	H	$CMe=CCl_2$	F	Me	Me	O
1.193	H	$CMe=CBr_2$	H	Me	CF_3	O
1.194	H	$CMe=CBr_2$	H	Me	CF_2H	O
1.195	H	$CMe=CBr_2$	F	Me	Me	O
1.196	H	$CMe=CFCF_3$	H	Me	CF_3	O
1.197	H	$CMe=CFCF_3$	H	Me	CF_2H	O
1.198	H	$CMe=CFCF_3$	F	Me	Me	O
1.199	H	$CMe=CClCF_3$	H	Me	CF_3	O
1.200	H	$CMe=CClCF_3$	H	Me	CF_2H	O
1.201	H	$CMe=CClCF_3$	F	Me	Me	O
1.202	H	$CCF_3=CF_2$	H	Me	CF_3	O
1.203	H	$CCF_3=CF_2$	H	Me	CF_2H	O
1.204	H	$CCF_3=CF_2$	F	Me	Me	O
1.205	H	$CCF_3=CCl_2$	H	Me	CF_3	O
1.206	H	$CCF_3=CCl_2$	H	Me	CF_2H	O
1.207	H	$CCF_3=CCl_2$	F	Me	Me	O
1.208	H	$CCF_3=CBr_2$	H	Me	CF_3	O
1.209	H	$CCF_3=CBr_2$	H	Me	CF_2H	O

1.210	H	$CCF_3=CBr_2$	F	Me	Me	O
1.211	H	$CCF_3=CH_2$	H	Me	CF_3	O
1.212	H	$CCF_3=CH_2$	H	Me	CF_2H	O
1.213	H	$CCF_3=CH_2$	F	Me	Me	O
1.214	H	$CCF_3=CFBr$	H	Me	CF_3	O
1.215	H	$CCF_3=CFBr$	H	Me	CF_2H	O
1.216	H	$CCF_3=CFBr$	F	Me	Me	O
1.217	H	$CCF_3=CHF$	H	Me	CF_3	O
1.218	H	$CCF_3=CHF$	H	Me	CF_2H	O
1.219	H	$CCF_3=CHF$	F	Me	Me	O
1.220	H	$CCF_3=CFCl$	H	Me	CF_3	O
1.221	H	$CCF_3=CFCl$	H	Me	CF_2H	O
1.222	H	$CCF_3=CFCl$	F	Me	Me	O
1.223	H	$CCF_3=CHCl$	H	Me	CF_3	O
1.224	H	$CCF_3=CHCl$	H	Me	CF_2H	O
1.225	H	$CCF_3=CHCl$	F	Me	Me	O
1.226	H	$CH=CFCF_2Cl$	H	Me	CF_3	O
1.227	H	$CH=CFCF_2Cl$	H	Me	CF_2H	O
1.228	H	$CH=CFCF_2Cl$	F	Me	Me	O
1.229	H	$CH=CClCF_2Cl$	H	Me	CF_3	O
1.230	H	$CH=CClCF_2Cl$	H	Me	CF_2H	O
1.231	H	$CH=CClCF_2Cl$	F	Me	Me	O
1.232	H	$CH_2CF=CF_2$	H	Me	CF_3	O
1.233	H	$CH_2CF=CF_2$	H	Me	CF_2H	O
1.234	H	$CH_2CF=CF_2$	F	Me	Me	O
1.235	H	$CF=CFBr$	H	Me	CF_3	O
1.236	H	$CF=CFBr$	H	Me	CF_2H	O
1.237	H	$CF=CFBr$	F	Me	Me	O
1.238	H	$CH_2CH=CF_2$	H	Me	CF_3	O
1.239	H	$CH_2CH=CF_2$	H	Me	CF_2H	O

1.240	H	$CH_2CH=CF_2$	F	Me	Me	O
1.241	H	$CH_2CH=CCl_2$	H	Me	CF_3	O
1.242	H	$CH_2CH=CCl_2$	H	Me	CF_2H	O
1.243	H	$CH_2CH=CCl_2$	F	Me	Me	O
1.244	H	$CH_2CH=CBr_2$	H	Me	CF_3	O
1.245	H	$CH_2CH=CBr_2$	H	Me	CF_2H	O
1.246	H	$CH_2CH=CBr_2$	F	Me	Me	O
1.247	H	$CCl=CF_2$	H	Me	CF_3	O
1.248	H	$CCl=CF_2$	H	Me	CF_2H	O
1.249	H	$CCl=CF_2$	F	Me	Me	O
1.250	H	$C\equiv CCMe_2OH$	H	Me	CF_3	O
1.251	H	$C\equiv CCMe_2OH$	H	Me	CF_2H	O
1.252	H	$C\equiv CSi(Me_2)CMe_3$	H	Me	CF_3	O
1.253	H	$C\equiv CSi(Me_2)CMe_3$	H	Me	CF_2H	O
1.254	H	$C\equiv CCH_2SiMe_3$	H	Me	CF_3	O
1.255	H	$C\equiv CCH_2SiMe_3$	H	Me	CF_2H	O
1.256	H	$C\equiv CCH_2SiMe_3$	F	Me	Me	O
1.257	H	$C\equiv CCMe_3$	H	CF_2H	CF_3	O
1.258	H	$C\equiv CCH_2CF_3$	H	Me	CF_3	O
1.259	H	$C\equiv CCH_2CF_3$	H	Me	CF_2H	O
1.260	H	$C\equiv CCH_2CF_3$	F	Me	Me	O
1.261	H	$C\equiv CCMe_3$	H	CF_2H	CF_2H	O
1.262	H	$C\equiv CCH_2CH_3$	H	Me	CF_3	O
1.263	H	$C\equiv CCH_2CH_3$	H	Me	CF_2H	O
1.264	H	$C\equiv CCH_2CH_3$	F	Me	Me	O
1.265	H	$C\equiv CCF=CF_2$	H	Me	CF_3	O
1.266	H	$C\equiv CCF=CF_2$	H	Me	CF_2H	O
1.267	H	$C\equiv CCHFCl$	H	Me	CF_3	O
1.268	H	$C\equiv CCHFCl$	H	Me	CF_2H	O
1.269	H	$C\equiv CCHFCl$	F	Me	Me	O

1.270	H	$CH=CFC_2F_5$	H	Me	CF_3	O
1.271	H	$CH=CFC_2F_5$	H	Me	CF_2H	O
1.272	H	$CH=CFC_2F_5$	F	Me	Me	O
1.273	H	$C\equiv CCF_2CH_2CH_3$	H	Me	CF_3	O
1.274	H	$C\equiv CCF_2CH_2CH_3$	H	Me	CF_2H	O
1.275	H	$C\equiv CCF_2CH_2CH_3$	F	Me	Me	O
1.276	H	$C\equiv CCHFCH_2CH_3$	H	Me	CF_3	O
1.277	H	$C\equiv CCHFCH_2CH_3$	H	Me	CF_2H	O
1.278	H	$C\equiv CCHFCH_2CH_3$	F	Me	Me	O
1.279	H	$C\equiv CCF(CF_3)_2$	H	Me	CF_3	O
1.280	H	$C\equiv CCF(CF_3)_2$	H	Me	CF_2H	O
1.281	H	$C\equiv CCF(CF_3)_2$	F	Me	Me	O
1.282	H	$CH=CClC_2F_5$	H	Me	CF_3	O
1.283	H	$CH=CClC_2F_5$	H	Me	CF_2H	O
1.284	H	$CH=CClC_2F_5$	F	Me	Me	O
1.285	H	$C\equiv CC_2F_5$	H	Me	CF_3	O
1.286	H	$C\equiv CC_2F_5$	H	Me	CF_2H	O
1.287	H	$C\equiv CC_2F_5$	F	Me	Me	O

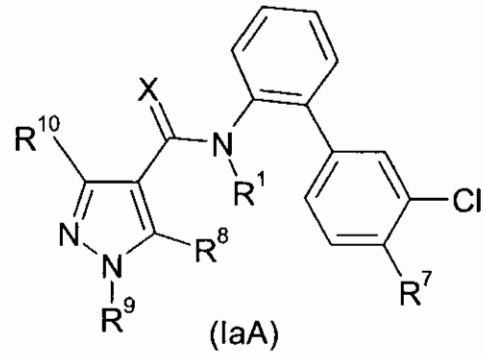
Table 1 provides 287 compounds of formula (Ia):



(Ia)

wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.

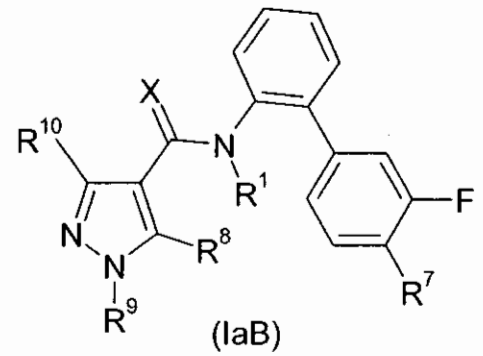
Table 1 provides 287 compounds of formula (IaA):



(IaA)

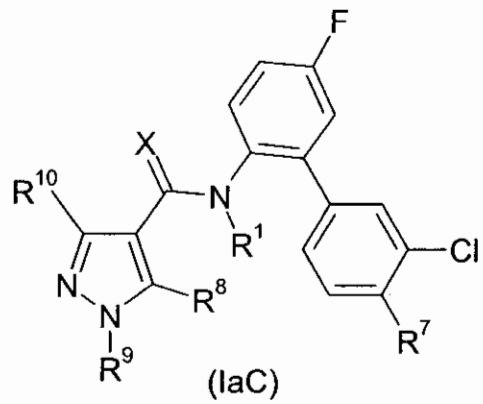
wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.

Table 1 provides 287 compounds of formula (IaB) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



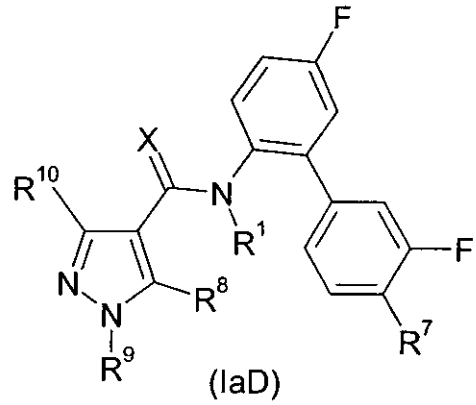
(IaB)

Table 1 provides 287 compounds of formula (IaC) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



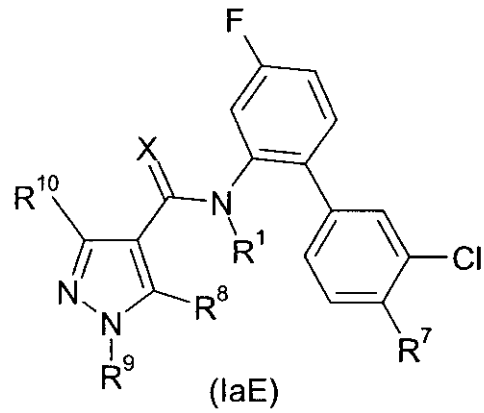
(IaC)

Table 1 provides 287 compounds of formula (IaD) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



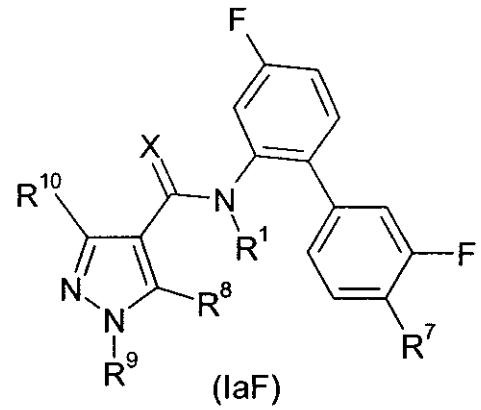
(IaD)

Table 1 provides 287 compounds of formula (IaE) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



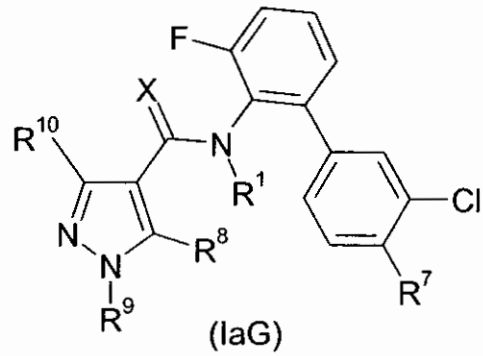
(IaE)

Table 1 provides 287 compounds of formula (IaF) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



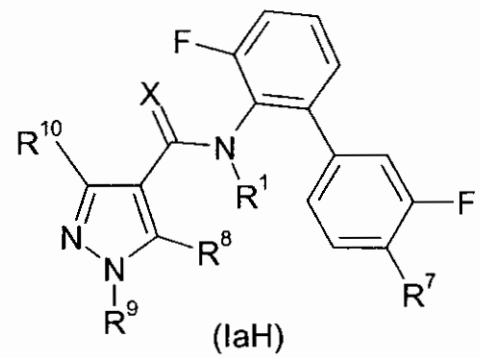
(IaF)

Table 1 provides 287 compounds of formula (IaG) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



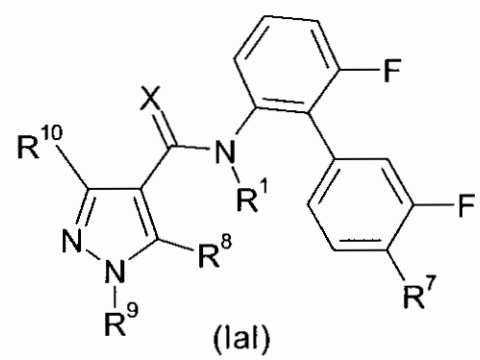
(IaG)

Table 1 provides 287 compounds of formula (IaH) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



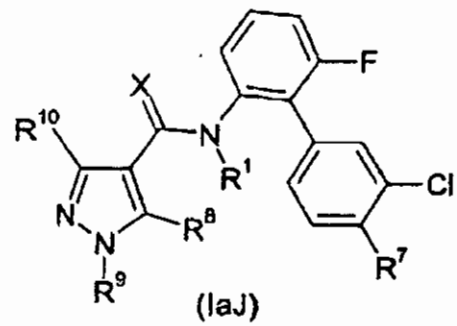
(IaH)

Table 1 provides 287 compounds of formula (IaI) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



(IaI)

Table 1 provides 287 compounds of formula (IaJ) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.



(IaJ)

Table 2

Compound No.	R^1	R^7	R^8	R^9	R^{10}	X
2.01	H	C≡CH	H	Me	CF_3	O
2.02	H	C≡CH	H	Me	CF_3	S
2.03	H	C≡CH	H	Me	CF_2H	O
2.04	propargyl	C≡CH	H	Me	CF_3	O
2.05	H	C≡CH	F	Me	Me	O
2.06	H	C≡CH	H	CH_2OMe	CF_3	O
2.07	allenyl	C≡CH	H	Me	CF_3	O
2.08	H	C≡CSiMe$_3$	H	Me	CF_3	O
2.09	H	C≡CSiMe$_3$	H	Me	CF_3	S
2.10	H	C≡CSiMe$_3$	H	Me	CF_2H	O
2.11	H	C≡CSiMe$_3$	F	Me	Me	O
2.12	H	C≡CCl	H	Me	CF_3	O
2.13	H	C≡CCl	H	Me	CF_2H	O
2.14	H	C≡CCl	F	Me	Me	O
2.15	H	C≡CBr	H	Me	CF_3	O
2.16	H	C≡CBr	H	Me	CF_2H	O
2.17	H	C≡CBr	F	Me	Me	O
2.18	H	C≡CCF_3	H	Me	CF_3	O

2.19	H	$C\equiv CCF_3$	H	Me	CF_2H	O
2.20	H	$C\equiv CCF_3$	F	Me	Me	O
2.21	allenyl	$C\equiv CCF_3$	H	Me	CF_3	O
2.22	H	$CH=CH_2$	H	Me	CF_3	O
2.23	H	$CH=CH_2$	H	Me	CF_3	S
2.24	H	$CH=CH_2$	H	Me	CF_2H	O
2.25	propargyl	$CH=CH_2$	H	Me	CF_3	O
2.26	H	$CH=CH_2$	F	Me	Me	O
2.27	H	$CH=CH_2$	H	CH_2OMe	CF_3	O
2.28	allenyl	$CH=CH_2$	H	Me	CF_3	O
2.29	H	$CH=CF_2$	H	Me	CF_3	O
2.30	H	$CH=CF_2$	H	Me	CF_2H	O
2.31	H	$CH=CF_2$	F	Me	Me	O
2.32	H	$CH=CCl_2$	H	Me	CF_3	O
2.33	H	$CH=CCl_2$	H	Me	CF_2H	O
2.34	H	$CH=CCl_2$	F	Me	Me	O
2.35	H	$CH=CBr_2$	H	Me	CF_3	O
2.36	H	$CH=CBr_2$	H	Me	CF_2H	O
2.37	H	$CH=CBr_2$	F	Me	Me	O
2.38	H	$CF=CF_2$	H	Me	CF_3	O
2.39	H	$CF=CF_2$	H	Me	CF_2H	O
2.40	H	$CF=CF_2$	F	Me	Me	O
2.41	H	$CCl=CH_2$	H	Me	CF_3	O
2.42	H	$CCl=CH_2$	H	Me	CF_2H	O
2.43	H	$CCl=CH_2$	F	Me	Me	O
2.44	H	$CBr=CH_2$	H	Me	CF_3	O
2.45	H	$CBr=CH_2$	H	Me	CF_2H	O
2.46	H	$CBr=CH_2$	F	Me	Me	O
2.47	H	CF=CHF	H	Me	CF_3	O
2.48	H	CF=CHF	H	Me	CF_2H	O

