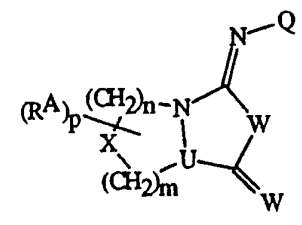


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(54) Title: OXA- AND THIA (DI)AZABICYCLIC COMPOUNDS (57) Abstract Herbicidally active compounds of formula (I), wherein R^A, X, U, W, Q, m, n and p are as defined in the text, compositions containing said compounds and methods for making and using them.  (I)		

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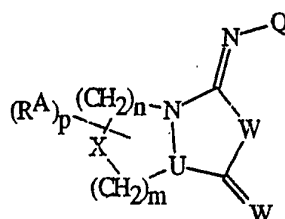
TITLE

OXA- AND THIA (DI)AZABICYCLIC COMPOUNDS

The present invention relates to novel oxa(di)azabicyclic and thia(di)azabicyclic compounds, a method for their preparation and their use as weedkillers.

As has already been reported, certain thiadiazabicyclic compounds (see EP 238 711, EP 304 920, US 4,885,023, US 4,684,397, US 4,801,408, WO 92/21684 and EP 600 833) can be used as weedkillers.

The present invention provides novel compounds of Formula I,



I

10

wherein:

X is selected from the group O, S, S(O), S(O)₂, CH₂, CHF, CF₂, CHCl, CHBr, CHOCH₂F, CHOCHF₂, CHOCHF₃, CHOCH₂CF₃ and NR⁴;

m and n are independently 1 or 2, where m + n = 2 or 3;

15 p is 0 to 9;

U is N or CH;

W is independently O or S;

20 R^A is independently selected from the group halogen, C₁-C₄ alkyl, cyano, C₁-C₄ haloalkyl, C₃-C₄ alkenyl, C₁-C₃ alkylthio, C₁-C₃ haloalkylthio, C₂-C₄ alkylcarbonyl, OR³, C₂-C₆ alkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, and C₃-C₈ alkoxy carbonylalkyl; or, two R^A groups on the same carbon atom, together with this carbon, are C=O;

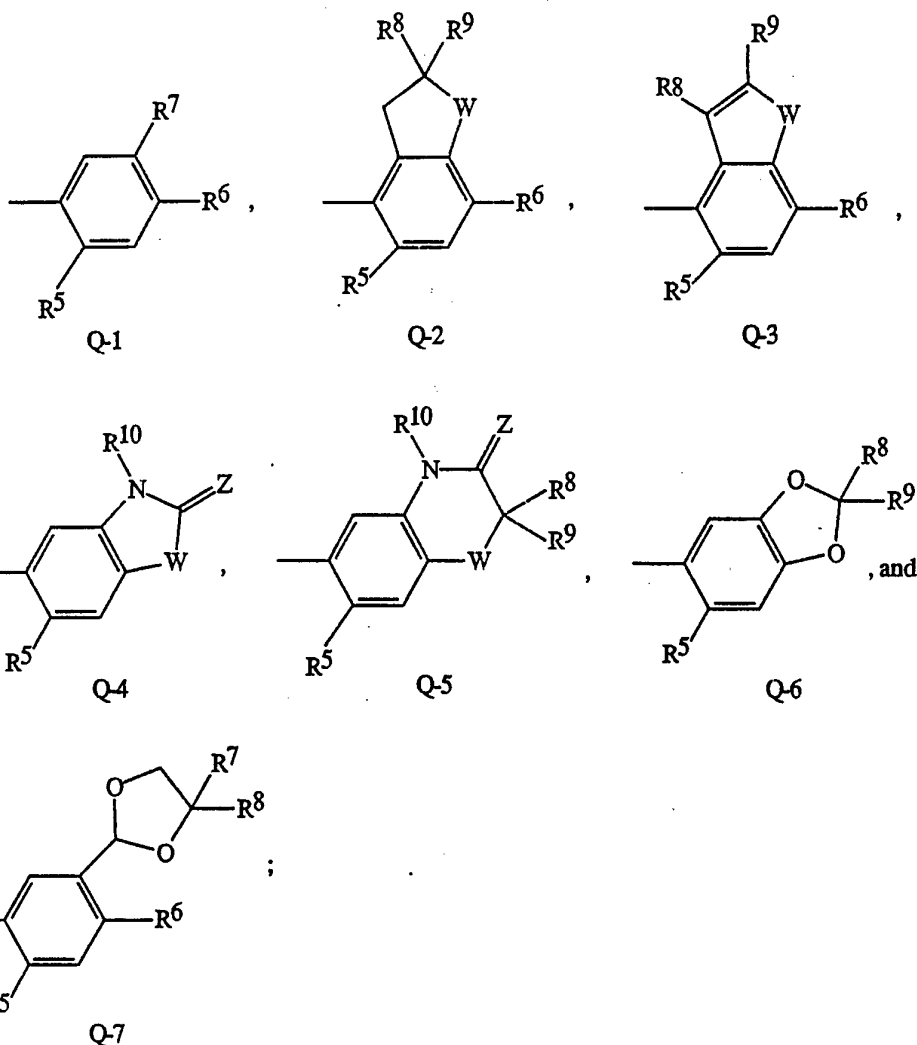
R³ is selected from the group hydrogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkylcarbonyl and C₂-C₄ haloalkylcarbonyl;

25 R⁴ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ haloalkoxy carbonyl and C₂-C₄ alkoxy carbonyl;

provided that:

- i) when X is CH₂ and U is N, then p is 1 to 8 and at least one R^A is halogen; and
- 30 ii) when X is CHOCH₂F, CHOCHF₂, CHOCHF₃, or CHOCH₂CF₃, m and n are 1, and U is N, then p is 1 to 5 and at least one R^A is other than alkyl;

Q is selected from the group



Z is O or S;

5 R^5 is hydrogen or halogen;

R^6 is selected from the group C_1 - C_2 alkyl, C_1 - C_2 haloalkyl, OCH_3 , SCH_3 , $OCHF_2$, halogen, CN and NO_2 ;

10 R^7 is selected from the group hydrogen, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halogen, OR^{11} , SR^{11} , $S(O)_qR^{11}$, COR^{11} , CO_2R^{11} , $C(O)SR^{11}$, $C(O)NR^{12}R^{13}$, CHO and $NHSO_2NHR^{16}$;

R^8 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen;

15 R^9 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen; or, when Q is Q-2 or Q-6, R^8 and R^9 together with the carbon to which they are bonded is additionally selected from $C=O$;

R¹⁰ is selected from the group C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkoxyalkyl, C₃-C₆ alkenyl and C₃-C₆ alkynyl;

R¹¹ is selected from the group C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ alkenyl, C₃-C₈ alkynyl, C₁-C₈ haloalkyl, C₂-C₈ alkoxyalkyl, C₂-C₈ alkylthioalkyl, C₂-C₈ alkylsulphinylalkyl, C₂-C₈ alkylsulphonylalkyl, C₃-C₈ alkoxyalkoxy-alkyl, C₄-C₈ cycloalkylalkyl, C₂-C₄ carboxyalkyl, C₃-C₈ alkoxycarbonyl-alkyl, C₆-C₈ alkenyloxycarbonylalkyl, C₆-C₈ alkynyloxycarbonylalkyl, C₅-C₈ alkoxycarbonylalkenyl, C₄-C₈ alkenyloxyalkyl, C₆-C₈ cycloalkoxyalkyl, C₄-C₈ alkynyloxyalkyl, C₃-C₈ haloalkoxyalkyl, C₄-C₈ haloalkenyloxyalkyl, C₄-C₈ haloalkynyloxyalkyl, C₄-C₈ alkenylthioalkyl, C₄-C₈ alkynylthioalkyl; C₁-C₄ alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally substituted with at least one member independently selected from the group halogen, C₁-C₃ alkyl and C₁-C₃ haloalkyl, C₄-C₈ trialkylsilylalkyl, C₃-C₈ cyanoalkyl, C₃-C₈ halocycloalkyl, C₃-C₈ haloalkenyl, C₅-C₈ alkoxyalkenyl, C₅-C₈ haloalkoxyalkenyl, C₅-C₈ alkylthioalkenyl, C₃-C₈ haloalkynyl, C₅-C₈ alkoxyalkynyl, C₅-C₈ haloalkoxyalkynyl, C₅-C₈ alkylthioalkynyl, C₂-C₈ alkylcarbonyl; benzyl optionally substituted with at least one member independently selected from the group halogen, C₁-C₃ alkyl and C₁-C₃ haloalkyl; CHR¹⁷COR¹⁸, CHR¹⁷P(O)(OR¹⁸)₂, P(O)(OR¹⁸)₂, CHR¹⁷P(S)(OR¹⁸)₂, CHR¹⁷C(O)NR¹²R¹³, CHR¹⁷C(O)NH₂, phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with at least one member independently selected from the group halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₄ alkoxy and CHR¹⁷CH=NOR¹⁸;

R¹² and R¹⁴ are independently hydrogen or C₁-C₄ alkyl;

R¹³ and R¹⁵ are independently selected from the group C₁-C₄ alkyl and phenyl optionally substituted with at least one member independently selected from the group halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and C₁-C₄ alkoxy; or

R¹² and R¹³ are taken together as -(CH₂)₅-, -(CH₂)₄- or -CH₂CH₂OCH₂CH₂-, each independently forming a ring in which one or more H atoms is optionally replaced by at least one member independently selected from the group C₁-C₃ alkyl, optionally substituted phenyl and optionally substituted benzyl; or

R¹⁴ and R¹⁵ together with the carbon atom to which they are attached is C₃-C₈ cycloalkyl;

R¹⁶ is C₁-C₄ alkyl or C₁-C₄ haloalkyl;

R¹⁷ is hydrogen or C₁-C₃ alkyl;

R¹⁸ is selected from the group C₁-C₆ alkyl, C₃-C₆ alkenyl and C₃-C₆ alkynyl; and

q is 1 or 2.

In the definitions given above the term 'alkyl', alone or in combined names such as 'alkylthio' or 'haloalkyl', includes a straight or branched chain isomers; for example, methyl, ethyl, n-propyl, isopropyl or the various butyl isomers. Alkoxy includes methoxy, ethoxy, n-propyloxy, isopropyloxy and the various butyloxy isomers. Alkenyl covers straight- or branch-chain alkenes; for example, 1-propenyl, 2-propenyl, 3-propenyl and the various butenyl isomers. Cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term 'halogen', alone or in combined names such as 'haloalkyl', signifies fluorine, chlorine, bromine or iodine. Furthermore, if 'haloalkyl' is used in the combined name, alkyl can be partly or totally substituted with halogen atoms, which in turn can be identical or different. Examples of haloalkyl are $\text{CH}_2\text{CH}_2\text{F}$, CF_2CF_3 and CH_2CHFCl . Brackets in the nomenclature signify an optional component; for example, thia(di)azabicyclic compounds represent the aza- or the diaza-compound.

Preference is given to the following radicals,

wherein:

X is selected from the group O, CHF, CF_2 and CHCl ;

R^A is independently selected from the group fluorine, chlorine and bromine;

R^5 is selected from the group hydrogen, fluorine and chlorine;

R^6 is selected from the group chlorine, bromine and cyano;

R^7 is selected from the group hydrogen, OR^{11} , CO_2R^{11} , $\text{C}_1\text{-C}_2$ alkyl and $\text{C}_1\text{-C}_2$ haloalkyl;

R^{10} is selected from the group $\text{C}_1\text{-C}_2$ alkyl, $\text{C}_1\text{-C}_2$ haloalkyl, $\text{C}_3\text{-C}_4$ alkenyl and $\text{C}_3\text{-C}_4$ alkynyl;

R^{11} is selected from the group $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_3\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_6$ alkynyl, $\text{C}_1\text{-C}_4$ haloalkyl, $\text{C}_2\text{-C}_4$ alkoxyalkyl, $\text{C}_2\text{-C}_4$ alkylthioalkyl, $\text{C}_2\text{-C}_4$ alkylsulphinylalkyl, $\text{C}_2\text{-C}_4$ alkylsulphonylalkyl, $\text{C}_3\text{-C}_6$ alkoxyalkoxyalkyl, $\text{C}_4\text{-C}_8$ cycloalkylalkyl, $\text{C}_2\text{-C}_4$ carboxyalkyl, $\text{C}_3\text{-C}_6$ alkoxycarbonylalkyl, $\text{C}_6\text{-C}_8$ alkenyloxycarbonylalkyl, $\text{C}_6\text{-C}_8$ alkynyloxycarbonylalkyl, $\text{C}_5\text{-C}_6$ alkoxycarbonylalkenyl, $\text{C}_6\text{-C}_8$ cycloalkoxyalkyl, $\text{C}_4\text{-C}_6$ alkenyloxyalkyl, $\text{C}_4\text{-C}_6$ alkynyloxyalkyl, $\text{C}_3\text{-C}_6$ haloalkoxyalkyl, $\text{C}_4\text{-C}_8$ haloalkenoxyalkyl, $\text{C}_4\text{-C}_6$ haloalkynyloxyalkyl, $\text{C}_6\text{-C}_8$ cycloalkylthioalkyl, $\text{C}_4\text{-C}_6$ alkenylthioalkyl, $\text{C}_4\text{-C}_6$ alkynylthioalkyl; $\text{C}_1\text{-C}_2$ alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally substituted with at least one member independently selected from the group halogen, $\text{C}_1\text{-C}_3$ alkyl and $\text{C}_1\text{-C}_3$ haloalkyl; $\text{C}_4\text{-C}_8$ trialkylsilylalkyl, $\text{C}_3\text{-C}_4$ cyanoalkyl, $\text{C}_3\text{-C}_6$ halocycloalkyl, $\text{C}_3\text{-C}_6$ haloalkenyl, $\text{C}_5\text{-C}_6$ alkoxyalkenyl, $\text{C}_5\text{-C}_6$ haloalkoxyalkenyl, $\text{C}_5\text{-C}_6$ alkylthioalkenyl, $\text{C}_3\text{-C}_6$ haloalkynyl, $\text{C}_5\text{-C}_6$

alkoxyalkynyl, C₅-C₆ haloalkoxyalkynyl, C₅-C₆ alkylthioalkynyl, C₂-C₄ alkylcarbonyl, benzyl optionally substituted with at least one member independently selected from the group halogen, C₁-C₂ alkyl and C₁-C₂ haloalkyl; CHR¹⁷COR¹⁸, CHR¹⁷P(O)(OR¹⁸)₂, P(O)(OR¹⁸)₂,
 5 CHR¹⁷P(S)(OR¹⁸)₂, CHR¹⁷C(O)NR¹²R¹³, CHR¹⁷C(O)NH₂, phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with at least one member independently selected from the group fluorine, chlorine, bromine, C₁-C₂ alkylhaloalkyl and C₁-C₂ alkoxy;

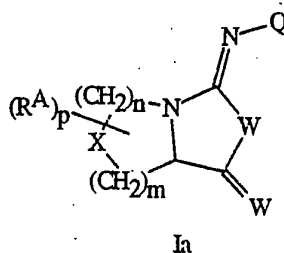
R¹² is hydrogen or C₁-C₂ alkyl;
 10 R¹³ is selected from the group C₁-C₂ alkyl and phenyl optionally substituted with at least one member selected from the group fluorine, chlorine, bromine, C₁-C₂ alkyl, C₁-C₂ haloalkyl and C₁-C₂ alkoxy; or
 R¹² and R¹³ are taken together as -(CH₂)₅-, -(CH₂)₄- or -CH₂CH₂OCH₂CH₂-, each independently forming a ring in which one or more H atoms is
 15 optionally and independently replaced by C₁-C₂ alkyl;

R¹⁷ is hydrogen or C₁-C₂ alkyl; and

R¹⁸ is selected from the group C₁-C₂ alkyl, C₃-C₄ alkenyl and C₃-C₄ alkynyl.

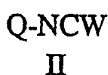
Compounds of Formula I can exist as one or more stereoisomers. The various stereoisomers comprise enantiomers, diastereomers and geometric isomers. The skilled
 20 person knows that a stereoisomer may be more active and how the cited enantiomers, diastereomers and geometric isomers can be separated. Accordingly, the present invention covers racemic mixtures, individual stereoisomers and optically active mixtures of compounds of Formula I.

25 The novel oxa- or thiaazabicyclic compounds of general Formula Ia,

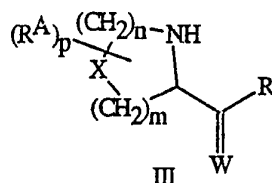


wherein n, m, p, X, W, R^A and Q have the meanings given above, are obtained according to the present invention by reacting an aryliso(thio)cyanate of Formula II,

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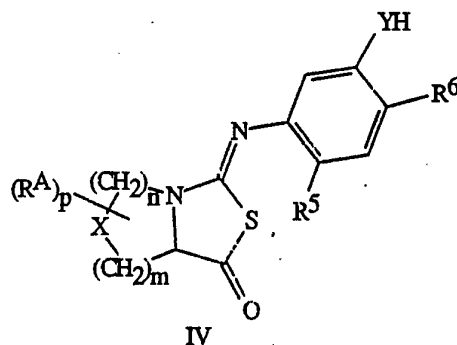
wherein W and Q have the meanings given above, with a (thio)carboxylic acid or ester of Formula III,



wherein n, m, p, X, W, and R^A have the meanings given above and R represents
 5 hydroxy, C₁-C₄ alkoxy, halogen or O-active esters, for example O-succinimide esters or
 anhydrides (see Houben-Weyl, Vol. 25/1 and 25/2 (1974)) optionally in the presence of
 an acid acceptor and a diluent.

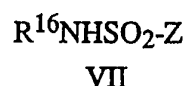
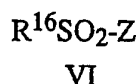
The invention also provides a method for preparation of compounds of Formula I
 by reacting a compound of Formula IV,

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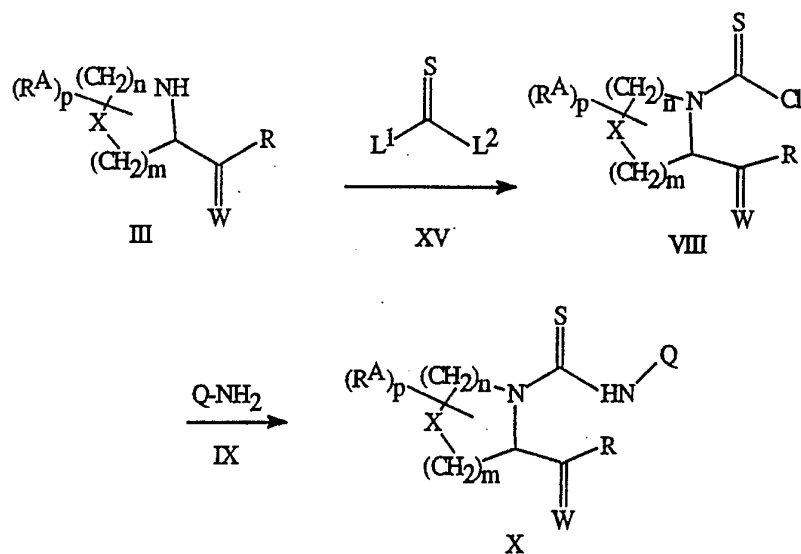
wherein n, m, p, X, R^A, R⁵ and R⁶ have the meanings given above and Y = O, S, or NH,
 with an electrophile of Formula V, VI or VII,

15



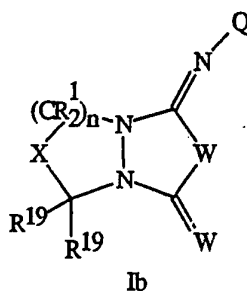
wherein Z is a chlorine, bromine or iodine atom or O-SO₂CH₃, O-SO₂CF₃, O-SO₂-Ph,
 20 O-SO₂-(4-methylphenyl) and R¹¹ and R¹⁶ have the meanings given above.

The invention also provides a method for preparation of compounds of Formula I,
 wherein m, n, p, X, R^A, W and Q have the meanings given above, comprising reacting a
 compound of Formula III, wherein R is hydroxy, (C₁-C₄) alkoxy, halogen or O-active
 ester, with thiophosgene or with a thiophosgene-substitute XV, wherein L₁ and L₂ are
 25 independently appropriate leaving groups, to produce compounds of Formula VIII,
 which are then reacted with compounds of Formula IX to form compounds of
 Formula X,

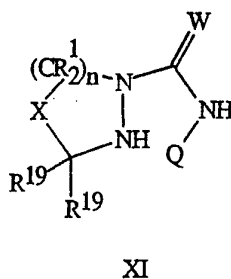


and, finally, converting the compounds of Formula X to compounds of Formula I by cyclization.

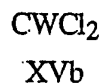
5 The invention also provides a method for preparation of compounds of Formula Ib



10 wherein n, W and Q have the meanings given above and R¹, R¹⁹ and X have the meanings given below, by reacting a compound of Formula XI,

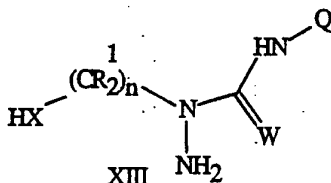


15 wherein X is O, S, or NR⁴, R¹ is independently R^A or hydrogen, and R¹⁹ is independently selected from the group hydrogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl or C₃-C₄ alkenyl, with a compound of Formula XVb,



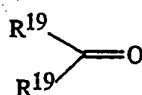
- 5 wherein W has the meaning given above, preferably in an inert organic solvent, for example in an aromatic solvent such as toluene or chlorobenzene, in a halogenated hydrocarbon such as chloroform or methylene chloride, in an ether such as diisopropylether or in acetonitrile or dimethylformamide, preferably by means of base catalysis and preferably at temperatures of 0 - 120°C. Bases or organic bases, for
 10 example organic amines such as triethylamine, are preferably used, but pyridine may also be used, preferably in the presence of activated charcoal.

