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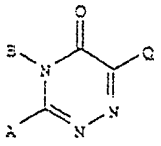

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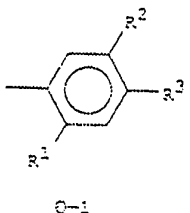
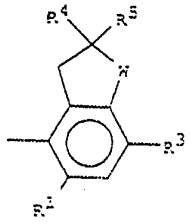
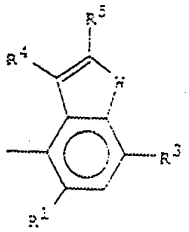


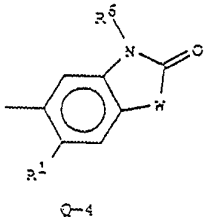
Q-1



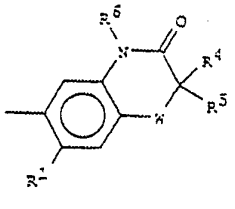
Q-2



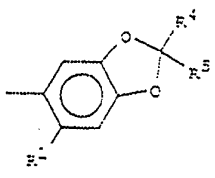
Q-3



Q-4



Q-5



Q-6

(57) Abstract

Substituted triazinones of formula (I) wherein Q is selected from the group: (Q-1, Q-2, Q-3, Q-4, Q-5 and Q-6); A is selected from alkyl, haloalkyl, alkenyl, alkynyl, OR^{16}, SR^{16} and halogen; B is selected from alkyl, haloalkyl, alkenyl and alkynyl; A and B can be taken together as X-Y-Z to form a fused ring such that X is connected to nitrogen and Z is connected to carbon; X is selected from the group CHR^{17}, CH_2CH_2 and $CR^{17}=CR^{18}$; Y is selected from the group CHR^{19}, $CR^{19}=CR^{20}$, $CHR^{19}CHR^{20}$, NR^{21}, O and $S(O)_n$; Z is selected from the group CHR^{22}, CH_2CH_2, $CR^{22}=CR^{23}$, NR^{21}, O and $S(O)_n$; n is 0, 1 or 2; R^1 is halogen; are useful as herbicides and/or plant growth regulants.

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TITLE

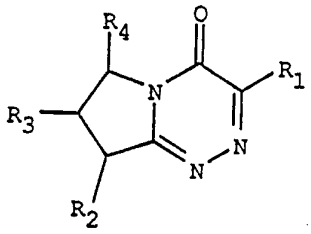
HERBICIDAL TRIAZINONES

BACKGROUND OF THE INVENTION

This invention relates to certain substituted fused heterocyclic compounds which are useful as herbicides and their agriculturally suitable compositions as well as methods for their use as general or selective preemergent or postemergent herbicides or as plant growth regulants.

New compounds effective for controlling the growth of undesired vegetation are in constant demand. In the most common situation, such compounds are sought to selectively control the growth of weeds in useful crops such as cotton, rice, corn, wheat, citrus and soybeans, to name a few. Unchecked weed growth in such crops (including plantation crops) can cause significant losses, reducing profit to the farmer and increasing costs to the consumer. In other situations, herbicides are desired which will control all plant growth. Examples of areas in which complete control of all vegetation is desired are areas around railroad tracks, storage tanks and industrial storage areas. There are many products commercially available for these purposes, but the search continues for products which are more effective, less costly and environmentally safe.

DE 3,340,026 discloses herbicides of the formula



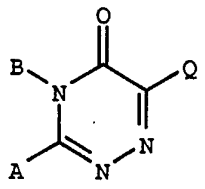
wherein

R_1 is H, C_1-C_8 alkyl (optionally substituted by halogen, CN, OH and/or C_1-C_4 alkoxy), C_3-C_8 cycloalkyl (optionally substituted by alkyl, halogen and/or phenyl), an aromatic or aromatic-aliphatic residue (optionally substituted by C_1-C_4 alkyl, halogen, C_1-C_4 alkoxy, NO_2 and/or CF_3), or a heterocyclic hydrocarbon residue; and

R_2, R_3 and R_4 are H, C_1-C_6 alkyl (optionally substituted by halogen) or aryl (optionally substituted by C_1-C_6 alkyl, halogen, C_1-C_4 alkoxy, NO_2 and/or CF_3).

SUMMARY OF THE INVENTION

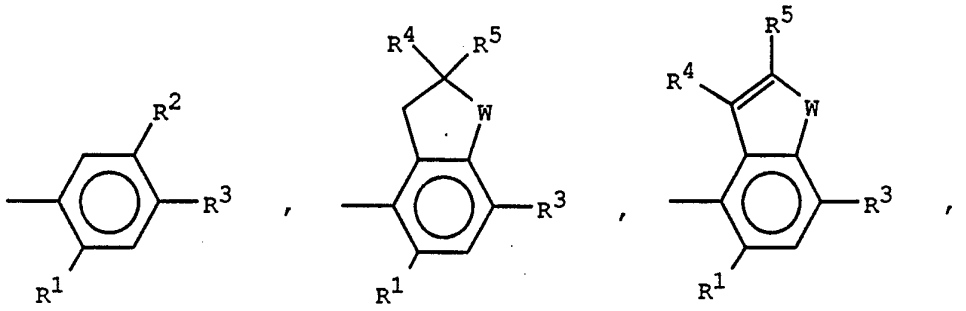
This invention comprises novel compounds of Formula I, agriculturally suitable compositions containing them, and their method-of-use as preemergent and/or postemergent herbicides and/or plant growth regulants. The compounds of Formula I are:



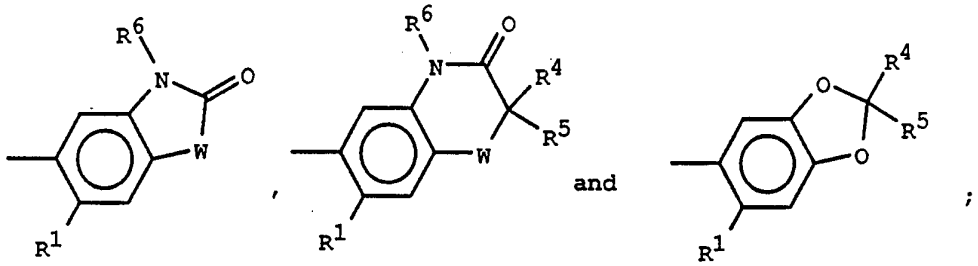
I

wherein

Q is selected from the group:



Q-1 Q-2 Q-3



Q-4 Q-5 Q-6

A is selected from the group C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, OR^{16}, SR^{16} and halogen;

B is selected from the group C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_4 alkenyl and C_3-C_4 alkynyl;

A and B can be taken together as X-Y-Z to form a fused ring such that X is connected to nitrogen and Z is connected to carbon;

X is selected from the group CHR^{17}, CH_2CH_2 and $CR^{17}=CR^{18}$;

Y is selected from the group CHR^{19}, $CR^{19}=CR^{20}$, $CHR^{19}CHR^{20}$, NR^{21}, O and $S(O)_n$;

Z is selected from the group CHR^{22}, CH_2CH_2, $CR^{22}=CR^{23}$, NR^{21}, O and $S(O)_n$;

R^1 is halogen;

R^2 is selected from the group H, C_1-C_8 alkyl, C_1-C_8 haloalkyl, halogen, OH, OR^7, SH, $S(O)_nR^7$, COR^7, CO_2R^7, $C(O)SR^7$, $C(O)NR^9R^{10}$, CHO, $CR^{24}=NOR^{25}$, $CH=CR^{28}CO_2R^7$, $CH_2CHR^{28}CO_2R^7$, $CO_2N=CR^{11}R^{12}$, NO_2, CN, $NHSO_2R^{13}$, $NHSO_2NHR^{13}$, NR^7R^{26}, NH_2 and phenyl optionally substituted with R^{27};

R^3 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;

R^4 is selected from the group H, C_1-C_3 alkyl and halogen;

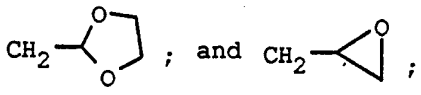
R^5 is selected from the group H, C_1-C_3 alkyl, halogen, C_1-C_3 haloalkyl, cyclopropyl, C_2 alkenyl, C_2 alkynyl, CN, $C(O)R^{29}$, CO_2R^{29}, $CONR^{29}R^{30}$, $CR^{31}R^{32}C(O)R^{29}$, $CR^{31}R^{32}CO_2R^{29}$, $CR^{31}R^{32}CONR^{29}R^{30}$, $CHR^{31}OH$, $CHR^{31}OC(O)R^{29}$ and $OCHR^{31}OC(O)NR^{29}R^{30}$;

when Q is Q-2 or Q-6, then R^4 and R^5 together with the carbon to which they are attached can be C=O;

R^6 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^7 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 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxyalkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_4-C_8 alkenoxyalkyl; C_4-C_8 alkynoxyalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxyalkyl; C_4-C_8 haloalkenoxyalkyl; C_4-C_8 haloalkynoxyalkyl; C_6-C_8 cycloalkylthioalkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy

or benzyloxy, each ring optionally substituted with a member 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 alkyl carbonyl; benzyl optionally substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl; $CHR^{14}COR^8$; $CHR^{14}P(O)(OR^8)_2$; $CHR^{14}P(S)(OR^8)_2$; $CHR^{14}C(O)NR^9R^{10}$; $CHR^{14}C(O)NH_2$, $CHR^{33}CO_2R^{34}$; CO_2R^{34}; SO_2R^{34}; phenyl optionally substituted with R^{27};



R^8 is selected from the group C_1-C_6 alkyl, C_2-C_6 alkenyl and C_2-C_6 alkynyl;

R^9 and R^{11} are independently selected from the group H and C_1-C_4 alkyl;

R^{10} and R^{12} are independently selected from the group C_1-C_4 alkyl and phenyl optionally substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl;

R^9 and R^{10} can be taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$, each ring optionally and independently substituted with one or more members selected from the group C_1-C_3 alkyl, phenyl and benzyl;

R^{11} and R^{12} can be taken together with the carbon to which they are attached to form C_3-C_8 cycloalkyl;

R^{13} is selected from the group C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{14} is selected from the group H and C_1-C_4 alkyl;

R^{16} is selected from the group C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{17}, R^{18}, R^{19}, R^{20}, R^{22} and R^{23} are independently selected from the group H, halogen, C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{21} is selected from the group H, C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{24} is selected from the group H and C_1-C_4 alkyl;

R^{25} is selected from the group H, C_1-C_6 alkyl, C_3-C_6 alkenyl and C_3-C_6 alkynyl;

R^{26} is selected from the group H and C_1-C_4 alkyl;

R^{27} 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;

R^{28} is selected from the group H, C_1-C_4 alkyl and halogen;

R^{29}, R^{30}, R^{31} and R^{32} are independently selected from the group H and C_1-C_3 alkyl;

R^{33} is selected from the group H and C_1-C_4 alkyl;

R^{34} is selected from the group C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl or C_3-C_6 alkynyl; and

W is selected from the group O and S;

and their agriculturally suitable salts,

provided that

1) the sum of atoms in the backbone of the moiety of the fused ring formed by X, Y and Z is no greater than 5 and only one of Y and Z can be other than a carbon containing link;

2) when R^2 is CO_2R^7, $C(O)SR^7$, $CH{=}CR^{28}CO_2R^7$ or $CH_2CHR^{28}CO_2R^7$ then R^7 is other than C_1 haloalkyl, and when R^7 is $CHR^{33}CO_2R^{34}$ or CO_2R^{34} then R^{34} is other than C_1 haloalkyl;

3) when X is CHR^{17}, Y is CHR^{19} and Z is CHR^{22} then at least one of R^{17}, R^{19} and R^{22} is halogen;

4) when A and B are other than taken together as X-Y-Z and Q is Q-1 then R^2 is OR^7 and R^7 is C_3-C_8 alkynyl; and

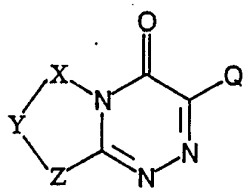
5) when Z is NR^{21}, O or S and Q is Q-1 then R^2 is OR^7 and R^7 is C_3-C_8 alkynyl.

In the above definitions, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight chain or branched alkyl, e.g., methyl, ethyl, *n*-propyl, isopropyl or the different butyl isomers. Alkoxy includes methoxy, ethoxy, *n*-propyloxy, isopropyloxy, the different butoxy isomers, etc. Alkenyl and alkynyl include straight chain or branched alkenes and alkynes, e.g., 1-propenyl, 2-propenyl, 3-propenyl and the different butenyl isomers. Cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, etc. The term "halogen", either alone or in compound words such as "haloalkyl", means fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl" said alkyl may be partially or fully substituted with halogen atoms, which may be the same or different. Examples of haloalkyl include CH_2CH_2F, CF_2CF_3 and CH_2CHFCl.

Compounds of Formula I may exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be the more active. One skilled in the art knows how to separate said enantiomers, diastereomers and geometric isomers. Accordingly, the present invention comprises racemic mixtures, individual stereoisomers, and optically active mixtures.