2.49	H	CF=CHF	F	Me	Me	O
2.50	H	CH=CHSiMe$_3$	H	Me	CF_3	O
2.51	H	CH=CHSiMe$_3$	H	Me	CF_2H	O
2.52	H	CH=CHSiMe$_3$	F	Me	Me	O
2.53	H	CH=CHCF$_3$	H	Me	CF_3	O
2.54	H	CH=CHCF$_3$	H	Me	CF_2H	O
2.55	H	CH=CHCF$_3$	F	Me	Me	O
2.56	H	CH=CClCF$_3$	H	Me	CF_3	O
2.57	H	CH=CClCF$_3$	H	Me	CF_2H	O
2.58	H	CH=CClCF$_3$	F	Me	Me	O
2.59	H	$CH_2C\equiv CH$	H	Me	CF_3	O
2.60	H	$CH_2C\equiv CH$	H	Me	CF_2H	O
2.61	H	$CH_2C\equiv CH$	F	Me	Me	O
2.62	H	$CH_2C\equiv CH$	H	CH_2OMe	CF_3	O
2.63	H	$CH_2C\equiv CSiMe_3$	H	Me	CF_3	O
2.64	H	$CH_2C\equiv CSiMe_3$	H	Me	CF_2H	O
2.65	H	$CH_2C\equiv CSiMe_3$	F	Me	Me	O
2.66	H	$C\equiv CCMe_3$	H	Me	CF_3	O
2.67	H	$C\equiv CCMe_3$	H	Me	CF_2H	O
2.68	H	$C\equiv CCMe_3$	F	Me	Me	O
2.69	H	$C\equiv CMe$	H	Me	CF_3	O
2.70	H	$C\equiv CMe$	H	Me	CF_2H	O
2.71	H	$C\equiv CMe$	F	Me	Me	O
2.72	COMe	$C\equiv CH$	H	Me	CF_3	O
2.73	H	$C\equiv CH$	H	CF_2H	CF_2H	O
2.74	H	$C\equiv CH$	H	CF_2H	CF_3	O
2.75	H	$C\equiv CH$	H	Me	CH_2F	O
2.76	H	$C\equiv CSiMe_3$	H	Me	CH_2F	O
2.77	H	C≡C(cyclopropyl)	H	Me	CF_3	O
2.78	H	C≡C(cyclopropyl)	H	Me	CHF_2	O

2.79	H	$SiMe_3$	H	Me	CH_2F	O
2.80	H	$SiMe_3$	H	Me	CF_3	O
2.81	H	$SiMe_3$	H	Me	CHF_2	O
2.82	H	C≡CF	H	Me	CF_3	O
2.83	H	C≡CF	H	Me	CF_2H	O
2.84	H	C≡CF	F	Me	Me	O
2.85	H	$C\equiv CCF_2Cl$	H	Me	CF_3	O
2.86	H	$C\equiv CCF_2Cl$	H	Me	CF_2H	O
2.87	H	$C\equiv CCF_2Cl$	F	Me	Me	O
2.88	H	$C\equiv CCF_2H$	H	Me	CF_3	O
2.89	H	$C\equiv CCF_2H$	H	Me	CF_2H	O
2.90	H	$C\equiv CCF_2H$	F	Me	Me	O
2.91	H	$C\equiv CCF_2Br$	H	Me	CF_3	O
2.92	H	$C\equiv CCF_2Br$	H	Me	CF_2H	O
2.93	H	$C\equiv CCF_2Br$	F	Me	Me	O
2.94	H	$C\equiv CCH_2F$	H	Me	CF_3	O
2.95	H	$C\equiv CCH_2F$	H	Me	CF_2H	O
2.96	H	$C\equiv CCH_2F$	F	Me	Me	O
2.97	H	C≡CCH(Me)F	H	Me	CF_3	O
2.98	H	C≡CCH(Me)F	H	Me	CF_2H	O
2.99	H	C≡CCH(Me)F	F	Me	Me	O
2.100	H	$C\equiv CC(Me)_2F$	H	Me	CF_3	O
2.101	H	$C\equiv CC(Me)_2F$	H	Me	CF_2H	O
2.102	H	$C\equiv CC(Me)_2F$	F	Me	Me	O
2.103	H	$C\equiv CCH_2C(Me)_3$	H	Me	CF_3	O
2.104	H	$C\equiv CCH_2C(Me)_3$	H	Me	CF_2H	O
2.105	H	$C\equiv CCH_2C(Me)_3$	F	Me	Me	O
2.106	H	$C\equiv CCH(Me)_2$	H	Me	CF_2H	O
2.107	H	$C\equiv CCH(Me)_2$	H	Me	CF_2H	O
2.108	H	$C\equiv CCH(Me)_2$	F	Me	Me	O

2.109	H	C≡CCH$_2$CH(Me)$_2$	H	Me	CF_2H	O
2.110	H	C≡CCH$_2$CH(Me)$_2$	H	Me	CF_2H	O
2.111	H	C≡CCH$_2$CH(Me)$_2$	F	Me	Me	O
2.112	H	CH$_2$C≡CCMe$_3$	H	Me	CF_3	O
2.113	H	CH$_2$C≡CCMe$_3$	H	Me	CF_2H	O
2.114	H	CH$_2$C≡CCMe$_3$	F	Me	Me	O
2.115	H	CF_2C≡CCMe$_3$	H	Me	CF_3	O
2.116	H	CF_2C≡CCMe$_3$	H	Me	CF_2H	O
2.117	H	CF_2C≡CCMe$_3$	F	Me	Me	O
2.118	H	CF_2C≡CMe	H	Me	CF_3	O
2.119	H	CF_2C≡CMe	H	Me	CF_2H	O
2.120	H	CF_2C≡CCMe	F	Me	Me	O
2.121	H	CF_2C≡CH	H	Me	CF_3	O
2.122	H	CF_2C≡CH	H	Me	CF_2H	O
2.123	H	CF_2C≡CH	F	Me	Me	O
2.124	H	CMe$_2$C≡CH	H	Me	CF_3	O
2,125	H	CMe$_2$C≡CH	H	Me	CF_2H	O
2.126	H	CMe$_2$C≡CH	F	Me	Me	O
2.127	H	CHFC≡CH	H	Me	CF_3	O
2.128	H	CHFC≡CH	H	Me	CF_2H	O
2.129	H	CHFC≡CH	F	Me	Me	O
2.130	H	CHMeC≡CH	H	Me	CF_3	O
2.131	H	CHMeC≡CH	H	Me	CF_2H	O
2.132	H	CHMeC≡CH	F	Me	Me	O
2.133	H	CH(CF$_3$)C≡CH	H	Me	CF_3	O
2.134	H	CH(CF$_3$)C≡CH	H	Me	CF_2H	O
2.135	H	CH(CF$_3$)C≡CH	F	Me	Me	O
2.136	H	C≡C (1-F-cyclopentyl)	H	Me	CF_3	O
2.137	H	C≡C (1-F-cyclopentyl)	H	Me	CHF_2	O
2.138	H	C≡CCH$_2$OMe	H	Me	CF_3	O

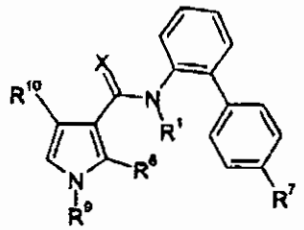
2.139	H	C≡CCH$_2$OMe	H	Me	CF_2H	O
2.140	H	C≡CCH$_2$OMe	F	Me	Me	O
2.141	H	C≡CCMe$_2$OMe	H	Me	CF_3	O
2.142	H	C≡CCMe$_2$OMe	H	Me	CF_2H	O
2.143	H	C≡CCMe$_2$OMe	F	Me	Me	O
2.144	H	C≡CCMe$_2$OCOMe	H	Me	CF_3	O
2.145	H	C≡CCMe$_2$OCOMe	H	Me	CF_2H	O
2.146	H	C≡CCF$_2$Me	H	Me	CF_3	O
2.147	H	C≡CCF$_2$Me	H	Me	CF_2H	O
2.148	H	C≡CCF$_2$Me	F	Me	Me	O
2.149	H	C≡CC(Me)=CH$_2$	H	Me	CF_3	O
2.150	H	C≡CC(Me)=CH$_2$	H	Me	CF_2H	O
2.151	H	CH=CFCl	H	Me	CF_3	O
2.152	H	CH=CFCl	H	Me	CF_2H	O
2.153	H	CH=CFCl	F	Me	Me	O
2.154	H	CH=CFBr	H	Me	CF_3	O
2.155	H	CH=CFBr	H	Me	CF_2H	O
2.156	H	CH=CFBr	F	Me	Me	O
2.157	H	CH=CHBr	H	Me	CF_3	O
2.158	H	CH=CHBr	H	Me	CF_2H	O
2.159	H	CH=CHBr	F	Me	Me	O
2.160	H	CH=CHF	H	Me	CF_3	O
2.161	H	CH=CHF	H	Me	CF_2H	O
2.162	H	CH=CHF	F	Me	Me	O

2.163	H	$CMe=CHCF_3$	H	Me	CF_3	O
2.164	H	$CMe=CHCF_3$	H	Me	CF_2H	O
2.165	H	$CMe=CHCF_3$	F	Me	Me	O
2.166	H	$CH=CFCF_3$	H	Me	CF_3	O
2.167	H	$CH=CFCF_3$	H	Me	CF_2H	O
2.168	H	$CH=CFCF_3$	F	Me	Me	O
2.169	H	$CH=CBrCF_3$	H	Me	CF_3	O
2.170	H	$CH=CBrCF_3$	H	Me	CF_2H	O
2.171	H	$CH=CBrCF_3$	F	Me	Me	O
2.172	H	$CH=CHC_2F_5$	H	Me	CF_3	O
2.173	H	$CH=CHC_2F_5$	H	Me	CF_2H	O
2.174	H	$CH=CHC_2F_5$	F	Me	Me	O
2.175	H	CH=CHCl	H	Me	CF_3	O
2.176	H	CH=CHCl	H	Me	CF_2H	O
2.177	H	CH=CHCl	F	Me	Me	O
2.178	H	$CH=C(CF_3)_2$	H	Me	CF_3	O
2.179	H	$CH=C(CF_3)_2$	H	Me	CF_2H	O
2.180	H	$CH=C(CF_3)_2$	F	Me	Me	O
2.181	H	CMe=CFCl	H	Me	CF_3	O
2.182	H	CMe=CFCl	H	Me	CF_2H	O
2.183	H	CMe=CFCl	F	Me	Me	O
2.184	H	CMe=CFBr	H	Me	CF_3	O
2.185	H	CMe=CFBr	H	Me	CF_2H	O
2.186	H	CMe=CFBr	F	Me	Me	O
2.187	H	$CMe=CF_2$	H	Me	CF_3	O
2.188	H	$CMe=CF_2$	H	Me	CF_2H	O
2.189	H	$CMe=CF_2$	F	Me	Me	O
2.190	H	$CMe=CCl_2$	H	Me	CF_3	O
2.191	H	$CMe=CCl_2$	H	Me	CF_2H	O
2.192	H	$CMe=CCl_2$	F	Me	Me	O

2.193	H	$CMe=CBr_2$	H	Me	CF_3	O
2.194	H	$CMe=CBr_2$	H	Me	CF_2H	O
2.195	H	$CMe=CBr_2$	F	Me	Me	O
2.196	H	$CMe=CFCF_3$	H	Me	CF_3	O
2.197	H	$CMe=CFCF_3$	H	Me	CF_2H	O
2.198	H	$CMe=CFCF_3$	F	Me	Me	O
2.199	H	$CMe=CClCF_3$	H	Me	CF_3	O
2.200	H	$CMe=CClCF_3$	H	Me	CF_2H	O
2.201	H	$CMe=CClCF_3$	F	Me	Me	O
2.202	H	$CCF_3=CF_2$	H	Me	CF_3	O
2.203	H	$CCF_3=CF_2$	H	Me	CF_2H	O
2.204	H	$CCF_3=CF_2$	F	Me	Me	O
2.205	H	$CCF_3=CCl_2$	H	Me	CF_3	O
2.206	H	$CCF_3=CCl_2$	H	Me	CF_2H	O
2.207	H	$CCF_3=CCl_2$	F	Me	Me	O
2.208	H	$CCF_3=CBr_2$	H	Me	CF_3	O
2.209	H	$CCF_3=CBr_2$	H	Me	CF_2H	O
2.210	H	$CCF_3=CBr_2$	F	Me	Me	O
2.211	H	$CCF_3=CH_2$	H	Me	CF_3	O
2.212	H	$CCF_3=CH_2$	H	Me	CF_2H	O
2.213	H	$CCF_3=CH_2$	F	Me	Me	O
2.214	H	$CCF_3=CFBr$	H	Me	CF_3	O
2.215	H	$CCF_3=CFBr$	H	Me	CF_2H	O
2.216	H	$CCF_3=CFBr$	F	Me	Me	O
2.217	H	$CCF_3=CHF$	H	Me	CF_3	O
2.218	H	$CCF_3=CHF$	H	Me	CF_2H	O
2.219	H	$CCF_3=CHF$	F	Me	Me	O
2.220	H	$CCF_3=CFCl$	H	Me	CF_3	O
2.221	H	$CCF_3=CFCl$	H	Me	CF_2H	O
2.222	H	$CCF_3=CFCl$	F	Me	Me	O

2.223	H	CCF_3=CHCl	H	Me	CF_3	O
2.224	H	CCF_3=CHCl	H	Me	CF_2H	O
2.225	H	CCF_3=CHCl	F	Me	Me	O
2.226	H	CH=$CFCF_2Cl$	H	Me	CF_3	O
2.227	H	CH=$CFCF_2Cl$	H	Me	CF_2H	O
2.228	H	CH=$CFCF_2Cl$	F	Me	Me	O
2.229	H	CH=$CClCF_2Cl$	H	Me	CF_3	O
2.230	H	CH=$CClCF_2Cl$	H	Me	CF_2H	O
2.231	H	CH=$CClCF_2Cl$	F	Me	Me	O
2.232	H	$CH_2CF=CF_2$	H	Me	CF_3	O
2.233	H	$CH_2CF=CF_2$	H	Me	CF_2H	O
2.234	H	$CH_2CF=CF_2$	F	Me	Me	O
2.235	H	CF=CFBr	H	Me	CF_3	O
2.236	H	CF=CFBr	H	Me	CF_2H	O
2.237	H	CF=CFBr	F	Me	Me	O
2.238	H	$CH_2CH=CF_2$	H	Me	CF_3	O
2.239	H	$CH_2CH=CF_2$	H	Me	CF_2H	O
2.240	H	$CH_2CH=CF_2$	F	Me	Me	O
2.241	H	$CH_2CH=CCl_2$	H	Me	CF_3	O
2.242	H	$CH_2CH=CCl_2$	H	Me	CF_2H	O
2.243	H	$CH_2CH=CCl_2$	F	Me	Me	O
2.244	H	$CH_2CH=CBr_2$	H	Me	CF_3	O
2.245	H	$CH_2CH=CBr_2$	H	Me	CF_2H	O
2.246	H	$CH_2CH=CBr_2$	F	Me	Me	O
2.247	H	$CCl=CF_2$	H	Me	CF_3	O
2.248	H	$CCl=CF_2$	H	Me	CF_2H	O
2.249	H	$CCl=CF_2$	F	Me	Me	O

Table 2 provides 249 compounds of formula (Ib) wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 2.