Compounds of Formula XI can be obtained by reacting compounds of Formula XIII,



15

wherein X is O, S, or NR⁴, and n, R¹, R⁴, W and Q have the meanings given above, with ketones or aldehydes of Formula XII

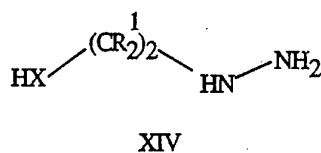


XII

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- or with phosgene or a phosgene substitute. In Formula XII, R¹⁹ has the meaning given above. C=O is obtained in the ring upon reaction with phosgene or phosgene substitute. The reaction is preferably carried out in an inert organic solvent, for example in an
 25 aromatic solvent such as toluene or chlorobenzene, a halogenated hydrocarbon such as chloroform or methylene chloride, an ether such as diisopropylether or in acetonitrile or dimethylformamide, preferably by means of acid catalysis and preferably at temperatures of 20-120°C. Preferred acids are organic acids, for example organic sulfonic acids such as p-toluenesulfonic acid.

- 30 Compounds of Formula XIII are obtained by reacting 2-mercapto-, 2-hydroxyalkylhydrazines or 2-aminoalkylhydrazines of Formula XIV,



wherein X is O, S, or NR⁴ and R¹ and R⁴ have the meanings given above, with
 5 aryliso(thio)cyanates of Formula II, wherein Q has the meaning given above, preferably
 in an inert organic solvent, for example in an aromatic solvent such as toluene or
 chlorobenzene, in a halogenated hydrocarbon such as chloroform or methylene chloride,
 in an ether such as diisopropylether or in acetonitrile or dimethylformamide or alcohols,
 such as methanol or ethanol, preferably by means of base catalysis and preferably at
 10 temperatures of 20-120°C. Bases or organic bases, for example organic amines such as
 triethylamine, but also pyridine, are preferably used.

In the reaction of a compound of Formula II with a compound of Formula III when
 R = alkyl, the reaction is carried out in an inert organic solvent, for example in an
 aromatic solvent such as toluene or chlorobenzene, in a halogenated hydrocarbon such as
 15 chloroform or methylene chloride, in an ether such as diisopropylether or tetrahydrofuran
 or in acetonitrile or dimethylformamide, optionally with base catalysis at temperatures
 between -20°C and 125°C. Organic bases, for example organic amines such as
 triethylamine or even pyridine or also for example inorganic bases such as potassium
 carbonate (see EP-A1 0 272 594) are preferably used as bases. Variants of the general
 20 method A are described in EP-A2 0 070 389.

In the case where R = hydroxy, the reaction is carried out in water or methanol as
 solvent or, preferably, in a biphasic water/organic solvent system. Particular preference
 is given to the method in which a compound of Formula III, optionally salts of III, is
 added in water together with an inorganic base, for example an alkali- or alkaline earth
 25 metal hydroxide, carbonate or bicarbonate such as sodium hydroxide or potassium
 carbonate, or together with an organic base, for example, an organic amine such as
 triethylamine, followed by addition of compounds of Formula II dissolved in an inert
 solvent, for example, toluene, chlorobenzene or chloroform. Compounds II can, if
 necessary, also be added directly to the aqueous or alcoholic solution. The reaction
 30 mixture is then kept for several days, preferably for between 3 and 50 hours, at
 temperatures of between -40°C and +50°C, preferably between -10°C and +30°C.

The aqueous phase is then adjusted to a pH between 1 and 3 with acid, preferably
 an inorganic acid such as aqueous hydrochloric or sulphuric acid. The thiourea
 derivatives (see compounds X) thus produced are then cyclized at temperatures of
 35 between 0°C and 100°C, optionally in the presence of an acid such as hydrochloric acid

and/or formic acid, or with the aid of a dehydrating substance, for example, dicyclohexylcarbodiimide (DCC), in a solvent known to the skilled person (for example, acetonitrile), or optionally by conversion into an ester (R = alkyl or active ester) by known methods (see Houben-Weyl, *Methoden der organischen Chemie*, Vol. XV (1974)).

The compounds of Formula II are known or can be prepared by known methods; for these see EP 304 920, EP 238 711, EP 409 025, EP 373 461, EP 311 135 and DE 37 24 096 .

Amines of Formula III are known and can be prepared by the known methods; for these see for example M. Sekiya et al., *Chem. Pharm. Bull.* (1983), 31(1), p 94; J.M. Cassal, A. Furst, W. Meier, *Helv. Chim. Acta* (1976), 59(6), p 1917; S.-K. Tsui, J.D.Wood, *Can. J. Chem.* (1979), 57(15), p 1977; M. Sekiya et al., *Chem. Lett.* (1982), (2), p 231.

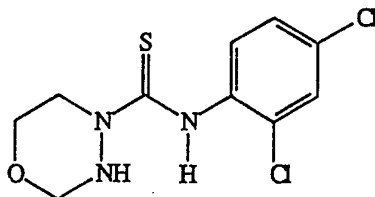
EXAMPLE 1

N-Amino-N-(2-hydroxyethyl)-N'-(2,4-dichlorophenyl)thiourea

7.61 g (0.10 mol) 2-hydroxyethylhydrazine was dissolved in 100 mL methylene chloride at 0-5°C. After dropwise addition of 10.2 g (0.10 mol) triethylamine, 20.4 g (0.10 mol) 2,4-dichlorophenylisothiocyanate dissolved in 70 mL methylene chloride was added over a period of 4 h. The reaction mixture was left to warm up to room temperature, stirred for a further 2 h, the precipitate filtered off, washed with methylene chloride and the desired compound isolated.

EXAMPLE 2

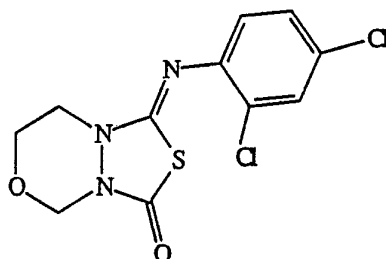
N-(2,4-Dichlorophenyl)tetrahydro-4H-1,3,4-oxadiazine-4-carbothioamide



1.72 g (6.20 mmol) N-amino-N-(2-hydroxyethyl)-N'-(2,4-dichlorophenyl)thiourea was suspended in 40 mL methylene chloride. 0.52 g (37% aqueous, 6.4 mmol) formaldehyde and a small quantity of p-toluenesulfonic acid were added before the reaction mixture was heated for 17 h in a Dean-Stark apparatus. The solvent was then removed and the desired product isolated.

EXAMPLE 3

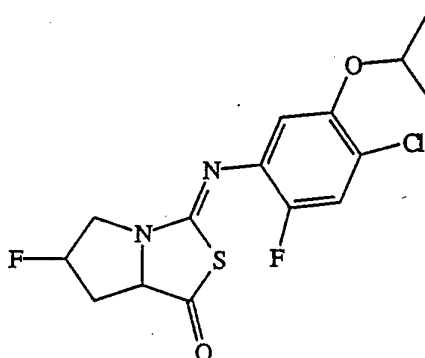
1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-
[1,3,4]oxadiazin-3-one



5

1.8 g (6.2 mmol) N-(2,4-dichlorophenyl)tetrahydro-4H-1,3,4-oxadiazine-4-carbothioamide was dissolved in 30 mL toluene and 1 mL triethylamine in the presence of a small quantity of activated charcoal at 0-5°C. 3.49 g (6.81 mmol) phosgene as 20% solution in 30 mL toluene was added dropwise over 1.5 h. The reaction mixture was then left to warm up to room temperature and stirred overnight. The activated charcoal was removed by filtration and the solvent evaporated *in vacuo*. The desired product was isolated after chromatography on silica gel.

EXAMPLE 4
3-[[4-Chloro-2-fluoro-5-(1-methylethoxy)phenyl]imino]-6-fluorotetrahydro-1H,3H-
pyrrolo[1,2-c]thiazol-1-one



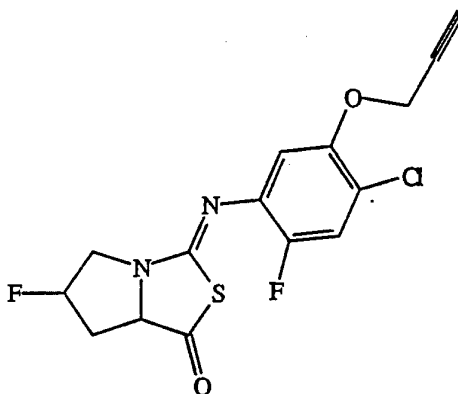
A mixture of 1.31 g (0.01 mol) 4-fluoroproline, 30 mL 2N KOH in methanol and 10 mL water is prepared before 2.46 g (0.01 mol) 4-chloro-2-fluoro-5-isopropoxy-phenylisothiocyanate dissolved in 250 mL methanol is added dropwise. The reaction mixture is stirred overnight at room temperature, the aqueous phase adjusted to pH 2 and the resultant product (3.77 g, See Formula X) is filtered. The compound thus obtained is dissolved in 50 mL acetonitrile before 2.59 g (0.01 mol)

25

dicyclohexylcarbodiimide is added at room temperature. The reaction mixture is stirred for 3 h and the crude product is purified by silica gel chromatography to give 3.24 g (85% yield) of the desired product.

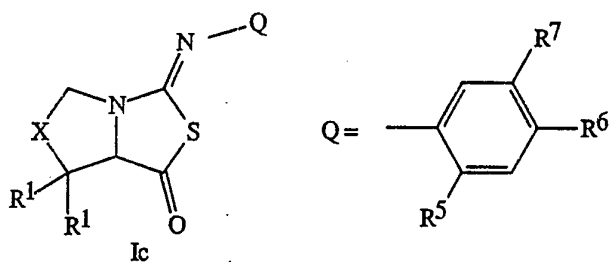
EXAMPLE 5

5 3-[[4-Chloro-2-fluoro-5-(propynyloxy)phenyl]imino]-6-fluorotetrahydro-1H,3H-pyrrolo[1,2-c]thiazol-1-one



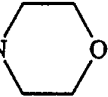
10 A mixture of 3.18 g (0.01 mol) 3-[[4-chloro-2-fluoro-5-(1-methylethoxy)phenyl]-imino]-6-fluorotetrahydro-1H,3H-pyrrolo[1,2-c]thiazol-1-one, 6.95 g (0.05 mol) potassium carbonate, 1.78 g (12.0 mmol) propargyl bromide and 60 mL acetonitrile is stirred for 20 h at room temperature. The reaction mixture is adjusted to pH 2 with 5% aqueous hydrochloric acid and extracted with diethylether (3 x 15 mL). The organic
15 phase is then dried, the solvent distilled off *in vacuo* and the crude product purified on a silica gel column.

Table 1



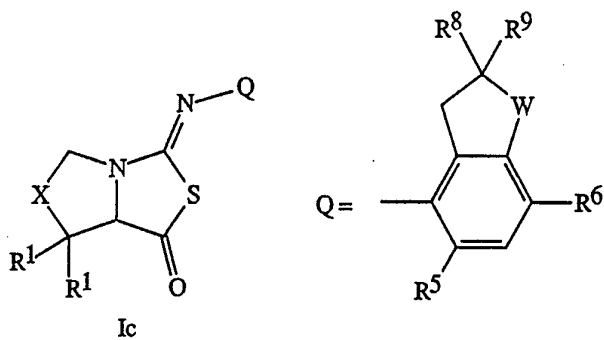
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H	H	F	Cl	CO ₂ CH(CH ₃) ₂	O
H	H	F	Cl	CO ₂ CH ₃	O
H	H	F	Cl	CO ₂ C ₂ H ₅	O
H	H	F	Cl	CO ₂ CH(CH ₃)CF ₃	O
H	H	F	Cl	CO ₂ CH ₂ CH ₂ CH ₃	O
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	O
H	H	F	Cl	CO ₂ CH ₂ CH(CH ₃) ₂	O
H	H	F	Cl	CO ₂ CH ₂ C≡CH	O
H	H	F	Cl	CO ₂ CH(CH ₃)C≡CH	O
H	H	F	Cl	CO ₂ CH ₂ CF ₃	O
H	H	F	Cl	CON(CH ₃) ₂	O
H	H	F	Cl	CO ₂ CH(CH ₃)CO ₂ C ₂ H ₅	O
H	H	F	Cl	OCH ₃	O
H	H	F	Cl	OCH(CH ₃) ₂	O
H	H	F	Cl	OCH ₂ C≡CH	O
H	H	F	Cl	OCH(CH ₃)C≡CH	O
H	H	F	Cl	OCH ₂ C(O)N(CH ₃) ₂	O
H	H	F	Cl	OCH ₂ P(O)(OC ₂ H ₅) ₂	O
H	H	F	Cl	OCH ₂ P(S)(OC ₂ H ₅) ₂	O
H	H	F	Cl	OCF ₂ CHFCI	O
H	H	F	Cl	OCHF ₂	O
H	H	F	Cl	OCH ₂ CH=CH ₂	O
H	H	F	Cl	OCH ₂ CH=CHCI	O
H	H	F	Cl	OCH ₂ C(Cl)=CH ₂	O
H	H	F	Cl	SCH ₂ CO ₂ H	O
H	H	F	Cl	SCH ₂ CO ₂ CH ₃	O
H	H	F	Cl	NHSO ₂ CH ₃	O

H	H	F	Cl	NHSO ₂ CF ₃	O
H	H	F	Cl	NHSO ₂ CH(CH ₃) ₂	O
H	H	F	Cl	NHSO ₂ NHCH ₃	O
H	H	H	Cl	H	CHF
H	H	F	Cl	H	CHF
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CHF
H	H	F	Cl	CO ₂ CH ₃	CHF
H	H	F	Cl	CO ₂ C ₂ H ₅	CHF
H	H	F	Cl	CO ₂ CH(CH ₃)CF ₃	CHF
H	H	F	Cl	CO ₂ CH ₂ CH ₂ CH ₃	CHF
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CHF
H	H	F	Cl	CO ₂ CH ₂ CH(CH ₃) ₂	CHF
H	H	F	Cl	CO ₂ CH ₂ C≡CH	CHF
H	H	F	Cl	CO ₂ CH(CH ₃)C≡CH	CHF
H	H	F	Cl	CO ₂ CH ₂ CF ₃	CHF
H	H	F	Cl	CON(CH ₃) ₂	CHF
H	H	F	Cl	CO ₂ CH(CH ₃)CO ₂ C ₃ H ₅	CHF
H	H	F	Cl	OCH ₃	CHF
H	H	F	Cl	OCH(CH ₃) ₂	CHF
H	H	F	Cl	OCH ₂ C≡CH	CHF
H	H	F	Cl	OCH(CH ₃)C≡CH	CHF
H	H	F	Cl	OCH ₂ C(O)N(CH ₃) ₂	CHF
H	H	F	Cl	OCH ₂ P(O)(OC ₂ H ₅) ₂	CHF
H	H	F	Cl	OCH ₂ P(S)(OC ₂ H ₅) ₂	CHF
H	H	F	Cl	OCF ₂ CHFCI	CHF
H	H	F	Cl	OCHF ₂	CHF
H	H	F	Cl	OCH ₂ CH=CH ₂	CHF
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H	H	F	Cl	SCH ₂ CO ₂ H	CHF
H	H	F	Cl	SCH(CH ₃) ₂	CHF
H	H	F	Cl	SCH ₂ CO ₂ CH ₃	CHF
H	H	F	Cl	SCH ₂ C≡CH	CHF
H	H	F	Cl	NHSO ₂ CH ₃	CHF
H	H	F	Cl	NHSO ₂ CF ₃	CHF
H	H	F	Cl	NHSO ₂ CH(CH ₃) ₂	CHF

H	H	F	Cl	NHSO ₂ NHCH ₃	CHF
H	H	F	Cl	OCH ₂ CO ₂ C ₅ H ₁₁	CHF
H	H	F	Cl	OCH ₂ CH=N-OCH ₃	CHF
H	H	F	Cl	OCH ₂ CH=N-OCH ₂ CH=CH ₂	CHF
H	H	F	Cl	OCH ₂ Si(CH ₃) ₃	CHF
H	H	F	Cl	OCH ₂ C(O)N 	CHF
H	H	Cl	Cl	CO ₂ CH(CH ₃) ₂	CHF
H	H	Cl	Cl	OCH ₂ C≡CH	CHF
H	H	Cl	Cl	CO ₂ CH(CH ₃)C ₂ H ₅	CHF
H	H	Cl	CN	CO ₂ CH(CH ₃) ₂	CHF
H	H	Cl	CN	CO ₂ CH(CH ₃)C ₂ H ₅	CHF
F	H	F	Cl	CO ₂ CH(CH ₃) ₂	CH ₂
F	H	F	Cl	CO ₂ CH(CH ₃)C ₂ H ₅	CH ₂
F	H	F	Cl	CO ₂ CH ₂ CH ₂ CCl ₃	CH ₂
F	H	F	Cl	OCH ₂ C≡CH	CH ₂
F	H	F	Cl	OCH(CH ₃)C≡CH	CH ₂
F	H	F	Cl	OCH(CH ₃) ₂	CH ₂
F	H	F	Cl	CO ₂ CH ₃	CH ₂
F	H	F	Cl	SCH ₂ CO ₂ H	CH ₂
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F	H	F	Cl	NHSO ₂ C ₂ H ₅	CH ₂
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H	H	F	Cl	CO ₂ CH(CH ₃)C ₂ H ₅	CHCl
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H	H	F	Cl	CO ₂ CH ₂ CH(CH ₃) ₂	CHCl
H	H	F	Cl	SCH ₂ CO ₂ Me	CHCl
H	H	F	Cl	OCH(CH ₃) ₂	CHCl
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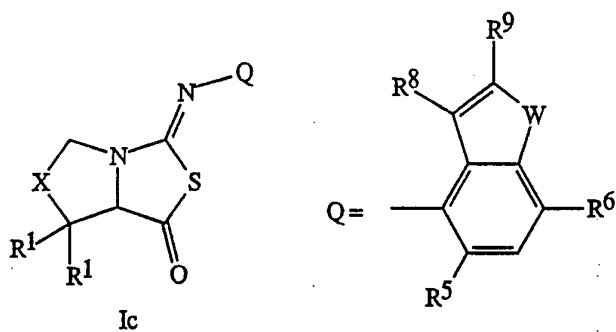
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H	H	F	Cl	NHSO ₂ C ₂ H ₅	CHCl
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CHBr
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CHBr
H	H	F	Cl	OCH ₃	CHBr
H	H	F	Cl	OCH(CH ₃) ₂	CHBr
H	H	F	Cl	OCH ₂ C≡CH	CHBr
H	H	F	Cl	OCH(CH ₃)C≡CH	CHBr
H	H	F	Cl	OCH ₂ CH=CH ₂	CHBr
H	H	F	Cl	SCH ₂ CO ₂ Me	CHBr
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CHOCHF ₂
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CHOCHF ₂
H	H	F	Cl	OCH ₃	CHOCHF ₂
H	H	F	Cl	OCH(CH ₃) ₂	CHOCHF ₂
H	H	F	Cl	OCH ₂ C≡CH	CHOCHF ₂
H	H	F	Cl	OCH(CH ₃)C≡CH	CHOCHF ₂
H	H	F	Cl	OCH ₂ CH=CH ₂	CHOCHF ₂
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CHOCHF ₃
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CHOCHF ₃
H	H	F	Cl	OCH ₃	CHOCHF ₃
H	H	F	Cl	OCH(CH ₃) ₂	CHOCHF ₃
H	H	F	Cl	OCH ₂ C≡CH	CHOCHF ₃
H	H	F	Cl	OCH(CH ₃)C≡CH	CHOCHF ₃
H	H	F	Cl	OCH ₂ CH=CH ₂	CHOCHF ₃
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CHOCH ₂ CF ₃
H	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CHOCH ₂ CF ₃
H	H	F	Cl	OCH ₃	CHOCH ₂ CF ₃
H	H	F	Cl	OCH(CH ₃) ₂	CHOCH ₂ CF ₃
H	H	F	Cl	OCH ₂ C≡CH	CHOCH ₂ CF ₃
H	H	F	Cl	OCH(CH ₃)C≡CH	CHOCH ₂ CF ₃
H	H	F	Cl	OCH ₂ CH=CH ₂	CHOCH ₂ CF ₃

Table 2



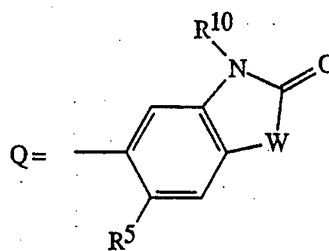
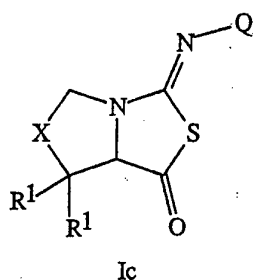
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H	H	F	Cl	CH ₃	CH ₃	CHF	O
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H	H	F	Cl	H	CH ₂ Cl	CHF	O
H	H	F	Cl	H	CH ₂ Br	CHF	O
H	H	F	Cl	H	CH(CH ₃) ₂	CHF	O
H	H	F	Cl	H	CH ₂ CH ₃	CHF	O
H	H	F	Br	H	CH ₃	CHF	O
H	H	F	OCH ₃	H	CH ₃	CHF	O
H	H	F	CH ₃	H	CH ₃	CHF	O
H	H	F	CN	H	CH ₃	CHF	O
H	H	F	CF ₃	H	CH ₃	CHF	O
H	H	F	OCHF ₂	H	CH ₃	CHF	O
H	H	F	Cl	H	CH ₃	CHF	S
H	H	F	Cl	H	CH ₃	O	S
F	H	F	Cl	H	CH ₃	CH ₂	O
H	H	F	Cl	H	CH ₃	CHCl	O
F	H	F	Cl	H	CH ₃	CH ₂	S
H	H	F	Cl	H	CH ₃	CHCl	S
H	H	F	Cl	H	CH ₃	CHBr	O
H	H	F	Cl	H	CH ₃	CHOCHF ₂	O
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H	H	F	Cl	H	CH ₃	CHOCH ₂ CF ₃	O