Preferred for reasons including ease of synthesis and/or greater herbicidal efficacy are:

1) Compounds of Formula I wherein the compounds are of formula



wherein

X is CHR^{17} or CH_2CH_2;

Y is CHR^{19} or $CHR^{19}CHR^{20}$;

Z is CHR^{22} or CH_2CH_2;

R^2 is selected from the group H, C_1-C_8 alkyl, C_1-C_8 haloalkyl, halogen, OR^7, $S(O)_nR^7$, COR^7, CO_2R^7, $C(O)SR^7$, $C(O)NR^9R^{10}$, CHO, $CH=CHCO_2R^7$, $CO_2N=CR^{11}R^{12}$, NO_2, CN, $NHSO_2R^{13}$ and $NHSO_2NHR^{13}$;

R^5 is selected from the group H, C_1-C_3 alkyl and halogen;

R^7 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 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxy-alkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_4-C_8 alkenoxyalkyl; C_4-C_8 alkynoxyalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxy-alkyl; C_4-C_8 haloalkenoxyalkyl; C_4-C_8 haloalkynoxyalkyl; C_6-C_8 cycloalkylthio-alkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy or benzyloxy, each ring

optionally substituted with a member 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 alkyl carbonyl; benzyl optionally substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl; $CHR^{14}COR^8$; $CHR^{14}P(O)(OR^8)_2$; $CHR^{14}P(S)(OR^8)_2$; $CHR^{14}C(O)NR^9R^{10}$ and $CHR^{14}C(O)NH_2$;

R^{17}, R^{19}, R^{20} and R^{22} are selected from the group H, halogen and C_1-C_4 alkyl;

provided that only one of R^{17}, R^{19}, R^{20} and R^{22} is other than hydrogen.

2) Compounds of Formula I wherein

X is CHR^{17};

Y is $CHR^{19}CHR^{20}$;

Z is CHR^{22}.

3) Compounds of Preferred 2 wherein R^{17}, R^{19}, R^{20} and R^{22} and are independently selected from the group H, F, CH_3 and CF_3.

4) Compounds of Preferred 3 wherein

R^2 is selected from the group H, OR^7, SR^7 and CO_2R^7;

R^3 is selected from the group halogen and CN.

5) Compounds of Preferred 4 wherein

Q is selected from the group Q-1, Q-2, Q-4 and Q-5;

A and B are taken together as X-Y-Z;

R^{17}, R^{18}, R^{19}, R^{20}, R^{22} and R^{23} are independently selected from the group H and F;

R^7 is selected from the group C_1-C_4 alkyl, C_3-C_4 alkenyl, C_3-C_4 alkynyl, C_2-C_4 alkoxyalkyl, C_1-C_4 haloalkyl, C_3-C_4 haloalkenyl and C_3-C_4 haloalkynyl.

6) Compounds of Formula I wherein

X is CHR^{17};

Y is CHR^{19};

Z is CHR^{22}.

7) Compounds of Preferred 6 wherein

R^{17}, R^{19}, and R^{22} are independently selected from the group H, F, CH_3 and CF_3.

8) Compounds of Preferred 7 wherein

R^2 is selected from the group H, OR^7, SR^7 and CO_2R^7;

R^3 is selected from the group halogen and CN.

9) Compounds of Preferred 8 wherein

Q is selected from the group Q-1, Q-2, Q-4 and Q-5;

A and B are taken together as X-Y-Z;

R^{17}, R^{19}, and R^{22} are independently selected from the group H and F;

R^7 is selected from the group C_1-C_4 alkyl, C_3-C_4 alkenyl, C_3-C_4 alkynyl, C_2-C_4 alkoxyalkyl, C_1-C_4 haloalkyl, C_3-C_4 haloalkenyl and C_3-C_4 haloalkynyl.

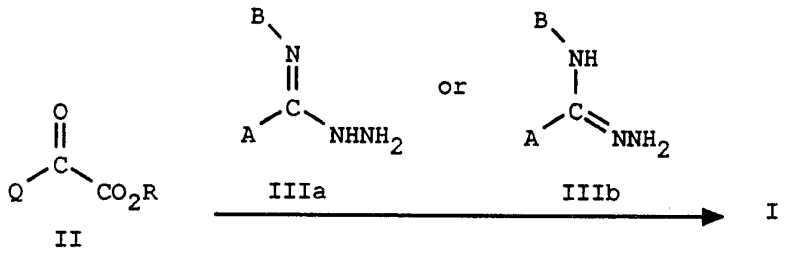
Synthesis

By using one or more of the reactions and techniques described in Schemes 1-6 of this section as well as by following the specific procedures given in Synthesis Examples 1-4, compounds of General Formula I can be prepared.

As shown in Scheme 1, compounds of Formula I can be synthesized by reacting an α-ketoacid or α-ketoacid

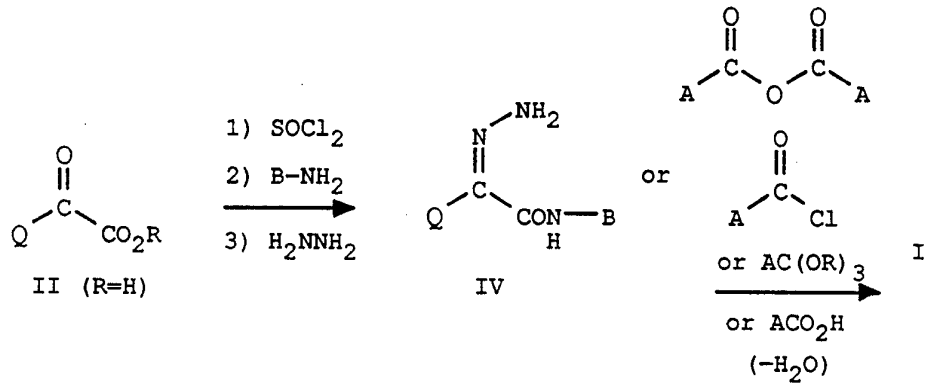
derivative of Formula II with a compound of Formula IIIa or Formula IIIb, which are amidrazones or are formally derivatives of semicarbazides or thiosemicarbazides. Compounds of Formula IIIa and IIIb are generally known in the art and can either be isolated or prepared *in situ*, as described in *Justus Liebigs Ann. Chem.* 755, 101 (1972), or in a similar manner.

Scheme 1



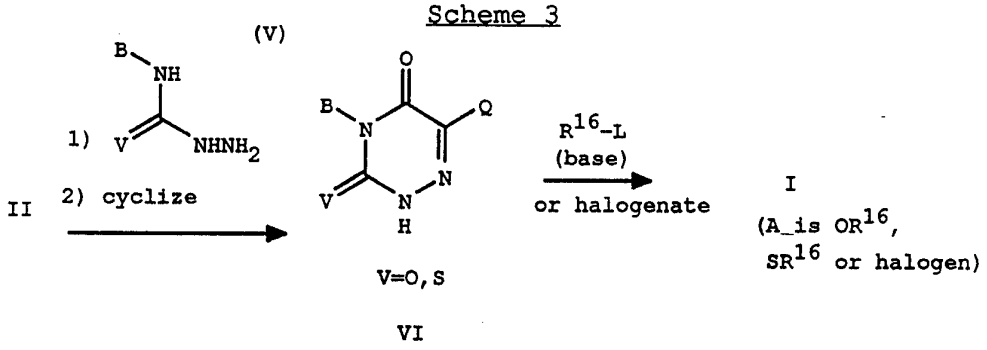
As shown in Scheme 2, compounds of Formula I can also be synthesized by converting an α-ketoacid of Formula II (R=H) to its hydrazono-amide IV, followed by treatment of IV with an acid anhydride, an acid halide, an orthoester, or a carboxylic acid under dehydrating conditions.

Scheme 2



As shown in Scheme 3, compounds of Formula I (where A is OR^{16}, SR^{16} or halogen) can also be synthesized by reacting an α-ketoester (R=C_1-C_4 alkyl) or α-ketoacid (R=H) of Formula II with a semicarbazide or thiosemicarbazide of Formula V, cyclizing to form the heterocycle VI, and treating VI with an alkylating agent (R^{16}-L, where L is a leaving group) in the presence of a base, or with a halogenating agent such as thionyl chloride, phosphorous oxychloride, or the like.

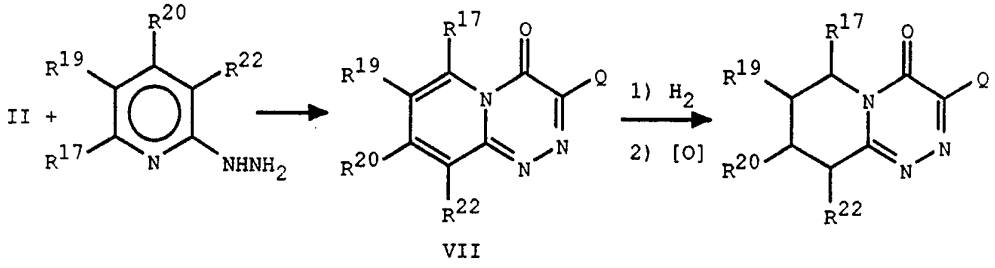
Scheme 3



An alternative and more specific method for preparing compounds of Formula I which are tetrahydropyrido-[2,1-c]-*as*-triazinones (I; A, B is X-Y-Z; X is CHR^{17}, Y is $CHR^{19}CHR^{20}$, Z is CHR^{22}), except when Q is substituted by an unsaturated group, is shown in Scheme 4. An α-ketoester or α-ketoacid can be condensed with a 2-hydrazinopyridine and cyclized by methods such as those described in *Chem. Ber. 104,* 2793 (1971). Catalytic hydrogenation of the resultant pyridotriazinone VII in the presence of a transition metal catalyst such as platinum oxide followed by reoxidation when necessary with e.g., *N*-bromo-succinimide (NBS) or meta-chloroperoxybenzoic acid (MCPBA), affords the tetrahydro derivatives. Use of

2-hydrazinothiazoles, 2-hydrazino-oxazoles, 2-hydrazinoimidazoles, 2-hydrazinopyrimidines and 2-hydrazinopyrazines in place of the 2-hydrazino-pyridine starting materials in Scheme 4 and following the same general procedures also provides compounds of Formula I, where A, B is X-Y-Z and Y or Z is a heteroatom.

Scheme 4



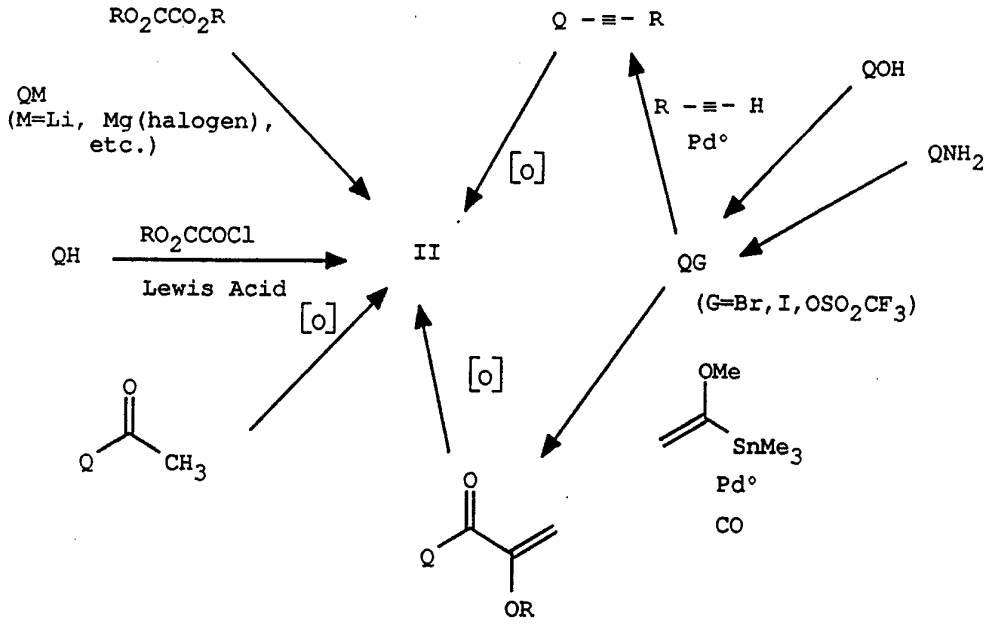
VII

Many of the methods for preparing triazinone derivatives such as I are also reviewed by Neunhoffer in "*The Chemistry of Heterocyclic Compounds*", *Volume 33*, John Wiley & Sons, New York (1978), pp 189-1072.

The α-ketoesters and α-ketoacids II can be prepared by various methods, including but not limited to those outlined in Scheme 5: by reaction of an organometallic species QM (M=metal, Mg(halogen), etc.) with an oxalate ester using methods such as those described in *J. Org. Chem. 52,* 5026 (1987), by reaction of a suitable aromatic precursor QH with an alkyl oxalyl halide using methods such as those described in *J. Med. Chem. 15,* 1029 (1972) and in *Org. Prep. Proc. 2,* 249 (1970), by oxidation of an acetophenone using methods such as those described in *Monatsh. für Chem. 83,* 883 (1952) and in *Liebigs Ann. Chem. 662,* 147 (1963), by metal-catalyzed coupling of a halide or triflate QG (G=halogen or CF_3SO_3), with an acetylene derivative followed by oxidation using methods such as those

described in *Synthesis 1980,* 627, in *J. Org. Chem. 46,* 2280 (1981), in *J. Org. Chem. 38,* 3653 (1973) and in *Tet. Letters 27,* 1947 (1986), or by metal-catalyzed coupling of a halide or triflate QG with a vinyl stannane under conditions permitting insertion of carbon monoxide followed by oxidation using methods such as those described in *J. Org. Chem. 55,* 3114 (1990). Appropriate catalysts and conditions for coupling intermediates QG with alkenes and alkynes are also described by Heck in "Palladium Reagents in Organic Syntheses", Academic Press, New York, 1985. Many of the required aryl halides QG can be synthesized via diazotization of known arylamines using conditions such as those described by Furniss, et al. in "Vogel's Textbook of Practical Organic Chemistry", Fifth Edition, Longman Scientific and Technical, Essex, England, pp 922-946. Iodine can also be incorporated into aromatic molecules by other known methods such as those described in *Synthesis 1988,* 923. The triflates QG can be prepared from the corresponding phenols QOH by known methods. If required, α-ketoesters and α-ketoacids II can be interconverted by methods that will be apparent to one skilled in the art.