(Ib)

Table 3

Compound No.	R^1	R^7	R^9	R^{10}	X
3.01	H	C≡CH	Me	CF_3	O
3.02	H	C≡CH	Me	CF_3	S
3.03	H	C≡CH	Me	CF_2H	O
3.04	propargyl	C≡CH	Me	CF_3	O
3.05	H	C≡CH	Me	Me	O
3.06	H	C≡CH	CH_2OMe	CF_3	O
3.07	allenyl	C≡CH	Me	CF_3	O
3.08	H	C≡CSiMe$_3$	Me	CF_3	O
3.09	H	C≡CSiMe$_3$	Me	CF_3	S
3.10	H	C≡CSiMe$_3$	Me	CF_2H	O
3.11	H	C≡CSiMe$_3$	Me	Me	O
3.12	H	C≡CCl	Me	CF_3	O
3.13	H	C≡CCl	Me	CF_2H	O
3.14	H	C≡CCl	Me	Me	O
3.15	H	C≡CBr	Me	CF_3	O
3.16	H	C≡CBr	Me	CF_2H	O
3.17	H	C≡CBr	Me	Me	O
3.18	H	C≡CCF_3	Me	CF_3	O
3.19	H	C≡CCF_3	Me	CF_2H	O
3.20	H	C≡CCF_3	Me	Me	O

3.21	allenyl	C=CCF$_3$	Me	CF$_3$	O
3.22	H	CH=CH$_2$	Me	CF$_3$	O
3.23	H	CH=CH$_2$	Me	CF$_3$	S
3.24	H	CH=CH$_2$	Me	CF$_2$H	O
3.25	propargyl	CH=CH$_2$	Me	CF$_3$	O
3.26	H	CH=CH$_2$	Me	Me	O
3.27	H	CH=CH$_2$	CH$_2$OMe	CF$_3$	O
3.28	allenyl	CH=CH$_2$	Me	CF$_3$	O
3.29	H	CH=CF$_2$	Me	CF$_3$	O
3.30	H	CH=CF$_2$	Me	CF$_2$H	O
3.31	H	CH=CF$_2$	Me	Me	O
3.32	H	CH=CCl$_2$	Me	CF$_3$	O
3.33	H	CH=CCl$_2$	Me	CF$_2$H	O
3.34	H	CH=CCl$_2$	Me	Me	O
3.35	H	CH=CBr$_2$	Me	CF$_3$	O
3.36	H	CH=CBr$_2$	Me	CF$_2$H	O
3.37	H	CH=CBr$_2$	Me	Me	O
3.38	H	CF=CF$_2$	Me	CF$_3$	O
3.39	H	CF=CF$_2$	Me	CF$_2$H	O
3.40	H	CF=CF$_2$	Me	Me	O
3.41	H	CCl=CH$_2$	Me	CF$_3$	O
3.42	H	CCl=CH$_2$	Me	CF$_2$H	O
3.43	H	CCl=CH$_2$	Me	Me	O
3.44	H	CBr=CH$_2$	Me	CF$_3$	O
3.45	H	CBr=CH$_2$	Me	CF$_2$H	O
3.46	H	CBr=CH$_2$	Me	Me	O
3.47	H	CF=CHF	Me	CF$_3$	O
3.48	H	CF=CHF	Me	CF$_2$H	O
3.49	H	CF=CHF	Me	Me	O
3.50	H	CH=CHSiMe$_3$	Me	CF$_3$	O

3.51	H	CH=CHSiMe$_3$	Me	CF_2H	O
3.52	H	CH=CHSiMe$_3$	Me	Me	O
3.53	H	CH=CHCF$_3$	Me	CF_3	O
3.54	H	CH=CHCF$_3$	Me	CF_2H	O
3.55	H	CH=CHCF$_3$	Me	Me	O
3.56	H	CH=CClCF$_3$	Me	CF_3	O
3.57	H	CH=CClCF$_3$	Me	CF_2H	O
3.58	H	CH=CClCF$_3$	Me	Me	O
3.59	H	$CH_2C\equiv CH$	Me	CF_3	O
3.60	H	$CH_2C\equiv CH$	Me	CF_2H	O
3.61	H	$CH_2C\equiv CH$	Me	Me	O
3.62	H	$CH_2C\equiv CH$	CH_2OMe	CF_3	O
3.63	H	$CH_2C\equiv CSiMe_3$	Me	CF_3	O
3.64	H	$CH_2C\equiv CSiMe_3$	Me	CF_2H	O
3.65	H	$CH_2C\equiv CSiMe_3$	Me	Me	O
3.66	H	$C\equiv CCMe_3$	Me	CF_3	O
3.67	H	$C\equiv CCMe_3$	Me	CF_2H	O
3.68	H	$C\equiv CCMe_3$	Me	Me	O
3.69	H	$C\equiv CMe$	Me	CF_3	O
3.70	H	$C\equiv CMe$	Me	CF_2H	O
3.71	H	$C\equiv CMe$	Me	Me	O
3.72	COMe	$C\equiv CH$	Me	CF_3	O
3.73	H	$C\equiv CH$	CF_2H	CF_2H	O
3.74	H	$C\equiv CH$	CF_2H	CF_3	O
3.75	H	$C\equiv CH$	Me	CH_2F	O
3.76	H	$C\equiv CSiMe_3$	Me	CH_2F	O
3.77	H	C≡C(cyclopropyl)	Me	CF_3	O
3.78	H	C≡C(cyclopropyl)	Me	CHF_2	O
3.79	H	$SiMe_3$	Me	CH_2F	O
3.80	H	$SiMe_3$	Me	CF_3	O

3.81	H	$SiMe_3$	Me	CHF_2	O
3.82	H	C≡CF	Me	CF_3	O
3.83	H	C≡CF	Me	CF_2H	O
3.84	H	C≡CF	Me	Me	O
3.85	H	$C\equiv CCF_2Cl$	Me	CF_3	O
3.86	H	$C\equiv CCF_2Cl$	Me	CF_2H	O
3.87	H	$C\equiv CCF_2Cl$	Me	Me	O
3.88	H	$C\equiv CCF_2H$	Me	CF_3	O
3.89	H	$C\equiv CCF_2H$	Me	CF_2H	O
3.90	H	$C\equiv CCF_2H$	Me	Me	O
3.91	H	$C\equiv CCF_2Br$	Me	CF_3	O
3.92	H	$C\equiv CCF_2Br$	Me	CF_2H	O
3.93	H	$C\equiv CCF_2Br$	Me	Me	O
3.94	H	$C\equiv CCH_2F$	Me	CF_3	O
3.95	H	$C\equiv CCH_2F$	Me	CF_2H	O
3.96	H	$C\equiv CCH_2F$	Me	Me	O
3.97	H	C≡CCH(Me)F	Me	CF_3	O
3.98	H	C≡CCH(Me)F	Me	CF_2H	O
3.99	H	C≡CCH(Me)F	Me	Me	O
3.100	H	$C\equiv CC(Me)_2F$	Me	CF_3	O
3.101	H	$C\equiv CC(Me)_2F$	Me	CF_2H	O
3.102	H	$C\equiv CC(Me)_2F$	Me	Me	O
3.103	H	$C\equiv CCH_2C(Me)_3$	Me	CF_3	O
3.104	H	$C\equiv CCH_2C(Me)_3$	Me	CF_2H	O
3.105	H	$C\equiv CCH_2C(Me)_3$	Me	Me	O
3.106	H	$C\equiv CCH(Me)_2$	Me	CF_3	O
3.107	H	$C\equiv CCH(Me)_2$	Me	CF_2H	O
3.108	H	$C\equiv CCH(Me)_2$	Me	Me	O
3.109	H	$C\equiv CCH_2CH(Me)_2$	Me	CF_3	O
3.110	H	$C\equiv CCH_2CH(Me)_2$	Me	CF_2H	O

3.111	H	$C\equiv CCH_2CH(Me)_2$	Me	Me	O
3.112	H	$CH_2C\equiv CCMe_3$	Me	CF_3	O
3.113	H	$CH_2C\equiv CCMe_3$	Me	CF_2H	O
3.114	H	$CH_2C\equiv CCMe_3$	Me	Me	O
3.115	H	$CF_2C\equiv CCMe_3$	Me	CF_3	O
3.116	H	$CF_2C\equiv CCMe_3$	Me	CF_2H	O
3.117	H	$CF_2C\equiv CCMe_3$	Me	Me	O
3.118	H	$CF_2C\equiv CMe$	Me	CF_3	O
3.119	H	$CF_2C\equiv CMe$	Me	CF_2H	O
3.120	H	$CF_2C\equiv CCMe$	Me	Me	O
3.121	H	$CF_2C\equiv CH$	Me	CF_3	O
3.122	H	$CF_2C\equiv CH$	Me	CF_2H	O
3.123	H	$CF_2C\equiv CH$	Me	Me	O
3.124	H	$CMe_2C\equiv CH$	Me	CF_3	O
2,125	H	$CMe_2C\equiv CH$	Me	CF_2H	O
3.126	H	$CMe_2C\equiv CH$	Me	Me	O
3.127	H	$CHFC\equiv CH$	Me	CF_3	O
3.128	H	$CHFC\equiv CH$	Me	CF_2H	O
3.129	H	$CHFC\equiv CH$	Me	Me	O
3.130	H	$CHMeC\equiv CH$	Me	CF_3	O
3.131	H	$CHMeC\equiv CH$	Me	CF_2H	O
3.132	H	$CHMeC\equiv CH$	Me	Me	O
3.133	H	$CH(CF_3)C\equiv CH$	Me	CF_3	O
3.134	H	$CH(CF_3)C\equiv CH$	Me	CF_2H	O
3.135	H	$CH(CF_3)C\equiv CH$	Me	Me	O
3.136	H	C≡C(1-F-cyclopentyl)	Me	CF_3	O
3.137	H	C≡C(1-F-cyclopentyl)	Me	CHF_2	O
3.138	H	$C\equiv CCH_2OMe$	Me	CF_3	O
3.139	H	$C\equiv CCH_2OMe$	Me	CF_2H	O
3.140	H	$C\equiv CCH_2OMe$	Me	Me	O

3.141	H	$C\equiv CCMe_2OMe$	Me	CF_3	O
3.142	H	$C\equiv CCMe_2OMe$	Me	CF_2H	O
3.143	H	$C\equiv CCMe_2OMe$	Me	Me	O
3.144	H	$C\equiv CCMe_2OCOMe$	Me	CF_3	O
3.145	H	$C\equiv CCMe_2OCOMe$	Me	CF_2H	O
3.146	H	$C\equiv CCF_2Me$	Me	CF_3	O
3.147	H	$C\equiv CCF_2Me$	Me	CF_2H	O
3.148	H	$C\equiv CCF_2Me$	Me	Me	O
3.149	H	$C\equiv CC(Me)=CH_2$	Me	CF_3	O
3.150	H	$C\equiv CC(Me)=CH_2$	Me	CF_2H	O
3.151	H	CH=CFCl	Me	CF_3	O
3.152	H	CH=CFCl	Me	CF_2H	O
3.153	H	CH=CFCl	Me	Me	O
3.154	H	CH=CFBr	Me	CF_3	O
3.155	H	CH=CFBr	Me	CF_2H	O
3.156	H	CH=CFBr	Me	Me	O
3.157	H	CH=CHBr	Me	CF_3	O
3.158	H	CH=CHBr	Me	CF_2H	O
3.159	H	CH=CHBr	Me	Me	O
3.160	H	CH=CHF	Me	CF_3	O
3.161	H	CH=CHF	Me	CF_2H	O
3.162	H	CH=CHF	Me	Me	O
3.163	H	$CMe=CHCF_3$	Me	CF_3	O
3.164	H	$CMe=CHCF_3$	Me	CF_2H	O

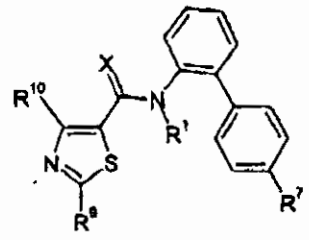
3.165	H	$CMe=CHCF_3$	Me	Me	O
3.166	H	$CH=CFCF_3$	Me	CF_3	O
3.167	H	$CH=CFCF_3$	Me	CF_2H	O
3.168	H	$CH=CFCF_3$	Me	Me	O
3.169	H	$CH=CBrCF_3$	Me	CF_3	O
3.170	H	$CH=CBrCF_3$	Me	CF_2H	O
3.171	H	$CH=CBrCF_3$	Me	Me	O
3.172	H	$CH=CHC_2F_5$	Me	CF_3	O
3.173	H	$CH=CHC_2F_5$	Me	CF_2H	O
3.174	H	$CH=CHC_2F_5$	Me	Me	O
3.175	H	CH=CHCl	Me	CF_3	O
3.176	H	CH=CHCl	Me	CF_2H	O
3.177	H	CH=CHCl	Me	Me	O
3.178	H	$CH=C(CF_3)_2$	Me	CF_3	O
3.179	H	$CH=C(CF_3)_2$	Me	CF_2H	O
3.180	H	$CH=C(CF_3)_2$	Me	Me	O
3.181	H	CMe=CFCl	Me	CF_3	O
3.182	H	CMe=CFCl	Me	CF_2H	O
3.183	H	CMe=CFCl	Me	Me	O
3.184	H	CMe=CFBr	Me	CF_3	O
3.185	H	CMe=CFBr	Me	CF_2H	O
3.186	H	CMe=CFBr	Me	Me	O
3.187	H	$CMe=CF_2$	Me	CF_3	O
3.188	H	$CMe=CF_2$	Me	CF_2H	O
3.189	H	$CMe=CF_2$	Me	Me	O
3.190	H	$CMe=CCl_2$	Me	CF_3	O
3.191	H	$CMe=CCl_2$	Me	CF_2H	O
3.192	H	$CMe=CCl_2$	Me	Me	O
3.193	H	$CMe=CBr_2$	Me	CF_3	O
3.194	H	$CMe=CBr_2$	Me	CF_2H	O

3.195	H	$CMe=CBr_2$	Me	Me	O
3.196	H	$CMe=CFCF_3$	Me	CF_3	O
3.197	H	$CMe=CFCF_3$	Me	CF_2H	O
3.198	H	$CMe=CFCF_3$	Me	Me	O
3.199	H	$CMe=CClCF_3$	Me	CF_3	O
3.200	H	$CMe=CClCF_3$	Me	CF_2H	O
3.201	H	$CMe=CClCF_3$	Me	Me	O
3.202	H	$CCF_3=CF_2$	Me	CF_3	O
3.203	H	$CCF_3=CF_2$	Me	CF_2H	O
3.204	H	$CCF_3=CF_2$	Me	Me	O
3.205	H	$CCF_3=CCl_2$	Me	CF_3	O
3.206	H	$CCF_3=CCl_2$	Me	CF_2H	O
3.207	H	$CCF_3=CCl_2$	Me	Me	O
3.208	H	$CCF_3=CBr_2$	Me	CF_3	O
3.209	H	$CCF_3=CBr_2$	Me	CF_2H	O
3.210	H	$CCF_3=CBr_2$	Me	Me	O
3.211	H	$CCF_3=CH_2$	Me	CF_3	O
3.212	H	$CCF_3=CH_2$	Me	CF_2H	O
3.213	H	$CCF_3=CH_2$	Me	Me	O
3.214	H	$CCF_3=CFBr$	Me	CF_3	O
3.215	H	$CCF_3=CFBr$	Me	CF_2H	O
3.216	H	$CCF_3=CFBr$	Me	Me	O
3.217	H	$CCF_3=CHF$	Me	CF_3	O
3.218	H	$CCF_3=CHF$	Me	CF_2H	O
3.219	H	$CCF_3=CHF$	Me	Me	O
3.220	H	$CCF_3=CFCl$	Me	CF_3	O
3.221	H	$CCF_3=CFCl$	Me	CF_2H	O
3.222	H	$CCF_3=CFCl$	Me	Me	O
3.223	H	$CCF_3=CHCl$	Me	CF_3	O
3.224	H	$CCF_3=CHCl$	Me	CF_2H	O

3.225	H	CCF_3=CHCl	Me	Me	O
3.226	H	CH=$CFCF_2Cl$	Me	CF_3	O
3.227	H	CH=$CFCF_2Cl$	Me	CF_2H	O
3.228	H	CH=$CFCF_2Cl$	Me	Me	O
3.229	H	CH=$CClCF_2Cl$	Me	CF_3	O
3.230	H	CH=$CClCF_2Cl$	Me	CF_2H	O
3.231	H	CH=$CClCF_2Cl$	Me	Me	O
3.232	H	CH_2CF=CF_2	Me	CF_3	O
3.233	H	CH_2CF=CF_2	Me	CF_2H	O
3.234	H	CH_2CF=CF_2	Me	Me	O
3.235	H	CF=CFBr	Me	CF_3	O
3.236	H	CF=CFBr	Me	CF_2H	O
3.237	H	CF=CFBr	Me	Me	O
3.238	H	CH_2CH=CF_2	Me	CF_3	O
3.239	H	CH_2CH=CF_2	Me	CF_2H	O
3.240	H	CH_2CH=CF_2	Me	Me	O
3.241	H	CH_2CH=CCl_2	Me	CF_3	O
3.242	H	CH_2CH=CCl_2	Me	CF_2H	O
3.243	H	CH_2CH=CCl_2	Me	Me	O
3.244	H	CH_2CH=CBr_2	Me	CF_3	O
3.245	H	CH_2CH=CBr_2	Me	CF_2H	O
3.246	H	CH_2CH=CBr_2	Me	Me	O
3.247	H	CCl=CF_2	Me	CF_3	O
3.248	H	CCl=CF_2	Me	CF_2H	O
3.249	H	CCl=CF_2	Me	Me	O
3.250	H	C≡$CCMe_2OH$	Me	CF_3	O
3.251	H	C≡CCH_2CH_3	Me	CF_2H	O
3.252	H	C≡CCH_2CH_3	Me	Me	O
3.253	H	C≡CCH_2CH_3	Me	CF_3	O
3.254	H	C≡CCF=CF_2	Me	CF_3	O

3.255	H	C≡CCF=CF$_2$	Me	CF$_2$H	O
3.256	H	C≡CCHFCl	Me	CF$_3$	O
3.257	H	C≡CCHFCl	Me	CF$_2$H	O
3.258	H	C≡CCHFCl	Me	Me	O
3.259	H	CH=CFC$_2$F$_5$	Me	CF$_3$	O
3.260	H	CH=CFC$_2$F$_5$	Me	CF$_2$H	O
3.261	H	CH=CFC$_2$F$_5$	Me	Me	O
3.262	H	C≡CCF$_2$CH$_2$CH$_3$	Me	CF$_3$	O
3.263	H	C≡CCF$_2$CH$_2$CH$_3$	Me	CF$_2$H	O
3.264	H	C≡CCF$_2$CH$_2$CH$_3$	Me	Me	O
3.265	H	C≡CCHFCH$_2$CH$_3$	Me	CF$_3$	O
3.266	H	C≡CCHFCH$_2$CH$_3$	Me	CF$_2$H	O
3.267	H	C≡CCHFCH$_2$CH$_3$	Me	Me	O
3.268	H	C≡CCF(CF$_3$)$_2$	Me	CF$_3$	O
3.269	H	C≡CCF(CF$_3$)$_2$	Me	CF$_2$H	O
3.270	H	C≡CCF(CF$_3$)$_2$	Me	Me	O
3.271	H	CH=CClC$_2$F$_5$	Me	CF$_3$	O
3.272	H	CH=CClC$_2$F$_5$	Me	CF$_2$H	O
3.273	H	CH=CClC$_2$F$_5$	Me	Me	O
3.274	H	C≡CC$_2$F$_5$	Me	CF$_3$	O
3.275	H	C≡CC$_2$F$_5$	Me	CF$_2$H	O
3.276	H	C≡CC$_2$F$_5$	Me	Me	O

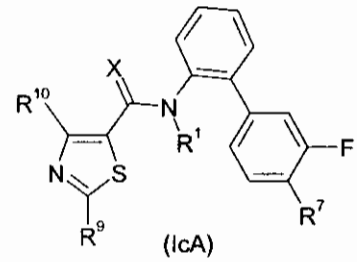
Table 3 provides 276 compounds of formula (Ic):



(Ic)

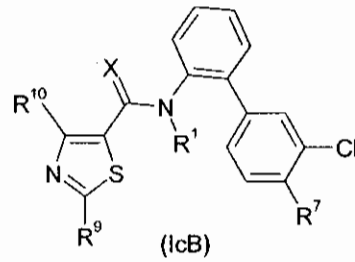
wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3.