Table 3



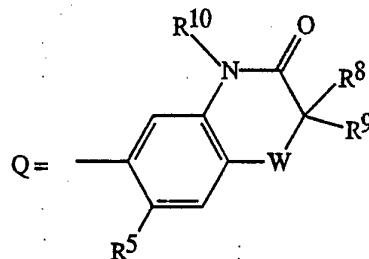
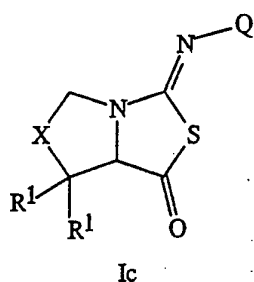
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H	H	F	Cl	H	CH ₃	O	S
H	H	F	Cl	H	H	CHF	S
H	H	F	Cl	H	Cl	CHF	S
H	H	F	Cl	H	CH ₃	CHF	S
H	H	F	Cl	CH ₃	CH ₃	CHF	S
H	H	H	SCH ₃	H	H	CHF	S
H	H	F	Cl	H	Cl	CHCl	S
F	H	F	Cl	H	Cl	CH ₂	S
H	H	F	Cl	H	CH ₃	CHCl	S
F	H	F	Cl	H	CH ₃	CH ₂	S
H	H	F	Cl	H	Cl	CHF	O
H	H	F	Cl	H	H	CHF	O
H	H	F	Cl	H	CH ₃	CHF	O
H	H	F	Cl	H	C ₂ H ₅	CHF	S
H	H	F	Cl	H	Cl	CHBr	S
H	H	F	Cl	H	CH ₃	CHBr	S
H	H	F	Cl	H	Cl	CHOCHF ₂	S
H	H	F	Cl	H	CH ₃	CHOCHF ₂	S
H	H	F	Cl	H	Cl	CHOCF ₃	S
H	H	F	Cl	H	CH ₃	CHOCF ₃	S
H	H	F	Cl	H	Cl	CHOCH ₂ CF ₃	S

Table 4



R ¹	R ¹	R ⁵	R ¹⁰	X	W
H	H	F	CH ₂ C≡CH	O	S
H	H	F	CH ₂ CH=CH ₂	O	S
H	H	F	H	CHF	S
H	H	F	CH ₃	CHF	S
H	H	F	CH ₂ C≡CH	CHF	S
H	H	F	CH(CH ₃)C≡CH	CHF	S
H	H	F	CH ₂ CH=CH ₂	CHF	S
H	H	F	CH ₂ OCH ₃	CHF	S
H	H	F	CH(CH ₃) ₂	CHF	S
H	H	F	CHF ₂	CHF	S
H	H	F	CF ₂ CHF ₂	CHF	S
H	H	F	CH ₂ CH=CHCH ₃	CHF	S
H	H	F	CH ₂ CH ₂ CH ₃	CHF	S
H	H	F	CH ₂ C≡CH	CHF	O
H	H	F	CH ₂ CH=CH ₂	CHF	O
H	H	Cl	CH ₂ C≡CH	CHF	S
F	H	F	CH ₂ C≡CH	CHF	S
F	H	F	CH ₂ CH=CH ₂	CHF	S
H	H	F	CH ₂ C≡CH	CHCl	S
H	H	F	CH ₂ CH=CH ₂	CHCl	S
H	H	F	CH ₃	CHCl	S
H	H	F	CH ₂ C≡CH	CHBr	S
H	H	F	CH ₂ CH=CH ₂	CHBr	S
H	H	F	CH ₂ C≡CH	CHBr	O
H	H	F	CH ₂ C≡CH	CHOCHF ₂	S
H	H	F	CH ₂ C≡CH	CHOCHF ₃	S
H	H	F	CH ₂ C≡CH	CHOCH ₂ CF ₃	S

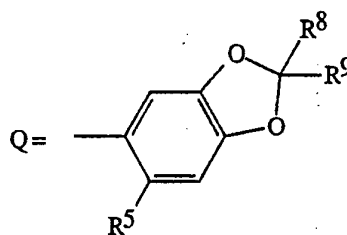
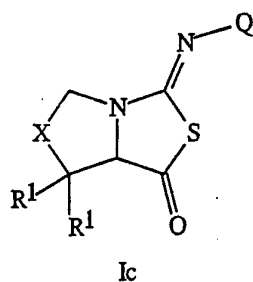
Table 5



R ¹	R ¹	R ⁵	R ⁸	R ⁹	R ¹⁰	X	W
H	H	F	H	H	CH ₂ C≡CH	O	O
H	H	F	H	H	CH ₂ CH=CH ₂	O	O
H	H	F	H	H	CH ₃	O	O
H	H	H	H	H	CH ₃	CHF	O
H	H	H	H	H	CH ₂ C≡CH	CHF	O
H	H	F	H	H	CH ₃	CHF	O
H	H	F	H	H	C ₂ H ₅	CHF	O
H	H	F	H	H	CH(CH ₃) ₂	CHF	O
H	H	F	H	H	CH ₂ CH ₂ CH ₃	CHF	O
H	H	F	H	H	CH ₂ CH=CH ₂	CHF	O
H	H	F	H	H	CH ₂ C≡CH	CHF	O
H	H	F	H	H	CH(CH ₃)C≡CH	CHF	O
H	H	H	H	H	CH ₂ C≡CH	CHF	S
H	H	F	H	H	CH ₂ C≡CH	CHF	S
H	H	Cl	H	H	CH ₂ C≡CH	CHF	O
H	H	F	H	CH ₃	CH ₂ C≡CH	CHF	O
F	H	H	H	H	CH ₂ C≡CH	CH ₂	O
F	H	F	H	H	CH ₃	CH ₂	O
F	H	F	H	H	CH ₂ C≡CH	CH ₂	O
F	H	F	H	H	CH ₂ CH=CH ₂	CH ₂	O
H	H	H	H	H	CH ₂ C≡CH	CHCl	O
H	H	F	H	H	CH ₃	CHCl	O
H	H	F	H	H	CH ₂ C≡CH	CHCl	O
H	H	F	H	H	CH ₂ -CH=CH ₂	CHCl	O
H	H	F	H	H	CH ₂ CH=CH ₂	CHCl	S
H	H	F	H	H	CH ₂ C≡CH	CHBr	O
H	H	F	H	H	CH ₂ C≡CH	CHBr	S
H	H	F	H	H	CH ₂ CH=CH ₂	CHBr	O

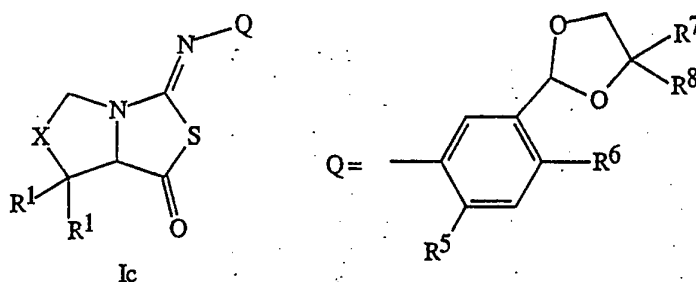
H	H	F	H	H	CH ₂ C≡CH	CHOCHF ₂	O
H	H	F	H	H	CH ₂ C≡CH	CHOCF ₃	O
H	H	F	H	H	CH ₂ C≡CH	CHOCH ₂ CF ₃	O

Table 6



R ¹	R ¹	R ⁵	R ⁸	R ⁹	X
H	H	H	F	F	O
H	H	F	F	F	O
H	H	F	H	H	O
H	H	H	F	F	CHF
H	H	F	F	F	CHF
H	H	F	H	H	CHF
F	H	H	F	F	CH ₂
F	H	F	F	F	CH ₂
F	H	F	H	H	CH ₂
H	H	H	F	F	CHCl
H	H	F	F	F	CHCl
H	H	F	H	H	CHCl
H	H	H	F	F	CHBr
H	H	F	F	F	CHBr
H	H	F	H	H	CHBr
H	H	F	F	F	CHOCHF ₂
H	H	F	F	F	CHOCF ₃
H	H	F	F	F	CHOCH ₂ CF ₃

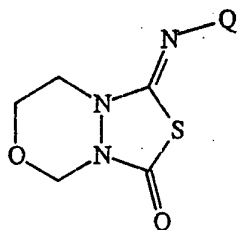
Table 7



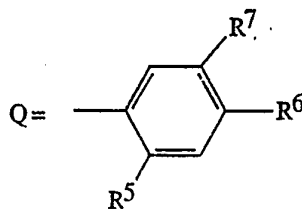
R ¹	R ¹	R ⁵	R ⁶	R ⁷	R ⁸	X
H	H	H	H	CO ₂ CH ₃	H	O
H	H	H	H	CO ₂ CH ₃	CH ₃	O
H	H	H	H	CO ₂ CH ₃	CH ₃	CHF
H	H	H	H	CO ₂ C ₂ H ₅	CH ₃	CHF
H	H	H	H	CO ₂ C ₂ H ₅	H	CHF
H	H	H	H	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CHF
H	H	H	H	CO ₂ (CH ₂) ₂ CH ₃	H	CHF
H	H	H	H	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHF
H	H	H	H	CO ₂ (CH ₂) ₃ CH ₃	H	CHF
H	H	H	H	CO ₂ CH ₂ C≡CH	CH ₃	CHF
H	H	H	Cl	CO ₂ CH ₃	CH ₃	CHF
H	H	H	Cl	CO ₂ C ₂ H ₅	CH ₃	CHF
H	H	H	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CHF
H	H	H	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHF
H	H	H	Cl	CO ₂ CH ₂ C≡CH	CH ₃	CHF
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHF
H	H	F	Cl	CO ₂ C ₂ H ₅	CH ₃	CHF
H	H	F	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CHF
H	H	F	Cl	CO ₂ (CH ₂) ₂ CH ₃	H	CHF
H	H	F	Cl	CO ₂ CH ₂ CH≡CH	CH ₃	CHF
H	H	F	Cl	CO ₂ CH(CH ₃)C≡CH	CH ₃	CHF
H	H	F	Cl	CO ₂ CH ₂ CH=CH ₂	CH ₃	CHF
F	H	F	Cl	CO ₂ CH(CH ₃) ₂	CH ₃	CH ₂
F	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CH ₂
F	H	F	Cl	CO ₂ CH(CH ₃)CH ₂ CH ₃	CH ₃	CH ₂
F	H	F	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CH ₂
F	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CH ₂
H	H	F	Cl	CO ₂ CH(CH ₃) ₂	CH ₃	CHCl

H	H	F	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CHCl
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHCl
H	H	F	Cl	CO ₂ CH ₂ CH ₃	CH ₃	CHCl
H	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHBr
H	H	F	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃	CHBr
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHBr
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHOCHF ₂
H	H	F	Cl	CO ₂ CH ₂ CH ₃	CH ₃	CHOCHF ₂
H	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHOCHF ₂
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHOCF ₃
H	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHOCF ₃
H	H	F	Cl	CO ₂ CH ₃	CH ₃	CHOCH ₂ CF ₃
H	H	F	Cl	CO ₂ (CH ₂) ₃ CH ₃	CH ₃	CHOCH ₂ CF ₃
F	H	H	H	CO ₂ CH ₂ C≡CH	CH ₃	CH ₂
F	H	H	Cl	CO ₂ CH ₂ C≡CH	CH ₃	CH ₂
F	H	F	Cl	CO ₂ CH ₂ C≡CH	CH ₃	CH ₂
F	H	F	Cl	CO ₂ CH(CH ₃)C≡CH	CH ₃	CH ₂
H	H	F	Cl	CO ₂ CH ₂ CH=CH ₂	CH ₃	CHF
H	H	H	H	CO ₂ CH ₂ C≡CH	CH ₃	CHCl
H	H	H	Cl	CO ₂ CH ₂ C≡CH	CH ₃	CHCl
H	H	F	Cl	CO ₂ CH ₂ C≡CH	CH ₃	CHCl
H	H	F	Cl	CO ₂ CH(CH ₃)C≡CH	CH ₃	CHCl
H	H	F	Cl	CO ₂ CH ₂ CH=CH ₂	CH ₃	CHCl

Table 8



Id



R ⁵	R ⁶	R ⁷
H	Cl	H
H	Br	H
H	CH ₃	H
F	Cl	H

Cl	Cl	H
F	Cl	$\text{OCH}(\text{CH}_3)_2$
F	Cl	$\text{OCH}_2\text{C}\equiv\text{CH}$
F	Cl	$\text{OCH}(\text{CH}_3)\text{C}\equiv\text{CH}$
F	Cl	OCH_3
F	Cl	$\text{OCH}_2\text{CH}_2\text{CH}_3$
F	Cl	$\text{OCH}_2\text{CH}=\text{CH}_2$
F	Cl	$\text{OCH}_2\text{CO}_2\text{CH}_3$
F	Cl	$\text{OCH}_2\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$
F	Cl	$\text{OCH}_2\text{CO}_2\text{C}_5\text{H}_{11}$
F	Cl	CN
F	Cl	SCH_3
F	Cl	$-\text{O}-\text{CH}_2\text{CH}=\text{CHCO}_2\text{CH}_3$
F	Cl	$-\text{O}-\text{CH}_2-\text{C}_6\text{H}_5$
F	Cl	$-\text{O}-\text{CH}_2-\triangle$
F	Cl	$\text{SCH}(\text{CH}_3)_2$
F	Cl	$\text{SCH}_2\text{CH}=\text{CH}_2$
F	Cl	$\text{SCH}_2\text{C}\equiv\text{CH}$
F	Cl	$\text{SCH}_2\text{CO}_2\text{H}$
F	Cl	$\text{SCH}_2\text{CO}_2\text{CH}_3$
F	Cl	$\text{OCH}_2\text{CON} \begin{matrix} \text{CH}_3 \\ \text{CH}_2\text{CH}_3 \end{matrix}$
F	Cl	$\text{OCH}(\text{CH}_3)\text{CH}=\text{N}-\text{OCH}_3$
F	Cl	$\text{SCH}_2\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$
F	Cl	OCHF_2
F	Cl	$\text{OCH}_2\text{C}(\text{Cl})=\text{CH}_2$
F	Cl	OCF_2CHFCl
F	Cl	NHSO_2CH_3
F	Cl	$\text{NHSO}_2\text{CH}(\text{CH}_3)_2$
F	Cl	$\text{NHSO}_2\text{NHCH}_3$
F	Cl	$\text{NHSO}_2\text{NHCH}_2\text{CH}_3$
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$
F	Cl	$\text{CO}_2\text{CH}_2\text{CH}_2\text{CH}_3$
F	Cl	$\text{CO}_2\text{CH}_2\text{CF}_3$
F	Cl	$\text{CON}(\text{CH}_3)_2$
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$

F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CF}_3$
F	Cl	$\text{CO}_2\text{N}(\text{C}_6\text{H}_{10}\text{O})$
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{SCH}_3$
Cl	Cl	H
Cl	Cl	OCHF_2
Cl	Cl	$\text{OCH}(\text{CH}_3)_2$
Cl	Cl	OCF_2CHFCI
Cl	Cl	$\text{OCH}_2\text{C}\equiv\text{CH}$
F	Cl	$\text{OCH}_2\text{P}(\text{O})(\text{OC}_2\text{H}_5)_2$
Cl	Cl	$\text{OCH}(\text{CH}_3)\text{C}\equiv\text{CH}$
F	Cl	$\text{OCH}_2\text{C}(\text{O})\text{N}(\text{CH}_3)_2$
F	Cl	$\text{O}(\text{CH}_2)_2\text{OCH}_2\text{CH}_3$
Cl	Cl	$\text{SCH}_2\text{C}\equiv\text{CH}$
Cl	Cl	$\text{SCH}_2\text{CO}_2\text{H}$
Cl	Cl	$\text{SCH}_2\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$
Cl	Cl	NHSO_2CH_3
F	Cl	NHSO_2CF_3
Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$
Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{SCH}_3$
Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CF}_3$
Cl	Cl	$\text{CON}(\text{CH}_3)_2$
F	Cl	$\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{C}\equiv\text{CH}$
Cl	Cl	$\text{CO}_2\text{CH}_2\text{CF}_3$
Cl	Cl	Cl
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$
F	Cl	CO_2CH_3
F	Cl	$\text{CO}_2\text{CH}_2\text{CH}_3$
F	Cl	$\text{CO}_2(\text{CH}_2)_2\text{CH}_3$
F	Cl	OCHF_2
F	Cl	$\text{SCH}_2\text{CO}_2\text{H}$
F	Cl	$\text{SCH}_2\text{CO}_2\text{CH}_3$
F	Cl	$\text{NHSO}_2\text{CH}_2\text{CH}_3$
F	Cl	$\text{NHSO}_2\text{CH}(\text{CH}_3)_2$

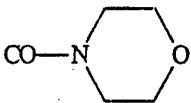
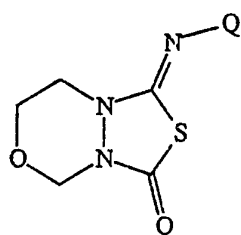
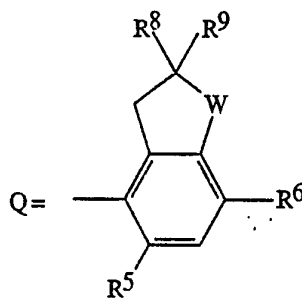
Cl	Cl	
Cl	Cl	$\text{CO}_2(\text{CH}_2)_2\text{CH}_3$
F	Cl	$\text{CH}=\text{CHCO}_2\text{CH}_2\text{CH}_3$
F	CN	$\text{CO}_2\text{CH}(\text{CH}_3)_2$
Cl	CN	$\text{CO}_2\text{CH}(\text{CH}_3)_2$
F	CN	$\text{OCH}_2\text{C}\equiv\text{CH}$
F	CN	$\text{OCH}(\text{CH}_3)_2$
Cl	CN	$\text{OCH}(\text{CH}_3)_2$
F	CN	$\text{CO}_2\text{CH}_2\text{CH}_2\text{CH}_3$
Cl	CN	$\text{OCH}_2\text{C}\equiv\text{CH}$
F	CN	$\text{OCH}(\text{CH}_3)\text{C}\equiv\text{CH}$
F	CN	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$
F	CN	OCHF_2
F	CN	$\text{OCH}(\text{CH}_3)_2$
F	CN	OCF_2CHF_2
F	CN	$\text{SCH}_2\text{CO}_2\text{H}$
F	CN	NHSO_2CH_3
F	CN	NHSO_2CF_3

Table 9



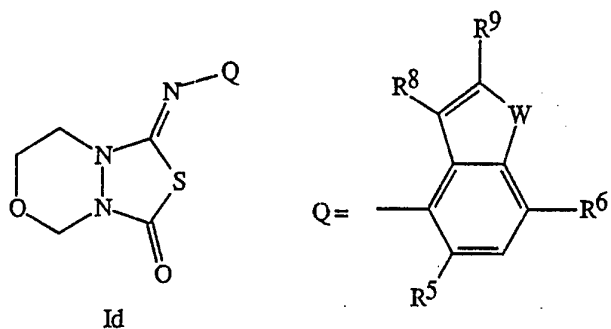
Id



R^5	R^6	R^8	R^9	W
F	Cl	H	CH_3	O
F	Cl	H	H	O
F	Cl	CH_3	CH_3	O
F	Cl	CH_3	CH_2F	O
F	CN	CH_3	CH_2F	O
F	Cl	H	CH_2CH_3	O

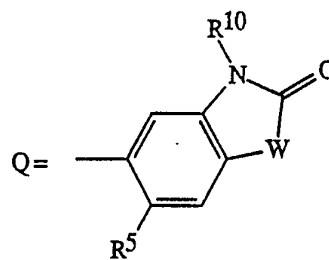
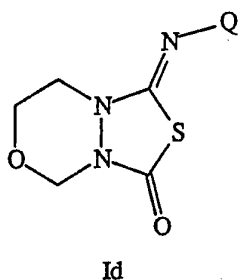
F	Cl	H	CH ₂ F	O
F	Cl	H	CH ₂ Cl	O
F	Cl	H	CH ₂ Br	O
F	Br	H	CH ₃	O
F	CH ₃	H	CH ₃	O
F	OCH ₃	H	CH ₃	O
F	CN	H	CH ₃	O
F	CF ₃	H	CH ₃	O
F	OCF ₂ H	H	CH ₃	O
Cl	Cl	H	CH ₃	O
Cl	CN	H	CH ₃	O
F	CN	CH ₃	CH ₃	O
F	CN	H	CH ₂ F	O
F	CN	H	CH ₂ Cl	O
F	CN	H	CH ₂ Br	O
F	CN	H	CH(CH ₃) ₂	O
F	CN	H	CH ₂ CH ₂ Cl	O
F	CN	H	CH ₂ CH ₃	O
F	CN	H	CH ₂ (CH ₂) ₂ F	O
Cl	Br	H	CH ₃	O
Cl	CH ₃	H	CH ₃	O
Cl	OCH ₃	H	CH ₃	O
Cl	CN	H	CH ₃	O
Cl	CF ₃	H	CH ₃	O
Cl	OCF ₂ H	H	CH ₃	O
Cl	Cl	H	CH ₂ F	O
Cl	Cl	CH ₃	CH ₂ F	O
Cl	Cl	H	CH ₂ Cl	O
Cl	Cl	H	CH ₂ Br	O
Cl	Cl	H	CH ₂ CH ₃	O
Cl	Cl	CH ₃	CH ₃	O

Table 10



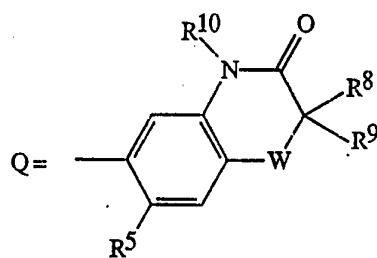
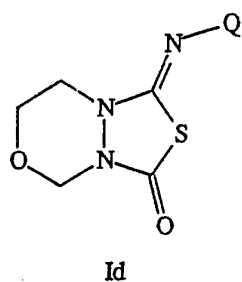
<u>R⁵</u>	<u>R⁶</u>	<u>R⁸</u>	<u>R⁹</u>	<u>W</u>
H	H	H	Cl	S
F	Cl	H	Cl	S
Cl	Cl	H	Cl	S
F	Cl	H	CH ₃	S
F	Cl	H	CH ₂ CH ₃	S
H	SCH ₃	H	H	S
F	Cl	H	Cl	O
F	Cl	H	CH ₃	O
Cl	CN	H	Cl	S
Cl	Cl	H	CH ₃	S
Cl	Cl	H	CH ₂ CH ₃	S
Cl	Cl	H	Cl	O
F	CN	H	CH ₃	O
F	CN	H	CH ₃	S
Cl	Cl	H	CH ₃	O