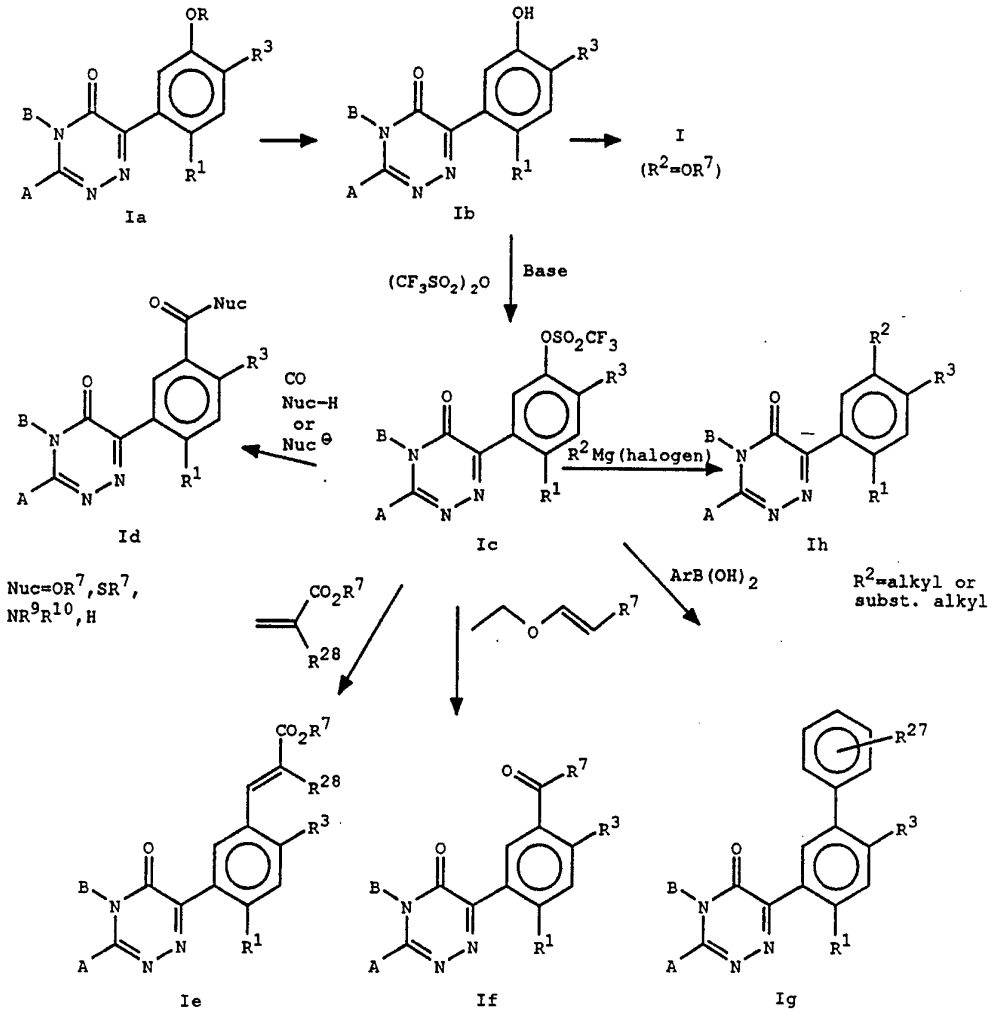
Scheme 5



Compounds of Formula Ia (R^2 is OCH_3, OCH_2Ph, etc.) can be dealkylated by treatment with boron tribromide or the like to afford compounds of Formula Ib (R^2 is OH), which can serve as intermediates for the synthesis of compounds of Formula I containing many different R^2 substituents. Scheme 6 shows some, but not all of the more useful transformations. In addition to well known alkylation and acylation chemistry, compounds Ib can be converted to trifluoromethanesulfonates Ic (R^2 is OSO_2CF_3), which are substrates for metal-catalyzed coupling reactions. In the presence of a suitable palladium, nickel or other transition metal catalyst, trifluoromethanesulfonates Ic can be converted to esters, thioesters, amides, and aldehydes Id by reaction with carbon monoxide and a nucleophile (Nuc) under conditions such as those described in *Chem. Comm. 1987,* 904, to alkenes Ie by reaction with an alkene under conditions such as those described in *Heterocycles 26,* 355 (1987), to ketones If by reaction with enol ethers under conditions such as

those described in *J. Org. Chem.* 57, 1481 (1992), to aryl derivatives Ig by reaction with aryl (Ar) boronic acids under conditions such as those described in *Tet. Letters 32*, 2273 (1991) and references cited therein, and to alkyl derivatives Ih by reaction with Grignard reagents under conditions such as those described in *J. Org. Chem.* 57, 4066(1992) and references cited therein.

Scheme 6



The following examples represent the preparation of specific compounds of the invention. The examples are for illustration and should not be regarded as limiting the invention in any way.

Synthesis Example 1

3-(2,4-Dichlorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]triazin-4(6H)-one

Step A: Ethyl 2,4-dichloro-α-oxo-benzeneacetate

A solution of 1-bromo-2,4-dichlorobenzene (22.6 g, 100 mmol) in dry tetrahydrofuran (THF) (10 mL) was added dropwise to a solution of 1.6 M *n*-butyllithium (75 mL, 120 mmol) in dry THF (100 mL) maintained at -60 to -70°C. This mixture was stirred for 30 min. at -70°C, then it was added via cannula to a solution of diethyl oxalate (16.3 mL, 120 mmol) in dry THF (50 mL) maintained at -60 to -70°C. The reaction mixture was allowed to warm slowly to 0°C, then it was poured into a mixture of ice (300 g) and 1N aqueous hydrochloric acid (300 mL) and extracted into diethyl ether (200 mL, then 2 times with 100 mL). The combined organic layers were washed with water (200 mL), then brine (200 mL), then dried over $MgSO_4$, filtered and the solvent removed under vacuum. Flash chromatography afforded the title compound of Step A (11.04 g, 44.7%) as an oil; ^1H NMR: δ 1.40 (t,3H), 4.42 (q,2H), 7.39 (d,1H), 7.48 (s,1H), 7.73 (d,1H); IR (inter alia): 1736, 1698 cm^{-1}.

Step B: 2,3,4,5-Tetrahydro-6-methoxypyridine

Neat δ-valerolactam (4.0 g, 40 mmol) was added to a suspension of trimethyloxonium tetrafluoroborate (7.7 g, 52 mmol) in dichloromethane (15 mL) and the mixture was stirred overnight at room temperature. The mixture was treated with a 50% aqueous solution of potassium carbonate (7.6 g), then filtered through Celite®. The filtrate was dried over K_2CO_3, filtered, and the solvent removed under vacuum at 20°C to afford the title compound of Step B (3.97 g, 88%) as a yellow oil.

Step C: 3-(2,4-Dichlorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]triazin-4(6H)-one

The product of Step B (1.24 g, 11 mmol) was dissolved in ethanol (5 mL), then 95% hydrazine (0.33 mL, 10 mmol) was added and the solution was stirred 2 hours at room temperature. The product of Step A (2.47 g, 10 mmol) dissolved in ethanol (1 mL) was added to the solution and the mixture was stirred overnight at room temperature. The reaction mixture was filtered and solvent removed from the filtrate under vacuum. Flash chromatography yielded a residue, which was triturated with hexanes-chlorobutane to afford the title compound of Step C (0.17 g, 5.7%) as a light yellow powder, m.p. 119-123°C; ^{1}H NMR: δ 1.9-2.2 (m,4H), 3.10 (t,2H), 3.99 (t,2H), 7.3-7.45 (m,2H), 7.51 (d,1H).

Synthesis Example 2

3-(2,4-Dichlorophenyl)-7,8,9,10-tetrahydro[1,2,4]triazino[4,3-a]-azepin-4(6H)-one

Step A: 3,4,5,6-Tetrahydro-7-(methylthio)-2H-azepine

Iodomethane (7.6 mL, 0.12 mol) was added to a mixture of ω-thiocaprolactam (12.92 g, 100 mmol) and potassium carbonate (15.36 g, 110 mmol) in dry THF (200 mL). After stirring overnight at room temperature, the mixture was filtered and solvent removed from the filtrate under vacuum. The residue was dissolved in diethyl ether (150 mL), filtered, and the diethyl ether was removed under vacuum to afford the title compound of Step A (13.78 g, 96.3%) as a brown oil.

Step B: 3-(2,4-Dichlorophenyl)-7,8,9,10-tetrahydro[1,2,4]triazino[4,3-a]-azepin-4(6H)-one

The product of Step A (1.40 g, 9.8 mmol) was dissolved in ethanol (5 mL), then 95% hydrazine

(0.30 mL, 9.1 mmol) was added and the solution stirred 2 h at room temperature. The product of Step A of Synthesis Example 1 (2.47 g, 10 mmol), dissolved in ethanol (5 mL), was added to the solution and the mixture was stirred overnight at room temperature. Solvents were removed under vacuum. Flash chromatography yielded a residue, which was triturated with hexanes-chlorobutane to afford the title compound of Step B (0.42 g, 15%), as a nearly white powder, m.p. 123-124°C; ^{1}H NMR: δ 1.8-1.9 (m,2H), 1.9-2.0 (m,4H), 3.12 (m,2H), 4.34 (m,2H), 7.36 (m,1H), 7.43 (d,1H), 7.50 (s,1H); IR (inter alia): 1682 cm^{-1}.

Synthesis Example 3

3-(4-Chloro-2,5-difluorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]-triazin-4(6H)-one

Step A: Methyl 4-chloro-2,5-difluoro-α-oxo-benzeneacetate

A mixture of 4-chloro-2,5-difluoroacetophenone (11.4 g, 59.8 mmol) and selenium dioxide (11.1 g, 100 mmol) in pyridine (100 mL) was heated for 4 h at 82-95°C. The hot mixture was filtered through Celite® and volatiles were removed under vacuum. The residue was taken up in saturated aqueous $NaHCO_3$ (75 mL), filtered through Celite®, acidified to pH 1 by the addition of concentrated hydrochloric acid, and extracted with dichloromethane (2 times with 100 mL). The combined organic extracts were dried over $MgSO_4$, filtered and the solvent removed under vacuum. The residue was triturated with diethyl ether to afford a brown solid, 10.11 g, m.p. 104-106°C.

To a solution of this solid (9.48 g) in methanol (100 mL) was added thionyl chloride (10.0 mL, 137 mmol) dropwise with cooling. The mixture was heated at reflux for 2 h, then volatiles were removed under vacuum. The residue was taken up in diethyl ether

(200 mL), washed with water (2 times with 100 mL), then saturated aqueous $NaHCO_3$ (100 mL), then the organic layer was dried over $MgSO_4$, filtered and the solvent removed under vacuum. The residue was triturated with ice-cold hexanes to afford the title compound of Step A (4.73 g) as light tan crystals, m.p. 48-49°C; ^1H NMR: δ 3.97(s,3H), 7.30 (dd,1H), 7.70 (dd,1H).

Flash chromatography of the filtrate provided an additional quantity (1.72 g) of the title compound of Step A as light yellow crystals, m.p. 44-48°C.

Step B: 3-(4-Chloro-2,5-difluorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]-triazin-4(6H)-one

The product of Step B of Synthesis Example 1 (1.24 g, 11 mmol) was dissolved in methanol (5 mL), then 95% hydrazine (0.33 mL, 10 mmol) was added and the solution was stirred 2 h at room temperature. The product of Step A (2.58 g, 11 mmol) of Example 3 was added to the solution and the mixture was stirred overnight at room temperature. The reaction mixture was filtered and solvent removed from the filtrate under vacuum. Flash chromatography yielded a residue, which was triturated with hexanes-chlorobutane to afford the title compound of Step B (1.01 g, 34%) as a pale yellow powder, m.p. 127-128°C decomposed); ^1H NMR: δ 1.9-2.0 (m,2H), 2.0-2.1 (m,2H), 3.10 (t,2H), 4.00 (t,2H), 7.26 (dd,1H), 7.46 (dd,1H).

Synthesis Example 4

3-(4-Chloro-2-fluorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]-triazin-4(6H)-one

Step A: Methyl 4-chloro-2-fluoro-α-oxo-benzeneacetate

A mixture of 4-chloro-2-fluorophenyl trifluoromethane sulfonate (prepared from 30 mmol of 4-chloro-2-fluorophenol), butyl vinyl ether (20 mL, 0.15 mol), triethylamine (5.0 mL, 36 mmol), palladium (II) acetate

(0.17 g, 0.76 mmol) and 1,3-bis(diphenylphosphino)-propane (0.34 g, 0.82 mmol) in dimethylformamide (80 mL) was heated at 60°C for 2 h, then at 80°C for 1 h. Additional quantities of palladium (II) acetate (0.08 g, 0.4 mmol) and 1,3-bis(diphenylphosphino)-propane (0.17 g, 0.41 mmol) were added to the mixture and heating was continued at 80°C for 1 h. The mixture was cooled, poured into water (250 mL), and extracted into diethyl ether (250 mL). The organic layer was washed with water (3 times with 80 mL), dried over $MgSO_4$, filtered, and the solvent was removed under vacuum.

The residue was dissolved in THF (150 mL), then 2N aqueous hydrochloric acid (50 mL) was added and the mixture was stirred for 3 days at room temperature. The mixture was poured into water (300 mL) and extracted into diethyl ether (3 times with 100 mL). The combined organic layers were washed with brine (100 mL), dried over $MgSO_4$, filtered, and the solvent was removed under vacuum.

The residue was dissolved in pyridine (50 mL), selenium dioxide (5.55 g, 50 mmol) was added, and the mixture was heated for 4 h at 90-100°C. The hot mixture was filtered through Celite® and volatiles were removed under vacuum. The residue was taken up in saturated aqueous $NaHCO_3$ (50 mL), filtered through Celite®, acidified to pH 1 by the addition of concentrated HCl, and extracted into dichloromethane (2 times with 50 mL). The combined organic layers were dried over $MgSO_4$, filtered, and the solvent was removed under vacuum.