Table 3 provides 276 compounds of formula (IcA) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3.



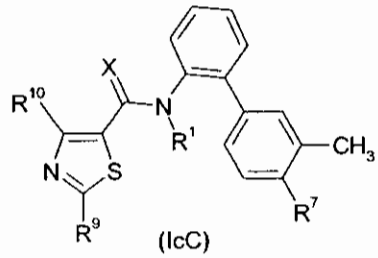
(IcA)

Table 3 provides 276 compounds of formula (IcB) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



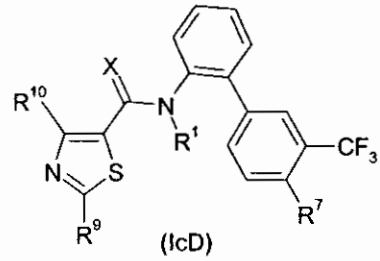
(IcB)

Table 3 provides 276 compounds of formula (IcC) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



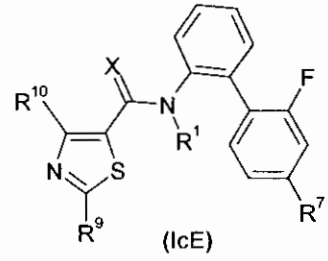
(IcC)

Table 3 provides 276 compounds of formula (IcD) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



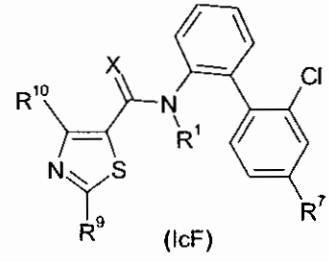
(IcD)

Table 3 provides 276 compounds of formula (IcE) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



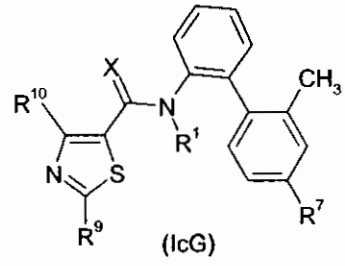
(IcE)

Table 3 provides 276 compounds of formula (IcF) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



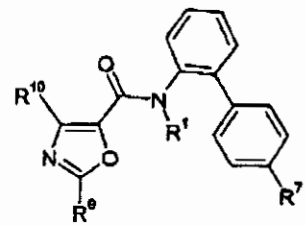
(IcF)

Table 3 provides 276 compounds of formula (IcG) wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3 .



(IcG)

Table 4 provides 3 compounds of formula (Id):



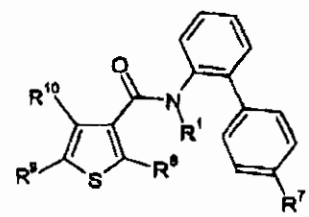
(Id)

wherein R^1, R^7, R^9 and R^{10} are as defined in Table 4.

Table 4

Compound No.	R^1	R^7	R^9	R^{10}
4.01	H	C≡CH	Me	CF_3
4.02	H	C≡CSiMe$_3$	Me	CF_3
4.03	H	CH=CH$_2$	Me	CF_3

Table 5 provides 15 compounds of formula (Ie):



(Ie)

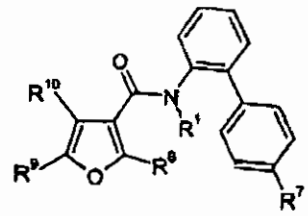
wherein R^1, R^7, R^8, R^9 and R^{10} are as defined in Table 5.

Table 5

Compound No.	R^1	R^7	R^8	R^9	R^{10}
5.01	H	C≡CH	H	H	CF_3

5.02	H	C≡CH	Me	Me	Me
5.03	H	C≡CH	H	Me	CF_3
5.04	H	C≡CH	Me	Me	H
5.05	COMe	C≡CH	Me	Me	H
5.06	COMe	C≡CH	Me	Me	Me
5.07	COEt	C≡CH	Me	Me	Me
5.08	H	C≡CSiMe$_3$	H	H	CF_3
5.09	H	C≡CSiMe$_3$	Me	Me	Me
5.10	H	C≡CSiMe$_3$	H	Me	CF_3
5.11	H	C≡CSiMe$_3$	Me	Me	H
5.12	H	C≡CSiMe$_3$	H	H	CF_3
5.13	H	CH=CH$_2$	Me	Me	Me
5.14	H	CH=CH$_2$	H	Me	CF_3
5.15	H	CH=CH$_2$	Me	Me	H

Table 6 provides 15 compounds of formula (If):



(If)

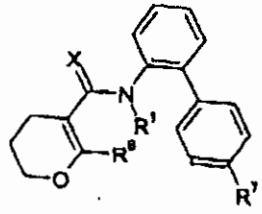
wherein R^1, R^7, R^8, R^9 and R^{10} are as defined in Table 6.

Table 6

Compound No.	R^1	R^7	R^8	R^9	R^{10}
6.01	H	C≡CH	H	H	CF_3
6.02	H	C≡CH	Me	Me	Me
6.03	H	C≡CH	H	Me	CF_3
6.04	H	C≡CH	Me	Me	H

6.05	COMe	C≡CH	Me	Me	H
6.06	COMe	C≡CH	Me	Me	Me
6.07	COEt	C≡CH	Me	Me	Me
6.08	H	C≡CSiMe$_3$	H	H	CF_3
6.09	H	C≡CSiMe$_3$	Me	Me	Me
6.10	H	C≡CSiMe$_3$	H	Me	CF_3
6.11	H	C≡CSiMe$_3$	Me	Me	H
6.12	H	C≡CSiMe$_3$	H	H	CF_3
6.13	H	CH=CH$_2$	Me	Me	Me
6.14	H	CH=CH$_2$	H	Me	CF_3
6.15	H	CH=CH$_2$	Me	Me	H

Table 7 provides 10 compounds of formula (Ig):



(Ig)

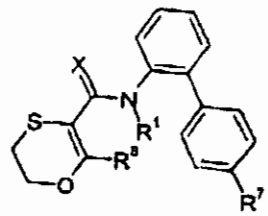
wherein R^1, R^7, R^8 and X are as defined in Table 7.

Table 7

Compound No.	R^1	R^7	R^8	X
7.01	H	C≡CH	CF_3	O
7.02	H	C≡CH	Me	O
7.03	H	C≡CH	CF_3	S
7.04	COMe	C≡CH	Me	O
7.05	H	C≡CSiMe$_3$	CF_3	O
7.06	H	C≡CSiMe$_3$	Me	O
7.07	H	CH=CH$_2$	CF_3	O

7.08	H	$CH=CH_2$	CF_3	O
7.09	propargyl	$CH=CH_2$	Me	O
7.10	allenyl	$CH=CH_2$	Me	O

Table 8 provides 10 compounds of formula (Ih):



(Ih)

wherein R^1, R^7, R^8 and X are as defined in Table 8.

Table 8

Compound No.	R^1	R^7	R^8	X
8.01	H	C≡CH	CF_3	O
8.02	H	C≡CH	Me	O
8.03	H	C≡CH	CF_3	S
8.04	COMe	C≡CH	Me	O
8.05	H	$C\equiv CSiMe_3$	CF_3	O
8.06	H	$C\equiv CSiMe_3$	Me	O
8.07	H	$CH=CH_2$	CF_3	O
8.08	H	$CH=CH_2$	CF_3	O
8.09	propargyl	$CH=CH_2$	Me	O
8.10	allenyl	$CH=CH_2$	Me	O

Table 9

Compound No.	R^1	R^7	R^8
9.01	H	C≡CH	Cl
9.02	H	C≡CH	CF_3
9.03	COMe	C≡CH	Cl
9.04	H	C≡CH	Br
9.05	$COCH_2OMe$	C≡CH	Cl
9.06	H	C≡CSiMe$_3$	Cl
9.07	H	C≡CSiMe$_3$	CF_3
9.08	H	C≡CSiMe$_3$	Br
9.09	H	CH=CH_2	CF_3
9.10	H	CH=CH_2	Br
9.11	H	CH=CH_2	Cl
9.12	H	CH=CH_2	CH_3
9.13	propargyl	CH=CH_2	Cl
9.14	allenyl	CH=CH_2	Cl
9.15	H	C≡CCl	Cl
9.16	H	C≡CCl	CF_3
9.17	H	C≡CCl	Br
9.18	H	C≡CBr	Cl
9.19	H	C≡CBr	CF_3
9.20	H	C≡CBr	Br
9.21	H	C≡CCF_3	Cl
9.22	H	C≡CCF_3	CF_3
9.23	H	C≡CCF_3	Br
9.24	H	CH=CF_2	CF_3
9.25	H	CH=CF_2	Br
9.26	H	CH=CF_2	Cl

9.27	H	$CCl=CH_2$	CF_3
9.28	H	$CCl=CH_2$	Br
9.29	H	$CCl=CH_2$	Cl
9.30	H	$CBr=CH_2$	CF_3
9.31	H	$CBr=CH_2$	Br
9.32	H	$CBr=CH_2$	Cl
9.33	H	CF=CHF	CF_3
9.34	H	CF=CHF	Br
9.35	H	CF=CHF	Cl
9.36	H	$CH=CHCF_3$	CF_3
9.37	H	$CH=CHCF_3$	Br
9.38	H	$CH=CHCF_3$	Cl
9.39	H	$CH=CClCF_3$	CF_3
9.40	H	$CH=CClCF_3$	Br
9.41	H	$CH=CClCF_3$	Cl
9.42	H	$CH_2C\equiv CH$	CF_3
9.43	H	$CH_2C\equiv CH$	Br
9.44	H	$CH_2C\equiv CH$	Cl
9.45	H	$CH_2C\equiv CSiMe_3$	CF_3
9.46	H	$CH_2C\equiv CSiMe_3$	Br
9.47	H	$CH_2C\equiv CSiMe_3$	Cl
9.48	H	$C\equiv CMe$	CF_3
9.49	H	$C\equiv CMe$	Br
9.50	H	$C\equiv CMe$	Cl
9.51	H	$CH=CCl_2$	CF_3
9.52	H	$CH=CCl_2$	Br
9.53	H	$CH=CCl_2$	Cl
9.54	H	$CH=CHSiMe_3$	CF_3
9.55	H	$CH=CHSiMe_3$	Br
9.56	H	$CH=CHSiMe_3$	Cl

9.57	H	C≡C(cyclopropyl)	Cl
9.58	H	$SiMe_3$	Cl
9.59	H	C≡$CCMe_3$	Cl
9.60	H	CH=CBr_2	CF_3
9.61	H	CH=CBr_2	Br
9.62	H	CH=CBr_2	Cl
9.63	H	CF=CF_2	CF_3
9.64	H	CF=CF_2	Br
9.65	H	CF=CF_2	Cl
9.66	H	C≡$CCMe_3$	CF_3
9.67	H	C≡$CCMe_3$	Br
9.68	allenyl	C≡$CCMe_3$	Cl
9.69	H	C≡C(cyclopropyl)	CF_3
9.70	H	C≡C(cyclopropyl)	Br
9.71	H	C≡CF	CF_3
9.72	H	C≡CF	Br
9.73	H	C≡CF	Cl
9.74	H	C≡CCF_2Cl	Cl
9.75	H	C≡CCF_2Cl	CF_3
9.76	H	C≡CCF_2Cl	Br
9.77	H	C≡CCF_2H	Cl
9.78	H	C≡CCF_2H	CF_3
9.79	H	C≡CCF_2H	Br
9.80	H	C≡CCF_2Br	Cl
9.81	H	C≡CCF_2Br	CF_3
9.82	H	C≡CCF_2Br	Br
9.83	H	C≡CCH_2F	Cl
9.84	H	C≡CCH_2F	CF_3
9.85	H	C≡CCH_2F	Br
9.86	H	C≡CCH(Me)F	Cl

9.87	H	C≡CCH(Me)F	CF_3
9.88	H	C≡CCH(Me)F	Br
9.89	H	C≡CC(Me)$_2$F	Cl
9.90	H	C≡CC(Me)$_2$F	CF_3
9.91	H	C≡CC(Me)$_2$F	Br
9.92	H	C≡CCH$_2$CMe$_3$	Cl
9.93	H	C≡CCH$_2$CMe$_3$	Br
9.94	H	C≡CCHMe$_2$	CF_3
9.95	H	C≡CCHMe$_2$	Br
9.96	H	C≡CCHMe$_2$	Cl
9.97	H	C≡CCH$_2$CHMe$_2$	CF_3
9.98	H	C≡CCH$_2$CHMe$_2$	Br
9.99	H	C≡CCH$_2$CHMe$_2$	Cl
9.100	H	CF$_2$C≡CMe	CF_3
9.101	H	CF$_2$C≡CMe	Br
9.102	H	CF$_2$C≡CMe	Cl
9.103	H	CF$_2$C≡CH	CF_3
9.104	H	CF$_2$C≡CH	Br
9.105	H	CF$_2$C≡CH	Cl
9.106	H	CHFC≡CH	CF_3
9.107	H	CHFC≡CH	Br
9.108	H	CHFC≡CH	Cl
9.109	H	C≡C(1-F-cyclopentyl)	CF_3
9.110	H	C≡C(1-F-cyclopentyl)	Br
9.111	H	C≡C(1-F-cyclopentyl)	Cl
9.112	H	C≡CCH$_2$OMe	Cl
9.113	H	C≡CCH$_2$OMe	Br
9.114	H	C≡CCH$_2$OMe	CF_3
9.115	H	C≡CCMe$_2$OMe	Cl
9.116	H	C≡CCMe$_2$OMe	Br

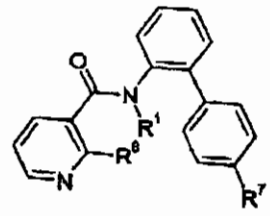
9.117	H	C≡CCMe$_2$OMe	CF$_3$
9.118	H	C≡CCMe$_2$OCOMe	Cl
9.119	H	C≡CCMe$_2$OCOMe	Br
9.120	H	C≡CCMe$_2$OCOMe	CF$_3$
9.121	H	C≡CCF$_2$Me	Cl
9.122	H	C≡CCF$_2$Me	Br
9.123	H	C≡CCF$_2$Me	CF$_3$
9.124	H	CH=CFCl	CF$_3$
9.125	H	CH=CFCl	Br
9.126	H	CH=CFCl	Cl
9.127	H	CH=CFBr	CF$_3$
9.128	H	CH=CFBr	Br
9.129	H	CH=CFBr	Cl
9.130	H	CH=CHBr	CF$_3$
9.131	H	CH=CHBr	Br
9.132	H	CH=CHBr	Cl
9.133	H	CMe=CHCF$_3$	CF$_3$
9.134	H	CMe=CHCF$_3$	Br
9.135	H	CMe=CHCF$_3$	Cl
9.136	H	CH=CFCF$_3$	CF$_3$
9.137	H	CH=CFCF$_3$	Br
9.138	H	CH=CFCF$_3$	Cl
9.139	H	CH=CBrCF$_3$	CF$_3$
9.140	H	CH=CBrCF$_3$	Br