Table 11



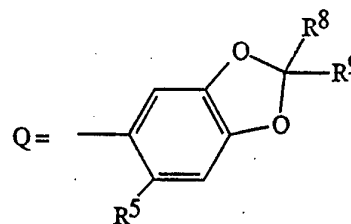
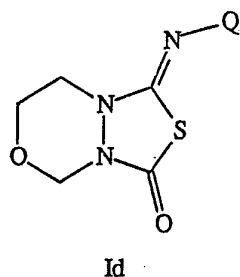
R ⁵	R ⁵	W
F	H	S
F	CH ₃	S
F	CH ₂ CH ₃	S
F	CH ₂ C≡CH	S
Cl	CH ₂ C≡CH	S
F	CH(CH ₃)C≡CH	S
F	CH ₂ C≡CH	O
Cl	H	S
Cl	CH ₃	S
Cl	CH ₂ CH ₃	S
Cl	CH ₂ C≡CH	S
F	CH ₂ OCH ₃	S
F	CH ₂ CH ₂ CH ₃	S
Cl	CH(CH ₃)C≡CH	S
F	CH(CH ₃) ₂	S
F	CH ₂ CH=CH ₂	S
Cl	CH ₂ CH=CH ₂	S
F	CF ₂ CHF ₂	S
Cl	CH ₂ C≡CH	O
Cl	CH(CH ₃) ₂	S
Cl	CH ₂ CH ₂ CH ₃	S
Cl	CF ₂ CHF ₂	S
F	CH ₂ CH=CHCH ₃	S

Table 12



R ⁵	R ⁸	R ⁹	R ¹⁰	W
H	H	H	H	O
F	H	H	CH ₃	O
F	H	H	CH ₂ C≡CH	O
F	H	H	CH(CH ₃)C≡CH	O
Cl	H	H	CH ₂ C≡CH	O
F	CH ₃	H	CH ₂ C≡CH	O
F	H	H	CH ₂ C≡CH	S
Cl	H	H	CH ₃	O
F	H	H	CH ₂ CH ₃	O
F	H	H	CH ₂ CH ₂ CH ₃	O
F	H	H	CH(CH ₃) ₂	O
F	H	H	CH ₂ CH=CH	O
Cl	H	H	CH(CH ₃)C≡CH	O
Cl	H	H	CH ₂ C≡CH	S
F	CH ₃	CH ₃	CH ₂ C≡CH	O
F	H	H	H	O
Cl	H	H	CH ₂ CH ₃	O
Cl	H	H	CH(CH ₃) ₂	O
Cl	CH ₃	H	CH ₂ CH=CH ₂	O
F	CH ₃	H	CH ₂ C≡CH	O
Cl	CH ₃	CH ₃	CH ₂ C≡CH	O
Cl	CH ₃	CH ₃	CH ₂ CH=CH ₂	O
Cl	H	H	CH ₂ CH ₂ CH ₃	O
Cl	CH ₃	H	CH ₂ C≡CH	O

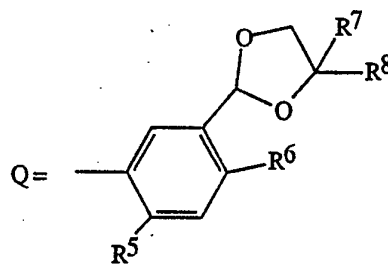
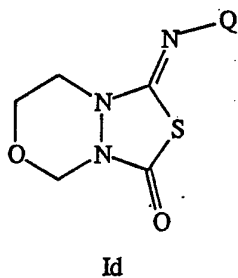
Table 13



<u>R⁵</u>	<u>R⁸</u>	<u>R⁹</u>
H	F	F
F	F	F
F	H	H

5

Table 14



<u>R⁵</u>	<u>R⁶</u>	<u>R⁷</u>	<u>R⁸</u>
H	H	CO ₂ CH ₃	H
H	H	CO ₂ CH ₃	CH ₃
H	H	CO ₂ C ₂ H ₅	CH ₃
H	H	CO ₂ C ₂ H ₅	H
H	H	CO ₂ (CH ₂) ₂ CH ₃	CH ₃
H	H	CO ₂ (CH ₂) ₂ CH ₃	H
H	H	CO ₂ CH ₂ C≡CH	CH ₃
H	Cl	CO ₂ CH ₃	CH ₃
H	Cl	CO ₂ C ₂ H ₅	CH ₃
H	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃
H	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃
H	Cl	CO ₂ CH ₂ C≡CH	CH ₃
F	Cl	CO ₂ CH ₃	CH ₃
F	Cl	CO ₂ C ₂ H ₅	CH ₃
F	Cl	CO ₂ (CH ₂) ₂ CH ₃	CH ₃

F	Cl	$\text{CO}_2(\text{CH}_2)_2\text{CH}_3$	H
F	Cl	$\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$	CH_3
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{C}\equiv\text{CH}$	CH_3
F	Cl	$\text{CO}_2\text{CH}_2\text{CH}=\text{CH}_2$	CH_3
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$	CH_3
F	Cl	$\text{CO}_2(\text{CH}_2)_3\text{CH}_3$	CH_3
F	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$	CH_3
Cl	Cl	$\text{CO}_2(\text{CH}_2)_2\text{CH}_3$	CH_3
Cl	Cl	$\text{CO}_2(\text{CH}_2)_3\text{CH}_3$	CH_3
Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$	CH_3
Cl	Cl	CO_2CH_3	CH_3
Cl	Cl	$\text{CO}_2\text{CH}_2\text{CH}_3$	CH_3
F	CN	$\text{CO}_2(\text{CH}_2)_3\text{CH}_3$	CH_3
Cl	Cl	$\text{CO}_2(\text{CH}_2)_2\text{CH}_3$	H
F	CN	CO_2CH_3	CH_3
F	CN	$\text{CO}_2\text{CH}_2\text{CH}_3$	CH_3
Cl	CN	$\text{CO}_2(\text{CH}_2)_3\text{CH}_3$	CH_3
Cl	Cl	$\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$	CH_3
Cl	CN	$\text{CO}_2\text{CH}_2\text{C}\equiv\text{CH}$	CH_3
Cl	CN	$\text{CO}_2\text{CH}(\text{CH}_3)\text{C}\equiv\text{CH}$	CH_3
Cl	Cl	$\text{CO}_2\text{CH}_2\text{CH}=\text{CH}_2$	CH_3
Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)\text{C}\equiv\text{CH}$	CH_3

Formulations

Practical formulations of compounds of Formula I can be manufactured in a conventional manner, namely as powders, granules, pellets, solutions, suspensions, emulsions, wettable powders and emulsifiable concentrates, etc. Many of these formulations can be used directly. Sprayable preparations can be diluted with suitable media and sprayed in quantities of a few to several hundred litres per hectare. Highly concentrated preparations are mainly used as intermediate products for further formulations. The formulations contain roughly between 0.1 and 99% by weight of active substance(s) and at least one carrier from the group a) 0.1 to 20% surfactant substances and b) about 1 to 99.9% solid or liquid diluents. More precisely, they contain these constituents in approximately the quantities stated below, where the formulations can be mixed with further active substances or additives.

	Weight-%*		
	Active substance	Diluent	Surfactant
Wettable powders	20-90	0-74	1-10
Suspensions in oil, emulsions, solutions (including emulsifiable concentrates)	3-50	40-95	0-15
Aqueous suspensions	10-50	40-84	1-20
Dry powders	1-25	70-99	0-5
Granules and pellets	0.1-95	5-99.9	0-15
Highly concentrated preparations	90-99	0-10	0-2

*Active substance plus a carrier comprising at least one surfactant and/or diluent = 100 weight-%.

Lower or higher active substance contents can of course be present, depending on the anticipated use and the physical properties of the compound. Higher quantity ratios of surfactant component to active substance are sometimes desirable and are attained by incorporation into the formulation or by mixing in the container.

Typical solid diluents are described in Watkins et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey, though other mined or industrially prepared solid substances can also be used. The more absorbant diluents are preferred for wettable powders whilst the denser diluents are preferred for dry powders. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, (1950) and are preferred for concentrated suspensions under 0.1%. Concentrated solutions are preferably resistant to phase separation at 0°C. Lists of surfactant substances and applications recommended for these are contained in *McCutchen's Detergents and Emulsifiers Annual*, MC Publishing Corp., Ridgewood, New Jersey and in Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publishing Co. Inc., New York, (1964). All formulations may contain small quantities of additives for reducing frothing, agglomeration, corrosion and growth of microorganisms etc.

The methods for preparation of such products are well known. Solutions are prepared by simply mixing the ingredients. Finely powdered solid preparations are obtained by mixing and, usually, by grinding, for example in a hammer mill or jet mill. Suspensions are obtained by wet grinding (see for example Littler, U.S. Patent 3,060,084). Granules and pellets can be prepared by spraying the active substance onto preformed granular carriers or by agglomerating them. For these see

J.E Browning, *Agglomeration*, Chemical Engineering, December 4, (1967), p 147 ff. and *Perry's Chemical Engineer's Handbook*, 5th Ed., McGraw-Hill, New York, (1973), pp 8-57 ff.

For further information on formulation technology see for example:

- 5 H. M. Loux, U.S. Patent 3,235,361, 15 February 1966, column 6, line 16 to column 7, line 19 and Examples 10 to 41;
 R.W. Luckenbaugh, U.S. Patent 3,309,192, 14 March 1967, column 5, line 43 to column 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182;
 10 H. Gysin and E. Knusli, U.S. Patent 2,891,855, 23 June 1959, column 3, line 66 to column 5, line 17 and Examples 1-4;
 G.C. Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96 and
 J.D. Fryer and S.A. Evans, *Weed Control Handbook*, 5th Ed., Blackwell
 15 Scientific Publications, Oxford, (1968), pp 101-103.

Unless stated otherwise, the figures given in the following Examples are parts by weight.

Example A

Wettable powder

- | | | |
|----|--|-----|
| 20 | 1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one | 80% |
| | Sodium alkylnaphthalenesulfonate | 2% |
| | Sodium ligninsulfonate | 2% |
| | Synthetic amorphous silicic acid | 3% |
| 25 | Kaolinite | 13% |

The ingredients are mixed together and ground in a hammer mill until all the solids essentially have a particle size of less than 50 μm , after which they are mixed again and packed.

Example B

Wettable powder

- | | | |
|----|--|-----|
| 30 | 1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one | 50% |
| | Sodium alkylnaphthalenesulfonate | 2% |
| | Low-viscosity methylcellulose | 2% |
| 35 | Diatomaceous earth | 46% |

The ingredients are mixed, coarsely ground in a hammer mill and then ground in a jet mill so that practically all particles have a diameter of less than 10 μm . The product is then mixed again before packing.

Example CGranulate

	Wettable powder of Example B	5%
	Attapulgit granulate	95%
5	(USS 20 - 40 mesh; 0.84 - 0.42 mm)	

A slurry of wettable powder with 25 % solid substances is sprayed into a double cone mixer and the granules are then dried and packed.

Example DExtrusion-pressed pellets

10	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	25%
	Anhydrous sodium sulfate	10%
	Crude calcium ligninsulfonate	5%
	Sodium alkyl naphthalenesulfonate	1%
15	Calcium/magnesium bentonite	59%

The ingredients are mixed together, ground in a hammer mill and then moistened with about 12% water. The mixture is extrusion-pressed into cylinders with a diameter of about 3 mm which are then cut up into pellets of a length of about 3 mm. These can be used directly after drying; however, the dried pellets can be ground up so that the ground particles pass through a USS No. 20 sieve (mesh diameter 0.84 mm). The granules remaining on a sieve of USS No. 40 (mesh diameter 0.42 mm) can be packed for use, whilst the fine particles are recycled.

Example EGranulate of low tensile strength

25	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	1%
	N,N-Dimethylformamide	9%
	Attapulgit granulate (USS sieves 20 to 40)	90%

The active substance is dissolved in the solvent and the solution is sprayed on to dust-free granules in a double-cone mixer. After spraying of the solution the mixer is left running for a further short time, after which the granules are packed.

Example FGranulate

35	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	80%
	Wetting agent	1%
	Crude ligninsulfonate (with 5-20 % of the natural sugars)	10%
	Attapulgit clay	9%

The ingredients are mixed and ground until they pass through a 100-mesh sieve. This material is then put in a fluid bed granulator, where the airflow is adjusted so that the material is easily whirled up and a fine water jet is sprayed on to the whirled up material. Fluidisation and spraying are continued until granules of the desired size are obtained.

Spraying is then stopped, but fluidisation is continued, optionally with heating, until the water content has fallen to the desired level, which is generally below 1%. The material is then drawn off and the desired granule size range (usually 1410 to 149 µm) is obtained by sieving on 14- to 100-mesh sieves, after which the granules are packed for use.

5

Example GAqueous suspension

	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	40.0%
	Polyacrylic acid-based thickener	0.3%
10	Dodecylphenol polyethylene glycol ether	0.5%
	Disodium phosphate	1.0%
	Monosodium phosphate	0.5%
	Polyvinyl alcohol	1.0%
	Water	56.7%

15

The ingredients are mixed and ground together in a sand mill to obtain particles essentially of a size of less than 5 µm.

Example HStrong concentrate

	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	99.0%
20	Silicic acid-Aerogel	0.5%
	Synthetic amorphous silicic acid	0.5%

The ingredients are mixed and ground in a hammer mill to obtain material that passes through a USS sieve No. 50 (0.3 mm aperture). The concentrate may if necessary also contain further ingredients.

25

Example IWettable powder

	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	90.0%
30	Diocylsodium sulphosuccinate	0.1%
	Synthetic fine silicic acid	9.9%

The ingredients are mixed and ground in a hammer mill to obtain particles essentially of a size of less than 100 µm. The material is sieved through a USS No. 50 sieve and then packed.

35

Example JWettable powder

	1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-[1,3,4]oxadiazin-3-one	40%
	Sodium ligninsulfonate	20%
40	Montmorillonite clay	40%

The ingredients are thoroughly mixed, coarsely ground in a hammer mill, then ground in an air-jet mill to obtain particles essentially of a size of less than 10 μm and then mixed again and packed.

Example K

- 5 Suspension in oil
 1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-
 [1,3,4]oxadiazin-3-one 35%
 Mixture of polyalcohol carboxylic acid esters
 and oil-soluble petroleum sulfonates 6%
 10 Xylene 59%

The ingredients are mixed and ground in a sand mill to obtain particles essentially of a size of less than 5 μm . The product can be used as it is or diluted with oil or emulsified in water.

Example L

- 15 Fine powder
 1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-
 [1,3,4]oxadiazin-3-one 10%
 Attapulgate 10%
 Pyrophyllite 80%

- 20 The active substance is mixed with attapulgate and then passed through a hammer mill to obtain particles of essentially less than 200 μm in diameter. The ground concentrate is then mixed with the powdered pyrophyllite until a homogeneous mixture is obtained.

Example M

- 25 Suspension in oil
 1-[(2,4-Dichlorophenyl)imino]dihydro-1H,3H,5H-[1,3,4]thiadiazolo[3,4-c]-
 [1,3,4]oxadiazin-3-one 25%
 Polyoxyethylene sorbitol hexaoleate 5%
 High molecular weight aliphatic hydrocarbon oil 70%

- 30 The ingredients are ground together in a sand mill until the size of the solid particles is below about 5 μm . The resultant thick suspension can be used as it is, though it is preferably used after dilution with oils or after emulsification in water.

Application

- 35 The compounds of the invention are active weedkillers. They are used for wide-range weed control in the pre- and/or post-germination period in areas in which complete control of all vegetation is desirable, such as, for example, around industrial plants, warehouses, car parks, reclaimed land, fenced areas, roads and railway lines. Some of these compounds are used for selective weed control in crops such as rice,
 40 wheat, oats, maize, soybeans, sugar-beet, cotton and groundnuts. The compounds of the invention are active weedkillers for selective and/or general weed control of

dicotyledonous weeds and grasses in all plantation crops, including coffee, cocoa, sugar cane, oil palms, rubber, citrus fruits, grapes, fruit trees, nut trees, bananas, plantain, pineapples and conifers such as Scots and other pines and spruces.

The compounds can be used in the pre- and/or post-germination period using techniques such as 'banding', direct spraying or broadcast sowing. The applied quantities are determined by a number of factors, including whether they are used as general herbicides, the environment in which they are used, the crop being treated, the types of weeds to be controlled, the weather at the time and the climate in general, the choice of formulation, the method of application, foliage stage, and the like. The quantity of the compounds of the invention that appears optimum to the expert can thus be selected for use in areas where complete control of the entire vegetation is desirable, such as, for example, around storage tanks, industrial plants, advertising areas, roads, railway lines, and fencing. Alternatively, when the correct quantities have been selected for application, the compounds of the invention can be used for selective weed control in plantations for groundnuts, citrus fruits, sugar cane, coffee, oil palms, rubber, cocoa, grapes, fruit trees, nut trees, pineapples and bananas. The compounds in question are generally applied in quantities of between 0.001 to 20 kg/hectare, the preferred application quantity being 0.01 to 2 kg/hectare.

The compounds of the invention can be used in combination with other herbicides which are listed below. They are particularly useful in combination with triazines, triazoles, uracils, ureas, amides, carbamates, bipyridyl and phenoxy compounds, sulphone ureas and imidazoles for total control of vegetation in plantation and other crops. The compounds can also be used in combination with mefluidide, glyphosate or gluphosinate.

A mixture of one or more of the following herbicides with a compound of the invention can be particularly useful for weed control. Examples of other herbicides with which the compounds of the invention can be formulated are:

Acetochlor, acifluorfen, acrolein, 2-propenal, alachlor, ametryn, amidosulfuron, ammonium sulfamate, amitroles, Anilofos, asulam, atrazines, Barban, Benefin, bensulfuron methyl, bensulides, bentazone, benzoofluorine, Benzoylprop, Bifenox, bromacil, bromoxynil, bromoxynil heptanoate and octanoate, butachlor, buthidazoles, butraline, butylates, cacodylic acid, 2-chloro-N,N-di-2-propenyl-acetamide, 2-chloroallyldiethyldithiocarbamate, Chloramben, chlorbromuron, chloridazon, chlorimuron ethyl, chlormethoxynil, chlormitrofen, chloroxuron, chlorpropham, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, Clethodium, clomazone, cloproxydim, clopyralid, methylarsonic acid or the corresponding calcium salt, cyanazines, cycloates, cyluron, Cyperquat, cyprazines, cyprazoles, cypromid, Delapon,

dazomate, dimethyl 2,3,5,6-tetrachloro-1,4-dibenzenedicarboxylate, Desmedipham, Desmetryn, Dicamba, dichlobenil, dichlorprop, Diclofop, diethatyl, Difenzoquat, diflufenican, dimepiperates, dinitramines, Dinoseb, diphenamid, Dipropetryn, Diquat, diuron, 2-methyl-4,6-dinitrophenol, methylarsonic acid disodium salt, Dymron,

5 Endothall, S-ethylpropylcarbamothioate, Esprocarb, ethalfluralin, ethametsulfuron methyl, ethofumesate, Fenac, Fenoxaprop, fenuron, fenuron trichloroacetate, Flamprop, Fluazifop, Fluazifop-P, fluchloralin, Flumesulam, Flumipropyn, fluometuron, fluorochloridone, fluorodifen, fluoroglycofen, flupoxam, fluridones, Fluroxypyr, fluzasulfuron, Fomasafen, fosamines, glyphosates, Haloxyfop, hexaflurates, hexazinones,

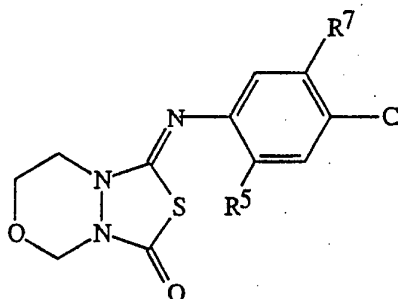
10 imazamethabenz, Imazethapyr, imazosulfuron, Ioxynil, isopropalin, isoproturon, isouron, isoxaben, karbutilate, lactofen, Lenacil, linuron, metobenzuron, metsulfuron methyl, methylarsonic acid, monoammonium methylarsonate, (4-chloro-2-methylphenoxy)acetic acid, S,S'-dimethyl-2-(difluoromethyl)-4-(2-methylpropyl)-6-(trifluoromethyl)-3,5-pyridinedicarbothioate, Mecoprop, Mefenacet, mefluidides, methalpropalin,

15 methabenzthiazuron, Metham, methazoles, methoxuron, Metolachlor, Metribuzin, 1,2-dihydropyridazine-3,6-dione, molinates, monolinuron, monuron, monuron trichloroacetate, monosodium methylarsonate, napropamides, naptalam, neburon, nicosulfuron, nitralin, nitrofen, nitrofluorofen, Norea, norflurazon, oryzalin, oxadiazon, oxyfluorofen, Paraquat, pebulates, pendimethalin, perfluidone, phenmedipham, picloram, 5-[2-chloro-

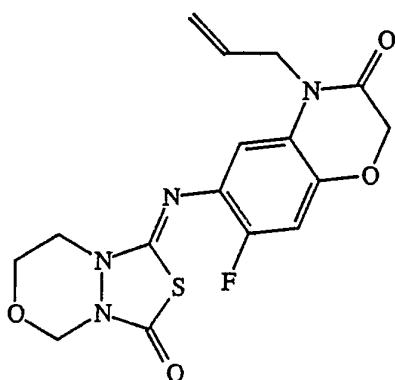
20 4-(trifluoromethyl)phenoxy]-2-nitroacetophenone oxime-O-acetic acid methyl ester, pretilachlor, primisulfuron, procyazines, profluralin, prometon, Prometryn, pronamides, propachlor, propanil, propazines, Propham, prosulfalin, Prynachlor, pyrazolates, pyrazon, pyrazosulfuron ethyl, Quinchlorac, Quizalofop ethyl, rimsulfuron, secbumeton, sethoxydim, siduron, simazines, 1-(α,α -dimethylbenzyl)-3-(4-methylphenyl)urea,

25 sulfometuron methyl, trichloroacetic acid, tebuthiuron, terbacil, terbuchlor, terbuthylazines, terbutol, Terbutryn, thifensulfuron methyl, thiobencarb, triallates, trialkoxydim, triasulfuron, tribenuron methyl, triclopyr, tridiphans, trifluralin, trimeturon, (2,4-dichlorophenoxy)acetic acid, 4-(2,4-dichlorophenoxy)butyric acid, vernolates and xylachlor. Results for Tests A-D are given in Tables A-D.