The residue was dissolved in methanol (50 mL), cooled in an ice-bath, then thionyl chloride (4.2 mL, 58 mmol) was added dropwise. The mixture was heated at reflux for 2.5 h, then volatiles were removed under

vacuum. The residue was taken up in diethyl ether (100 mL), washed with water (2 times with 50 mL), then saturated aqueous $NaHCO_3$ (50 mL), then the organic layer was dried over $MgSO_4$, filtered, and the solvent was removed under vacuum. Flash chromatography afforded the title compound of Step A (1.47 g, 22.6% overall) as a white solid, m.p. 72-74°C; ^1H NMR: δ 3.97 (s, 3H), 7.22 (d, 1H), 7.31 (d, 1H), 7.88 (t, 1H).

Step B: 3-(4-Chloro-2-fluorophenyl)-4H-pyrido-[2,1-C][1,2,4]-triazin-4(6H)-one

A solution of 2-hydrazinopyridine (0.74 g, 6.8 mmol) in methanol (2 mL) was added to a mixture of the product of Step A (1.47 g, 6.8 mmol) in methanol (8 mL). The mixture was stirred overnight at room temperature, then volatiles were removed under vacuum. The residue was taken up in diethyl ether, filtered, and the solvent was removed from the filtrate under vacuum.

The residue was dissolved in 1,2-dichlorobenzene (12 mL) and the mixture was heated at reflux overnight, then volatiles were removed under vacuum. Flash chromatography yielded a residue, which was triturated with hexanes-chlorobutane to afford the title compound of Step B (0.78 g, 42%) as a tan powder, m.p. 209-211°C; ^1H NMR: δ 7.2-7.35 (m, 3H), 7.71 (t, 1H), 7.86 (t, 1H), 7.96 (d, 1H), 8.95 (d, 1H).

Step C: 3-(4-Chloro-2-fluorophenyl)-6,7,8,9-tetrahydro-4H-pyrido[2,1-c][1,2,4]-triazin-4(6H)-one

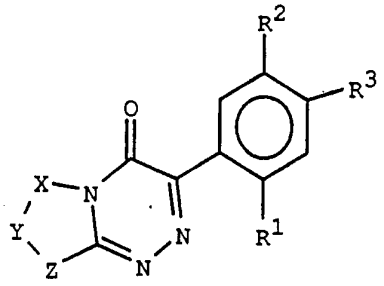
The product of Step B (0.55 g, 2.0 mmol) was dissolved in acetic acid (30 mL), platinum oxide (25 mg, 0.11 mmol) was added and the mixture was treated with hydrogen gas (2.8×10^5 - 3.4×10^5 Pa) for 1.5 h. An additional quantity of platinum oxide (25 mg, 0.11 mmol) was added and the mixture was treated with hydrogen gas (2.8×10^5 - 3.4×10^5 Pa)

overnight. The mixture was filtered, then volatiles were removed under vacuum. The residue was dissolved in dichloromethane (50 mL), washed with saturated aqueous $NaHCO_3$ (25 mL), then the organic solution was dried over $MgSO_4$, filtered, and the solvent was removed under vacuum. The residue was triturated with chlorobutane to afford a light yellow powder, 0.26 g (46%), m.p. 159°C (decomposed).

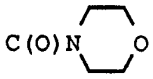
To a solution of this powder (0.22 g, 0.78 mmol) in chloroform (12 mL) was added *N*-bromosuccinimide (0.14 g, 0.79 mmol) and the mixture was stirred for 15 min. at room temperature. An additional quantity of *N*-bromosuccinimide (0.03 g, 0.2 mmol) was added and the mixture was stirred for 1 h at room temperature. The mixture was diluted with dichloromethane (50 mL) and shaken with 10% aqueous Na_2SO_3 (10 mL). This mixture was then washed with 1N NaOH (10 mL). The organic layer was separated, dried over $MgSO_4$, filtered, and the solvent was removed under vacuum. The residue was triturated with hexanes-chlorobutane to afford the title compound of Step C (0.16 g, 73%) as an off-white powder, m.p. 151-154°C (decomposed); ^1H NMR: δ 1.9-2.0 (m, 2H), 2.0-2.1 (m, 2H), 3.09 (t, 2H), 3.98 (t, 2H), 7.2-7.3 (m, 2H), 7.57 (t, 1H).

By the general procedures given in Schemes 1-6 and Synthesis Examples 1-4, or by obvious modifications thereof, the compounds of Tables 1-13 can be prepared.

TABLE 1



X	Y	Z	R^1	R^2	R^3
CH_2	CH_2	CH_2CH_2	Cl	H	Cl
CH_2	CH_2	CH_2CH_2	F	H	Cl
CH_2	CH_2	CH_2CH_2	F	H	Br
CH_2	CH_2	CH_2CH_2	Cl	OMe	Cl
CH_2	CH_2	CH_2CH_2	F	OMe	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCHMe_2$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCHMe_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2CH=CH_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	H	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2C\equiv CH$	Br
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CO_2Me	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)CO_2Me$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C(O)NMe_2$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C(O)NHMe$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C(O)NHPh$	Cl
CH_2	CH_2	CH_2CH_2	Br	$OCH_2CH=CMe_2$	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2CH_2Me	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CHMe_2	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2CH_2OCHF_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	SMe	Cl
CH_2	CH_2	CH_2CH_2	F	SO_2Me	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2CH_2OMe	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CF_3	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCHF_2$	Cl

CH_2	CH_2	CH_2CH_2	Cl	OCH_2CH_2OMe	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2OCH_2C{\equiv}CH$	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2Ph	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2OEt	Cl
CH_2	CH_2	CH_2CH_2	F	$SCH_2C{\equiv}CH$	Cl
CH_2	CH_2	CH_2CH_2	F	SCH_2CF_3	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCF_2CHF_2	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2P(O)(OMe)_2$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C(O)NH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2SiMe_3	Cl
CH_2	CH_2	CH_2CH_2	Cl	CO_2Me	Cl
CH_2	CH_2	CH_2CH_2	Cl	$C(O)NMe_2$	Cl
CH_2	CH_2	CH_2CH_2	F	CO_2Me	Cl
CH_2	CH_2	CH_2CH_2	F	$C(O)NH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	C(O)NHPh	Cl
CH_2	CH_2	CH_2CH_2	F	C(O)NHMe	Cl
CH_2	CH_2	CH_2CH_2	F		Cl
CH_2	CH_2	CH_2CH_2	F	C(O)NHn-Bu	Br
CH_2	CH_2	CH_2CH_2	F	C(O)NHn-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	$CO_2CH_2C{\equiv}CH$	Cl
CH_2	CH_2	CH_2CH_2	F	CO_2n-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	$CO_2CH_2CH{=}CH_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$CO_2N{=}CMe_2$	Cl
CH_2	CH_2	CH_2CH_2	F	C(O)Me	Cl
CH_2	CH_2	CH_2CH_2	F	F	Cl
CH_2	CH_2	CH_2CH_2	F	CO_2Et	Cl
CH_2	CH_2	CH_2CH_2	Cl	$CO_2CH_2CF_3$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$NHSO_2Me$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHSO_2Me$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHSO_2NHMe$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHSO_2CF_3$	Cl
CH_2	CH_2	CH_2CH_2	F	CF_3	Cl
CH_2	CH_2	CH_2CH_2	F	$CH(Me)_2$	Cl
CH_2	CH_2	CH_2CH_2	F	Me	Cl

CH_2	CH_2	CH_2CH_2	F	NO_2	Cl
CH_2	CH_2	CH_2CH_2	F	$CH=CHCO_2Me$	Cl
CH_2	CH_2	CH_2CH_2	F	CN	Cl
CH_2	CH_2	CH_2CH_2	F	Cl	Cl
CH_2	CH_2	CH_2CH_2	Cl	Br	Cl
CH_2	CH_2	CH_2CH_2	F	$CH_2CH=CH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CMe$	Br
CH_2	CH_2	S	Cl	H	Cl
CH_2	CH_2	S	Cl	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	S	F	$OCH_2C\equiv CH$	Cl
CH_2	CHF	CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH=CH	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH=CH	Cl	H	Cl
CH_2	CH_2	CH=CH	Cl	$OCH_2C\equiv CH$	Cl
CH_2	CH=CH	CH_2	Cl	$OCH_2C\equiv CH$	Cl
CH_2	CH=CH	CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	O	F	$OCH_2C\equiv CH$	Cl
CHMe	CH_2	CH_2CH_2	Cl	$OCH_2C\equiv CH$	Cl
CH_2	CHMe	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2CH_2	CH_2	CHMe	F	$OCH_2C\equiv CH$	Cl
CH_2	NMe	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
CHF	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
$CHCF_3$	CH_2	O	F	$OCH_2C\equiv CH$	Cl
CH_2CH_2	CH_2	O	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	CF_3
CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	$OCHF_2$
CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	OMe
CH_2	O	CH_2CH_2	F	$OCH_2CH=CH_2$	Cl
CH_2	O	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2	S	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)CH=CH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)CO_2CH_2CH=CH_2$	Cl
CH_2CH_2	CH_2	CH_2CH_2	F	$OCH_2CH=CH_2$	Cl
CH_2CH_2	CH_2	CH_2CH_2	F	$OCH_2C\equiv CH$	Cl

CH_2CH_2	CH_2	CH_2CH_2	F	COOi-Pr	Cl
CH_2CH_2	CH_2	CH_2CH_2	Cl	H	Cl
CH_2CH_2	CH_2	CH_2CH_2	F	$OCH_2C{\equiv}CH$	CN
CH_2CH_2	CH_2	CH_2CH_2	F	$OCH_2CH{=}CH_2$	CN
CH_2	CH_2	CH_2CH_2	F	$OCH_2C{\equiv}CH$	Br
CH_2	CH_2	CH_2CH_2	F	$OCH_2CH{=}CH_2$	Br
CH_2	CH_2	CH_2CH_2	F	CO_2iPr	Cl
CH_2	CH_2	CH_2CH_2	Cl	$OCH_2CH{=}CH_2$	Cl
CH_2	CH_2	CH_2	F	H	Br
CH_2	CHF	CH_2	F	$OCH(Me)CO_2Me$	Cl
CH_2	CHF	CH_2	Cl	H	Cl
CH_2	CHF	CH_2	F	H	Cl
CH_2CH_2	O	CH_2	F	H	Cl
CH_2CH_2	O	CH_2	Cl	H	Cl
CH_2CH_2	S	CH_2	F	H	Cl
CH_2CH_2	S	CH_2	Cl	H	Cl
CH_2	CH_2	CH_2CH_2	F	H	CN
CH_2	CH_2	CH_2CH_2	Cl	H	CN
CH_2	CH_2	CH_2CH_2	F	OEt	Cl
CH_2	CH_2	CH_2CH_2	F	O(n-Pr)	Cl
CH_2	CH_2	CH_2CH_2	F	O(n-Bu)	Cl
CH_2	CH_2	CH_2CH_2	F	O(n-Hex)	Cl
CH_2	CH_2	CH_2CH_2	F	S-Me	Cl
CH_2	CH_2	CH_2CH_2	F	S-Et	Cl
CH_2	CH_2	CH_2CH_2	F	S-i-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	SCH_2CO_2Me	Cl
CH_2	CH_2	CH_2CH_2	F	$SCH_2CH{=}CH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	SCH_2CO_2i-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	$NHCH_2C{\equiv}CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHCH_2CH{=}CH_2$	Cl
CH_2	CH_2	CH_2CH_2	F	NMe_2	Cl
CH_2	CH_2	CH_2CH_2	F	$NHCH(Me)C{\equiv}CH$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHSO_2Et$	Cl
CH_2	CH_2	CH_2CH_2	F	$NHSO_2i$-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2CO_2Et	Cl

CH_2	CH_2	CH_2CH_2	F	OCH_2CO_2i-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	OCH_2CN	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)CO_2Et$	Cl
CH_2	CH_2	CH_2CH_2	F	$OCH(Me)CO_2i$-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	Et	Cl
CH_2	CH_2	CH_2CH_2	F	n-Pr	Cl
CH_2	CH_2	CH_2CH_2	F	n-Bu	Cl
CH_2	CH_2	CH_2CH_2	F	i-Bu	Cl
CH_2	CHF	CH_2	F	$CH=C(CH_3)CO_2Me$	Cl
CH_2	CHF	CH_2	F	$CH=C(Cl)CO_2Me$	Cl
CH_2	CHF	CH_2	F	$CH=C(Br)CO_2Me$	Cl
CH_2	CHF	CH_2	F	$CH_2CH(Cl)CO_2Me$	Cl
CH_2	CHF	CH_2	F	$CH_2CH(Cl)CO_2Et$	Cl
CH_2	CHF	CH_2	F	COSMe	Cl
CH_2	CHF	CH_2	F	COSEt	Cl
CH_2	CHF	CH_2	F	CH=NOMe	Cl
CH_2	CHF	CH_2	F	CH=NOEt	Cl
CH_2	CHF	CH_2	F	$CH=NOCH_2CH=CH_2$	Cl
CH_2	CHF	CH_2	F	CH=NO(n-Pr)	Cl
CH_2	CHF	CH_2	F	COi-Pr	Cl
CH_2	CHF	CH_2	F	COn-Bu	Cl
CH_2	CHF	CH_2	F	COEt	Cl
CH_2	CHF	CH_2	F	OSO_2CF_3	Cl
CH_2	CHF	CH_2	F	OCOEt	Cl
CH_2	CHF	CH_2	F	$OCOCHMe_2$	Cl
CH_2	CHF	CH_2	F	OCO_2Et	Cl
CH_2	CHF	CH_2	F	OPh	Cl
CH_2	CH_2	CH_2CH_2	Cl	OEt	Cl
CH_2	CH_2	CH_2CH_2	Cl	O(n-Pr)	Cl
CH_2	CH_2	CH_2CH_2	Cl	O(n-Bu)	Cl
CH_2	CH_2	CH_2CH_2	Cl	O(n-Hex)	Cl
CH_2	CH_2	CH_2CH_2	Cl	S-Me	Cl
CH_2	CH_2	CH_2CH_2	Cl	S-Et	Cl
CH_2	CH_2	CH_2CH_2	Cl	S-i-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	SCH_2CO_2Me	Cl