9.141	H	$CH=CBrCF_3$	Cl
9.142	H	$CH=CHC_2F_5$	CF_3
9.143	H	$CH=CHC_2F_5$	Br
9.144	H	$CH=CHC_2F_5$	Cl
9.145	H	CH=CHCl	CF_3
9.146	H	CH=CHCl	Br
9.147	H	CH=CHCl	Cl
9.148	H	$CH=C(CF_3)_2$	Cl
9.149	H	CMe=CFCl	CF_3
9.150	H	CMe=CFCl	Br
9.151	H	CMe=CFCl	Cl
9.152	H	CMe=CFBr	CF_3
9.153	H	CMe=CFBr	Br
9.154	H	CMe=CFBr	Cl
9.155	H	$CMe=CF_2$	CF_3
9.156	H	$CMe=CF_2$	Br
9.157	H	$CMe=CF_2$	Cl
9.158	H	$CMe=CCl_2$	CF_3
9.159	H	$CMe=CCl_2$	Br
9.160	H	$CMe=CCl_2$	Cl
9.161	H	$CMe=CBr_2$	CF_3
9.162	H	$CMe=CBr_2$	Br
9.163	H	$CMe=CBr_2$	Cl
9.164	H	$CCF_3=CF_2$	CF_3
9.165	H	$CCF_3=CF_2$	Br
9.166	H	$CCF_3=CF_2$	Cl
9.167	H	$CCF_3=CCl_2$	CF_3
9.168	H	$CCF_3=CCl_2$	Br
9.169	H	$CCF_3=CCl_2$	Cl
9.170	H	$CCF_3=CBr_2$	CF_3

9.171	H	$CCF_3=CBr_2$	Br
9.172	H	$CCF_3=CBr_2$	Cl
9.173	H	$CCF_3=CH_2$	CF_3
9.174	H	$CCF_3=CH_2$	Br
9.175	H	$CCF_3=CH_2$	Cl
9.176	H	$CCF_3=CFBr$	CF_3
9.177	H	$CCF_3=CFBr$	Br
9.178	H	$CCF_3=CFBr$	Cl
9.179	H	$CCF_3=CFCl$	CF_3
9.180	H	$CCF_3=CFCl$	Br
9.181	H	$CCF_3=CFCl$	Cl
9.182	H	$CH=CFCF_2Cl$	CF_3
9.183	H	$CH=CFCF_2Cl$	Br
9.184	H	$CH=CFCF_2Cl$	Cl
9.185	H	$CH=CClCF_2Cl$	Cl
9.186	H	$CH_2CF=CF_2$	CF_3
9.187	H	$CH_2CF=CF_2$	Br
9.188	H	$CH_2CF=CF_2$	Cl
9.189	H	$CF=CFBr$	Cl
9.190	H	$CH_2CH=CF_2$	Cl
9.191	H	$CH_2CH=CCl_2$	Cl
9.192	H	$CH_2CH=CBr_2$	Cl
9.193	H	$CH_2CH=CBr_2$	CF_3
9.194	H	$CH_2CH=CBr_2$	Br
9.195	H	$CCl=CF_2$	Cl
9.196	H	$C\equiv CMe$	CHF_2
9.197	H	$C\equiv CCHMe_2$	CHF_2
9.198	H	$C\equiv CCH_2CHMe_2$	CHF_2
9.199	H	$C\equiv CCF_3$	CHF_2
9.200	H	$C\equiv CH$	CHF_2

9.201	H	C≡CCH$_2$SiMe$_3$	Cl
9.202	H	C≡CCH$_2$CF$_3$	Cl
9.203	H	C≡CSi(Me)$_2$CMe$_3$	Cl
9.204	H	C≡CCH$_2$CH$_3$	Cl
9.205	H	C≡CCF=CF$_2$	Cl
9.206	H	C≡CCHFCl	Cl
9.207	H	CH=CFC$_2$F$_5$	Cl
9.208	H	C≡CCF$_2$CH$_2$CH$_3$	Cl
9.209	H	C≡CCHFCH$_2$CH$_3$	Cl
9.210	H	C≡CCF(CF$_3$)$_2$	Cl
9.211	H	CH=CClC$_2$F$_5$	Cl
9.212	H	C≡CC$_2$F$_5$	Cl

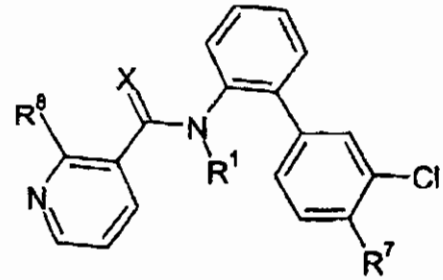
Table 9 provides 212 compounds of formula (Ii):



(Ii)

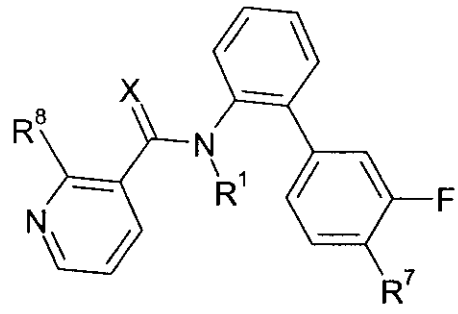
wherein R^1, R^7 and R^8 are as defined in Table 9.

Table 9 provides 212 compounds of formula (IiA) wherein R^1, R^7 and R^8 are as defined in Table 9.



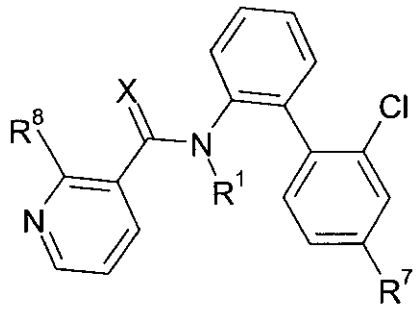
(IiA)

Table 9 provides 212 compounds of formula (IiB) wherein R^1, R^7 and R^8 are as defined in Table 9.



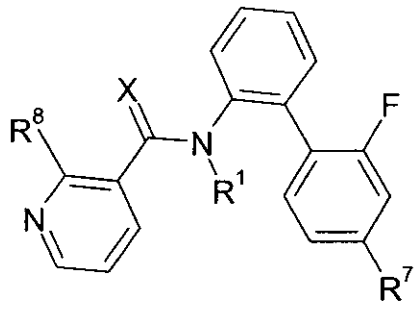
(IiB)

Table 9 provides 212 compounds of formula (IiC) wherein R^1, R^7 and R^8 are as defined in Table 9.



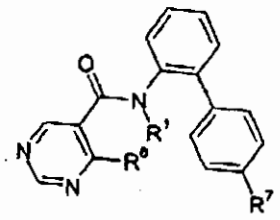
(IiC)

Table 9 provides also 212 compounds of formula (IiD) wherein R^1, R^7 and R^8 are as defined in Table 9.



(IiD)

Table 10 provides 14 compounds of formula (Ij):



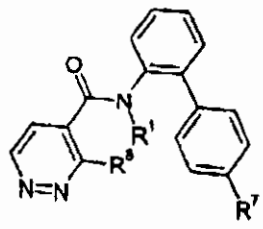
(II)

wherein R^1, R^7 and R^8 are as defined in Table 10.

Table 10

Compound No.	R^1	R^7	R^8
10.01	H	C≡CH	Cl
10.02	H	C≡CH	CF_3
10.03	COMe	C≡CH	Cl
10.04	H	C≡CH	Br
10.05	$COCH_2OMe$	C≡CH	Cl
10.06	H	C≡CSiMe$_3$	Cl
10.07	H	C≡CSiMe$_3$	CF_3
10.08	H	C≡CSiMe$_3$	Br
10.09	H	$CH=CH_2$	CF_3
10.10	H	$CH=CH_2$	Br
10.11	H	$CH=CH_2$	Cl
10.12	H	$CH=CH_2$	CH_3
10.13	propargyl	$CH=CH_2$	Cl
10.14	allenyl	$CH=CH_2$	Cl

Table 11 provides 14 compounds of formula (Ik):



(Ik)

wherein R^1, R^7 and R^8 are as defined in Table 11.

Table 11

Compound No.	R^1	R^7	R^8
11.01	H	C≡CH	Cl
11.02	H	C≡CH	CF_3
11.03	COMe	C≡CH	Cl
11.04	H	C≡CH	Br
11.05	$COCH_2OMe$	C≡CH	Cl
11.06	H	C≡CSiMe$_3$	Cl
11.07	H	C≡CSiMe$_3$	CF_3
11.08	H	C≡CSiMe$_3$	Br
11.09	H	$CH=CH_2$	CF_3
11.10	H	$CH=CH_2$	Br
11.11	H	$CH=CH_2$	Cl
11.12	H	$CH=CH_2$	CH_3
11.13	propargyl	$CH=CH_2$	Cl
11.14	allenyl	$CH=CH_2$	Cl

Table 12 provides 94 compounds of formula (II) where R^2, R^3, R^4 and R^5 are each hydrogen; n is 0; and R^1 and R^7 are as defined in Table 12.

Table 12

Compound No.	R^1	R^7
12.01	H	C≡CH
12.02	H	C≡CSiMe$_3$
12.03	H	C≡CCF_3
12.04	H	C≡CCl

12.05	H	$CH=CH_2$
12.06	H	$CH=CF_2$
12.07	H	$CH=CCl_2$
12.08	H	$CH=CBr_2$
12.09	H	$CF=CF_2$
12.10	H	$CCl=CH_2$
12.11	H	CF=CHF
12.12	H	$CH=CHCF_3$
12.13	H	$CH=CClCF_3$
12.14	H	$CH_2C\equiv CH$
12.15	H	$C\equiv CCMe_3$
12.16	CHO	$C\equiv CMe$
12.17	H	C≡C(cyclopropyl)
12.18	H	$SiMe_3$
12.19	H	$C\equiv CBr$
12.20	H	$CBr=CH_2$
12.21	H	$CH=CHSiMe_3$
12.22	H	$CH_2C\equiv CSiMe_3$
12.23	H	$C\equiv CMe$
12.24	H	$C\equiv CF$
12.25	H	$C\equiv CCF_2Cl$
12.26	H	$C\equiv CCF_2H$
12.27	H	$C\equiv CCF_2Br$
12.28	H	$C\equiv CCH_2F$
12.29	H	$C\equiv CCH(Me)F$
12.30	H	$C\equiv CC(Me)_2F$
12.31	H	$C\equiv CCH_2C(Me)_3$
12.32	H	$C\equiv CCH(Me)_2$
12.33	H	$C\equiv CCH_2CH(Me)_2$
12.34	H	$CH_2C\equiv CCMe_3$

12.35	H	$CF_2C{\equiv}CCMe_3$
12.36	H	$CF_2C{\equiv}CMe$
12.37	H	$CF_2C{\equiv}CH$
12.38	H	$CMe_2C{\equiv}CH$
12.39	H	$CHFC{\equiv}CH$
12.40	H	$CHMeC{\equiv}CH$
12.41	H	$CH(CF_3)C{\equiv}CH$
12.42	H	C≡C(1-F-cyclopentyl)
12.43	H	$C{\equiv}CCH_2OMe$
12.44	H	$C{\equiv}CCMe_2OMe$
12.45	H	$C{\equiv}CCMe_2OCOMe$
12.46	H	$C{\equiv}CCF_2Me$
12.47	H	$C{\equiv}CC(Me){=}CH_2$
12.48	H	CH=CFCl
12.49	H	CH=CFBr
12.50	H	CH=CHBr
12.51	H	CH=CHF
12.52	H	$CMe{=}CHCF_3$
12.53	H	$CH{=}CFCF_3$
12.54	H	$CH{=}CBrCF_3$
12.55	H	$CH{=}CHC_2F_5$
12.56	H	CH=CHCl
12.57	H	$CH{=}C(CF_3)_2$
12.58	H	CMe=CFCl
12.59	H	CMe=CFBr
12.60	H	$CMe{=}CF_2$
12.61	H	$CMe{=}CCl_2$
12.62	H	$CMe{=}CBr_2$
12.63	H	$CMe{=}CFCF_3$
12.64	H	$CMe{=}CClCF_3$

12.65	H	$CCF_3=CF_2$
12.66	H	$CCF_3=CCl_2$
12.67	H	$CCF_3=CCl_2$
12.68	H	$CCF_3=CCl_2$
12.69	H	$CCF_3=CBr_2$
12.70	H	$CCF_3=CH_2$
12.71	H	$CCF_3=CFBr$
12.72	H	$CCF_3=CHF$
12.73	H	$CCF_3=CFCl$
12.74	H	$CCF_3=CHCl$
12.75	H	$CH=CFCF_2Cl$
12.76	H	$CH=CClCF_2Cl$
12.77	H	$CH_2CF=CF_2$
12.78	H	$CF=CFBr$
12.79	H	$CH_2CH=CF_2$
12.80	H	$CH_2CH=CCl_2$
12.81	H	$CH_2CH=CBr_2$
12.82	H	$CCl=CF_2$
12.83	H	$C\equiv CCH_2SiMe_3$
12.84	H	$C\equiv CSiMe_2CMe_3$
12.85	H	$C\equiv CCMe_2OH$
12.86	H	$C\equiv CCH_2CH_3$
12.87	H	$C\equiv CCF=CF_2$
12.88	H	$C\equiv CCHFCl$
12.89	H	$CH=CFC_2F_5$
12.90	H	$C\equiv CCF_2CH_2CH_3$
12.91	H	$C\equiv CCHFCH_2CH_3$
12.92	H	$C\equiv CCF(CF_3)_2$
12.93	H	$CH=CClC_2F_5$
12.94	H	$C\equiv CC_2F_5$

Table 13 provides 1 compound of formula (III) where R^2, R^3, R^4 and R^5 are each hydrogen; n is 0; and Hal and R^7 are as defined in Table 13.

Table 13

Compound Number	R^7	Hal
13.01	C≡CH	Br

Throughout this description, temperatures are given in degrees Celsius; "NMR" means nuclear magnetic resonance spectrum; MS stands for mass spectrum; M^+-1 or M^++1 are signals in the mass spectrum respectively corresponding to the molecular weight minus 1 or the molecular weight plus 1; and "%" is percent by weight, unless corresponding concentrations are indicated in other units.

The following abbreviations are used throughout this description:

m.p. =	melting point	b.p.=	boiling point.
s =	singlet	br =	broad
d =	doublet	dd =	doublet of doublets
t =	triplet	q =	quartet
m =	multiplet	ppm =	parts per million

Table 14 shows selected melting point, selected molecular ion and selected NMR data, all with $CDCl_3$ as the solvent (unless otherwise stated; if a mixture of solvents is present, this is indicated as, for example, ($CDCl_3$ / d_6-DMSO)), (no attempt is made to list all characterising data in all cases) for compounds of Tables 1 to 13. Unless otherwise stated, the data relate to a cis/trans mixture of each compound.