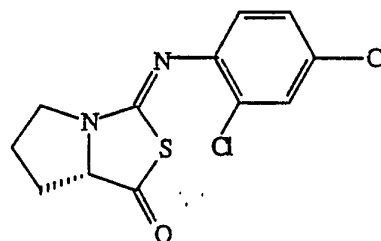
Index Table A



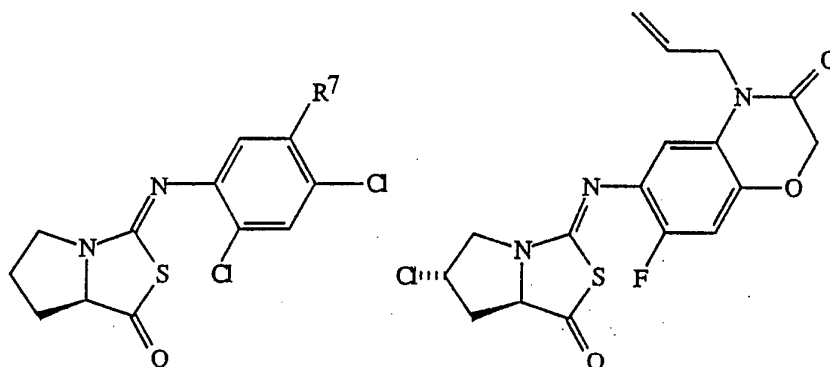
Cmpd #	<u>R⁵</u>	<u>R⁷</u>	mp ^a
1	H	H	oil
2	Cl	H	oil
3	Cl	Cl	oil
9	F	CO ₂ CH(CH ₃) ₂	118-119°C
10	f	OCH ₂ CH=CH ₂	119°C
11	F	OCH ₂ C≡CH	194°C
12	F	OCH ₂ -cyclopropyl	104°C
13	F	OCH(CH ₃)C≡CH	108°C
14	F	OCH(CH ₃) ₂	129°C
15	F	OCH ₂ Ph	126°F
16	F	OCH ₂ CH=CHCO ₂ CH ₃	119-121°C



Compound 4: mp 151°C



Compound 5: oil

Compound 6: R⁷ = Cl, oilCompound 7: R⁷ = H, oil

Compound 8: mp 162°C

^a¹H NMR data for oils are given in Index Table B.

INDEX TABLE B

<u>Cmpd No.</u>	<u>¹H NMR Data^a</u>
1	Me ₂ SO-d ₆ 4.11 (t,2H), 4.50 (t,2H), 5.18 (s,2H), 6.98 (d,2H), 7.37 (d,2H).
2	Me ₂ SO-d ₆ Two isomers: 3.82 and 4.01 (2t,2H), 4.12 and 4.53 (2t,2H), 5.17 and 5.22 (2s,2H), 7.10 (2d,1H), 7.30-7.35 (m,1H), 7.50 and 7.56 (2d,1H).
3	CDCl ₃ 3.85 (t,2H), 4.05 (t,2H), 5.16 (s,2H), 7.07 (s,1H), 7.53 (s,1H).
5	CDCl ₃ 1.85-1.98 (m,1H), 2.08-2.22 (m,1h), 2.22-2.37 (m,2H), 3.55-3.65 (m,1H), 4.00-4.11 (m,1H), 4.54 (dd,1H), 6.84 (d,1H), 7.17 (d,1H), 7.40 (s,1H).
6	CDCl ₃ 1.85-1.95 (m,1H), 2.08-2.19 (m,1H), 2.20-2.35 (m,2H), 3.51-3.61 (m,1H), 3.95-4.08 (m,1H), 4.52 (dd,1H), 7.01 (s,1H), 7.43 (s,1H).
7	CDCl ₃ 1.85-1.98 (m,1H), 2.08-2.22 (m,1h), 2.22-2.37 (m,2H), 3.55-3.65 (m,1H), 4.00-4.11 (m,1H), 4.54 (dd,1H), 6.84 (d,1H), 7.17 (d,1H), 7.40 (s,1H).

^a ¹H NMR data are in ppm downfield from tetramethylsilane and were measured at 500 MHz. Couplings are designated by s-singlet, d-doublet, t-triplet, m-multiplet, dd-doublet of doublets.

TEST A

Seeds of barley (*Hordeum vulgare*), barnyardgrass (*Echinochloa crus-galli*),
bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), cheatgrass (*Bromus*
secalinus), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn
5 (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria* spp.), downy brome
(*Bromus tectorum*), giant foxtail (*Setaria faberii*), morningglory (*Ipomoea hederacea*),
rape (*Brassica napus*), rice (*Oryza sativa*), sorghum (*Sorghum bicolor*), soybean
(*Glycine max*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat
(*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), wild oat (*Avena fatua*)
10 and purple nutsedge (*Cyperus rotundus*) tubers were planted and treated preemergence
with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop
and weed species were also treated with postemergence applications of test chemicals.
Plants ranged in height from two to eighteen cm (one to four leaf stage) for
postemergence treatments. Treated plants and controls were maintained in a greenhouse
15 for twelve to sixteen days, after which all species were compared to controls and visually
evaluated. Plant response ratings, summarized in Table A, are based on a scale of 0 to
10 where 0 is no effect and 10 is complete control. A dash (-) response means no test
result.

Table A	COMPOUND				
Rate 1000 g/ha	2	3	5	6	7
Postemergence					
Barley	3	2	2	2	3
Barnyardgrass	8	4	4	4	5
Bedstraw	7	5	5	6	3
Blackgrass	2	2	2	1	2
Cheatgrass	-	-	-	-	-
Chickweed	2	2	1	0	0
Cocklebur	7	4	5	6	6
Corn	3	3	2	2	3
Cotton	10	9	10	9	9
Crabgrass	5	3	3	3	4
Downy brome	3	0	3	1	1
Giant foxtail	5	2	3	4	3
Morningglory	8	7	6	9	8
Nutsedge	7	-	0	0	6
Rape	8	5	9	5	3
Rice	3	3	3	0	2
Sorghum	4	3	4	3	4
Soybean	8	3	4	3	6
Sugarbeet	9	6	8	10	9
Velvetleaf	10	9	8	9	10
Wheat	3	1	2	1	2
Wild buckwheat	9	8	10	10	9
Wild oat	2	0	2	2	2

Table A	COMPOUND				
Rate 1000 g/ha	2	3	5	6	7
Preemergence					
Barley	0	0	0	0	0
Barnyardgrass	7	0	0	0	0
Bedstraw	7	0	2	0	-
Blackgrass	1	0	0	0	0
Cheatgrass	-	-	-	-	-
Chickweed	0	0	2	0	0
Cocklebur	0	0	0	0	0
Corn	3	0	0	0	0
Cotton	2	2	0	0	0
Crabgrass	8	3	0	0	0
Downy brome	1	0	0	0	0
Giant foxtail	7	3	0	0	0
Morningglory	0	0	0	0	0
Nutsedge	0	8	0	0	0
Rape	10	8	0	0	0
Rice	0	0	0	0	0
Sorghum	2	0	0	0	0
Soybean	0	0	0	0	0
Sugarbeet	4	2	0	0	0
Velvetleaf	10	10	0	0	0
Wheat	0	0	0	0	0
Wild buckwheat	9	2	0	0	0
Wild oat	3	0	0	0	0

Table A

COMPOUND

Rate 200 g/ha	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16
Postemergence																
Barley	3	3	0	5	2	2	2	5	8	7	9	7	8	8	3	9
Barnyardgrass	5	5	3	9	2	2	3	7	10	9	9	9	10	10	5	9
Bedstraw	5	6	5	10	3	3	3	10	10	10	10	9	10	10	9	10
Blackgrass	2	1	0	7	2	1	1	4	9	8	9	8	9	8	4	4
Cheatgrass	-	-	-	-	-	-	-	-	-	-	-	-	9	8	3	4
Chickweed	2	1	0	10	0	0	0	10	10	10	10	8	10	8	7	6
Cocklebur	6	6	5	10	6	5	5	10	10	10	10	10	10	10	10	10
Corn	3	3	2	7	2	2	2	2	8	8	9	8	8	9	2	4
Cotton	9	10	9	10	9	8	9	10	10	9	10	10	10	10	10	10
Crabgrass	5	2	3	-	2	3	2	7	8	9	9	9	9	9	7	4
Downy brome	3	3	1	5	1	0	0	4	6	8	8	6	-	-	-	-
Giant foxtail	4	4	3	9	2	1	2	6	8	9	9	9	9	9	6	6
Morningglory	8	7	6	10	5	6	7	10	10	10	10	10	10	10	10	9
Nutsedge	2	-	6	5	0	4	0	0	4	6	7	3	4	7	1	1
Rape	2	7	4	10	4	2	1	10	10	10	10	10	10	10	10	10
Rice	3	2	2	9	1	0	0	4	10	9	9	9	10	9	4	4
Sorghum	4	3	2	8	3	3	3	6	9	9	9	9	9	9	4	7
Soybean	5	3	3	10	3	3	3	10	9	9	10	9	10	10	8	9
Sugarbeet	3	4	3	10	5	6	7	10	10	10	10	10	10	10	10	10
Velvetleaf	9	9	9	10	8	7	6	10	10	10	10	10	10	10	10	10
Wheat	1	1	0	7	0	0	1	5	6	8	9	8	9	9	4	9
Wild buckwheat	6	8	9	10	7	8	7	10	10	10	10	10	10	10	10	10
Wild oat	2	2	0	7	1	0	1	5	7	8	9	7	9	8	3	8

Table A

COMPOUND

Rate 200 g/ha	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16
Preemergence																
Barley	0	0	0	6	0	0	0	0	6	5	6	2	6	2	0	1
Barnyardgrass	0	0	0	8	0	0	0	0	9	9	9	9	9	10	3	6
Bedstraw	0	2	0	10	-	-	0	3	10	10	10	10	10	10	10	10
Blackgrass	0	0	0	6	0	0	0	7	7	4	6	4	7	8	3	1
Cheatgrass	-	-	-	-	-	-	-	-	-	-	-	-	6	8	3	1
Chickweed	0	0	0	10	0	0	0	10	10	10	10	10	10	9	6	0
Cocklebur	0	0	0	10	0	0	0	3	10	10	10	9	10	9	8	8
Corn	0	0	0	4	0	0	0	0	6	7	8	2	6	6	2	1
Cotton	0	0	0	8	0	0	0	0	9	10	10	10	10	10	7	10
Crabgrass	4	3	2	9	0	0	0	0	9	10	10	9	10	10	8	4
Downy brome	0	0	0	3	0	0	0	0	5	6	7	6	-	-	-	-
Giant foxtail	0	2	0	9	0	0	0	0	10	10	10	8	9	10	5	3
Morningglory	0	0	0	10	0	0	0	10	10	10	10	10	10	10	10	7
Nutsedge	-	0	0	0	0	-	0	-	-	10	7	9	4	7	9	8
Rape	0	2	0	10	0	0	0	9	10	10	10	10	10	10	8	10
Rice	0	0	0	3	0	0	0	0	6	7	8	3	9	9	0	3
Sorghum	0	0	0	3	0	0	0	0	4	6	7	5	9	9	0	2
Soybean	0	0	0	10	0	0	0	0	8	10	10	10	10	10	2	2
Sugarbeet	0	0	0	10	0	0	0	9	10	10	10	10	10	10	10	10
Velvetleaf	10	8	7	10	0	0	0	10	10	10	10	10	10	10	10	10
Wheat	0	0	0	7	0	0	0	2	6	3	7	3	7	6	1	2
Wild buckwheat	0	0	1	10	0	0	0	2	10	10	10	10	10	10	7	6
Wild oat	0	0	0	8	0	0	0	4	7	7	9	6	9	8	3	0

Table A		COMPOUND															
Rate	50 g/ha	1	4	8	9	10	11	12	13	14	15	16					
Postemergence																	
Barley		2	4	4	5	6	7	4	6	4	5	5					
Barnyardgrass		3	6	6	8	9	9	9	9	9	4	9					
Bedstraw		3	10	10	10	10	10	9	10	10	8	9					
Blackgrass		1	3	4	6	6	8	4	7	6	3	3					
Cheatgrass		-	-	-	-	-	-	-	7	4	3	3					
Chickweed		2	10	10	9	8	10	7	9	4	4	6					
Cocklebur		6	10	10	10	10	10	10	10	9	9	10					
Corn		3	5	3	5	6	8	5	5	5	2	3					
Cotton		9	10	10	10	10	10	10	10	10	10	10					
Crabgrass		3	5	6	6	8	9	8	8	8	7	6					
Downy brome		3	4	4	5	4	6	4	-	-	-	-					
Giant foxtail		3	6	6	6	7	9	8	7	8	5	8					
Morningglory		7	10	10	10	10	10	10	10	10	9	9					
Nutsedge		0	5	3	3	3	6	6	3	7	1	1					
Rape		2	10	10	10	10	10	10	10	10	10	10					
Rice		2	6	3	8	9	9	7	9	9	4	4					
Sorghum		3	6	4	6	8	9	7	8	9	3	5					
Soybean		4	8	9	9	9	9	9	10	9	8	8					
Sugarbeet		2	10	9	10	10	10	10	10	10	10	10					
Velvetleaf		7	10	10	10	10	10	10	10	10	10	10					
Wheat		1	7	5	5	6	7	5	6	6	3	6					
Wild buckwheat		6	10	10	10	10	10	10	10	10	10	10					
Wild oat		1	5	4	6	6	8	4	7	5	2	8					

Table A		COMPOUND											
Rate	50 g/ha	1	4	8	9	10	11	12	13	14	15	16	
Preemergence													
Barley		0	1	0	1	2	2	2	2	2	0	0	
Barnyardgrass		0	3	0	6	7	8	6	8	8	0	3	
Bedstraw		0	6	0	10	10	10	9	10	9	2	0	
Blackgrass		0	4	0	4	1	5	1	5	3	0	0	
Cheatgrass		-	-	-	-	-	-	-	3	2	2	0	
Chickweed		-	10	9	8	8	10	4	10	6	2	0	
Cocklebur		0	9	0	6	8	10	8	7	9	3	5	
Corn		0	3	0	2	3	3	1	3	3	1	0	
Cotton		0	2	0	0	10	9	2	7	6	0	0	
Crabgrass		0	5	0	9	9	10	8	9	9	2	2	
Downy brome		0	3	0	3	3	8	3	-	-	-	-	
Giant foxtail		0	5	0	7	8	8	5	6	9	0	3	
Morningglory		0	10	7	10	9	10	9	10	10	4	5	
Nutsedge		-	0	-	-	7	3	4	3	8	3	3	
Rape		0	10	2	9	10	10	7	9	10	3	3	
Rice		0	0	0	2	3	5	2	3	5	0	0	
Sorghum		0	2	0	2	5	4	2	3	5	0	0	
Soybean		0	6	0	0	8	6	4	5	10	0	0	
Sugarbeet		0	9	8	10	10	10	10	10	10	8	8	
Velvetleaf		0	10	3	9	10	10	10	10	10	10	8	
Wheat		0	5	0	3	3	5	2	4	5	0	0	
Wild buckwheat		0	0	0	9	10	10	5	10	9	3	3	
Wild oat		0	7	0	5	6	7	3	6	6	2	0	

TEST B

Seeds of barnyardgrass (*Echinochloa crus-galli*), cocklebur (*Xanthium pensylvanicum*), crabgrass (*Digitaria* spp.), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), morningglory (*Ipomoea* spp.), sorghum (*Sorghum bicolor*), velvetleaf (*Abutilon theophrasti*), and wild oat (*Avena fatua*) were planted into a sandy loam soil and treated preemergence, or with a soil drench (PDRN), with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated postemergence, or sprayed to runoff (STRO), with test chemicals. Plants ranged in height from two to eighteen cm and were in the two to three leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for approximately eleven days, after which all treated plants were compared to untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table B, are based on a 0 to 10 scale where 0 is no effect and 10 is complete control. A dash (-) response means no test results.

Table B	COMPOUND								Table B	COMPOUND							
Rate 2000 g/ha	1	2	3	4	5	6	7	8	Rate 1000 g/ha	1	2	3	4	5	6	7	8
Preemergence									Postemergence								
Barnyardgrass	8	9	0	9	0	4	0	9	Barnyardgrass	8	5	4	10	3	2	3	9
Cocklebur	5	4	3	10	0	0	0	10	Cocklebur	7	7	2	10	4	4	1	10
Crabgrass	8	9	7	10	1	0	0	9	Crabgrass	8	6	4	10	3	2	2	10
Downy brome	2	0	0	10	0	0	0	0	Downy brome	3	2	1	6	1	0	0	4
Giant foxtail	9	9	4	10	3	0	0	9	Giant foxtail	9	7	3	10	4	3	3	10
Morningglory	3	4	2	10	0	0	0	10	Morningglory	8	9	9	10	8	9	8	10
Sorghum	4	8	0	10	0	0	0	8	Sorghum	3	4	3	9	2	3	3	6
Velvetleaf	10	10	7	10	0	0	0	10	Velvetleaf	10	10	10	10	10	10	10	10
Wild oats	0	0	0	10	0	0	0	7	Wild oats	2	3	1	9	3	2	2	7

TEST C

The compounds evaluated in this test were formulated in a non-phytotoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application), to water that covered the soil surface (flood application), and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence and postemergence tests, while a silt loam soil was used in the flood test. Water depth was approximately 2.5 cm for the flood test and was maintained at this level for the duration of the test. Plant species in the preemergence and postemergence tests consisted of barnyardgrass (*Echinochloa crus-galli*), barley (*Hordeum vulgare*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), johnsongrass (*Sorghum halpense*), morningglory (*Ipomoea hederacea*), pigweed (*Amaranthus retroflexus*), rape (*Brassica napus*), ryegrass (*Lolium multiflorum*), soybean (*Glycine max*), speedwell (*Veronica persica*), sugar beet (*Beta vulgaris*), velvetleaf (*Abutilon theophrasti*), wheat (*Triticum aestivum*), wild buckwheat (*Polygonum convolvulus*), and wild oat (*Avena fatua*). All plant species were planted one day before application of the compound for the preemergence portion of this test. Plantings of these species were adjusted to produce plants of appropriate size for the postemergence portion of the test. Plant species in the flood test consisted of rice (*Oryza sativa*), umbrella sedge (*Cyperus difformis*), duck salad (*Heteranthera limosa*), barnyardgrass (*Echinochloa crus-galli*) and Late watergrass (*Echinocloa oryzicola*) grown to the 1 and 2 leaf stage for testing. All plant species were grown using normal greenhouse practices. Visual evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty one days after application of the test compound. Plant response ratings, summarized in Table C, were recorded on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (-) response means no test result.