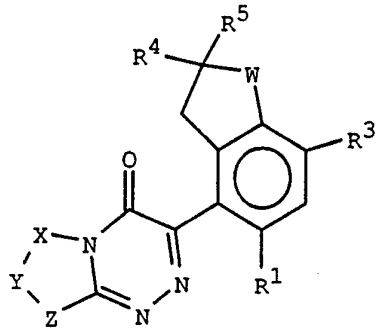
CH_2	CH_2	CH_2CH_2	Cl	$SCH_2CH=CH_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	SCH_2CO_2i-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	$NHCH_2C\equiv CH$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$NHCH_2CH=CH_2$	Cl
CH_2	CH_2	CH_2CH_2	Cl	NMe_2	Cl
CH_2	CH_2	CH_2CH_2	Cl	NHCH(Me)C≡CH	Cl
CH_2	CH_2	CH_2CH_2	Cl	$NHSO_2Et$	Cl
CH_2	CH_2	CH_2CH_2	Cl	$NHSO_2i$-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CO_2Et	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CO_2i-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH_2CN	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH(Me)CO_2Et	Cl
CH_2	CH_2	CH_2CH_2	Cl	OCH(Me)CO_2i-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	Et	Cl
CH_2	CH_2	CH_2CH_2	Cl	n-Pr	Cl
CH_2	CH_2	CH_2CH_2	Cl	n-Bu	Cl
CH_2	CH_2	CH_2CH_2	Cl	i-Bu	Cl
CH_2	CHF	CH_2	Cl	CH=C(CH_3)CO_2Me	Cl
CH_2	CHF	CH_2	Cl	CH=C(Cl)CO_2Me	Cl
CH_2	CHF	CH_2	Cl	CH=C(Br)CO_2Me	Cl
CH_2	CHF	CH_2	Cl	CH_2CH(Cl)CO_2Me	Cl
CH_2	CHF	CH_2	Cl	CH_2CH(Cl)CO_2Et	Cl
CH_2	CHF	CH_2	Cl	COSMe	Cl
CH_2	CHF	CH_2	Cl	COSEt	Cl
CH_2	CHF	CH_2	Cl	CH=NOMe	Cl
CH_2	CHF	CH_2	Cl	CH=NOEt	Cl
CH_2	CHF	CH_2	Cl	$CH=NOCH_2CH=CH_2$	Cl
CH_2	CHF	CH_2	Cl	CH=NO(n-Pr)	Cl
CH_2	CHF	CH_2	Cl	CO(i-Pr)	Cl
CH_2	CHF	CH_2	Cl	CO(n-Bu)	Cl
CH_2	CHF	CH_2	Cl	COEt	Cl
CH_2	CHF	CH_2	Cl	OSO_2CF_3	Cl
CH_2	CHF	CH_2	Cl	OCOEt	Cl
CH_2	CHF	CH_2	Cl	$OCOCHMe_2$	Cl
CH_2	CHF	CH_2	Cl	OCO_2Et	Cl

CH_2	CHF	CH_2	Cl	OPh	Cl
CH_2	CHF	CH_2	F	F	Cl
CH_2	CHF	CH_2	F	OMe	Cl
CH_2	CHF	CH_2	F	O(n-Pr)	Cl
CH_2	CHF	CH_2	F	OCH(Me)C≡CH	Cl
CH_2	CHF	CH_2	F	OCH_2CO_2Me	Cl
CH_2	CHF	CH_2	F	OCH_2CO_2i-Pr	Cl
CH_2	CHF	CH_2	F	SCH_2C=CH	Cl
CH_2	CHF	CH_2	F	SCH_2CO_2Me	Cl
CH_2	CHF	CH_2	F	CO_2Et	Cl
CH_2	CHF	CH_2	F	CO_2i-Pr	Cl
CH_2	CHF	CH_2	F	OCH_2OCH_3	Cl
CH_2	CHF	CH_2	F	OCH_2CH_2OMe	Cl
CH_2	CHF	CH_2	Cl	OMe	Cl
CH_2	CHF	CH_2	Cl	O(n-Pr)	Cl
CH_2	CHF	CH_2	Cl	OCH(Me)C≡CH	Cl
CH_2	CHF	CH_2	Cl	OCH_2CO_2Me	Cl
CH_2	CHF	CH_2	Cl	OCH_2CO_2i-Pr	Cl
CH_2	CHF	CH_2	Cl	SCH_2C≡CH	Cl
CH_2	CHF	CH_2	Cl	SCH_2CO_2Me	Cl
CH_2	CHF	CH_2	Cl	CO_2Et	Cl
CH_2	CHF	CH_2	Cl	CO_2i-Pr	Cl
CH_2	CHF	CH_2	Cl	OCH_2OCH_3	Cl
CH_2	CHF	CH_2	Cl	OCH_2CH_2OMe	Cl
CH_2CH_2	O	CH_2	F	F	Cl
CH_2CH_2	O	CH_2	F	OMe	Cl
CH_2CH_2	O	CH_2	F	O(n-Pr)	Cl
CH_2CH_2	O	CH_2	F	OCH(Me)C≡CH	Cl
CH_2CH_2	O	CH_2	F	OCH_2CO_2Me	Cl
CH_2CH_2	O	CH_2	F	OCH_2CO_2i-Pr	Cl
CH_2CH_2	O	CH_2	F	SCH_2C≡CH	Cl
CH_2CH_2	O	CH_2	F	SCH_2CO_2Me	Cl
CH_2CH_2	O	CH_2	F	CO_2Et	Cl
CH_2CH_2	O	CH_2	F	CO_2i-Pr	Cl
CH_2CH_2	O	CH_2	Cl	OCH_2OCH_3	Cl

CH_2CH_2	O	CH_2	Cl	OCH_2CH_2OMe	Cl
CH_2CH_2	O	CH_2	Cl	OMe	Cl
CH_2CH_2	O	CH_2	Cl	O(n-Pr)	Cl
CH_2CH_2	O	CH_2	Cl	OCH(Me)C≡CH	Cl
CH_2CH_2	O	CH_2	Cl	OCH_2CO_2Me	Cl
CH_2CH_2	O	CH_2	Cl	OCH_2CO_2i-Pr	Cl
CH_2CH_2	O	CH_2	Cl	$SCH_2C{\equiv}CH$	Cl
CH_2CH_2	O	CH_2	Cl	SCH_2CO_2Me	Cl
CH_2CH_2	O	CH_2	Cl	CO_2Et	Cl
CH_2CH_2	O	CH_2	Cl	CO_2i-Pr	Cl
CH_2CH_2	O	CH_2	Cl	OCH_2OCH_3	Cl
CH_2CH_2	O	CH_2	Cl	OCH_2CH_2OMe	Cl
CH_2CH_2	S	CH_2	F	OMe	Cl
CH_2CH_2	S	CH_2	F	O(n-Pr)	Cl
CH_2CH_2	S	CH_2	F	OCH(Me)C≡CH	Cl
CH_2CH_2	S	CH_2	F	OCH_2CO_2Me	Cl
CH_2CH_2	S	CH_2	F	OCH_2CO_2i-Pr	Cl
CH_2CH_2	S	CH_2	F	$SCH_2C{\equiv}CH$	Cl
CH_2CH_2	S	CH_2	F	SCH_2CO_2Me	Cl
CH_2CH_2	S	CH_2	F	CO_2Et	Cl
CH_2CH_2	S	CH_2	F	CO_2i-Pr	Cl
CH_2CH_2	S	CH_2	F	OCH_2OCH_3	Cl
CH_2CH_2	S	CH_2	F	OCH_2CH_2OMe	Cl
CH_2CH_2	S	CH_2	F	OMe	Cl
CH_2CH_2	S	CH_2	F	O(n-Pr)	Cl
CH_2CH_2	S	CH_2	F	OCH(Me)C≡CH	Cl
CH_2CH_2	S	CH_2	F	OCH_2CO_2Me	Cl
CH_2CH_2	S	CH_2	F	OCH_2CO_2i-Pr	Cl
CH_2CH_2	S	CH_2	F	$SCH_2C{=}CH$	Cl
CH_2CH_2	S	CH_2	F	SCH_2CO_2Me	Cl
CH_2CH_2	S	CH_2	F	CO_2Et	Cl
CH_2CH_2	S	CH_2	F	CO_2i-Pr	Cl
CH_2CH_2	S	CH_2	F	OCH_2OCH_3	Cl
CH_2CH_2	S	CH_2	F	OCH_2CH_2OMe	Cl
CH_2	CH_2	O	F	H	Cl

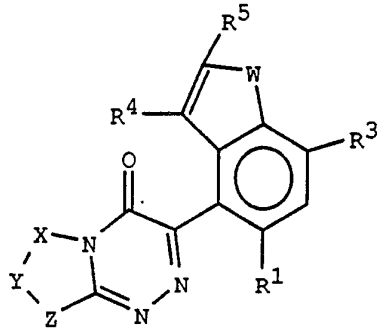
CH_2	CH_2	O	Cl	H	Cl
CH_2	CH_2	O	F	$OCH_2C{\equiv}CH$	Cl
CH_2	CH_2	S	F	H	Cl
CH_2	CH_2	S	F	$OCH_2C{\equiv}CH$	Cl

TABLE 2



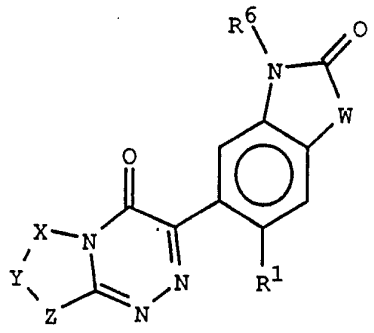
X	Y	Z	R^1	R^3	R^4	R^5	W
CH_2	CH_2	CH_2CH_2	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	F	Cl	Me	H	O
CH_2	CH_2	CH_2CH_2	F	Cl	Me	Me^-	S
CH_2	CH_2	CH_2CH_2	Cl	Cl	Me	H	O
CH_2	CHMe	CH_2CH_2	F	Cl	Me	H	O
CHMe	CH_2	CH_2CH_2	F	Cl	Me	H	O
CH_2	CH_2	S	F	Cl	Me	H	O
CH_2CH_2	CH_2	O	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	F	Br	Me	H	O
CH_2	CH_2	CH_2CH_2	Br	Cl	Me	H	O
CH_2	$CHCF_3$	CH_2CH_2	F	Cl	Me	H	O
CH_2	CH=CH	CH_2	F	Cl	Me	H	O
CH_2	CH_2	CH_2CH_2	F	OMe	H	H	O
CH_2	CHMe	CH_2CH_2	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	F	Cl	Me	H	S
CH_2	CHF	CH_2	F	Cl	Me	H	O
CH_2	CHF	CH_2	F	Cl	Me	H	S

TABLE 3



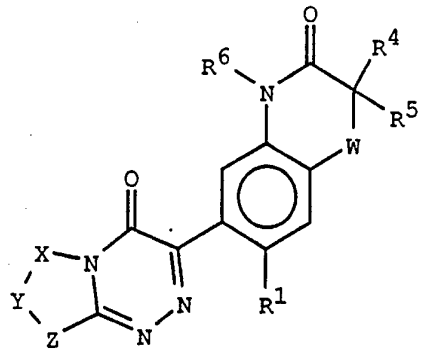
X	Y	Z	R^1	R^3	R^4	R^5	W
CH_2	CH_2	CH_2CH_2	F	Cl	H	Me	O
CH_2	CH_2	CH_2CH_2	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	F	Cl	H	H	S
CH_2	CH_2	CH_2CH_2	F	Cl	Me	H	O
CH_2	CH_2	CH_2CH_2	Cl	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	Cl	Br	H	H	O
CH_2	CH_2	CH_2CH_2	Br	Cl	H	Me	O
CH_2	CH_2	CH_2CH_2	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	F	CF_3	H	H	O
CH_2	CH_2	CH_2CH_2	F	OMe	H	H	O
CHMe	CH_2	CH_2CH_2	F	Cl	H	H	O
CH_2	CHMe	CH_2CH_2	F	Cl	H	Me	O
CH_2	CH_2	S	F	Cl	H	Me	O
CH_2CH_2	CH_2	O	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	Br	Cl	H	Me	S
CH_2	CH=CH	CH_2	F	Cl	H	H	O
CH_2	CHF	CH_2	F	Cl	H	H	O
CH_2	CH_2	CH_2CH_2	H	Cl	H	H	O