Table 14

Compound Number	^{1}H-NMR data: (ppm/multiplicity/number of Hs) or MS-data	m.p. / (°C)
1.01		169-170
1.03		132-135
1.08		147-150
1.10		>200
1.12		195-197
1.13		139-144
1.15		193-194
1.16		120-125
1.18		207-209
1.19		210-212
1.22		184-187
1.24		137-141
1.29		197-198
1.30		181-182
1.32		173-176
1.33		147-150
1.35		167-169
1.36		148-150
1.38		156-157
1.39		168-170
1.41		212-213
1.42		174-176
1.50		117-124
1.53		205-206
1.54		194-195
1.56		143-145

1.57		118-121
1.59		186-190
1.60		137-139
1.66		139-143
1.67	406 (M^+-1)	193-196
1.69	382 (M^+-1)	221-223
1.70	364 (M^+-1)	205-208
1.77		208-210
1.78		202-205
1.80		165-166
1.81		165-169
1.85		198-198.5
1.86		184-184.5
1.88		206-207
1.89		200-201
1.193		160-162
1.94		205-206
1.95		198-199
1.97		197-198 (decomposition)
1.98		176-177
1.100		120-121
1.101		128-129
1.106		155-158
1.107		142-143
1.109		150-153
1.110		132-140
1.137		132-133
1.138		167-169

1.139		163-165
1.141		141-142.5
1.142		155-156
1.144	1.8(s,6); 2.1(s,3); 3.95(s,3) 7.2-7.6(m,7); 7.75(br.s,1); 8.25(d,1)	
1.145		132-141
1.147		149-150
1.150		143-145
1.151		189-194
1.152		168-170
1.154		197-200
1.155		174-178
1.158		195
1.166		172-155
1.167		145-150
1.182		127-129
1.185		111-114
1.194	2.25(s,3); 3.9(s,3); 6.7(t,1); 7.2-7.5(m,6); 7.7(s,1); 7.72(br,1); 8.3(d,1)	
1.212		176-179
1.226		152.5-153
1.227		146-147
1.250		159-162
1.251		156-161
1.252		163-165
1.254		139-140
1.255		187-187.5
1.257		177-178
2.01		145-148
2.08		148-154

2.66		160-165
3.01		145-147
3.08		103-105
3.12		122-126
3.18		160-165
3.29		146-147
3.32		125-130
3.35		120-126
3.38		122-127
3.41		169-170
3.56		132-137
3.66		129-133
3.69		159-163
3.97		133-134
3.100		127.7-129
3.109		117-119
3.136		140-142
3.141	1.55(s,6); 2.7(s,3); 3.4(s,3); 7.2-7.3(m,4); 7.4(m,1); 7.5(d,2); 7.55(br,1); 8.3(d,1)	
3.146		141-142
3.157		145-157
3.166		148-150
3.193		128-132
3.211		183-184
3.250		140-143
9.01		150-152
9.06		84-86
9.15		154-157
9.21		185-189

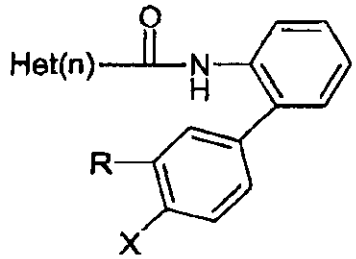
9.38		141-142
9.41		143-145
9.50		157-159
9.53		133-138
9.58		130-132
9.59		123-125
9.62		138-139
9.65		164-167
9.68	1.8(s,9); 4.9 + 5,25(m,1); 6.15-8.5(m,12)	
9.83		143-145
9.86		169-170
9.89		167-167.5
9.99		109-111
9.118	1.8(s,6); 2.1(s,3); 7.2-7.6(m,9), 8.1(m,1); 8.45(m,1)	
9.132		162-165
9.138		172-175
9.163		167-171
9.185		119-120.5
9.201	0.0(s,9); 1.55(s,2); 7.0-7.3(m,8); 79-8.0(m,2); 8.3(m,2)	
9.203		105-107
12.01		111-115
12.02	0.05(s,9); 6.5-6.7(d+t,2); 6.8-7.1(t+t,2); 7.2-7.5(m,4)	
12.03	262($M+H^+$); 303(M + MeCN + H^+);	
12.04		92-98
12.06	3.75(br,2); 5.35(dd,1); 6.75-6.9(m,2); 7.1-7.2(m,2); 7.35-7.5(m,4)	
12.07	3.8(br,2); 6.8(d,1); 6.85(t,1); 6.9(s,1); 7.1-7.2(d+t,2); 7.45-7.65(m,4)	
12.08	3.8(br,2); 6.75-6.9(m,2); 7.1-7.2(m,2); 7.5-7.7(m,5)	

12.09	3.8(br,2); 6.7-6.9(m,2); 7.1-7.2(m,2); 7.6(very narrow m,4) ^{19}F : -99.7; -114.6; -177.3	
12.10	230($M+H^+$); 371(M + MeCN + H^+)	
12.12		84-86
12.13	298($M+H^+$); 339(M + MeCN + H^+);	
12.14	208($M+H^+$); 249(M + MeCN + H^+);	
12.15		66-69
12.16		91-96
12.17	0.8-0.9(m,4); 1.4-1.5(m,2); 3.7(br,2); 6.7-6.8(m,2); 7.1-7.2(m,2); 7.3-7.5(m,4)	
12.18	0.15(s,9); 2.0-2.6(very broad,2); 6.6-6.7(m,2); 7.0-7.1(m,2); 7.3-7.5(m,4)	
12.19	3.8(br,2); 6.75-6.9(m,2); 7.1-7.2(m,2); 7.35-7.55(m,4)	
12.23		108-112
12.25	3.75(br,2); 6.8(m,2); 7.1-7.25(m,2); 7.5-7.7(m,4) ^{19}F : -35.9	
12.26	3.8(br,2); 6.4(t,1); 6.75-6.9(m,2); 7.1-7.25(m,2); 7.4-7.56(m,4); ^{19}F : -105.7	
12.28		69-71
12.29	1.7(d of d,3); 3.8(br,2); 5.5(d of quartetts,1); 6.75-6.9(m,2); 7.05-7.2(m,2); 7.4-7.6(m,4) ^{19}F : -165.6	
12.30	1.75(d,6); 3.8(br,2); 6.8(m,2); 7.15(m,2); 7.4-7.6(m,4) ^{19}F : -126.0	
12.32	1.3(d,6); 3.7(br,2); 6.7-6.8(d+t,2); 7.15(m,2); 7.3-7.5(m,4)	
12.33	1.05(d,6); 1.9(m,1); 2.35(d,2); 3.75(br,2); 6.75-6.9(m,2); 7.1-7.2(m,2); 7.35-7.5(m,4)	
12.42	1.7-2.5(m,8); 3.7(br,2); 6.7-6.8(m,2); 7.05-7.15(m,2);	

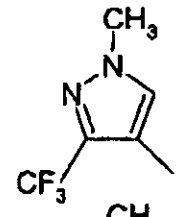
	7.35.7.5(m,4); 7.1-7.2(m,2); 7.4-7.6(m,4)	
12.43	3.45(s,3); 3.8(br,2); 4.35(s,2); 6.7-6.8(d+t,2); 7.1-7.25(m,2); 7.4-7.6(m,4)	
12.45	1.75(s,6); 2.1(s,3); 3.75(br,2); 6.75-6.85(m,2); 7.1-7.2(m,2); 7.4-7.6(m,4)	
12.46	2.0(t,3); 3.75(br,2); 6.75-6.85(m,2); 7.1-7.2(m,2); 7.4-7.6(m,4)	
12.47	2.0(s,3); 3.75(br,2); 5.3(narrow m,1); 5.4 (s,1); 6.75-6.85(m,2); 7.1-7.2(m,2); 7.4-7.55(m,4)	
12.48	3.8(br,2); 5.85 + 6.45 (2ds,1); 6.7-6.9(m,2); 7,1-7.2(m,2); 7.4-7.65(m,4); ^{19}F : -71.2; -73.9	
12.49	4.0-4.6(br,2); 6.0+ 6.7 (2ds,1); 6.75-6.9(m,2); 7,1-7.2(m,2); 7.4-7.65(m,4);	
12.50		86-92
12.53		
12.58	2.1(2s,3); 3.8(br,2); 6.7-6.9(m,2); 7.1-7.2(m,2); 7.35-7.5(m,2); ^{19}F : -80.1; -81.3	
12.59	2.1(2s,3); 3.8(br,2); 6.7-6.9(m,2); 7.1-7.2(m,2); 7.35-7.5(m,2); ^{19}F : -74.2; -74.6	
12.62		120-129
12.70	3.75(br,2); 5.8(narrow m,1); 5.95 (narrow m,1); 6.75-6.9(m,2); 7.1-7.2(m,2); 7.4-7.55(m,4)	
12.75		67-68.5
12.83	0.0(s,9); 1.6(s,2);6.6(d,1);6.65(t,1); 7.0(m,2); 7.2-7.4(m,4)	
12.84	0.0(s,6); 0.8(s,9); 4.25(very broad,2);6.65-6.75(m,2); 6.9-7.05(m,2); 7.2-7.4(m,4)	
12.85	252 ($M + H^+$)	
15.25		131-134
15.26		Amorphous

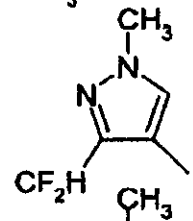
15.28	1.3(s,9); 7.2-7.5(m,10); 8.1(m,2); 8.45(m,2)	
16.7		63-64

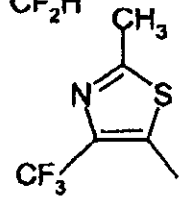
Table 15 provides 48 compounds of formulas I(m) where R,X and Het are as defined in Table 15.



I(m)

Het(1) = 

Het(2) = 

Het(3) = 

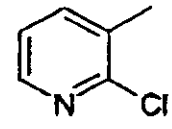
Het(4) = 

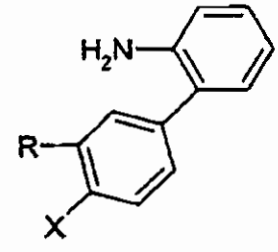
Table 15

Compound No.	X	R	Het
15.1	H	C≡CH	Het(1)
15.2	H	C≡CH	Het(2)
15.3	H	C≡CH	Het(3)
15.4	H	C≡CH	Het(4)
15.5	Cl	C≡CH	Het(1)
15.6	Cl	C≡CH	Het(2)

15.7	Cl	C≡CH	Het(3)
15.8	Cl	C≡CH	Het(4)
15.9	F	C≡CH	Het(1)
15.10	F	C≡CH	Het(2)
15.11	F	C≡CH	Het(3)
15.12	F	C≡CH	Het(4)
15.13	H	C≡CMe	Het(1)
15.14	H	C≡CMe	Het(2)
15.15	H	C≡CMe	Het(3)
15.16	H	C≡CMe	Het(4)
15.17	F	C≡CMe	Het(1)
15.18	F	C≡CMe	Het(2)
15.19	F	C≡CMe	Het(3)
15.20	F	C≡CMe	Het(4)
15.21	Cl	C≡CMe	Het(1)
15.22	Cl	C≡CMe	Het(2)
15.23	Cl	C≡CMe	Het(3)
15.24	Cl	C≡CMe	Het(4)
15.25	H	C≡CCMe$_3$	Het(1)
15.26	H	C≡CCMe$_3$	Het(2)
15.27	H	C≡CCMe$_3$	Het(3)
15.28	H	C≡CCMe$_3$	Het(4)
15.29	Cl	C≡CCMe$_3$	Het(1)
15.30	Cl	C≡CCMe$_3$	Het(2)
15.31	Cl	C≡CCMe$_3$	Het(3)
15.32	Cl	C≡CCMe$_3$	Het(4)
15.33	F	C≡CCMe$_3$	Het(1)
15.34	F	C≡CCMe$_3$	Het(2)
15.35	F	C≡CCMe$_3$	Het(3)
15.36	F	C≡CCMe$_3$	Het(4)

15.37	H	$CH=CClCF_3$	Het(1)
15.38	H	$CH=CClCF_3$	Het(2)
15.39	H	$CH=CClCF_3$	Het(3)
15.40	H	$CH=CClCF_3$	Het(4)
15.41	Cl	$CH=CClCF_3$	Het(1)
15.42	Cl	$CH=CClCF_3$	Het(2)
15.43	Cl	$CH=CClCF_3$	Het(3)
15.44	Cl	$CH=CClCF_3$	Het(4)
15.45	F	$CH=CClCF_3$	Het(1)
15.46	F	$CH=CClCF_3$	Het(2)
15.47	F	$CH=CClCF_3$	Het(3)
15.48	F	$CH=CClCF_3$	Het(4)

Table 16 provides 12 compounds of formulas II(m) where R and X are as defined in Table 16 :



II(m)

Table 16

Compound No.	X	R
16.1	H	C≡CH
16.2	Cl	C≡CH
16.3	F	C≡CH
16.4	H	C≡CMe
16.5	F	C≡CMe

16.6	Cl	C≡CMe
16.7	H	C≡CCMe$_3$
16.8	Cl	C≡CCMe$_3$
16.9	F	C≡CCMe$_3$
16.10	H	CH=CClCF$_3$
16.11	Cl	CH=CClCF$_3$
16.12	F	CH=CClCF$_3$

The compounds according to the present invention may be prepared according to the following reaction schemes, in which, unless otherwise stated, the definition of each variable is as defined above for a compound of formula (I).

There are a number of alternative methods for preparing a compound of formula (I).

Method A

A compound of formula (I) may be prepared by reacting a compound of formula (II) with a compound of formula Het-C(=O)OR' [where R' is C_{1-5} alkyl] in the presence of strong base [for example NaH or sodium hexamethyldisilazane], in a dry polar solvent [preferably THF] and at a temperature between −10°C and the boiling point of the solvent [preferably at ambient temperature]. The article by J.Wang et al, Synlett 2001,1485 provides details of analogous preparations.

Method B

A compound of formula (I) may be prepared by reacting a compound of formula (II) with a compound of formula Het-C(=O)R'' [where R'' is OH or a leaving group, such as Cl, Br, F or OC(=O)C_{1-4} alkyl] in an inert organic solvent [such as ethylacetate, dichloromethane, dioxane or DMF] and at a temperature between −10°C and the boiling point of the solvent [preferably at ambient temperature]. If R'' is OH, the reaction is carried out in the presence of an activating agent [for example BOP-Cl] and two equivalents of a base [such as a tertiary

amine, an inorganic carbonate or a hydrogen carbonate]. Alternatively, if R" is a leaving group, the reaction is carried out in the presence of at least one equivalent of base [such as pyridine, a tertiary amine, an inorganic carbonate or a hydrogen carbonate].

Method C

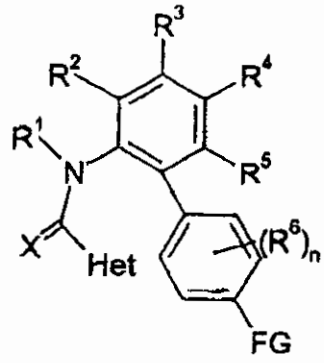
A compound of formula (I) [where R^1 is as defined above but is not hydrogen] may be prepared by reacting a compound of formula (I) [where R^1 is hydrogen] with a compound of formula R^1-L^1 [where R^1 is as defined above but is not hydrogen; and L^1 is a leaving group such as Cl, Br, I, a sulfonate (for example a mesylate or a tosylate) or $OC(O)C_{1-4}$ alkyl] in a solvent [such as a halogenated solvent (for example dichloromethane), an ether, ethylacetate, DMF or even water (as a biphasic mixture, optionally in the presence of a phase transfer catalyst such as tetrabutylammonium hydrogensulfate)] and in the presence of a base [such as a tertiary amine, an alkali carbonate, an alkali bicarbonate, an alkali hydroxide or NaH; though if L^1 is $O(CO)$ C_{1-4} alkyl then simply heating without base is possible].

Method D

A compound of formula (I) may be prepared by reacting a compound of formula (III) [where Hal is preferably bromo or iodo] with a compound of formula Het-$C(=O)NH_2$ in the presence of a Cu(I) compound and an aprotic solvent [such as a cyclic ether, for example dioxane] at an elevated temperature and preferably at reflux . The preferred conditions are CuI used at 2% to 100%mole/mole, relative to the compound of formula (III), in the presence of a 1,2-diamine as a ligand-forming substance (such as 1,2-diamino cyclohexane or ethylene diamine) and at least 1 equivalent of a base (such as an alkali carbonate or an alkali phosphate. The article by A.Klapars et al. J.Am.Chem.Soc. 123,7727 (2001) provides details of analogous preparations.

Method E

A compound of formula (I) may be prepared by conversion of a compound of formula (IV)



(IV)

[where FG is a functional group which is convertible to R^7 in one or more synthetic steps].