Table C	Compound	Table C	Compound
Rate 125 g/ha	9	Rate 125 g/ha	9
Postemergence		Preemergence	
Barley	30	Barley	50
Barnyardgrass	95	Barnyardgrass	100
Blackgrass	80	Blackgrass	80
Chickweed	100	Chickweed	100
Cocklebur	100	Cocklebur	95
Corn	50	Corn	25
Cotton	100	Cotton	50
Crabgrass	65	Crabgrass	95
Downy brome	35	Downy brome	0
Duck salad	20	Galium	55
Galium	100	Giant foxtail	100
Giant foxtail	95	It. Ryegrass	85
It. Ryegrass	80	Johnsongrass	95
Johnsongrass	95	Morningglory	100
Morningglory	100	Rape	50
Rape	100	Redroot pigweed	100
Redroot pigweed	100	Soybean	100
Rice japonica	100	Speedwell	100
Soybean	100	Sugar beet	100
Speedwell	100	Velvetleaf	100
Sugar beet	100	Wheat	0
Umbrella sedge	90	Wild buckwheat	100
Velvetleaf	100	Wild oat	80
Watergrass-2	100		
Wheat	60		
Wild buckwheat	100		
Wild oat	40		
Barnyardgrass-2	100		

Table C			Compound								
Rate	62 g/ha	4	8	9	10	11	12	13	14	15	16
Postemergence											
Barley		35	30	30	40	65	35	60	50	20	30
Barnyardgrass		40	40	90	90	95	95	95	95	10	80
Blackgrass		50	40	70	45	80	50	95	75	40	20
Chickweed		95	95	100	100	100	90	100	85	75	25
Cocklebur		100	100	100	100	100	100	100	100	100	100
Corn		25	30	45	35	60	25	55	50	20	30
Cotton		100	100	100	100	100	100	100	100	100	100
Crabgrass		40	20	55	65	80	45	90	85	25	20
Downy brome		50	40	35	35	35	25	50	35	20	0
Duck salad		0	0	20	90	30	50	25	-	40	0
Galium		100	100	100	95	100	90	100	90	90	35
Giant foxtail		70	50	85	80	95	90	95	95	35	60
It. ryegrass		50	30	60	70	95	60	95	75	25	0
Johnsongrass		90	50	90	90	95	90	95	90	45	80
Morningglory		100	100	100	100	100	100	100	100	95	100
Rape		100	100	100	100	100	100	100	100	100	100
Redroot pigweed		100	95	100	100	100	100	100	100	100	100
Rice japonica		95	10	100	90	95	75	65	-	40	10
Soybean		90	95	95	75	100	85	100	90	100	70
Speedwell		100	100	100	-	100	100	100	100	-	95
Sugar beet		100	100	100	100	100	100	100	100	100	100
Umbrella sedge		0	0	90	100	85	100	80	-	65	0
Velvetleaf		100	100	100	100	100	100	100	100	100	100
Watergrass-2		70	10	100	95	100	90	95	-	50	10
Wheat		35	30	-	35	75	40	75	35	40	20
Wild buckwheat		100	95	100	100	100	100	100	100	100	-
Wild oat		50	40	40	70	90	40	90	75	25	25
Barnyardgrass-2		10	0	100	95	100	85	75	-	75	10

Table C			Compound								
Rate	62 g/ha	4	8	9	10	11	12	13	14	15	16
Preemergence											
Barley†		0	0	30	30	75	35	60	80	0	0
Barnyardgrass		60	10	100	95	100	85	100	95	20	0
Blackgrass		30	0	55	50	90	85	90	85	20	0
Chickweed		100	100	90	65	100	60	100	30	10	0
Cocklebur		50	0	85	40	85	80	65	85	10	15
Corn		20	10	25	35	60	25	40	30	0	0
Cotton		75	10	-	60	100	35	90	90	0	10
Crabgrass		55	40	90	90	100	100	100	95	20	0
Downy brome		0	0	0	10	35	10	40	30	10	20
Galium		100	35	40	30	100	35	100	70	60	20
Giant foxtail		80	0	100	95	100	80	100	95	10	20
It. ryegrass		55	0	10	70	95	10	95	90	10	20
Johnsongrass		40	0	85	90	90	90	95	95	35	10
Morningglory		100	90	50	100	100	70	100	95	50	0
Rape		100	30	20	90	100	20	100	100	20	10
Redroot pigweed		100	85	100	100	100	100	100	100	100	60
Soybean		0	40	100	40	100	15	60	85	10	15
Speedwell		100	100	100	100	100	100	100	100	100	50
Sugar beet		95	100	100	100	100	100	100	100	50	0
Velvetleaf		100	40	100	100	100	100	100	100	30	0
Wheat		0	0	0	30	45	20	50	55	10	0
Wild buckwheat		90	90	100	100	100	100	100	100	95	0
Wild oat		55	0	60	60	90	40	90	80	10	0

Table C			Compound								
Rate	31 g/ha	4	8	9	10	11	12	13	14	15	16
Postemergence											
Barley		35	30	30	40	50	30	50	40	20	20
Barnyardgrass		35	30	40	90	85	85	95	95	10	80
Blackgrass		40	30	35	40	80	35	80	65	35	20
Chickweed		80	80	100	100	100	70	100	70	60	25
Cocklebur		95	100	100	100	100	100	100	100	100	80
Corn		25	25	30	30	35	20	35	35	15	25
Cotton		100	100	100	100	100	100	100	100	100	100
Crabgrass		30	20	55	50	65	40	45	50	20	0
Downy brome		40	30	10	35	30	20	35	35	20	0
Duck salad		0	0	15	85	30	0	0	45	25	0
Galium		100	100	100	-	100	80	100	90	85	0
Giant foxtail		50	45	85	70	80	40	90	90	25	40
It. ryegrass		40	25	30	60	90	30	80	70	20	0
Johnsongrass		90	40	45	80	95	50	90	90	30	70
Morningglory		100	100	100	100	100	100	100	100	90	85
Rape		100	100	100	100	100	100	100	100	100	50
Redroot pigweed		100	-	100	100	100	100	100	100	100	85
Rice japonica		85	10	90	70	70	45	40	65	35	10
Soybean		90	95	95	65	95	85	100	90	55	60
Speedwell		100	100	100	-	100	100	-	100	-	95
Sugar beet		100	100	100	100	100	100	100	100	100	100
Umbrella sedge		0	0	70	100	85	85	40	80	0	0
Velvetleaf		100	100	100	100	100	100	100	100	100	100
Watergrass-2		55	0	90	95	100	60	70	85	45	10
Wheat		30	30	30	35	50	35	60	35	35	20
Wild buckwheat		100	95	100	100	100	100	100	100	100	100
Wild oat		35	30	30	65	90	30	80	70	20	10
Barnyardgrass-2		0	0	100	90	95	80	60	90	65	10

Table C		Compound									
Rate	31 g/ha	4	8	9	10	11	12	13	14	15	16
Preemergence											
Barley†		0	0	20	20	70	35	40	60	0	0
Barnyardgrass		20	0	95	50	100	80	95	95	15	0
Blackgrass		20	0	35	35	60	30	70	70	0	0
Chickweed		20	80	80	50	100	30	75	0	0	0
Cocklebur		20	0	60	35	65	30	35	50	0	10
Corn		0	0	20	25	55	25	30	20	-	0
Cotton		40	10	30	50	100	20	50	60	0	0
Crabgrass		70	20	80	60	100	55	90	70	10	0
Downy brome		0	0	0	0	10	0	30	10	0	10
Galium		70	-	20	30	100	10	100	70	10	0
Giant foxtail		70	0	95	85	100	60	95	90	0	0
It. ryegrass		0	0	0	30	75	-	70	20	0	10
Johnsongrass		30	0	50	75	90	40	95	70	15	0
Morningglory		20	20	50	75	100	50	50	75	20	0
Rape		0	0	0	45	100	-	90	100	0	0
Redroot pigweed	100	50	100	100	100	100	100	100	100	90	30
Soybean		0	20	100	10	15	10	60	75	0	0
Speedwell	100	95	100	60	100	100	100	100	100	90	-
Sugar beet	95	0	100	100	100	60	100	100	100	10	0
Velvetleaf	100	0	100	100	100	100	100	100	100	20	0
Wheat		0	0	0	20	25	10	30	10	0	0
Wild buckwheat	55	90	100	100	100	90	100	100	100	30	0
Wild oat	25	0	30	30	85	40	50	65	65	0	0

Table C			Compound								
Rate	16 g/ha	4	8	9	10	11	12	13	14	15	16
Postemergence											
Barley		30	25	30	35	35	25	40	30	-	10
Barnyardgrass		30	25	30	30	85	35	70	70	10	65
Blackgrass		40	-	30	40	55	35	75	60	30	20
Chickweed		70	70	80	90	95	65	90	70	55	25
Cocklebur		90	95	100	85	100	95	100	100	95	-
Corn		20	20	20	25	25	15	20	20	10	25
Cotton		100	100	100	100	100	100	100	100	100	100
Crabgrass		25	15	30	30	45	25	35	45	20	0
Downy brome		30	25	10	30	25	10	30	25	15	0
Duck salad		0	0	10	50	0	0	0	35	0	0
Galium		90	95	100	90	85	80	85	90	65	0
Giant foxtail		45	35	60	55	80	20	80	55	25	40
It. ryegrass		25	20	20	30	35	20	40	35	20	0
Johnsongrass		85	30	40	65	70	35	60	50	25	70
Morningglory		100	100	100	100	100	100	100	100	-	85
Rape		100	100	100	-	100	100	100	100	90	40
Redroot pigweed		100	90	100	100	100	100	100	100	100	80
Rice japonica		55	0	50	25	30	30	35	60	20	10
Soybean		85	95	85	65	85	75	85	80	55	45
Speedwell		100	90	100	-	-	100	-	100	70	90
Sugar beet		100	100	100	100	100	100	100	100	100	65
Umbrella sedge		0	0	10	90	35	20	0	50	0	0
Velvetleaf		100	100	100	100	100	100	100	100	100	100
Watergrass-2		0	0	55	35	70	30	70	35	25	0
Wheat		25	25	20	25	40	35	40	30	35	10
Wild buckwheat		90	80	100	100	100	100	100	100	100	85
Wild oat		30	30	20	40	55	30	45	40	20	10
Barnyardgrass-2		0	0	95	40	65	20	25	60	50	0

Table C

Compound

Rate 16 g/ha	4	8	9	10	11	12	13	14	15	16
Preemergence										
Barley	0	0	0	0	40	20	30	30	0	0
Barnyardgrass	20	0	85	30	65	35	90	50	10	0
Blackgrass	20	0	10	25	40	10	50	50	0	0
Chickweed	20	10	70	35	70	0	-	0	-	0
Cocklebur	0	0	35	10	60	20	20	35	0	0
Corn	0	0	10	0	25	10	20	0	0	0
Cotton	-	0	25	20	60	15	45	10	0	0
Crabgrass	20	10	30	30	75	35	70	70	10	0
Downy brome	0	0	0	0	0	0	20	0	0	0
Galium	0	0	0	10	30	0	100	30	0	0
Giant foxtail	50	0	90	65	50	50	90	35	0	0
It. ryegrass	0	0	0	10	20	0	50	10	0	0
Johnsongrass	10	0	20	20	65	20	55	35	0	0
Morningglory	0	10	20	30	100	40	50	30	10	0
Rape	0	0	0	10	20	10	85	85	0	0
Redroot pigweed	100	30	100	100	100	90	100	100	-	30
Soybean	0	-	35	0	10	0	35	0	0	0
Speedwell	100	0	100	40	100	100	100	100	50	0
Sugar beet	35	0	100	60	75	0	100	100	0	0
Velvetleaf	70	0	55	100	100	100	100	100	0	0
Wheat	0	0	0	0	20	0	20	10	0	0
Wild buckwheat	0	0	50	100	100	90	100	100	-	0
Wild oat	0	0	10	20	60	35	30	30	0	0

Table C		Compound								
Rate	8 g/ha	4	8	10	11	12	13	14	15	16
Postemergence										
Barley		25	20	35	25	25	35	25	20	0
Barnyardgrass		30	20	15	40	35	40	50	10	50
Blackgrass		35	25	35	40	35	70	35	25	20
Chickweed		40	60	80	90	55	85	45	50	25
Cocklebur		90	90	85	90	90	95	90	90	65
Corn		15	15	25	25	15	20	15	10	10
Cotton		100	100	100	100	100	100	100	100	100
Crabgrass		20	10	20	10	25	30	30	15	0
Downy brome		30	20	25	25	10	30	20	10	0
Duck salad		0	0	40	0	0	0	0	0	0
Galium		60	60	75	75	75	70	35	55	0
Giant foxtail		30	35	20	35	20	35	40	25	20
It. ryegrass		20	20	0	30	20	20	20	20	0
Johnsongrass		40	25	65	45	30	25	25	20	60
Morningglory		95	100	80	100	90	95	95	90	75
Rape		95	100	100	100	100	100	100	90	20
Redroot pigweed		95	90	100	100	100	100	90	100	80
Rice japonica		40	0	15	20	20	30	30	0	0
Soybean		50	90	65	80	50	85	80	55	35
Speedwell		100	90	-	-	100	70	-	50	80
Sugar beet		100	100	100	100	100	100	90	100	50
Umbrella sedge		0	0	80	20	20	0	40	0	0
Velvetleaf		100	100	100	100	100	100	100	100	100
Watergrass-2		0	0	30	25	25	45	25	10	0
Wheat		20	20	25	25	30	40	20	20	0
Wild buckwheat		75	80	100	100	100	100	100	100	80
Wild oat		30	20	25	45	30	40	30	20	10
Barnyardgrass-2		0	0	25	25	0	20	30	0	0

Table C

Compound

Rate	8 g/ha	4	8	10	11	12	13	14	15	16
Preemergence										
Barley		0	0	0	20	20	0	0	0	0
Barnyardgrass		10	0	0	35	10	40	30	10	0
Blackgrass		0	0	25	20	10	10	0	0	0
Chickweed		20	0	20	-	0	30	0	0	0
Cocklebur		0	0	0	25	0	0	15	0	0
Corn		0	0	0	25	10	10	0	0	0
Cotton		-	0	10	30	10	30	0	0	0
Crabgrass		0	0	10	25	10	40	45	0	0
Downy brome		0	0	0	0	0	0	0	0	0
Galium		0	0	10	0	0	0	0	0	0
Giant foxtail		0	0	30	50	50	40	20	0	0
It. ryegrass		0	0	0	0	0	10	0	0	0
Johnsongrass		0	0	0	30	10	20	25	0	0
Morningglory		0	10	10	60	30	20	20	10	0
Rape		0	0	0	0	0	10	20	0	0
Redroot pigweed		30	20	80	100	70	100	90	65	0
Soybean		0	10	0	10	0	10	0	0	0
Speedwell		100	-	-	100	95	100	100	-	0
Sugar beet		35	0	30	55	0	20	0	0	0
Velvetleaf		45	0	20	100	30	100	100	0	0
Wheat		0	0	0	0	0	0	0	0	0
Wild buckwheat		0	0	100	95	35	90	100	0	0
Wild oat		0	0	10	10	35	20	20	0	0

Table C	Compound	
Rate 4 g/ha	13	14
Postemergence		
Barley	35	20
Barnyardgrass	30	15
Blackgrass	60	20
Chickweed	85	35
Cocklebur	90	90
Corn	20	10
Cotton	100	100
Crabgrass	20	20
Downy brome	20	10
Duck salad	-	0
Galium	50	30
Giant foxtail	25	35
It. ryegrass	20	10
Johnsongrass	25	20
Morningglory	90	90
Rape	100	95
Redroot pigweed	100	90
Rice japonica	-	0
Soybean	55	75
Speedwell	60	-
Sugar beet	90	65
Umbrella sedge	-	25
Velvetleaf	100	100
Watergrass-2	-	0
Wheat	40	15
Wild buckwheat	95	100
Wild oat	40	20
Barnyardgrass-2	-	10

Table C	Compound	
Rate 4 g/ha	13	14
Preemergence		
Barley	0	0
Barnyardgrass	30	20
Blackgrass	-	0
Chickweed	-	0
Cocklebur	0	0
Corn	10	0
Cotton	10	0
Crabgrass	0	20
Downy brome	0	0
Galium	0	0
Giant foxtail	35	0
It. ryegrass	10	0
Johnsongrass	10	0
Morningglory	10	0
Rape	0	0
Redroot pigweed	95	30
Soybean	0	0
Speedwell	100	95
Sugar beet	20	0
Velvetleaf	40	0
Wheat	0	0
Wild buckwheat	40	30
Wild oat	0	20

TEST D

Seeds of barnyardgrass (*Echinochloa crus-galli*), bindweed (*Convolvulus althaeoides*), black nightshade (*Solanum ptycanthum dunal*), cassia (*Cassia obtusifolia*), cocklebur (*Xanthium pensylvanicum*), common ragweed (*Ambrosia artemisiifolia*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria* spp.), fall panicum (*Panicum dichotomiflorum*), giant foxtail (*Setaria faberii*), green foxtail (*Setaria viridis*), jimsonweed (*Datura stramonium*), johnson grass (*Sorghum halepense*), morningglory (*Ipomoea* spp.), pigweed (*Amaranthus retroflexus*), prickly sida (*Sida spinosa*), shattercane (*Sorghum vulgare*), signalgrass (*Brachiaria platyphylla*), smartweed (*Polygonum pensylvanicum*), soybean (*Glycine max*), sunflower (*Helianthus annuus*), velvetleaf (*Abutilon theophrasti*), wild proso (*Panicum miliaceum*), wooly cupgrass (*Eriochloa villosa*), yellow foxtail (*Setaria lutescens*), and purple nutsedge (*Cyperus rotundus*) tubers were planted into a matapeake sandy loam soil. These crops and weeds were grown in the greenhouse until the plants ranged in height from two to eighteen cm (one to four leaf stage), then treated postemergence with the test chemicals dissolved in a non-phytotoxic solvent. Pots receiving these postemergence treatments were placed in the greenhouse and maintained according to routine greenhouse procedures. Treated plants and untreated controls were maintained in the greenhouse approximately 14-21 days after application of the test compound. Visual evaluations of plant injury responses were then recorded. Plant response ratings, summarized in Table D, are reported on a 0 to 100 scale where 0 is no effect and 100 is complete control.

Table D	Compound
Rate 140 g/ha	9
Preemergence	
Barnyardgrass	95
Bindweed	100
Blk nightshade	100
Cassia	20
Cocklebur	55
Corn	65
Cotton	20
Crabgrass	100
Fall panicum	95
Giant foxtail	100
Green foxtail	100
Jimsonweed	100
Johnson grass	90
Morningglory	85
Nutsedge	40
Pigweed	100
Prickly sida	100
Ragweed	90
Shattercane	45
Signalgrass	100
Smartweed	100
Soybean	20
Sunflower	65
Velvetleaf	100
Wild proso	100
Yellow foxtail	100

Table D	Compound
Rate 70 g/ha	9
Postemergence	
Barnyardgrass	45
Bindweed	100
Blk nightshade	70
Cassia	100
Cocklebur	90
Corn	35
Cotton	100
Crabgrass	25
Fall panicum	35
Giant foxtail	40
Green foxtail	40
Jimsonweed	100
Johnson grass	40
Morningglory	100
Nutsedge	20
Pigweed	100
Prickly sida	95
Ragweed	75
Shattercane	45
Signalgrass	55
Smartweed	75
Soybean	90
Sunflower	100
Velvetleaf	100
Wild proso	40
Wooly cup grass	35
Yellow foxtail	45

Table D	Compound
Rate 70 g/ha	9
Preemergence	
Barnyardgrass	75
Bindweed	100
Blk nightshade	100
Cassia	0
Cocklebur	45
Corn	20
Cotton	0
Crabgrass	85
Fall panicum	95
Giant foxtail	100
Green foxtail	100
Jimsonweed	65
Johnson grass	60
Morningglory	20
Nutsedge	20
Pigweed	100
Prickly sida	100
Ragweed	55
Shattercane	25
Signalgrass	85
Smartweed	100
Soybean	0
Sunflower	30
Velvetleaf	100
Wild proso	85
Yellow foxtail	95

Table D	Compound		
Rate 35 g/ha	4	8	9
Postemergence			
Barnyardgrass	0	10	35
Bindweed	100	100	60
Blk nightshade	100	85	35
Cassia	100	100	65
Cocklebur	100	100	65
Corn	25	35	30
Cotton	100	100	100
Crabgrass	0	10	25
Fall panicum	0	0	30
Giant foxtail	0	15	30
Green foxtail	0	15	30
Jimsonweed	100	100	75
Johnson grass	0	15	35
Morningglory	100	100	65
Nutsedge	0	0	15
Pigweed	100	85	90
Prickly sida	65	75	35
Ragweed	100	90	20
Shattercane	15	10	30
Signalgrass	0	0	35
Smartweed	100	100	25
Soybean	80	80	50
Sunflower	70	100	60
Velvetleaf	100	100	75
Wild proso	15	0	35
Wooly cup grass	15	0	30
Yellow foxtail	0	15	30

Table D Compound

Rate 35 g/ha 9

Preemergence

Barnyardgrass 55

Bindweed 20

Blk nightshade 100

Cassia 0

Cocklebur 30

Corn 0

Cotton 0

Crabgrass 55

Fall panicum 95

Giant foxtail 50

Green foxtail 50

Jimsonweed 10

Johnson grass 15

Morningglory 0

Nutsedge 0

Pigweed 100

Prickly sida 100

Ragweed 45

Shattercane 15

Signalgrass 0

Smartweed 100

Soybean 0

Sunflower 0

Velvetleaf 65

Wild proso 25

Yellow foxtail 40

Table D Compound

Rate 17 g/ha 4 8 9

Postemergence

Barnyardgrass 0 5 35

Bindweed 85 100 40

Blk nightshade 95 75 30

Cassia 80 75 55

Cocklebur 85 100 60

Corn 20 30 25

Cotton 100 100 100

crabgrass 0 5 15

Fall panicum 0 0 25

Giant foxtail 0 15 25

Green foxtail 0 15 25

Jimsonweed 100 95 70

Johnson grass 0 10 30

Morningglory 100 100 40

Nutsedge 0 0 15

Pigweed 90 75 90

Prickly sida 55 65 25

Ragweed 100 75 15

Shattercane 10 5 25

Signalgrass 0 0 30

Smartweed 100 90 25

Soybean 70 75 35

Sunflower 65 85 55

Velvetleaf 100 100 55

Wild proso 10 0 30

Wooly cup grass 10 0 25

Yellow foxtail 0 10 25

Table D	Compound
Rate 17 g/ha	9
Preemergence	
Barnyardgrass	15
Bindweed	0
Blk nightshade	100
Cassia	0
Cocklebur	15
Corn	0
Cotton	0
Crabgrass	15
Fall panicum	35
Giant foxtail	10
Green foxtail	10
Jimsonweed	0
Johnson grass	0
Morningglory	0
Nutsedge	0
Pigweed	100
Prickly sida	65
Ragweed	15
Shattercane	10
Signalgrass	0
Smartweed	100
Soybean	0
Sunflower	0
Velvetleaf	40
Wild proso	15
Yellow foxtail	10

Table D	Compound		
Rate 8 g/ha	4	8	9
Postemergence			
Barnyardgrass	0	0	30
Bindweed	70	80	35
Blk nightshade	60	70	25
Cassia	60	65	50
Cocklebur	55	80	20
Corn	20	20	25
Cotton	100	100	100
Crabgrass	0	0	10
Fall panicum	0	0	20
Giant foxtail	0	10	25
Green foxtail	0	10	20
Jimsonweed	100	80	65
Johnson grass	0	0	25
Morningglory	100	65	35
Nutsedge	0	0	0
Pigweed	65	70	85
Prickly sida	45	45	20
Ragweed	65	65	10
Shattercane	0	0	20
Signalgrass	0	0	25
Smartweed	55	80	20
Soybean	65	70	20
Sunflower	60	55	50
Velvetleaf	100	65	45
Wild proso	0	0	25
Wooly cup grass	5	0	20
Yellow foxtail	0	5	20

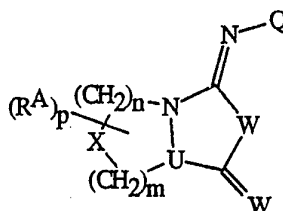
Table D	Compound
Rate 8 g/ha	9
Preemergence	
Barnyardgrass	0
Bindweed	0
Blk nightshade	100
Cassia	0
Cocklebur	0
Corn	0
Cotton	0
Crabgrass	0
Fall panicum	0
Giant foxtail	0
Green foxtail	0
Jimsonweed	0
Johnson grass	0
Morningglory	0
Nutsedge	0
Pigweed	90
Prickly sida	20
Ragweed	0
Shattercane	0
Signalgrass	0
Smartweed	55
Soybean	0
Sunflower	0
Velvetleaf	15
Wild proso	0
Yellow foxtail	0