TABLE 4



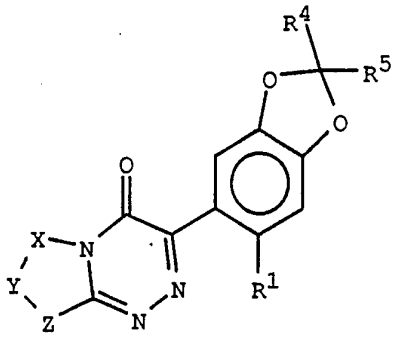
X	Y	Z	R^1	R^6	W
CH_2	CH_2	CH_2CH_2	F	Me	O
CH_2	CH_2	CH_2CH_2	Cl	Et	O
CH_2	CH_2	CH_2CH_2	F	n-Pr	O
CH_2	CH_2	CH_2CH_2	F	$CH_2CH=CH_2$	O
CH_2	CH_2	CH_2CH_2	F	$CH_2CH\equiv CH$	O
CH_2	CH_2	CH_2CH_2	Br	$CH_2CH\equiv CH$	O
CH_2	CH_2	CH_2CH_2	H	$CH_2CH\equiv CH$	O
CH_2	CH_2	CH_2CH_2	Cl	$CH_2CH\equiv CH$	O
CH_2	CH_2	CH_2CH_2	Cl	$CH_2CH\equiv CH$	S
CH_2	CH_2	CH_2CH_2	F	$CH_2CH\equiv CH$	S
CH_2	CH_2	CH_2CH_2	F	CH_2CF_3	O
CH_2	CH_2	CH_2CH_2	F	$CH_2CH=CHCl$	O
CHMe	CH_2	CH_2CH_2	F	$CH_2C\equiv CH$	O
CH_2	NMe	CH_2CH_2	F	$CH_2C\equiv CH$	O
CH_2	CH_2	S	F	$CH_2C\equiv CH$	O
CH_2CH_2	CH_2	O	F	$CH_2C\equiv CH$	O
CH_2	CH_2	CH_2CH_2	H	$CH_2C\equiv CH$	O
CH_2	CH_2	CH_2CH_2	F	CH_2OMe	O
CH_2	CHF	CH_2	F	$CH_2C\equiv CH$	O
CH_2	CHF	CH_2	F	$CH_2C\equiv CH$	S
CH_2CH_2	O	CH_2	F	$CH_2C\equiv CH$	O
CH_2CH_2	S	CH_2	F	$CH_2C\equiv CH$	O
CH_2	CH_2	CH_2CH_2	F	CH(Me)C≡CH	O

TABLE 5



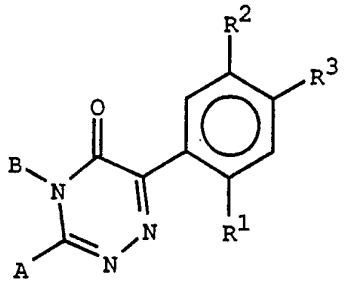
X	Y	Z	R^1	R^6	R^4	R^5	W
CH_2	CH_2	CH_2CH_2	F	Me	H	H	O
CH_2	CH_2	CH_2CH_2	Cl	Et	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CH_2CH=CH_2$	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CH_2C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	Cl	$CH_2C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	Br	$CH_2C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	Cl	$CH_2C\equiv CH$	H	H	S
CH_2	CH_2	CH_2CH_2	F	$CH_2C\equiv CH$	H	H	S
CH_2	CH_2	CH_2CH_2	F	n-Pr	H	H	O
CH_2	CH_2	CH_2CH_2	F	OCH_2CH_2OMe	H	H	O
CH_2	CH_2	CH_2CH_2	F	CH_2OMe	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CHMe_2$	H	H	O
CH_2	CHF	CH_2	F	$CH_2C\equiv CH$	H	H	O
CH_2	CHF	CH_2	Cl	$CH_2C\equiv CH$	H	H	O
CH_2	CHMe	CH_2CH_2	Cl	$CH_2C\equiv CH$	H	H	O
CH_2CH_2	S	CH_2	F	$CH_2C\equiv CH$	H	H	O
CH_2CH_2	O	CH_2	F	$CH_2C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CH_2C\equiv CH$	Me	Me	O
CH_2	CH_2	CH_2CH_2	H	$CH_2C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CH(Me)C\equiv CH$	H	H	O
CH_2	CH_2	CH_2CH_2	F	CH_2CO_2Me	H	H	O
CH_2	CH_2	CH_2CH_2	F	$CH(CH_3)CO_2Me$	H	H	O
CH_2	CH_2	CH_2CH_2	F	H	H	H	O
CH_2	CHF	CH_2	F	$CH_2CH=CH_2$	H	H	O
CH_2	CHF	CH_2	F	n-Pr	H	H	O
CH_2	CHF	CH_2	F	CH_2CH_2OMe	H	H	O

TABLE 6



X	Y	Z	R^1	R^4	R^5
CH_2	CH_2	CH_2CH_2	F	H	H
CH_2	CH_2	CH_2CH_2	Cl	H	H
CH_2	CH_2	CH_2CH_2	F	F	F
CH_2	CH_2	CH_2CH_2	Cl	F	F
CH_2	CH_2	CH_2CH_2	F	Me	Me
CH_2	CH_2	CH_2CH_2	F	Me	H
CH_2	CH_2	CH_2CH_2	H	F	F
CH_2CH_2	S	CH_2	F	F	F
CH_2	CHF	CH_2	F	F	F
CH_2	CH_2	CH_2CH_2	Br	F	F
CH_2CH_2	O	CH_2	F	F	F

TABLE 7



A	B	R^1	R^2	R^3
Me	CHF_2	F	H	Cl
Me	CHF_2	Cl	H	Cl
Me	CHF_2	Br	H	Cl
Me	CHF_2	F	H	Br

Me	CHF_2	F	$OCHMe_2$	Br
Me	CHF_2	Cl	$OCH_2CH=CH_2$	Cl
Me	CHF_2	Cl	$OCHF_2$	Cl
Me	CHF_2	F	Cl	Cl
Me	CHF_2	Cl	OCH_2CO_2Me	Cl
Et	CHF_2	F	H	Cl
n-Pr	CHF_2	Cl	H	Cl
i-Pr	CHF_2	F	H	Cl
Me	CHF_2	F	H	CF_3
Me	CHF_2	F	H	$OCHF_2$
Me	CHF_2	F	H	OMe
Me	CHF_2	F	H	SMe
CH=CHMe	CHF_2	Cl	H	Cl
Me	CHF_2	F	Cl	Cl
Me	CHF_2	F	CN	Cl
Me	CHF_2	F	NO_2	Cl
Me	CHF_2	F	Me	Cl
Me	CHF_2	F	F	Cl
Me	CHF_2	F	CF_3	Cl
Me	CHF_2	F	OMe	Cl
Me	CHF_2	F	$OCH_2C\equiv CH$	Cl
Me	CHF_2	Cl	$OCH_2C\equiv CH$	Cl
Me	CHF_2	F	$OCH_2C\equiv CH$	Br
Me	CHF_2	H	$OCH_2C\equiv CH$	Cl
Me	CHF_2	Cl	OEt	Cl
Me	CHF_2	F	$OCHOMe_2$	Cl
Me	CHF_2	Cl	OCH_2CH_2Me	Cl
Me	CHF_2	Cl	$OCH_2CH=CH_2$	Br
Me	CHF_2	F	OCH_2CO_2Me	Cl
Me	CHF_2	Cl	$OCH_2C(O)NMe_2$	Cl
Me	CHF_2	F	$OCH_2C(O)NH_2$	Cl
Me	CHF_2	F	$OCH_2C(O)NHPh$	Cl
Me	CHF_2	Cl	$OCH(Me)CO_2Et$	Cl
Me	CHF_2	F	$OCH_2CH=CMe_2$	Cl
Me	CHF_2	F	OCH_2OMe	Cl

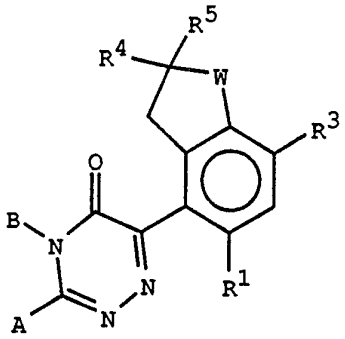
Me	CHF_2	F	OCH_2OEt	Cl
Me	CHF_2	Cl	OCH_2CH_2OMe	Cl
Me	CHF_2	F	SMe	Cl
Me	CHF_2	F	SO_2Me	Cl
Me	CHF_2	F	OCH_2CF_3	Cl
Me	CHF_2	F	SCH_2CF_3	Cl
Me	CHF_2	F	OCH_2CHF_2	Br
Me	CHF_2	Cl	OCF_2CHF_2	Cl
Me	CHF_2	Cl	$SCH_2C\equiv CH$	Cl
Me	CHF_2	F	$OCH_2P(O)(OMe)_2$	Cl
Me	CHF_2	Cl	$OCH_2P(S)(OMe)_2$	Cl
Me	CHF_2	F	$OCH_2CH_2OCHF_2$	Cl
Me	CHF_2	F	$OCH_2OCH_2C\equiv CH$	Cl
Me	CHF_2	F	$OCH_2OCH_2CH=CH_2$	Cl
Me	CHF_2	F	$OCHF_2$	Cl
Me	CHF_2	Cl	CO_2Me	Cl
Me	CHF_2	F	CO_2Et	Cl
Me	CHF_2	F	CO_2Me	Cl
Me	CHF_2	F	$CO_2CH_2CH_2Me$	Cl
Me	CHF_2	F	$C(O)NMe_2$	Cl
Me	CHF_2	Cl	C(O)NHMe	Cl
Me	CHF_2	F	C(O)NHPh	Cl
Me	CHF_2	F	$CO_2CH_2C\equiv CH$	Cl
Me	CHF_2	F	$CO_2CH_2CH=CH_2$	Cl
Me	CHF_2	Cl	$C(O)NH(CH_2)_3Me$	Cl
Me	CHF_2	F	$CO_2N=CMe_2$	Cl
Me	CHF_2	F	C(O)Me	Cl
Me	CHF_2	F	$NHSO_2Me$	Cl
Me	CHF_2	F	$NHSO_2NHMe$	Cl
Me	CHF_2	Cl	$NHSO_2CF_3$	Cl
Me	CHF_2	F	$CH=CHCO_2Me$	Cl
Me	CH_2CF_3	F	H	Cl
Me	CH_2CF_3	Cl	H	Br
Me	CH_2CF_3	Cl	H	Cl
Me	CH_2CF_3	Br	H	Cl

Me	CH_2CF_3	F	H	Br
Me	CH_2CF_3	Cl	H	Br
Me	CH_2CF_3	Cl	$OCH_2C{\equiv}CH$	Cl
Me	CH_2CF_3	F	$OCH_2C{\equiv}CH$	Cl
Me	CH_2CF_3	Br	$OCH_2C{\equiv}CH$	Br
Me	CH_2CF_3	F	$OCH_2CH{=}CH_2$	Cl
Me	CH_2CF_3	Cl	$OCH_2CH{=}CH_2$	Cl
Me	CH_2CF_3	Cl	CO_2Me	Cl
Me	CH_2CF_3	F	F	Cl
Me	CH_2CF_3	F	OCH_2CO_2Me	Cl
Me	CH_2CF_3	Cl	OCH_2CO_2Et	Cl
Me	CH_2CF_3	Cl	$OCH_2C(O)NMe_2$	Cl
Me	CH_2CF_3	F	$OCH_2C(O)NHMe$	Cl
Me	CH_2CF_3	Cl	$NHSO_2Me$	Cl
Me	CH_2CF_3	F	$NHSO_2NHMe$	Cl
Me	CH_2CF_3	Cl	$C(O)NHPh$	Cl
Et	CHF_2	F	$OCH_2C{\equiv}CH$	Cl
Et	CHF_2	Cl	$OCH_2C{\equiv}CH$	Cl
Et	CHF_2	F	CO_2Me	Cl
n-Pr	CHF_2	F	$OCH_2C{\equiv}CH$	Cl
Et	CHF_2	F	$OCHMe_2$	Cl
Et	CH_2CF_3	F	$OCH_2C{\equiv}CH$	Cl
$CHMe_2$	CH_2CF_3	F	$OCH_2C{\equiv}CH$	Cl
n-Bu	CH_2CF_3	F	$OCH_2C{\equiv}CH$	Cl
Et	CH_2CF_3	H	$OCH_2C{\equiv}CH$	Br
OMe	CHF_2	Cl	$OCH_2C{\equiv}CH$	Cl
OCH_2Me	CHF_2	F	$OCH_2C{\equiv}CH$	Cl
Cl	CHF_2	F	$OCH_2C{\equiv}CH$	Cl
OEt	CH_2CF_3	F	$OCH_2C{\equiv}CH$	Cl
Me	$CH_2CH{=}CH_2$	F	H	Cl
Me	$CH_2C{\equiv}CH$	F	H	Cl
Me	$CH_2CH{=}CH_2$	F	$OCH_2C{\equiv}CH$	Cl
Me	$CH_2CH{=}CH_2$	Cl	$OCH_2C{\equiv}CH$	Cl
Et	$CH_2CH{=}CH_2$	Cl	CO_2Me	Cl
Et	$CH_2CH{=}CH_2$	F	OCH_2CO_2Me	Cl

n-Pr	$CH_2CH=CH_2$	Br	OCH_2CO_2Et	Cl
i-Pr	$CH_2C\equiv CH$	F	$OCH_2C\equiv CH$	Cl
Me	$CH_2CH=CH_2$	F	OEt	Cl
OMe	$CH_2CH=CH_2$	Cl	$OCHMe_2$	Cl
Me	Me	Cl	$OCH_2C\equiv CH$	Cl
Me	Me	Cl	H	Cl
Me	Me	F	H	Cl
Me	Me	F	F	Cl
Et	Me	F	$OCH_2C\equiv CH$	Cl
Me	$CHMe_2$	F	$OCH_2C\equiv CH$	Cl
Me	n-Pr	Br	$OCH_2C\equiv CH$	Br
Me	n-Pr	Cl	$OCHMe_2$	Cl
Me	$CHMe_2$	F	OCH_2CO_2Me	Cl
SMe	CHF_2	F	$OCH_2C\equiv CH$	Cl
SMe	CH_2CF_3	Cl	H	Cl
SMe	CHF_2	Cl	H	Cl
CF_3	Me	F	H	Cl
CF_3	Me	Cl	$OCH_2C\equiv CH$	Cl
CF_3	Et	F	$OCH_2C\equiv CH$	Cl
CF_3	Me	Cl	H	Cl
OMe	Me	Cl	H	Cl
OEt	Me	F	H	Cl
OMe	Me	Cl	$OCH_2C\equiv CH$	Cl
OEt	Me	F	$OCH_2C\equiv CH$	Cl
Cl	Me	F	H	Cl
Cl	Me	Cl	$OCH_2C\equiv CH$	Cl
Cl	Me	F	$OCH_2C\equiv CH$	Cl
SMe	Et	Cl	H	Cl
SMe	Et	F	$OCH_2C\equiv CH$	Cl
SMe	Et	F	H	Cl
t-Bu	CHF_2	F	H	Cl
t-Bu	CH_2CF_3	F	H	Cl
t-Bu	CHF_2	F	$OCHMe_2$	Cl
t-Bu	CHF_2	F	$OCH_2C\equiv CH$	Cl
t-Bu	CHF_2	Cl	$OCH_2C\equiv CH$	Cl