Functional group interconversions are standard procedures for a person skilled in the art. There are many methods described in the literature, which can be used as such or with modifications according to the functionalities present; Table A gives literature references (some of which also cite further appropriate references) which are specifically relevant to the preparation of a compound of formula (I) by the interconversion of FG to R^7. It is apparent to the person skilled in the art that the literature examples given in Table A are not necessarily limited to the preparation of the specifically mentioned R^7 but can be also applied by analogy to the preparation of other structurally related R^7

Table A

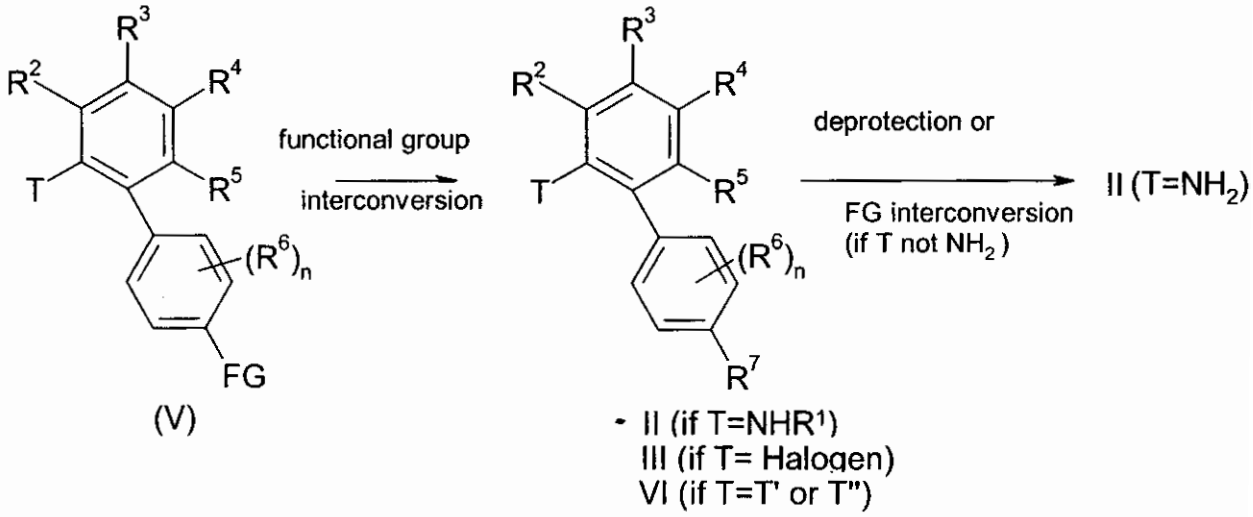
Reference	FG	R^7
Synthesis 2001, 2081 Tetrahedron 58, 1491 (2002)	CHO	$CH=CBr_2$ CH=CHBr $C\equiv CBr$
Russ.Chem. Bull. 50 (6), 1047 (2001)	CHO	$CH=CCl_2$
Tetrahedron 57, 7519 (2001)	CHO	$CH=CClCF_3$ $CH=CFCF_2Cl$
Bull.Chem.Soc.Jpn. 73, 1691 (2000) Bull.Chem.Soc.Jpn. 71, 2903 (1998-)	CHO	CF=CBrF
J. Chem.Soc.Perkin 1 2002, 883	$COCH_3$	$C(CH_3)=CHBr$ $C(CH_3)=CCl_2$
J.Fluorine Chem. 1, 381 (1972)	$COCH_3$	$C(CH_3)=CBr_2$

J.Fluorine Chem. 23, 339 (1983)	$COCF_3$	$C(CH_3)=CFBr$ $C(CH_3)=CFCl$ $C(CF_3)=CFBr$ $C(CF_3)=CFCl$ $C(CF_3)=CF_2$
Tetrahedron Letters 41, 8045 (2000) J.Org.Chem. 62, 9217 (1997)	Hal	$CF=CHF$
Tetrahedron Letters 37,8799 (1996)	Hal	$CH=CF_2$
JP 09278688 J.Fluorine Chem. 31, 115 (1986)	Hal	$CF=CF_2$
Zh.Org.Khim. 25, 1451 (1989)	Hal	$CF=CFCl$
J.Org.Chem. 53, 2714 (1988)	Hal	$CF=CFCF_3$
J.Org.Chem. 56, 7336 (1991) Tetrahedron Letters 42, 4083 (2001)	Hal	$C(CF_3)=CH_2$
Ukr.Khim.Zh. 32, 996 (1966)	$CHBrCH_2CF_3$	$CH=CHCF_3$
Bull.Chem.Soc.Jap. 62,1352	$CH=CClCF_3$ $CH=CFCF_2Cl$	$C\equiv CCF_3$ $C\equiv CCF_2Cl$
J.Org.Chem. 54, 5856 (1989) J.Am.Chem.Soc. 109,2138 (1987) Tetrahedron 45,6511 (1989) J.Orgmet.Chem.549,127 (1997) Tetrahedron 56, 10075 (2000) Tetrahedron Asymmetry 6, 245 (1995)	Hal or triflate	$C\equiv CH$ $C\equiv CSiMe_3$ $C\equiv CCH_3$ $C\equiv CCMe_3$ $C\equiv CCH_2OH$ $C\equiv CCHMeOH$ $C\equiv CCMe_2OH$ $C\equiv CCHO$ $C\equiv CC(O)Me$
J.Org.Chem. 32, 1674 (1967)	$C\equiv CCH_3$	$CH_2C\equiv CH$
Synth.Comm.1989,561	CHO CH_2CHO	$C\equiv CH$ $CH_2C\equiv CH$

WO 01 092563	CHO	$CH=CH_2$
J.Am.Chem.Soc. 123,4155 (2001) Org.Lett. 2,3703 (2000) J.Org.Chem. 57,3558 (1992) Synthesis 2001,893	Hal or triflate	$CH=CH_2$
GB 2 183 639	$C\equiv CH$	$CH=CH_2$
Synthesis 1996, 1494 J.Org.Chem.49, 294 (1984)	CHO	$C\equiv CCl$ $C\equiv CH$ $C\equiv CBr$
US 6 159956	CH_2Br	$CH_2CF=CF_2$
Liebigs Ann.Chem. 1995, 2027	CH_2Br	$CH=C(CF_3)_2$
J.Am.Chem.Soc. 123,4155 (2001)	CH_2Br	$CH_2C\equiv CSiMe_3$
Inorg.Chim.Acta 296, 37 (1999)	Hal	$CH_2C\equiv CMe_3$
J.Fluorine Chem.111, 185 (2001) J.Chem.Soc. Perkin I 1988, 921	$CH=CHBr$ $CH=CFBr$ $CH=CBr_2$	$CH=CHCF_3$ $CH=CFCF_3$ $CH=C(CF_3)_2$
DE 4417441 US3976691 J. Org. Chem. 64, 7048 (1999)	$C\equiv CCH_2OH$ $C\equiv CCHMeOH$ $C\equiv CCMe_2OH$ $C\equiv CCHO$ $C\equiv CC(O)Me$	$C\equiv CCH_2F$ $C\equiv CCHMeF$ $C\equiv CCMe_2F$ $C\equiv CCHF_2$ $C\equiv CCF_2Me$
J.Chem.Soc. Perkin I 1994, 725	$C\equiv CCH_2OH$	$C\equiv CCH_2CF_3$
Synthesis 1997, 1489 Angew.Chem.Int.Ed. 39, 2481 (2000) J.Org.Chem. 47, 2255 (1982) J.Fluorine.Chem.113, 55 (2002)	$C\equiv CH$	$C\equiv CCF_2CF_3$ $CH=CHCF_2CF_3$
J.Fluorine.Chem.64, 61 (1993) J.Am.Chem.Soc. 109, 3492 (1987)	$C\equiv CH$	$C\equiv CCHFCl$ $C\equiv CCF_2Br$
J.Am.Chem.Soc. 107, 5186 (1985)	$CH=CHBr$	$CH=CHCF_2CF_3$

There are a number of alternative methods for preparing a compound of formula (II), (III) or (IV).

Method F – preparation of a compound of formula (II) or (III).

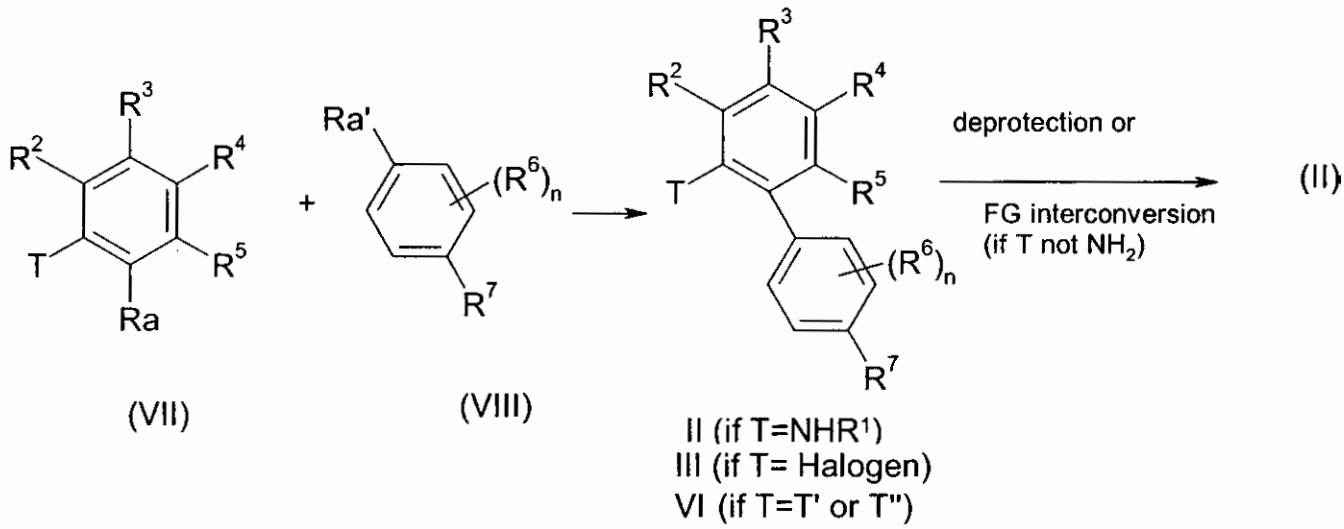


A compound of formula (II), (III) or (VI) may be prepared, by functional group interconversion, from a compound of formula (V) [where FG is as defined above for a compound of formula (IV) and T is either halogen, amino, NHR^1, a protected amino group T' (for example a carbamate, an amide, a cyclic imide, an N-alkyl-, N-alkenyl-, N-benzyl-, N-diphenylmethyl- or N-trityl-derivative, an imine derivative or an N-silyl- or N-disilyl-derivative) or a group T'' (that is, a group which may be converted to NH_2 or NHR^1 by applying synthetic methodology described in the literature; T'' being preferably azido, nitro, halogen, triflate, $CONH_2$, COOH, COCl or NCO)]. Starting from a compound of formula (V) the functional group FG may be converted to R^7 by applying a method analogous to method E above. This conversion leads directly to a compound of formula (II) [when T is NHR_1], to a compound of formula (III) [when T is halogen (preferably chloro, bromo or iodo)] or to a compound of formula (VI) [when T is T' or T'']. In a second step a compound of formula (VI) or (II) [when R^1 is other than H] can be converted to a compound of formula (II) [where R^1 is H] by either applying the methods [that is, deprotection or conversion of T'' to NH_2] as generically described above.

Examples of versatile values for T' plus methods for deprotection are given in T.W.Green and P.Wuts, Protective Groups in Organic Synthesis, 3rd edition (John Wiley & Sons 1999), Chapter 7.

Compilations of useful values for T'' plus literature to convert T'' into NH_2, T' or NHR^1 can be found in M.B. Smith, Compendium of Organic Synthetic Methods, Vols. 1-10, Chapter 7 (Wiley, Vol .10: 2002).

Method G



A compound of formula (II), (III) or (VI) may be prepared by a coupling reaction between a compound of formula (VII) and a compound of formula (VIII) [where Ra and Ra' are each, independently, halogen (preferably Cl, Br or I), triflate or a metal-containing functionality containing, for example, B, Sn, Mg, Zn or Cu as the metal; examples are $B(OH)_2$, esters of boronic acid (preferably esters derived from 1,2- or 1,3-diols), trialkyltin (preferably $Sn(CH_3)_3$ or $Sn(nBu)_3$), a halogen salt of Mg , a halogen salt of Zn or Cu. If either Ra or Ra' is a metal containing functionality, the other substituent must be halogen or triflate.

Such coupling reactions are widely known in the literature. Especially suitable are the Pd(0) ,Ni(0), or copper catalysed couplings which are well known to the person skilled in the art as Stille coupling, Suzuki coupling, Negishi coupling or Ullmann reaction. A comprehensive review of these reactions can be found in Metal-Catalysed Cross-Coupling Reactions; F.Diederich and P.Stang (eds.); Wiley-VCH; Weinheim 1998.

In a second step a compound of formula (VI) or (II) [when R^1 is other than H] can be converted to a compound of formula (II) [where R^1 is H] by either applying the methods [that is, deprotection or conversion of T'' to NH_2] as generically described above.

Surprisingly, it has now been found that the novel compounds of formula (I) have, for practical purposes, a very advantageous spectrum of activities for protecting plants against diseases that are caused by fungi as well as by bacteria and viruses.

The compounds of formula (I) can be used in the agricultural sector and related fields of use as active ingredients for controlling plant pests. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous cultivated plants. The compounds of formula I can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

It is also possible to use compounds of formula (I) as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

Furthermore the compounds according to present invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage, in hygiene management, etc.

The compounds of formula (I) are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. Botrytis, Pyricularia, Helminthosporium, Fusarium, Septoria, Cercospora and Alternaria) and Basidiomycetes (e.g. Rhizoctonia, Hemileia, Puccinia). Additionally, they are also effective against the Ascomycetes classes (e.g. Venturia and Erysiphe, Podosphaera, Monilinia, Uncinula) and of the Oomycetes classes (e.g. Phytophthora, Pythium, Plasmopara). Outstanding activity has been observed against powdery mildew (Erysiphe spp.) and rust (Puccinia spp.). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against

Xanthomonas spp, Pseudomonas spp, Erwinia amylovora as well as against the tobacco mosaic virus).

Within the scope of present invention, target crops to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The compounds of formula (I) are used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO 97/33890.

The compounds of formula (I) are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as

well as insecticides, fungicides, bactericides, nematicides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula (I) can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities. Mixing components which are particularly preferred are azoles, such as azaconazole, BAY 14120, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, pefurazoate, penconazole, pyrifenox, prochloraz, propiconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole, triticonazole; pyrimidinyl carbinole, such as ancymidol, fenarimol, nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol, ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine, tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim, pyrimethanil; pyrroles, such as fenpiclonil, fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl; benzimidazoles, such as benomyl, carbendazim, debacarb, fuberidazole, thiabendazole; dicarboximides, such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone, vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, thifluzamide; guanidines, such as guazatine, dodine, iminoctadine; strobilurines, such as azoxystrobin, kresoxim-methyl, metominostrobin, SSF-129, trifloxystrobin, picoxystrobin, BAS 500F (proposed name pyraclostrobin), BAS 520; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb, ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet, tolyfluanid; Cu-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancopper, oxine-copper; nitrophenol-derivatives, such as dinocap, nitrothal-isopropyl; organo-p-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdiphen, pyrazophos, tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazine, benthiavalicarb, blasticidin-S, chinomethionate, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, dichlone, diclomezine, dicloran, diethofencarb, dimethomorph, SYP-LI90 (proposed name: flumorph), dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, fentin, ferimzone, fluazinam, flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, IKF-916 (cyazofamid),

kasugamycin, methasulfocarb, metrafenone, nicobifen, pencycuron, phthalide, polyoxins, probenazole, propamocarb, pyroquilon, quinoxyfen, quintozene, sulfur, triazoxide, tricyclazole, triforine, validamycin, zoxamide (RH7281).

A preferred method of applying a compound of formula (I), or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

A formulation [that is, a composition containing the compound of formula (I)] and, if desired, a solid or liquid adjuvant, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface active compounds (surfactants).

The agrochemical formulations will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula I, 99.9 to 1% by weight, preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to 600g a.i./ha. When used as seed drenching agent, convenient dosages are from 10mg to 1g of active substance per kg of seeds.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

The following non-limiting Examples illustrate the above-described invention in more detail.

EXAMPLE 1

This Example illustrates the preparation of Compound No. 1.01.

2-Amino-4'-ethinyl-biphenyl (0.30g) and 1-methyl-3-trifluoromethyl-4-chlorocarbonyl-pyrazol (0.33g) were combined in THF under cooling with ice and then pyridine (0.12ml) was added. After warming to ambient temperature the suspension was stirred for 3.5hours, poured into water and extracted twice with ethylacetate. Separation of the organic phase, drying with sodium sulfate and evaporation of the solvent and chromatographic purification on silica gel (solvent: hexane:ethylacetate 2:1) yielded 0.4g (70.2%) of Compound No. 1.01.

EXAMPLE 2

This Example illustrates the preparation of Compound No. 2.01.

To 1-methyl-3-trifluoromethyl-4-pyrrol carboxylic acid (0.22g) dissolved in 10ml dichloromethane were added triethylamine (0.32ml) and 2-amino-4'-trimethylsilylethinyl-biphenyl (0.3g) and finally, under cooling with ice, bis(2-oxo-3-oxazolidinyl) chlorophosphinic acid (0.29g). After stirring for 18hours the solvents were removed under reduced pressure and the residue was taken up with ethylacetate. Washing with water and brine, drying with sodiumsulfate and evaporation of the solvent yielded 0.45g of a yellow oil which was chromatographed on silica gel (eluent: hexane:ethylacetate 2:1) to yield 0.13g (26%) of Compound No. 2.01.

EXAMPLE 3

This Example illustrates the preparation of Compound No. 1.72.

To NaH (46mg) in 5ml dry THF at 0-5°C was added 2-N-formylamino-4'-(propin-1-yl)-biphenyl (0.3g) in 10ml dry THF. The reaction was kept at this temperature for 1hour and afterwards 1-methyl-3-trifluoromethyl-4-chlorocarbonyl-pyrazol (0.372g) was added. The resultant suspension was stirred at room temperature overnight, poured into brine and extracted with ethylacetate. The solvent was evaporated and the the residue was taken into methanol and sodiummethylate (10mg) was added. After 30minutes the mixture was neutralised with diluted HCl, extracted with ethylacetate and washed until neutral. Chromatographic purification on silica gel (eluent: ethylacetate:hexane 1:2) and recrystallisation from toluene:hexane (4:1) yielded 0.169g of Compound No. 1.72.

EXAMPLE 4

This Example illustrates the preparation of 2- amino-4'-(trimethylsilyl)ethinyl-biphenyl (Compound No.12.02) and 2-amino-4'-ethinyl-biphenyl (Compound No.12.01) using a preparation according to Method F above.

To 2.5g 2-amino-4'-bromo-biphenyl (WO0264562) in piperidine (25ml) under nitrogen were added in sequence CuI (0.1g), bis(triphenylphosphino)palladium dichloride (0.35g) and trimethylsilylacetylene (2.8ml). The mixture was stirred for 22hours at room temperature and for a further 26hours at 60°C. After cooling the reaction mixture was diluted with water and extracted with ethylacetate. Then the organic phase was washed with water and dried over sodium sulfate. After evaporation of the solvents in vacuum the mixture was chromatographed on silica gel (hexane:ethylacetate 9:1) to yield 2- amino-4'-(trimethylsilyl)ethinyl-biphenyl (2g) (Compound No.12.02).