Table D	Compound		
Rate 4 g/ha	4	8	9
Postemergence			
Barnyardgrass	0	0	15
Bindweed	25	65	15
Blk nightshade	40	60	20
Cassia	20	35	10
Cocklebur	45	65	15
Corn	15	15	20
Cotton	75	100	100
Crabgrass	0	0	0
Fall panicum	0	0	10
Giant foxtail	0	0	10
Green foxtail	0	0	10
Jimsonweed	100	65	10
Johnson grass	0	0	15
Morningglory	95	60	10
Nutsedge	0	0	0
Pigweed	55	55	10
Prickly sida	25	15	0
Ragweed	35	40	0
Shattercane	0	0	10
Signalgrass	0	0	15
Smartweed	0	60	15
Soybean	40	60	15
Sunflower	55	45	40
Velvetleaf	55	45	15
Wild proso	0	0	20
Wooly cup grass	0	0	15
Yellow foxtail	0	0	10

Table D	Compound	
Rate 2 g/ha	4	8
Postemergence		
Barnyardgrass	0	0
Bindweed	0	45
Blk nightshade	0	40
Cassia	10	10
Cocklebur	30	50
Corn	10	10
Cotton	-	100
Crabgrass	0	0
Fall panicum	0	0
Giant foxtail	0	0
Green foxtail	0	0
Jimsonweed	100	55
Johnson grass	0	0
Morningglory	35	40
Nutsedge	0	0
Pigweed	45	35
Prickly sida	0	0
Ragweed	30	25
Shattercane	0	0
Signalgrass	0	0
Smartweed	0	35
Soybean	10	15
Sunflower	35	20
Velvetleaf	45	20
Wild proso	0	0
Wooly cup grass	0	0
Yellow foxtail	0	0

CLAIMS

1. A compound of the formula



I

wherein:

X is selected from the group O, S, S(O), S(O)₂, CH₂, CHF, CF₂, CHCl, CHBr, CHOCH₂F, CHOCHF₂, CHOCF₃, CHOCH₂CF₃ and NR⁴;

10 m and n are independently 1 or 2, where m + n = 2 or 3;

p is 0 to 9;

U is N or CH;

W is independently O or S;

15 R^A is independently selected from the group halogen, C₁-C₄ alkyl, cyano, C₁-C₄ haloalkyl, C₃-C₄ alkenyl, C₁-C₃ alkylthio, C₁-C₃ haloalkylthio, C₂-C₄ alkylcarbonyl, OR³, C₂-C₆ alkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, and C₃-C₈ alkoxy carbonylalkyl; or, two R^A groups on the same carbon atom, together with this carbon, are C=O;

20 R³ is selected from the group hydrogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkylcarbonyl and C₂-C₄ haloalkylcarbonyl;

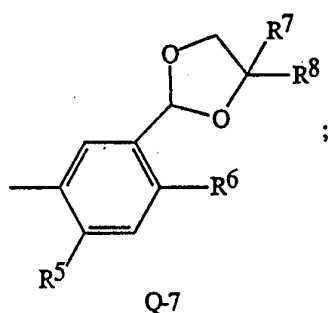
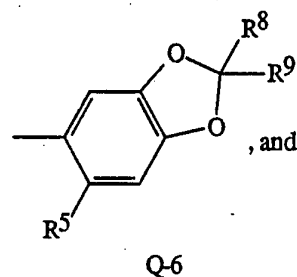
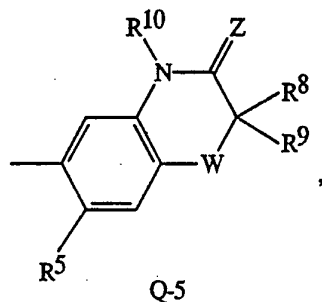
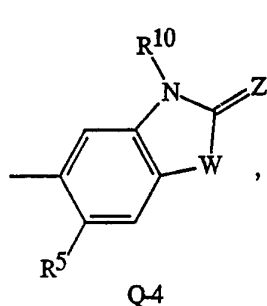
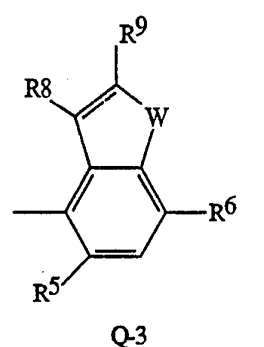
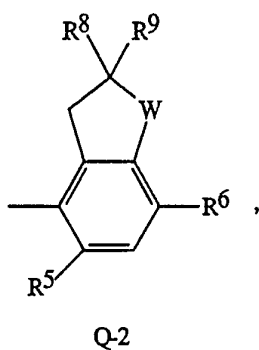
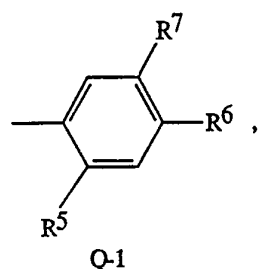
R⁴ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ haloalkoxy carbonyl and C₂-C₄ alkoxy carbonyl;

provided that:

- 25 i) when X is CH₂ and U is N, then p is 1 to 8 and at least one R^A is halogen; and
- ii) when X is CHOCH₂F, CHOCHF₂, CHOCF₃, or CHOCH₂CF₃, m and n are 1, and U is N, then p is 1 to 5 and at least one R^A is other than alkyl;

Q is selected from the group

68



Z is O or S;

R⁵ is hydrogen or halogen;

5 R⁶ is selected from the group C₁-C₂ alkyl, C₁-C₂ haloalkyl, OCH₃, SCH₃, OCHF₂, halogen, CN and NO₂;

R⁷ is selected from the group hydrogen, C₁-C₈ alkyl, C₁-C₈ haloalkyl, halogen, OR¹¹, SR¹¹, S(O)_qR¹¹, COR¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹²R¹³, CHO and NHSO₂NHR¹⁶;

10 R⁸ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and halogen;

R⁹ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and halogen; or, when Q is Q-2 or Q-6, R⁸ and R⁹ together with the carbon to which they are bonded is additionally selected from C=O;

15 R¹⁰ is selected from the group C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkoxyalkyl, C₃-C₆ alkenyl and C₃-C₆ alkynyl;

- R^{11} is selected from the group C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 alkenyl, C_3 - C_8 alkynyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkoxyalkyl, C_2 - C_8 alkylthioalkyl, C_2 - C_8 alkylsulphinylalkyl, C_2 - C_8 alkylsulphonylalkyl, C_3 - C_8 alkoxyalkoxyalkyl, C_4 - C_8 cycloalkylalkyl, C_2 - C_4 carboxyalkyl, C_3 - C_8 alkoxycarbonylalkyl, C_6 - C_8 alkenyloxycarbonylalkyl, C_6 - C_8 alkynyloxycarbonylalkyl, C_5 - C_8 alkoxycarbonylalkenyl, C_4 - C_8 alkenyloxyalkyl, C_6 - C_8 cycloalkoxyalkyl, C_4 - C_8 alkynyloxyalkyl, C_3 - C_8 haloalkoxyalkyl, C_4 - C_8 haloalkenyloxyalkyl, C_4 - C_8 haloalkynyloxyalkyl, C_4 - C_8 alkenylthioalkyl, C_4 - C_8 alkynylthioalkyl; C_1 - C_4 alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl and C_1 - C_3 haloalkyl, C_4 - C_8 trialkylsilylalkyl, C_3 - C_8 cyanoalkyl, C_3 - C_8 halocycloalkyl, C_3 - C_8 haloalkenyl, C_5 - C_8 alkoxyalkenyl, C_5 - C_8 haloalkoxyalkenyl, C_5 - C_8 alkylthioalkenyl, C_3 - C_8 haloalkynyl, C_5 - C_8 alkoxyalkynyl, C_5 - C_8 haloalkoxyalkynyl, C_5 - C_8 alkylthioalkynyl, C_2 - C_8 alkylcarbonyl; benzyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl and C_1 - C_3 haloalkyl; $CHR^{17}COR^{18}$, $CHR^{17}P(O)(OR^{18})_2$, $P(O)(OR^{18})_2$, $CHR^{17}P(S)(OR^{18})_2$, $CHR^{17}C(O)NR^{12}R^{13}$, $CHR^{17}C(O)NH_2$, phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_4 alkoxy and $CHR^{17}CH=NOR^{18}$;
- R^{12} and R^{14} are independently hydrogen or C_1 - C_4 alkyl;
- R^{13} and R^{15} are independently selected from the group C_1 - C_4 alkyl and phenyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and C_1 - C_4 alkoxy; or
- R^{12} and R^{13} are taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$, each independently forming a ring in which one or more H atoms is optionally replaced by at least one member independently selected from the group C_1 - C_3 alkyl, optionally substituted phenyl and optionally substituted benzyl; or
- R^{14} and R^{15} together with the carbon atom to which they are attached is C_3 - C_8 cycloalkyl;
- R^{16} is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl;
- R^{17} is hydrogen or C_1 - C_3 alkyl;
- R^{18} is selected from the group C_1 - C_6 alkyl, C_3 - C_6 alkenyl and C_3 - C_6 alkynyl; and
- q is 1 or 2.
2. A compound according to Claim 1, wherein:

X is selected from the group O, CHF, CF₂ and CHCl;

R^A is independently selected from the group fluorine, chlorine and bromine;

R⁵ is selected from the group hydrogen, fluorine and chlorine;

R⁶ is selected from the group chlorine, bromine and cyano;

5 R⁷ is selected from the group hydrogen, OR¹¹, CO₂R¹¹, C₁-C₂ alkyl and C₁-C₂ haloalkyl;

R¹⁰ is selected from the group C₁-C₂ alkyl, C₁-C₂ haloalkyl, C₃-C₄ alkenyl and C₃-C₄ alkynyl;

10 R¹¹ is selected from the group C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, C₁-C₄ haloalkyl, C₂-C₄ alkoxyalkyl, C₂-C₄ alkylthioalkyl, C₂-C₄ alkylsulphinylalkyl, C₂-C₄ alkylsulphonylalkyl, C₃-C₆ alkoxyalkoxy-alkyl, C₄-C₈ cycloalkylalkyl, C₂-C₄ carboxyalkyl, C₃-C₆ alkoxycarbonyl-alkyl, C₆-C₈ alkenyloxycarbonylalkyl, C₆-C₈ alkynyloxycarbonylalkyl, C₅-C₆ alkoxycarbonylalkenyl, C₆-C₈ cycloalkoxyalkyl, C₄-C₆ alkenyloxyalkyl,
15 C₄-C₆ alkynyloxyalkyl, C₃-C₆ haloalkoxyalkyl, C₄-C₈ haloalkenoxyalkyl, C₄-C₆ haloalkynyloxyalkyl, C₆-C₈ cycloalkylthioalkyl, C₄-C₆ alkenylthio-alkyl, C₄-C₆ alkynylthioalkyl; C₁-C₂ alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally substituted with at least one member independently selected from the group halogen, C₁-C₃alkyl
20 and C₁-C₃ haloalkyl; C₄-C₈ trialkylsilylalkyl, C₃-C₄ cyanoalkyl, C₃-C₆ halocycloalkyl, C₃-C₆ haloalkenyl, C₅-C₆ alkoxyalkenyl, C₅-C₆ haloalkoxy-alkenyl, C₅-C₆ alkylthioalkenyl, C₃-C₆ haloalkynyl, C₅-C₆ alkoxyalkynyl, C₅-C₆ haloalkoxyalkynyl, C₅-C₆ alkylthioalkynyl, C₂-C₄ alkylcarbonyl, benzyl optionally substituted with at least one member independently selected
25 from the group halogen, C₁-C₂ alkyl and C₁-C₂ haloalkyl; CHR¹⁷COR¹⁸, CHR¹⁷P(O)(OR¹⁸)₂, P(O)(OR¹⁸)₂, CHR¹⁷P(S)(OR¹⁸)₂, CHR¹⁷C(O)NR¹²R¹³, CHR¹⁷C(O)NH₂, phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with at least one member independently selected from the group fluorine, chlorine, bromine, C₁-C₂ alkylhaloalkyl and C₁-C₂ alkoxy;

30 R¹² is hydrogen or C₁-C₂ alkyl;

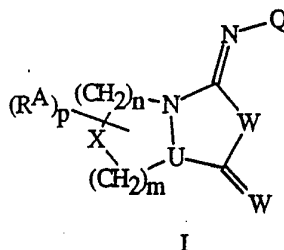
R¹³ is selected from the group C₁-C₂ alkyl and phenyl optionally substituted with at least one member selected from the group fluorine, chlorine, bromine, C₁-C₂ alkyl, C₁-C₂ haloalkyl and C₁-C₂ alkoxy; or

35 R¹² and R¹³ are taken together as -(CH₂)₅-, -(CH₂)₄- or -CH₂CH₂OCH₂CH₂-, each independently forming a ring in which one or more H atoms is optionally and independently replaced by C₁-C₂ alkyl;

R¹⁷ is hydrogen or C₁-C₂ alkyl; and

R^{18} is selected from the group C_1 - C_2 alkyl, C_3 - C_4 alkenyl and C_3 - C_4 alkynyl.

3. A process for making a compound of Formula I



5

wherein:

X is selected from the group O, S, S(O), S(O)₂, CH₂, CHF, CF₂, CHCl, CHBr, CHOCH₂F, CHOCH₂F, CHOCH₂CF₃ and NR⁴;

m and n are independently 1 or 2, where m + n = 2 or 3;

10

p is 0 to 9;

U is N or CH;

W is independently O or S;

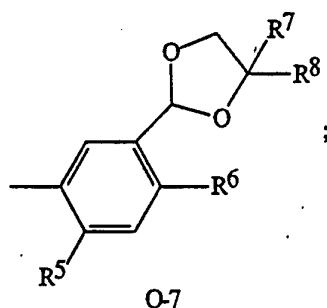
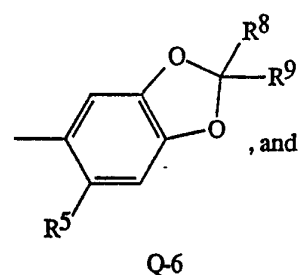
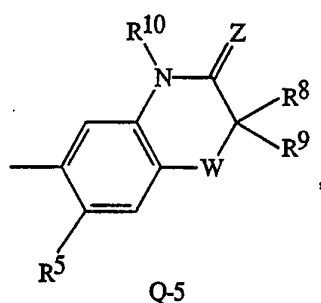
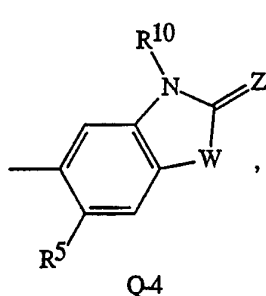
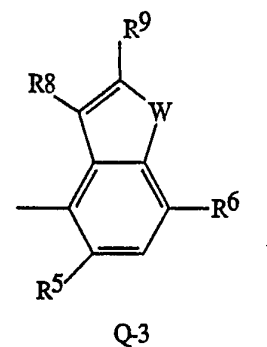
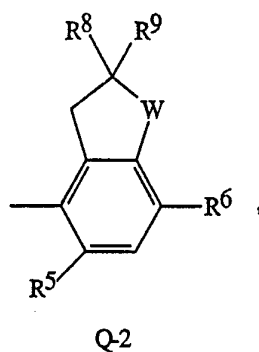
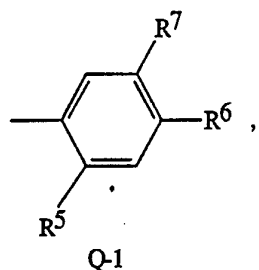
15 R^A is independently selected from the group halogen, C_1 - C_4 alkyl, cyano, C_1 - C_4 haloalkyl, C_3 - C_4 alkenyl, C_1 - C_3 alkylthio, C_1 - C_3 haloalkylthio, C_2 - C_4 alkylcarbonyl, OR³, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 haloalkoxy carbonyl, and C_3 - C_8 alkoxy carbonylalkyl; or, two R^A groups on the same carbon atom, together with this carbon, are C=O;

R^3 is selected from the group hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkylcarbonyl and C_2 - C_4 haloalkylcarbonyl;

20

R^4 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_4 haloalkoxy carbonyl and C_2 - C_4 alkoxy carbonyl;

Q is selected from the group



Z is O or S;

5 R⁵ is hydrogen or halogen;

R⁶ is selected from the group C₁-C₂ alkyl, C₁-C₂ haloalkyl, OCH₃, SCH₃, OCHF₂, halogen, CN and NO₂;

10 R⁷ is selected from the group hydrogen, C₁-C₈ alkyl, C₁-C₈ haloalkyl, halogen, OR¹¹, SR¹¹, S(O)_qR¹¹, COR¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹²R¹³, CHO and NHSO₂NHR¹⁶;

R⁸ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and halogen;

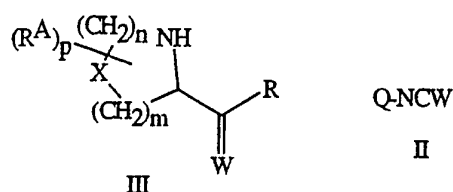
15 R⁹ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and halogen; or, when Q is Q-2 or Q-6, R⁸ and R⁹ together with the carbon to which they are bonded is additionally selected from C=O;

R¹⁰ is selected from the group C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkoxyalkyl, C₃-C₆ alkenyl and C₃-C₆ alkynyl;

- R^{11} is selected from the group C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 alkenyl,
 C_3 - C_8 alkynyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkoxyalkyl, C_2 - C_8 alkylthioalkyl,
 C_2 - C_8 alkylsulphinylalkyl, C_2 - C_8 alkylsulphonylalkyl, C_3 - C_8 alkoxyalkoxy-
 alkyl, C_4 - C_8 cycloalkylalkyl, C_2 - C_4 carboxyalkyl, C_3 - C_8 alkoxycarbonyl-
 alkyl, C_6 - C_8 alkenyloxycarbonylalkyl, C_6 - C_8 alkynyloxycarbonylalkyl, C_5 - C_8
 alkoxycarbonylalkenyl, C_4 - C_8 alkenyloxyalkyl, C_6 - C_8 cycloalkoxyalkyl,
 C_4 - C_8 alkynyloxyalkyl, C_3 - C_8 haloalkoxyalkyl, C_4 - C_8 haloalkenyloxyalkyl,
 C_4 - C_8 haloalkynyloxyalkyl, C_4 - C_8 alkenylthioalkyl, C_4 - C_8 alkynylthioalkyl;
 C_1 - C_4 alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and
 benzyloxy optionally substituted with at least one member independently
 selected from the group halogen, C_1 - C_3 alkyl and C_1 - C_3 haloalkyl, C_4 - C_8
 trialkylsilylalkyl, C_3 - C_8 cyanoalkyl, C_3 - C_8 halocycloalkyl, C_3 - C_8 haloalkenyl,
 C_5 - C_8 alkoxyalkenyl, C_5 - C_8 haloalkoxyalkenyl, C_5 - C_8 alkylthioalkenyl,
 C_3 - C_8 haloalkynyl, C_5 - C_8 alkoxyalkynyl, C_5 - C_8 haloalkoxyalkynyl, C_5 - C_8
 alkylthioalkynyl, C_2 - C_8 alkylcarbonyl; benzyl optionally substituted with at
 least one member independently selected from the group halogen, C_1 - C_3
 alkyl and C_1 - C_3 haloalkyl; $CHR^{17}COR^{18}$, $CHR^{17}P(O)(OR^{18})_2$,
 $P(O)(OR^{18})_2$, $CHR^{17}P(S)(OR^{18})_2$, $CHR^{17}C(O)NR^{12}R^{13}$, $CHR^{17}C(O)NH_2$,
 phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with
 at least one member independently selected from the group halogen, C_1 - C_3
 alkyl, C_1 - C_3 haloalkyl, C_1 - C_4 alkoxy and $CHR^{17}CH=NOR^{18}$;
 R^{12} and R^{14} are independently hydrogen or C_1 - C_4 alkyl;
 R^{13} and R^{15} are independently selected from the group C_1 - C_4 alkyl and phenyl
 optionally substituted with at least one member independently selected from
 the group halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and C_1 - C_4 alkoxy; or
 R^{12} and R^{13} are taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$,
 each independently forming a ring in which one or more H atoms is
 optionally replaced by at least one member independently selected from the
 group C_1 - C_3 alkyl, optionally substituted phenyl and optionally substituted
 benzyl; or
 R^{14} and R^{15} together with the carbon atom to which they are attached is C_3 - C_8
 cycloalkyl;
 R^{16} is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl;
 R^{17} is hydrogen or C_1 - C_3 alkyl;
 R^{18} is selected from the group C_1 - C_6 alkyl, C_3 - C_6 alkenyl and C_3 - C_6
 alkynyl; and
 q is 1 or 2,

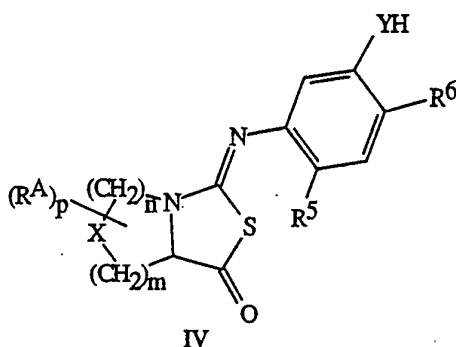
comprising one of the following steps:

- a) reacting a compound of Formula II with a compound of Formula III,

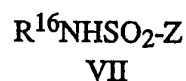
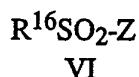


wherein R = hydroxy, C₁-C₄ alkoxy, halogen or O-active ester, optionally in the presence of a solvent;

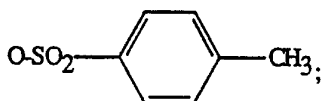
- b) reacting a compound of Formula IV,



wherein Y is selected from the group O, S and NH, with a compound of Formula V, VI or VII,



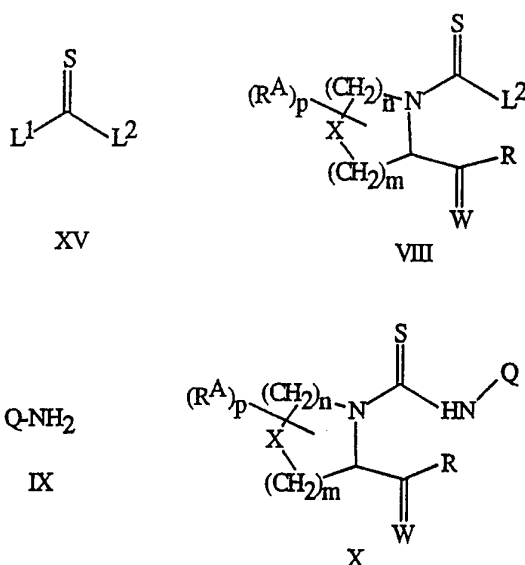
wherein Z is selected from the group chlorine, bromine, iodine, O-SO₂CH₃, O-SO₂CF₃, O-SO₂-Ph, and



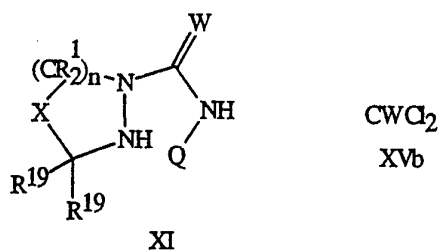
c) reacting a compound of Formula III first with a compound of Formula XV,

wherein L¹ and L² are independently selected leaving groups, to form a compound of Formula VIII, then, with a compound of Formula IX to form a compound of Formula X,

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- 5 and cyclizing the compound of Formula X; and
- d) reacting a compound of Formula XI with a compound of Formula XVb optionally in the presence of a diluent and optionally in the presence of an acid-acceptor,



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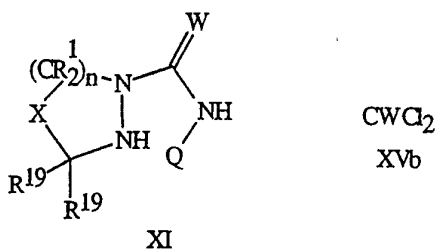
wherein

R^1 is independently H or R^A ; and

R^{19} is independently selected from the group H, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, and C_3 - C_4 alkenyl.