$OCHF_2$	Me	Cl	H	Cl
$OCHF_2$	Me	F	$OCH_2C{\equiv}CH$	Cl
OCH_2CF_3	Me	Cl	$OCH_2C{\equiv}CH$	Cl
Me	CF_2CHF_2	Cl	$OCH_2C{\equiv}CH$	Cl
Me	CF_2CHF_2	F	$OCH_2C{\equiv}CH$	Cl
Me	CF_2CHF_2	F	$OCHMe_2$	Cl
Me	CH_2CH_2Cl	F	$OCH_2C{\equiv}CH$	Cl

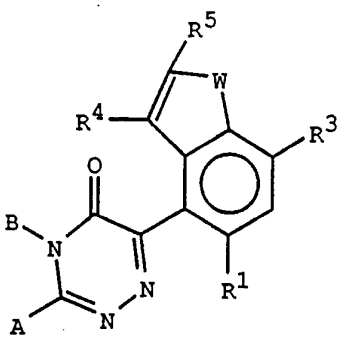
TABLE 8



A	B	R^1	R^3	R^4	R^5	W
Me	CHF_2	F	Cl	H	H	O
Me	CHF_2	Cl	Cl	H	H	O
Me	CHF_2	F	Cl	Me	H	O
Me	CHF_2	F	Cl	Me	Me	O
Me	CH_2CF_3	F	Cl	Me	H	O
Et	CH_2CF_3	F	Cl	H	H	S
Me	CH_2CF_3	Br	Br	H	H	O
Me	$CH_2CH{=}CH_2$	F	Cl	Me	H	O
Me	$CH_2C{\equiv}CH$	F	Cl	Me	H	O
Me	$CHMe_2$	Cl	Cl	H	H	O
Et	Et	F	Cl	Me	H	O
CF_3	Me	F	Cl	Me	H	O
OMe	Me	F	Cl	Me	H	O
$OCHMe_2$	Me	Cl	Cl	H	H	O
SMe	Et	Cl	Cl	Me	H	O
SEt	Me	F	Cl	Me	H	O
OMe	$CHMe_2$	Cl	Cl	Me	Me	O

Cl	Et	Cl	Cl	H	H	O
t-Bu	CHF_2	Cl	Cl	Me	H	O
t-Bu	CH_2CF_3	F	Cl	Me	H	O
Me	CF_2CHF_2	F	Cl	H	H	O
Me	CH_2CH_2Cl	Cl	Cl	H	H	O

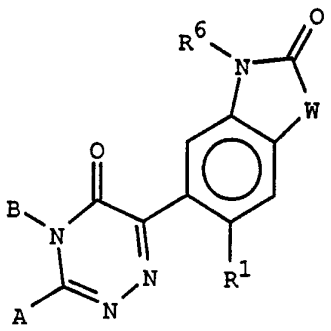
TABLE 9



A	B	R^1	R^3	R^4	R^5	W
Me	CHF_2	F	Cl	H	H	O
Me	CHF_2	Cl	Cl	H	H	O
Me	CHF_2	Cl	Cl	Me	H	O
Me	CHF_2	F	Cl	Me	Me	O
Me	CHF_2	F	Cl	H	H	S
Me	CHF_2	F	Cl	H	Me	O
Me	CH_2CF_3	F	Cl	H	Me	O
Et	CH_2CF_3	Cl	Cl	H	H	O
OMe	CH_2CF_3	F	Cl	H	Me	O
$OCHMe_2$	CH_2CF_3	Cl	Cl	H	H	O
Me	$CH_2CH{=}CH_2$	F	Cl	H	H	O
Me	$CH_2C{\equiv}CH$	F	Cl	H	Me	O
Et	Et	Cl	Cl	H	H	O
Et	$CHMe_2$	F	Cl	H	Me	O
CF_3	Me	F	Cl	H	Me	O
OCH_2CF_3	Me	F	Cl	H	H	O
Cl	Et	F	Cl	H	Me	O
$OCHMe_2$	Me	F	Cl	H	Me	O

SMe	Et	Cl	Cl	H	H	O
t-Bu	CHF_2	F	Cl	H	Me	O
Me	CF_2CHF_2	Cl	Cl	H	H	O
Et	CF_2CHF_2	F	Cl	H	H	O
Me	CH_2CH_2Cl	F	Cl	H	H	O

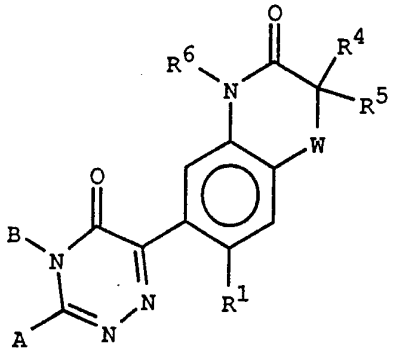
TABLE 10



A	B	R^1	R^6	W
Me	CHF_2	F	Me	O
Me	CHF_2	Cl	Et	O
Me	CHF_2	F	$CH_2CH=CH_2$	O
Et	CHF_2	Cl	$CH_2C\equiv CH$	O
$CHMe_2$	CHF_2	Cl	$CH_2C\equiv CH$	O
Me	CHF_2	Cl	$CH_2C\equiv CH$	S
Et	CHF_2	F	$CH_2C\equiv CH$	O
n-Pr	CHF_2	Cl	CH_2CF_3	O
Me	CH_2CF_3	F	$CH_2C\equiv CH$	O
$CHMe_2$	CH_2CF_3	F	$CH_2C\equiv CH$	O
Me	CH_2CF_3	Cl	n-Pr	O
Me	$CH_2CH=CH_2$	F	$CH_2C\equiv CH$	O
Me	$CH_2C\equiv CH$	Cl	$CH_2C\equiv CH$	O
Et	Et	Cl	Me	O
OCH_2CF_3	Me	Cl	$CH_2C\equiv CH$	O
CF_3	Me	Cl	$CH_2C\equiv CH$	O
OMe	Me	F	$CH_2C\equiv CH$	O
$OCHMe_2$	Me	F	$CH_2C\equiv CH$	O
SMe	Et	F	$CH_2C\equiv CH$	O

SMe	CHF_2	F	CH_2OMe	O
t-Bu	CHF_2	F	$CH_2C{\equiv}CH$	O
t-Bu	CHF_2	Cl	$CH_2C{\equiv}CH$	O
Me	CF_2CHF_2	Cl	$CH_2C{\equiv}CH$	O
Me	CF_2CHF_2	F	Me	O

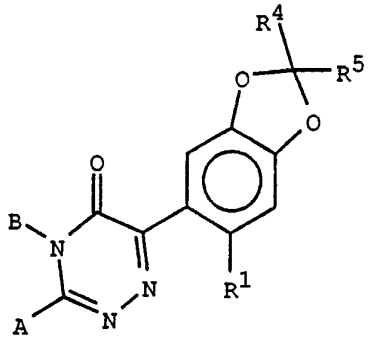
TABLE 11



A	B	R^1	R^4	R^5	R^6	W
Me	CHF_2	F	H	H	Me	O
Me	CHF_2	Cl	H	H	n-Pr	O
Me	CHF_2	F	H	H	$CH_2C{\equiv}CH$	O
Et	CHF_2	Cl	H	H	$CH_2C{\equiv}CH$	S
$CHMe_2$	CHF_2	F	H	H	$CH_2C{\equiv}CH$	O
Me	CHF_2	F	H	H	$CH_2CH{=}CH_2$	O
Me	CHF_2	Cl	H	H	$CH_2C{\equiv}CH$	O
Me	CH_2CF_3	F	H	H	$CH_2C{\equiv}CH$	O
Me	CH_2CF_3	F	Me	Me	$CH_2C{\equiv}CH$	O
t-Bu	CH_2CF_3	F	H	H	$CH_2C{\equiv}CH$	O
t-Bu	CHF_2	F	H	H	$CH_2C{\equiv}CH$	O
Me	$CH_2CH{=}CH_2$	Cl	F	H	$CH_2C{\equiv}CH$	O
Me	$CH_2C{\equiv}CH$	Cl	H	H	Et	O
Et	Et	Cl	H	H	$CH_2C{\equiv}CH$	O
CF_3	Me	F	H	H	$CH_2C{\equiv}CH$	O
OCH_2CF_3	Me	Cl	H	H	$CH_2CH{=}CH_2$	O
OMe	Et	F	H	H	$CH_2C{\equiv}CH$	O
SMe	Me	F	Me	H	$CH_2C{\equiv}CH$	O

$CHMe_2$	CH_2CF_3	Cl	Me	H	$CH_2C{\equiv}CH$	O
Et	CHF_2	F	H	H	CH_2OMe	O
$OCHMe_2$	Me	F	H	H	$CH_2C{\equiv}CH$	O
Me	CF_2CHF_2	Cl	H	H	Me	O
Me	CH_2CH_2Cl	Cl	H	H	Et	O

TABLE 12



A	B	R^1	R^4	R^5
Me	CHF_2	Cl	H	H
Me	CHF_2	F	H	H
Me	CHF_2	F	F	F
Me	CHF_2	Cl	F	F
Me	CH_2CF_3	Cl	F	F
Me	CH_2CF_3	F	H	H
Me	CH_2CF_3	Cl	H	H
Me	CH_2CF_3	Br	F	F
Me	$CH_2CH{=}CH_2$	Cl	F	F
Me	$CH_2C{\equiv}CH$	F	F	F
Et	Et	Cl	H	H
Et	OMe	Cl	F	F
$OCHMe_2$	Me	Cl	H	H
Me	CHF_2	Cl	Me	Me
SMe	Et	F	H	H
t-Bu	CHF_2	F	F	F
t-Bu	CHF_2	Cl	F	F
t-Bu	CH_2CF_3	Cl	H	H
n-Pr	Me	F	F	F

Et	CHF_2	H	F	F
Me	CF_2CHF_2	F	F	F
Et	CF_2CHF_2	F	H	H
Me	CH_2CH_2Cl	F	F	F

Formulation

Compounds of this invention will generally be used in formulation with an agriculturally suitable carrier comprising a liquid or solid diluent or an organic solvent. Use formulations include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like, consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up 100 weight percent.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Wettable Powders	25-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2Nd Ed., Interscience, New York, (1950). *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, (1964), list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, etc.

Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced be agglomerating a fine powder composition; see for example, Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, (1963), pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can also be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and

Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

In the following Examples, all percentages are by weight and all formulations are worked up in conventional ways. Compound numbers refer to Table 13.

Example A

High Strength Concentrate

Compound 1	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%.

Example B

Wettable Powder

Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example C

Granule

Compound 1	10.0%
attapulgite granules (low volative matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example D

Extruded Pellet

Compound 1	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Utility

The compounds of the present invention are active postemergence and preemergence herbicides. Several compounds of this invention are useful for the control of selected grass and broadleaf weeds with tolerance to important agronomic crops such as, but not limited to, corn (*Zea mays*), cotton (*Gossypium hirsutum*), rice (*Oryza sativa*), soybean (*Glycine max*), wheat (*Tritium aestivum*), barley (*Hordeum vulgare*) and plantation crops.

Alternatively, compounds of this invention can be used in areas where complete control of all vegetation is desired, such as around fuel storage tanks, ammunition depots, industrial storage areas, oil well sites, drive-in theaters, around billboards, highways and railroad structures and in fence rows.

In general, effective application rates for the compounds of this invention are 0.001 to 20 kg/ha with a preferred rate range of 0.004 to 0.25 kg/ha. Effective rates of application for this invention are determined by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. One skilled in the art can select the effective rates for a given situation.

The compounds of this invention may be used alone or in combination with other commercial herbicides, insecticides or fungicides. The following list exemplifies some of the herbicides suitable for use in mixtures. A combination of a compound from this invention with one or more of the following herbicides may be particularly useful for weed control in plantation crops.