1.4g of this compound was dissolved in methanol (40ml) and potassium carbonate (0.9g) was added with cooling. The resultant suspension was stirred for 2hours, poured on ice-water and the precipitate formed was filtered off, washed thoroughly with water and dried to obtain 2-amino-4'-ethinyl-biphenyl (0.9g) (Compound No.12.01) as light tan crystals.

EXAMPLE 5

This Example illustrates the preparation of 2-N-formylamino-4'-(propin-1-yl)-biphenyl (Compound No.12.16)

N-formylamino-4'bromo-biphenyl (3.5g) (J.Chem.Soc. 1957, 4), tributyltin(propinyl-1) (5g) (commercial from Aldrich), tetrakis(triphenylphosphine)palladium (0.37g) were combined in toluene (200ml) under nitrogen and heated to reflux for 16hours. The resultant dark mixture was diluted with water and extracted with ethyl acetate. The organic phase was washed with water, dried over sodium sulfate and the solvents were evaporated at reduced pressure. The residue was taken into acetonitrile and washed repeatedly with hexane. After removal of the acetonitrile at reduced pressure and chromatography of the residue with silicagel (eluent:hexane ethylacetate 2:1) 2-N-formylamino-4'-(propin-1-yl)-biphenyl (Compound No.12.16) (1.57g) was obtained as a light yellow powder.

EXAMPLE 6

This Example illustrates the preparation of 2-amino-4'(2,2-dichloro)ethylene-biphenyl (Compound No.12.07) and 2-amino-4'(chloroethinyl)-biphenyl (Compound No 12.04.

a) Preparation of 2-nitro-4'(2,2-dichloro)ethylene-biphenyl.

To 2-nitro-4'formyl-biphenyl (2g) (WO 95 03290) (prepared by Pd-catalysed coupling of 2-bromonnitrobenzene with 4-formyl-phenyl-boronic acid) in ethanol (70ml) was added hydrazine hydrate (95%) (1.32g) and the resultant mixture was then refluxed for 5hours. The

solvent was evaporated to dryness under reduced pressure, the residue was suspended in DMSO (30ml) and then ammonia (25%) (3ml) and freshly prepared CuCl (80mg) were sequentially added and finally tetrachlorometane (3.8g) was dropped in under cooling with water. The mixture was stirred at room temperature for 24hours and the resultant green suspension was poured into water, extracted with dichloromethane, washed with water and dried over sodium sulfate. Evaporation of the solvent and chromatography of the residue over silicagel (eluent:hexane:ethylacetate 4:1) yielded 2-nitro-4'(2,2-dichloro)ethylene-biphenyl (0.8g), m.p. 58-59°C.

b) Preparation of 2-amino-4'(2,2-dichloro)ethylene-biphenyl.

2-Nitro-4'(2,2-dichloro)ethylene-biphenyl (0.76g) from step (a) was dissolved in 50% ethanol (30ml) and heated to reflux. Then 2N HCl (0.3ml) in 50% ethanol (10ml) was added dropwise. The reaction mixture was held at reflux for 4hours, cooled to room temperature and filtered. The filtrate was neutralised with sodium bicarbonate, extracted twice with ethylacetate and the organic phase was dried over sodium sulfate. Evaporation of the solvent under reduced pressure yielded 2-amino-4'(2,2-dichloro)ethylene-biphenyl (0.62g) (Compound No.12.07).

c) 2-Amino-4'(2,2-dichloro)ethylene-biphenyl (3g) was dissolved in 150ml dimethyl sulfoxide in which 0.9g KOH (85%, powder) has been suspended. The mixture was stirred over night at room temperature, diluted with excess of water and extracted twice with ethyl acetate and the organic phase was dried over sodium sulfate. Evaporation of the solvent under reduced pressure and chromatography of the residue over silicagel (eluent:hexane:ethylacetate 4:1) yielded 2.5 g 2-amino-4'(2,2-dichloro)ethylene-biphenyl as a tan coloured solid.

EXAMPLE 7

This Example illustrates the preparation of Compound Number 12.18.

Step A: 2-Nitro-(4'-trimethylsilyl)-biphenyl

2-Bromo-nitrobenzene (0.86g), 4-(trimethylsilyl)phenylboronic acid (1g) and bis-(triphenylphosphine)-palladiumdichloride (0.3g) were dissolved in dimethoxyetane (35ml) and then a solution of sodium bicarbonate (1.3g) dissoved in water (5ml) was added dropwise. The mixture was heated for 3 hours (80°C bath temperature), cooled to room temperature, poured on to ethyl acetate:water::1:1 (300ml) and suction filtered. The organic phase was separated, dried over sodium sulfate and the solvent was removed. The resultant residue

(1.58g of a dark oil) was chromatographed on silica gel (eluent:hexane:ethyl acetate::4:1) to yield a yellow oil (1.12g) . This compound was used in Step B.

Step B: 2-Amino-(4'-trimethylsilyl)-biphenyl [Compound 12.18]

The compound obtainedfrom step A above (0.955g) and ammonium formiate (1.86g) were dissolved in methanol (30ml) and purged with nitrogen. To this solution, Pd (100mg; 10% on carbon) was added, in 2 portions. After stirring at room temperature for 15 hours, the reaction mixture was filtered and the solvent was evaporated.

FORMULATION EXAMPLES FOR COMPOUNDS OF FORMULA (I)

Working procedures for preparing formulations of the compounds of formula I such as Emulsifiable Concentrates, Solutions, Granules, Dusts and Wettable Powders are described in WO97/33890.

BIOLOGICAL EXAMPLES: FUNGICIDAL ACTIONS

Example B-1: Action against Puccinia recondita / wheat (Brownrust on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($1x10^5$uredospores/ml) on the test plants. After an incubation period of 2 days at 20°C and 95%r.h. the plants are kept in a greenhouse for 8days at 20°C and 60%r.h. The disease incidence is assessed 10days after inoculation.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.15, 1.16, 1.18, 1.19, 1.22, 1.24, 1.33, 1.56, 1.57, 1.60, 1.66, 1.67, 1.69, 1.70, 1.77, 1.78, 1.81, 1.106, 1.107, 1.138, 1.139, 1.151, 1.152, 1.154, 1.155, 1.182, 1.185, 1.251, 1. 252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.18, 3.32, 3.56, 3.66, 3.69, 3.250, 9.01, 9.06,9.15, 9.21, 9.41, 9.50, 9.53, 9.59, 15.25, 15.26 and 15.28.

Example B-2: Action against Podosphaera leucotricha / apple (Powdery mildew on apple)

5 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after, the application apple plants are inoculated by shaking plants infected with apple powdery mildew above the test plants. After

an incubation period of 12 days at 22°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds. 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.15, 1.16, 1.18, 1.19, 1.24, 1.33, 1.35, 1.36, 1.56, 1.57, 1.66, 1.67, 1.70, 1.77, 1.78, 1.81, 1.106, 1.107, 1.139, 1.151, 1.152, 1.154, 1.155, 1.182, 1.185, 1.251, 1. 252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.18, 3.32, 3.35, 3.56, 3.66, 3.69, 3.250, 9.01, 9.06,9.15, 9.21, 9.41, 9.50, 9.53, 9.59, 9.62, 15.25, 15.26 and 15.28.

Example B-3: Action against Venturia inaequalis / apple (Scab on apple)

4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the apple plants are inoculated by spraying a spore suspension ($4x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. the plants are placed for 4 days at 21°C and 60%r.h. in a greenhouse. After another 4 day incubation period at 21°C and 95%r.h. the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds. 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.18, 1.19, 1.24, 1.33, 1.56, 1.57, 1.66, 1.67, 1.69, 1.70, 1.77, 1.78, 1.81, 1.106, 1.107, 1.138, 1.152, 1.154, 1.155, 1.251, 1. 252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.18, 3.32, 3.56, 3.66, 3.69, 9.01, 9.06,9.15, 9.21, 9.50 and 9.59.

Example B-4: Action against Erysiphe graminis / barley (Powdery mildew on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the barley plants are inoculated by shaking powdery mildew infected plants above the test plants. After an incubation period of 6 days at 20°C / 18°C (day/night) and 60%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.15, 1.16, 1.18, 1.19, 1.24, 1.33, 1.35, 1.36, 1.56, 1.57, 1.66, 1.67, 1.70, 1.77, 1.78, 1.106, 1.107, 1.152, 1.155, 1.251, 1. 252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.18, 3.32, 3.35, 3.56, 3.66, 3.69, 3.250, 9.01, 9.06,9.15, 9.21, 9.41, 9.50 and 9.59.

Example B-5: Action against Botrytis cinerea / grape (Botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the grape plants are inoculated by spraying a spore suspension ($1x10^6$ conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08 and 1.10.

Example B-6: Action against Botrytis cinerea / tomato (Botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants are inoculated by spraying a spore suspension ($1x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.15, 1.16, 1.18, 1.19, 1.24, 1.33, 1.36, 1.56, 1.57, 1.66, 1.67, 1.69, 1.70, 1.77, 1.78, 1.106, 1.107, 1.138, 1.139, 1.152, 1.155, 1.251, 1. 252, 2.01, 2.66, 3.01, 3.08, 3.12, 3.66, 3.69, 3.250, 9.06,9.15, 9.21, 9.41, 9.50 and 9.59.

Example B-7: Action against Septoria nodorum / wheat (Septoria leaf spot on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($5x10^5$conidia/ml) on the test plants. After an incubation period of 1 day at 20°C and 95%r.h. the plants are kept for 10 days at 20°C and 60%r.h. in a greenhouse. The disease incidence is assessed 11 days after inoculation.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08 and 1.10.

Example B-8: Action against Helminthosporium teres / barley (Net blotch on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the barley plants are inoculated by spraying a spore suspension ($3x10^4$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.15, 1.16, 1.18, 1.19, 1.22, 1.24, 1.33, 1.36, 1.35, 1.56, 1.57, 1.60, 1.66, 1.67, 1.69, 1.70, 1.77, 1.78, 1.81, 1.106, 1.107, 1.138, 1.139, 1.151, 1.152, 1.154, 1.155, 1.182, 1.185, 1.251, 1. 252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.18, 3.32, 3.35, 3.56, 3.66, 3.69, 9.01, 9.06,9.15, 9.21, 9.41, 9.50, 9.53, 9.59, 9.62, 15.25, 15.26 and 15.28.

Example B-9: Action against Alternaria solani / tomato (Early blight on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants are inoculated by spraying a spore suspension ($2x10^5$conidia/ml) on the test plants. After an incubation period of 3 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.13, 1.15, 1.16, 1.19, 1.22, 1.24, 1.33, 1.35, 1.36, 1.56, 1.57, 1.67, 1.69, 1.70, 1.77, 1.78, 1.81, 1.107, 1.151, 1.152, 1.154, 1.155, 1.182, 1.185, 1.251, 1. 252, 2.01, 3.01, 3.08, 3.12, 3.32, 3.35, 3.56, 3.69, 9.01, 9.06,9.15, 9.41, 9.50, 9.62 and 15.26.

Example B-10: Action against Uncinula necator / grape (Powdery mildew on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the grape plants are inoculated by shaking plants infected with grape powdery mildew above the test plants. After an incubation period of 7 days at 26°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.12, 1.13, 1.18, 1.19, 1.24, 1.33, 1.56, 1.57, 1.60, 1.66, 1.67, 1.70, 1.77, 1.78, 1.81, 1.106, 1.107, 1.138, 1.139, 1.151, 1.152, 1.154, 1.155, 1.182, 1.185, 1.251, 1.

252, 2.01, 2.08, 2.66, 3.01, 3.08, 3.12, 3.32, 3.56, 3.66, 3.69, 3.250, 9.01, 9.06,9.15, 9.41, 9.50, 9.53 and 9.59.

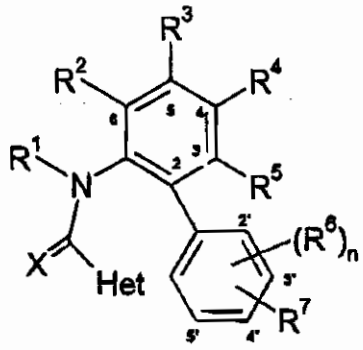
Example B-11: Action against Septoria tritici / wheat (Septoria leaf spot on wheat)

2 week old wheat plants cv. Riband are treated with the formulated test compound (0.2% active ingredient) in a spray chamber. One day after application, wheat plants are inoculated by spraying a spore suspension ($10x10^5$conidia/ml) on the test plants. After an incubation period of 1 day at 23°C and 95% r.h., the plants are kept for 16 days at 23°C and 60% r.h. in a greenhouse. The disease incidence is assessed 18 days after inoculation.

Compounds 1.10, 1.03, 1.09, 1.70, 1.69, 3.01, 1.67, 1.66, 3.66, 9.59, 3.69, 1.33, 2.66, 9.06, 3.08, 1.77, 1.78, 1.56, 1.57, 1.138, 1.139, 1.12, 1.18, 1.106, 1.107, 9.53, 3.32, 1.151, 1.152, 1.252, 1.155, 9.41, 3.56, 1.13, 3.12, 9.21, 1.250, 1.19 and 3.18. each show good activity in this test (<20% disease incidence).

CLAIMS

1. A compound of formula (I):



(I)

where Het is a pyrazole, pyrrole or thiazole ring, the ring being substituted by one, two or three groups R^y;

R^1 is hydrogen;

R^2, R^3 and R^4 are each, independently, hydrogen, halogen, methyl or CF_3;

R^5 is hydrogen or fluorine;

each R^6 is, independently, halogen, methyl or CF_3;

R^7 is $(Z)_mC{\equiv}C(Y^1)$;

each R^y is, independently, halogen, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy(C_{1-3})alkylene or cyano;

X is O;

Y^1 is hydrogen, halogen, C_{1-4} alkyl [optionally substituted by one or more substituents each independently selected from halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} haloalkylthio, C_{1-4} alkylamino, di(C_{1-4})alkylamino, C_{1-4} alkoxycarbonyl and tri(C_{1-4})alkylsilyl], C_{2-4} alkenyl [optionally substituted by one or more substituents each independently selected from halogen], C_{2-4} alkynyl [optionally substituted by one or more substituents each independently selected from halogen], C_{3-7} cycloalkyl [optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or tri(C_{1-4})alkylsilyl;

Z is C_{1-4} alkylene [optionally substituted by one or more substituents each independently selected from hydroxy, cyano, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, COOH and COO-C_{1-4} alkyl];

m is 0 or 1; and

n is 0, 1 or 2.

2. A compound of formula (I) as claimed in claim 1 where m = 0.

3. A compound of formula (I) as claimed in claim 1 where R^7 is in the 4' position.

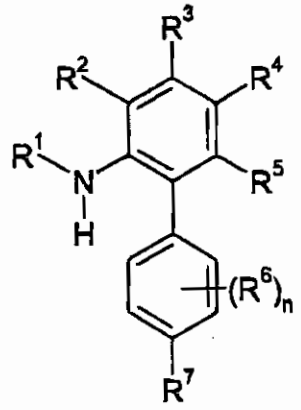
4. A compound of formula (I) as claimed in claim 1 where R^5 is hydrogen.

5. A compound of formula (I) as claimed in claim 4 where R^2, R^3 and R^4 are hydrogen.

6. A compound of formula (I) as claimed in claim 1 where n = 0.

7. 3-Difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid [4'-(3,3-dimethyl-but-1-ynyl)-biphenyl-2-yl]-amide.

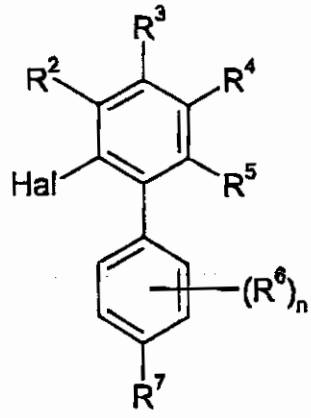
8. A compound of formula (II):



(II)

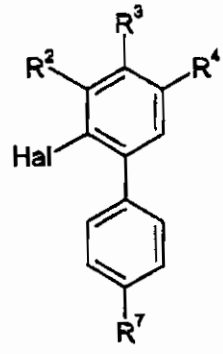
where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined in claim 1.

9. A compound of formula (III):



(III)

where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined in claim 1 and Hal is bromo, chloro or iodo; provided that the compound is not a compound of formula (IIIa)



(IIIa)

wherein Hal is Cl; R^2, R^3 and R^4 are each hydrogen and R^7 is -C≡CH.

10. A composition for controlling microorganisms and preventing attack and infestation of plants therewith, wherein the active ingredient is a compound of formula (I) as claimed in claim 1 together with a suitable carrier.

11. A method of controlling or preventing infestation of cultivated plants by phytopathogenic microorganisms by application of a compound of formula (I) as claimed in claim 1 to plants, to parts thereof or the locus thereof.