15

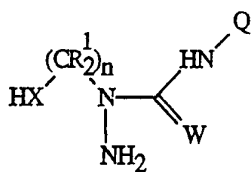
4. Process for making a compound of Formula XI



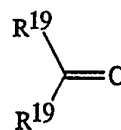
comprising reacting a compound of Formula XIII with a compound of Formula XII

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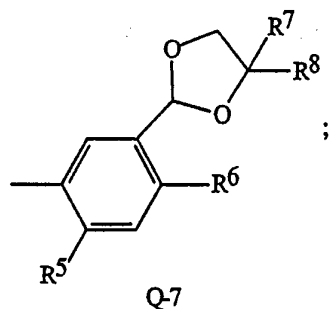
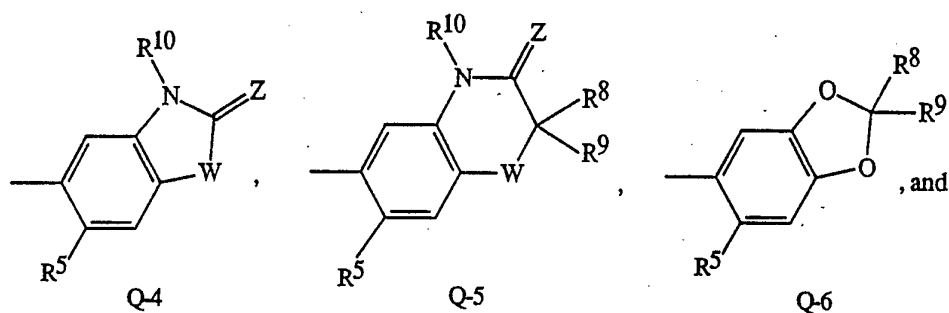
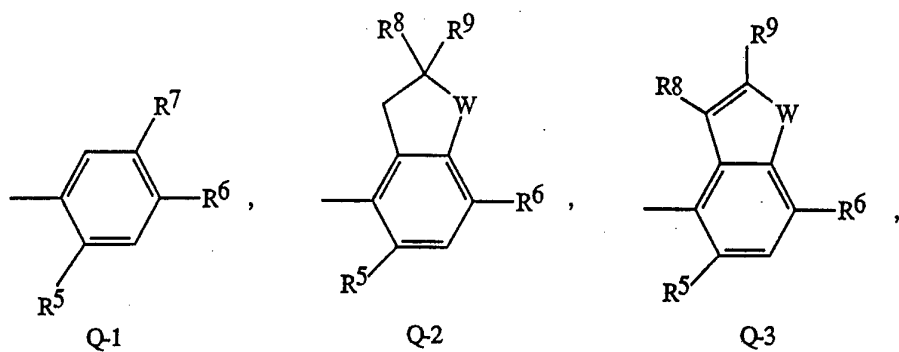
XIII



XII

optionally in the presence of a diluent and optionally in the presence of an acid acceptor;
wherein:

- 5 X is selected from the group O, S, and NR⁴;
n is independently 1 or 2;
W is independently O or S;
R¹ is independently H or R^A;
R^A is independently selected from the group halogen, C₁-C₄ alkyl, cyano, C₁-C₄ haloalkyl, C₃-C₄ alkenyl, C₁-C₃ alkylthio, C₁-C₃ haloalkylthio, C₂-C₄ alkylcarbonyl, OR³, C₂-C₆ alkyloxycarbonyl, C₂-C₆ haloalkoxycarbonyl, and C₃-C₈ alkoxy carbonylalkyl; or, two R^A groups on the same carbon atom, together with this carbon, are C=O;
- 10 R³ is selected from the group hydrogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₄ alkylcarbonyl and C₂-C₄ haloalkylcarbonyl;
- 15 R⁴ is selected from the group hydrogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ haloalkoxycarbonyl and C₂-C₄ alkoxy carbonyl;
- Q is selected from the group



Z is O or S;

5 R^5 is hydrogen or halogen;

R^6 is selected from the group C_1 - C_2 alkyl, C_1 - C_2 haloalkyl, OCH_3 , SCH_3 , $OCHF_2$, halogen, CN and NO_2 ;

10 R^7 is selected from the group hydrogen, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halogen, OR^{11} , SR^{11} , $S(O)_qR^{11}$, COR^{11} , CO_2R^{11} , $C(O)SR^{11}$, $C(O)NR^{12}R^{13}$, CHO and $NHSO_2NHR^{16}$;

R^8 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen;

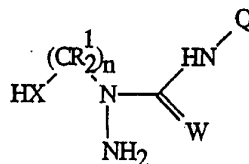
15 R^9 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen; or, when Q is Q-2 or Q-6, R^8 and R^9 together with the carbon to which they are bonded is additionally selected from $C=O$;

R^{10} is selected from the group C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkoxyalkyl, C_3 - C_6 alkenyl and C_3 - C_6 alkynyl;

- R^{11} is selected from the group C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ alkenyl,
 C₃-C₈ alkynyl, C₁-C₈ haloalkyl, C₂-C₈ alkoxyalkyl, C₂-C₈ alkylthioalkyl,
 C₂-C₈ alkylsulphinylalkyl, C₂-C₈ alkylsulphonylalkyl, C₃-C₈
 alkoxyalkoxyalkyl, C₄-C₈ cycloalkylalkyl, C₂-C₄ carboxyalkyl, C₃-C₈
 alkoxycarbonylalkyl, C₆-C₈ alkenyloxycarbonylalkyl, C₆-C₈
 alkynyloxycarbonylalkyl, C₅-C₈ alkoxycarbonylalkenyl, C₄-C₈
 alkenyloxyalkyl, C₆-C₈ cycloalkoxyalkyl, C₄-C₈ alkynyloxyalkyl, C₃-C₈
 haloalkoxyalkyl, C₄-C₈ haloalkenyloxyalkyl, C₄-C₈ haloalkynyloxyalkyl,
 C₄-C₈ alkenylthioalkyl, C₄-C₈ alkynylthioalkyl; C₁-C₄ alkyl substituted with
 phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally
 substituted with at least one member independently selected from the group
 halogen, C₁-C₃ alkyl and C₁-C₃ haloalkyl, C₄-C₈ trialkylsilylalkyl, C₃-C₈
 cyanoalkyl, C₃-C₈ halocycloalkyl, C₃-C₈ haloalkenyl, C₅-C₈ alkoxyalkenyl,
 C₅-C₈ haloalkoxyalkenyl, C₅-C₈ alkylthioalkenyl, C₃-C₈ haloalkynyl, C₅-C₈
 alkoxyalkynyl, C₅-C₈ haloalkoxyalkynyl, C₅-C₈ alkylthioalkynyl, C₂-C₈
 alkylcarbonyl; benzyl optionally substituted with at least one member
 independently selected from the group halogen, C₁-C₃ alkyl and C₁-C₃
 haloalkyl; $CHR^{17}COR^{18}$, $CHR^{17}P(O)(OR^{18})_2$, $P(O)(OR^{18})_2$,
 $CHR^{17}P(S)(OR^{18})_2$, $CHR^{17}C(O)NR^{12}R^{13}$, $CHR^{17}C(O)NH_2$, phenyl and
 pyridyl, each of the phenyl and pyridyl optionally substituted with at least one
 member independently selected from the group halogen, C₁-C₃ alkyl, C₁-C₃
 haloalkyl, C₁-C₄ alkoxy, and $CHR^{17}CH=NOR^{18}$;
 R^{12} and R^{14} are independently hydrogen or C₁-C₄ alkyl;
 R^{13} and R^{15} are independently selected from the group C₁-C₄ alkyl and phenyl
 optionally substituted with at least one member independently selected from
 the group halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl and C₁-C₄ alkoxy; or
 R^{12} and R^{13} are taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$,
 each independently forming a ring in which one or more H atoms is
 optionally replaced by at least one member independently selected from the
 group C₁-C₃ alkyl, optionally substituted phenyl and optionally substituted
 benzyl; or
 R^{14} and R^{15} together with the carbon atom to which they are attached is C₃-C₈
 cycloalkyl;
 R^{16} is C₁-C₄ alkyl or C₁-C₄ haloalkyl;
 R^{17} is hydrogen or C₁-C₃ alkyl;
 R^{18} is selected from the group C₁-C₆ alkyl, C₃-C₆ alkenyl and C₃-C₆ alkynyl;
 R^{19} is independently selected from the group H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, and
 C₃-C₄ alkenyl; and

q is 1 or 2.

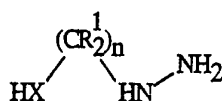
5. Process for making a compound of Formula XIII



XIII

5

comprising reacting QNCW with the hydrazine XIV,



XIV

10 optionally in the presence of a diluent and an acid-acceptor,
wherein:

R^1 is independently H or R^A ;

X is selected from the group O, S, and NR^4 ;

n is 2;

15 W is independently O or S;

R^A is independently selected from the group halogen, C_1 - C_4 alkyl, cyano, C_1 - C_4 haloalkyl, C_3 - C_4 alkenyl, C_1 - C_3 alkylthio, C_1 - C_3 haloalkylthio, C_2 - C_4 alkylcarbonyl, OR^3 , C_2 - C_6 alkoxy carbonyl, C_2 - C_6 haloalkoxy carbonyl, and C_3 - C_8 alkoxy carbonylalkyl; or, two R^A groups on the same carbon atom, together with this carbon, are $C=O$;

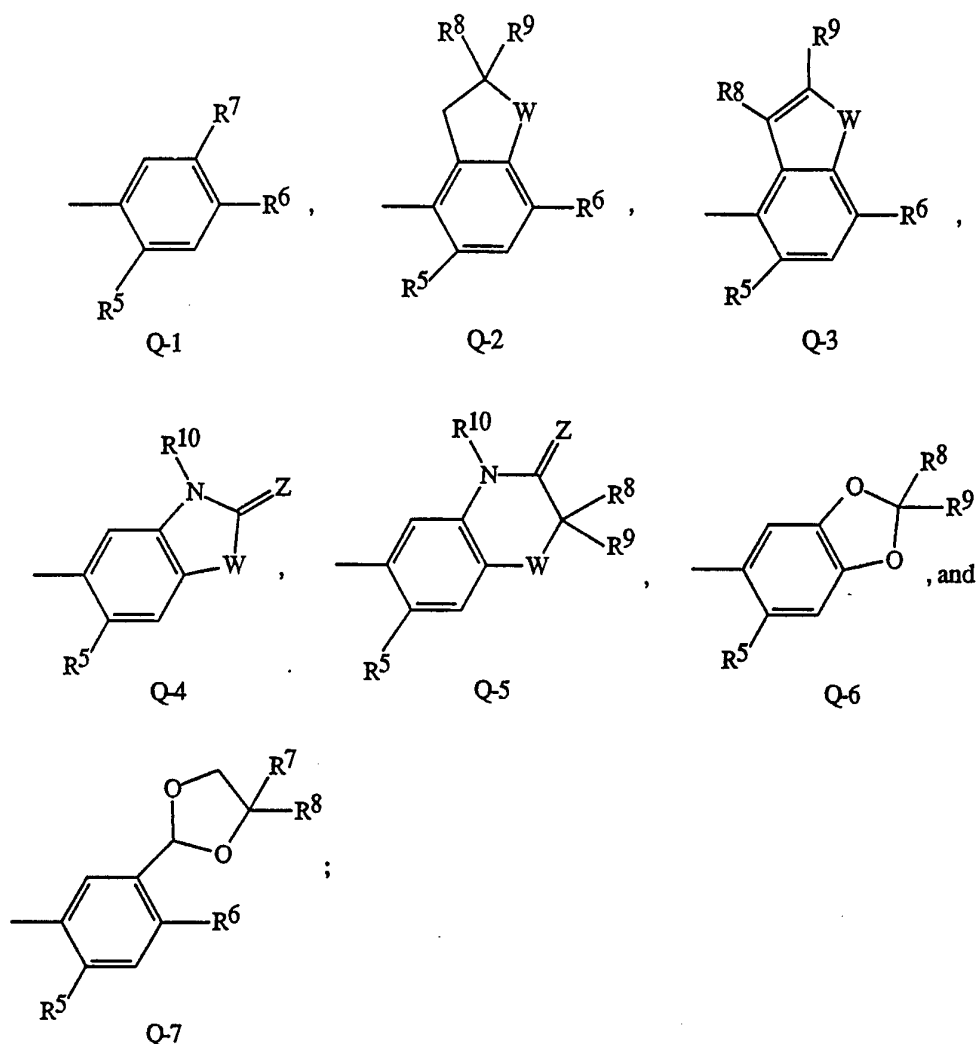
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R^3 is selected from the group hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkylcarbonyl and C_2 - C_4 haloalkylcarbonyl;

R^4 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_4 haloalkoxy carbonyl and C_2 - C_4 alkoxy carbonyl;

25

Q is selected from the group



Z is O or S;

5 R^5 is hydrogen or halogen;

R^6 is selected from the group C_1 - C_2 alkyl, C_1 - C_2 haloalkyl, OCH_3 , SCH_3 , $OCHF_2$, halogen, CN and NO_2 ;

10 R^7 is selected from the group hydrogen, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halogen, OR^{11} , SR^{11} , $S(O)_qR^{11}$, COR^{11} , CO_2R^{11} , $C(O)SR^{11}$, $C(O)NR^{12}R^{13}$, CHO and $NHSO_2NHR^{16}$;

R^8 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen;

15 R^9 is selected from the group hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and halogen; or, when Q is Q-2 or Q-6, R^8 and R^9 together with the carbon to which they are bonded is additionally selected from $C=O$;

R^{10} is selected from the group C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkoxyalkyl, C_3 - C_6 alkenyl and C_3 - C_6 alkynyl;

- R^{11} is selected from the group C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 alkenyl, C_3 - C_8 alkynyl, C_1 - C_8 haloalkyl, C_2 - C_8 alkoxyalkyl, C_2 - C_8 alkylthioalkyl, C_2 - C_8 alkylsulphinylalkyl, C_2 - C_8 alkylsulphonylalkyl, C_3 - C_8 alkoxyalkoxyalkyl, C_4 - C_8 cycloalkylalkyl, C_2 - C_4 carboxyalkyl, C_3 - C_8 alkoxycarbonylalkyl, C_6 - C_8 alkenyloxycarbonylalkyl, C_6 - C_8 alkynyloxycarbonylalkyl, C_5 - C_8 alkoxycarbonylalkenyl, C_4 - C_8 alkenyloxyalkyl, C_6 - C_8 cycloalkoxyalkyl, C_4 - C_8 alkynyloxyalkyl, C_3 - C_8 haloalkoxyalkyl, C_4 - C_8 haloalkenyloxyalkyl, C_4 - C_8 haloalkynyloxyalkyl, C_4 - C_8 alkenylthioalkyl, C_4 - C_8 alkynylthioalkyl; C_1 - C_4 alkyl substituted with phenoxy or benzyloxy, each of the phenoxy and benzyloxy optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl and C_1 - C_3 haloalkyl, C_4 - C_8 trialkylsilylalkyl, C_3 - C_8 cyanoalkyl, C_3 - C_8 halocycloalkyl, C_3 - C_8 haloalkenyl, C_5 - C_8 alkoxyalkenyl, C_5 - C_8 haloalkoxyalkenyl, C_5 - C_8 alkylthioalkenyl, C_3 - C_8 haloalkynyl, C_5 - C_8 alkoxyalkynyl, C_5 - C_8 haloalkoxyalkynyl, C_5 - C_8 alkylthioalkynyl, C_2 - C_8 alkylcarbonyl; benzyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl and C_1 - C_3 haloalkyl; $CHR^{17}COR^{18}$, $CHR^{17}P(O)(OR^{18})_2$, $P(O)(OR^{18})_2$, $CHR^{17}P(S)(OR^{18})_2$, $CHR^{17}C(O)NR^{12}R^{13}$, $CHR^{17}C(O)NH_2$, phenyl and pyridyl, each of the phenyl and pyridyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_4 alkoxy and $CHR^{17}CH=NOR^{18}$;
- R^{12} and R^{14} are independently hydrogen or C_1 - C_4 alkyl;
- R^{13} and R^{15} are independently selected from the group C_1 - C_4 alkyl and phenyl optionally substituted with at least one member independently selected from the group halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl and C_1 - C_4 alkoxy; or
- R^{12} and R^{13} are taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$, each independently forming a ring in which one or more H atoms is optionally replaced by at least one member independently selected from the group C_1 - C_3 alkyl, optionally substituted phenyl and optionally substituted benzyl; or
- R^{14} and R^{15} together with the carbon atom to which they are attached is C_3 - C_8 cycloalkyl;
- R^{16} is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl;
- R^{17} is hydrogen or C_1 - C_3 alkyl;
- R^{18} is selected from the group C_1 - C_6 alkyl, C_3 - C_6 alkenyl and C_3 - C_6 alkynyl; and
- q is 1 or 2.

6. A composition comprising an herbicidally effective amount of a compound according to Claim 1 and a carrier therefor.

7. A method of controlling unwanted vegetation comprising applying to the unwanted vegetation or the environment in which it is grown an herbicidally effective
5 amount of a compound according to Claim 1.

INTERNATIONAL SEARCH REPORT

Int. l. Application No

PCT/US 94/09522

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D273/04 C07D513/04 C07C281/06 C07C337/06 A01N43/90
 //(C07D513/04,285:00,273:00),(C07D513/04,277:00,209:00)

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D C07C A01N

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

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US,A,4 514 419 (P. A. CRUICKSHANK ET AL) 30 April 1985 see example 1; table 1 ---	5
X	US,A,5 108 486 (K. KONDO ET AL) 28 April 1992 see column 13; example 1 ---	5
P,X	DE,A,42 36 220 (DEGUSSA) 28 April 1994 see claim 8 ---	4,5
A	EP,A,0 238 711 (KUMIAI CHEMICAL INDUSTRIES) 30 September 1987 cited in the application see claims 1,9 --- -/--	1,6



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
 "E" earlier document but published on or after the international filing date
 "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
 "O" document referring to an oral disclosure, use, exhibition or other means
 "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
 "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
 "&" document member of the same patent family

Date of the actual completion of the international search

20 December 1994

Date of mailing of the international search report

29. 12. 94

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INTERNATIONAL SEARCH REPORT

Int. Application No

PCT/US 94/09522

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	PHARMAZIE., vol.46, no.8, 1991, BERLIN DD pages 573 - 575 A. KLEMMANN ET AL 'N,N-Verknüpfte Heterobicyclen aus cyclischen Hydrazin-Derivaten' see compound 6 ---	1
A	WO,A,92 21684 (CIBA-GEIGY) 10 December 1992 cited in the application see page 1; claim 1 ---	1,6
P,A	EP,A,0 600 833 (CIBA-GEIGY) 8 June 1994 cited in the application see claims 1,19 -----	1,6

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 94/ 09522

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.: 1,3,6,7 (searched incompletely)
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
As the drafting of the claims is not clear and concise (Art.6 PCT) and encompasses such an enormous amount of products, a complete search is not possible on economic grounds. (See Art. 17(2)(a)(ii), PCT). Guided by the spirit of the application and the inventive concept as disclosed in the descriptive part of the present application the search has been based on the examples.
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 94/09522

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EP-A-0238711	30-09-87	US-E- RE33745 US-A- 4816063	19-11-91 28-03-89
WO-A-9221684	10-12-92	AU-A- 1750992 BR-A- 9206101 JP-T- 6507607	08-01-93 02-08-94 01-09-94
EP-A-0600833	08-06-94	BR-A- 9304865 CA-A- 2109994	31-05-94 28-05-94