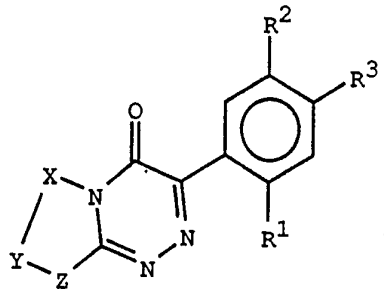
Compounds of this invention can be used alone or in combination with other commercial herbicides,

insecticides or fungicides. A mixture of one or more of the following herbicides with a compound of this invention can be particularly useful for weed control: acetochlor, acifluorfen, acrolein, 2-propenal, alachlor, ametryn, amidosulfuron, ammonium sulfamate, amitrole, anilofos, asulam, atrazine, barban, benefin, bensulfuron methyl, bensulide, bentazon, benzofluor, benzoylprop, bifenox, bromacil, bromoxynil, bromoxynil heptanoate, bromoxynil octanoate, butachlor, buthidazole, butralin, butylate, cacodylic acid, 2-chloro-*N,N*-di-2-propenylacetamide, 2-chloroallyl diethyldithiocarbamate, chloramben, chlorbromuron, chloridazon, chlorimuron ethyl, chlormethoxynil, chlornitrofen, chloroxuron, chlorpropham, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim, clomazone, cloproxydim, clopyralid, calcium salt of methylarsonic acid, cyanazine, cycloate, cycluron, cyperquat, cyprazine, cyprazole, cypromid, dalapon, dazomet, dimethyl 2,3,5,6-tetrachloro-1,4-benzenedicarboxylate, desmedipham, desmetryn, dicamba, dichlobenil, dichlorprop, diclofop, diethatyl, difenzoquat, diflufenican, dimepiperate, dinitramine, dinoseb, diphenamid, dipropetryn, diquat, diuron, 2-methyl-4,6-dinitrophenol, disodium salt of methylarsonic acid, dymron, endothall, S-ethyl dipropylcarbamothioate, esprocarb, ethalfluralin, ethametsulfuron methyl, ethofumesate, fenac, fenoxaprop, fenuron, salt of fenuron and trichloroacetic acid, flamprop, fluazifop, fluazifop-P, fluchloralin, flumesulam, flumipropyn, fluometuron, fluorochloridone, fluorodifen, fluoroglycofen, flupoxam, fluridone, fluroxypyr, fluzasulfuron, fomesafen, fosamine, glyphosate, haloxyfop, hexaflurate, hexazinone, imazamethabenz, imazapyr, imazaquin, imazamethabenz methyl, imazethapyr,

imazosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, karbutilate, lactofen, lenacil, linuron, metobenzuron, metsulfuron methyl, methylarsonic acid, monoammonium salt of methylarsonic acid, (4-chloro-2-methylphenoxy)acetic acid, *S,S'*-dimethyl-2-(difluoromethyl)-4-(2-methylpropyl)-6-(trifluoromethyl)-3,5-pyridinedicarbothioate, mecoprop, mefenacet, mefluidide, methalpropalin, methabenzthiazuron, metham, methazole, methoxuron, metolachlor, metribuzin, 1,2-dihydropyridazine-3,6-dione, molinate, monolinuron, monuron, monuron salt and trichloroacetic acid, monosodium salt of methylarsonic acid, napropamide, naptalam, neburon, nicosulfuron, nitralin, nitrofen, nitrofluorfen, norea, norflurazon, oryzalin, oxadiazon, oxyfluorfen, paraquat, pebulate, pendimethalin, perfluidone, phenmedipham, picloram, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitroacetophenone oxime-O-acetic acid methyl ester, pretilachlor, primisulfuron, procyazine, profluralin, prometon, prometryn, pronamide, propachlor, propanil, propazine, propham, prosulfalin, prynachlor, pyrazolate, pyrazon, pyrazosulfuron ethyl, quinchlorac, quizalofop ethyl, rimsulfuron, secbumeton, sethoxydim, siduron, simazine, 1-(a,a-dimethylbenzyl)-3-(4-methylphenyl)urea, sulfometuron methyl, trichloroacetic acid, tebuthiuron, terbacil, terbuchlor, terbuthylazine, terbutol, terbutryn, thifensulfuron methyl, thiobencarb, tri-allate, trialkoxydim, triasulfuron, tribenuron methyl, triclopyr, tridiphane, trifluralin, trimeturon, (2,4-dichlorophenoxy)acetic acid, 4-(2,4-dichlorophenoxy)butanoic acid, vernolate, and xylachlor.

Selective herbicidal properties of the subject compounds were discovered in greenhouse tests as described below.

TABLE 13



Cmpd	X	Y	Z	R^1	R^2	R^3	m.p. (°C)
1	CH_2CH_2	CH_2	CH_2CH_2	Cl	H	Cl	123-124
2	CH_2	CH_2	CH_2CH_2	Cl	H	Cl	119-123
3	CH_2	CH_2	CH_2CH_2	F	F	Cl	127-128[d]
4	CH_2	CH_2	CH_2CH_2	F	OMe	Cl	61-70[d]
5	CH_2	CH_2	CH_2CH_2	F	H	Cl	151-154[d]
6	CH_2	CH_2	CH_2CH_2	F	OMe	OMe	106-111

[d]decomposed during melting

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 (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria* spp.), giant foxtail (*Setaria faberii*), lambsquarters (*Chenopodium album*), 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*) 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 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	Table A	Compound
Rate (2000 g/ha)	1	Rate (2000 g/ha)	1
POSTEMERGENCE		PREEMERGENCE	
Barley	3	Barley	0
Barnyardgrass	6	Barnyardgrass	9
Bedstraw	9	Bedstraw	9
Blackgrass	5	Blackgrass	8
Cheatgrass	5	Cheatgrass	4
Chickweed	1	Chickweed	0
Cocklebur	6	Cocklebur	1
Corn	2	Corn	4
Cotton	10	Cotton	1
Crabgrass	3	Crabgrass	10
Giant Foxtail	5	Giant Foxtail	10
Lambsquarter	8	Lambsquarter	10
Morningglory	9	Morningglory	2
Nutsedge	3	Nutsedge	1
Rape	6	Rape	9
Rice	5	Rice	6
Sorghum	6	Sorghum	5
Soybean	6	Soybean	1
Sugar beet	10	Sugar beet	10

Velvetleaf	9		Velvetleaf	8	
Wheat	3		Wheat	1	
Wild buckwheat	10		Wild buckwheat	9	
Wild oat	6		Wild oat	2	

Table A	Compound		Table A	Compound	
Rate (1000 g/ha)	2	3	Rate (1000 g/ha)	2	3
POSTEMERGENCE			PREEMERGENCE		
Barley	4	4	Barley	0	3
Barnyardgrass	9	10	Barnyardgrass	9	9
Bedstraw	9	9	Bedstraw	4	8
Blackgrass	5	8	Blackgrass	2	8
Cheatgrass	4	7	Cheatgrass	3	10
Chickweed	--	3	Chickweed	4	7
Cocklebur	7	8	Cocklebur	0	7
Corn	3	8	Corn	3	9
Cotton	9	10	Cotton	0	4
Crabgrass	4	8	Crabgrass	10	10
Giant Foxtail	7	8	Giant Foxtail	10	10
Lambsquarter	10	8	Lambsquarter	10	10
Morningglory	9	9	Morningglory	1	8
Nutsedge	4	6	Nutsedge	0	0
Rape	9	9	Rape	10	10
Rice	5	8	Rice	5	9
Sorghum	5	9	Sorghum	4	5
Soybean	8	8	Soybean	4	6
Sugar beet	10	10	Sugar beet	9	10
Velvetleaf	8	10	Velvetleaf	10	10
Wheat	3	8	Wheat	2	7
Wild buckwheat	10	10	Wild buckwheat	10	10
Wild oat	5	6	Wild oat	1	7

Table A	Compound		
Rate (400 g/ha)	1	4	5
POSTEMERGENCE			
Barley	0	3	3
Barnyardgrass	3	9	6
Bedstraw	6	9	8
Blackgrass	3	3	5
Cheatgrass	3	8	5
Chickweed	0	4	4
Cocklebur	3	8	6
Corn	2	7	5
Cotton	10	9	10
Crabgrass	3	9	3
Giant Foxtail	3	-	6
Lambsquarter	--	10	6
Morningglory	4	9	8
Nutsedge	1	5	-
Rape	6	9	9
Rice	4	9	6
Sorghum	3	8	3
Soybean	5	8	6
Sugar beet	5	9	9
Velvetleaf	5	10	10
Wheat	2	4	4
Wild buckwheat	7	10	10
Wild oat	3	5	3

Table A	Compound		
Rate (400 g/ha)	1	4	5
PREEMERGENCE			
Barley	0	1	2
Barnyardgrass	2	9	9
Bedstraw	5	3	7
Blackgrass	2	4	4
Cheatgrass	4	4	5
Chickweed	0	9	3
Cocklebur	0	8	8
Corn	0	5	8
Cotton	0	0	0
Crabgrass	2	10	10
Giant Foxtail	0	9	9
Lambsquarter	7	10	8
Morningglory	0	10	7
Nutsedge	0	1	10
Rape	0	10	10
Rice	0	8	6
Sorghum	0	3	3
Soybean	0	0	3
Sugar beet	4	10	9
Velvetleaf	1	10	10
Wheat	0	3	4
Wild buckwheat	10	10	10
Wild oat	1	3	3

Table A	Compound	
Rate (200 g/ha)	2	3
POSTEMERGENCE		
Barley	3	3
Barnyardgrass	3	7
Bedstraw	7	5
Blackgrass	3	4
Cheatgrass	3	3

Table A	Compound	
Rate (200 g/ha)	2	3
PREEMERGENCE		
Barley	0	0
Barnyardgrass	1	4
Bedstraw	1	1
Blackgrass	--	2
Cheatgrass	1	2

Chickweed	--	3	Chickweed	4	1
Cocklebur	4	7	Cocklebur	0	2
Corn	3	3	Corn	0	6
Cotton	9	9	Cotton	0	2
Crabgrass	3	3	Crabgrass	6	9
Giant Foxtail	4	5	Giant Foxtail	2	10
Lambsquarter	8	6	Lambsquarter	5	8
Morningglory	6	9	Morningglory	0	3
Nutsedge	1	5	Nutsedge	0	0
Rape	3	6	Rape	8	6
Rice	4	5	Rice	0	6
Sorghum	4	5	Sorghum	0	4
Soybean	6	6	Soybean	0	3
Sugar beet	8	8	Sugar beet	2	9
Velvetleaf	9	9	Velvetleaf	3	10
Wheat	2	3	Wheat	1	0
Wild buckwheat	4	9	Wild buckwheat	10	8
Wild oat	3	2	Wild oat	0	0

Table A	COMPOUND		Table A	COMPOUND	
Rate 100 g/ha	4	5	Rate 100 g/ha	4	5
POSTEMERGENCE			PREEMERGENCE		
Barley	3	2	Barley	0	0
Barnyardgrass	8	2	Barnyardgrass	5	2
Bedstraw	7	6	Bedstraw	2	4
Blackgrass	2	2	Blackgrass	0	1
Cheatgrass	3	3	Cheatgrass	2	2
Chickweed	3	2	Chickweed	2	1
Cocklebur	7	4	Cocklebur	3	2
Corn	3	1	Corn	3	0
Cotton	10	10	Cotton	0	0
Crabgrass	7	2	Crabgrass	9	9
Giant foxtail	9	3	Giant foxtail	5	1
Lambsquarter	9	4	Lambsquarter	10	4
Morningglory	9	7	Morningglory	4	5

Nutsedge	4	0	Nutsedge	0	0
Rape	7	7	Rape	8	9
Rice	8	4	Rice	7	1
Sorghum	6	3	Sorghum	1	0
Soybean	8	4	Soybean	0	0
Sugar beet	9	8	Sugar beet	10	9
Velvetleaf	10	8	Velvetleaf	9	10
Wheat	3	1	Wheat	0	0
Wild buckwheat	9	9	Wild buckwheat	8	8
Wild oat	2	1	Wild oat	1	0

TEST B

Seeds of barnyardgrass (*Echinochloa crus-galli*), cheatgrass (*Bromus secalinus*), cocklebur (*Xanthium pensylvanicum*), crabgrass (*Digitaria* spp.), 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 with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated postemergence 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 (200 g/ha)	1	Rate (200 g/ha)	1
POSTEMERGENCE		PREEMERGENCE	
Barnyardgrass	4		
Cheatgrass	3	Cheatgrass	3
Cocklebur	5	Cocklebur	2
Crabgrass	5	Crabgrass	7
Giant Foxtail	3	Giant Foxtail	10
Morningglory	7	Morningglory	4
Sorghum	5	Sorghum	3
Velvetleaf	10	Velvetleaf	10
Wild oat	2	Wild oat	3

TEST C

The compounds evaluated in this test were formulated in a non-phytoxic 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 barley (*Hordeum vulgare*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), lambsquarters (*Chenopodium album*), morningglory (*Ipomoea hederacea*), pigweed (*Amaranthusretroflexus*), rape (*Brassica napus*), ryegrass (*Lolium multiflorum*), sorghum (*Sorghum*

bicolor), 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 post-emergence portion of the test. Plant species in the flood test consisted of rice (*Oryza sativa*), umbrella sedge (*Cyperus difformis*), duck salad (*Heteranthera limosa*) and barnyardgrass (*Echinochloa crus-galli*) 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 500 g/ha	4	Rate 250 g/ha	3	4
PREEMERGENCE		PREEMERGENCE		
Barley Igri	65	Barley Igri	10	40
Barnyardgrass	95	Barnyardgrass	100	95
Bedstraw	80	Bedstraw	90	30
Blackgrass	90	Blackgrass	20	70
Chickweed	80	Chickweed	10	75
Corn	95	Corn	20	80
Cotton	35	Cotton	0	20
Crabgrass	100	Crabgrass	95	95

Downy Brome	70	
Giant foxtail	100	
Lambsquarters	100	
Morningglory	95	
Pigweed	100	
Rape	100	
Ryegrass	100	
Sorghum	95	
Soybean	0	
Speedwell	100	
Sugar beet	100	
Velvetleaf	100	
Wheat	85	
Wild buckwheat	100	
Wild oat	95	

Downy Brome	0	50
Giant foxtail	100	100
Lambsquarters	70	100
Morningglory	10	95
Pigweed	100	100
Rape	100	100
Ryegrass	20	90
Sorghum	20	90
Soybean	10	0
Speedwell	100	100
Sugar beet	100	100
Velvetleaf	100	100
Wheat	10	65
Wild buckwheat	100	100
Wild oat	20	80

Table C	COMPOUND	
Rate 125 g/ha	3	4
PREEMERGENCE		
Barley Igri	10	0
Barnyardgrass	60	45
Bedstraw	80	25
Blackgrass	10	30
Chickweed	10	65
Corn	0	35
Cotton	0	0
Crabgrass	85	85
Downy Brome	0	35
Giant foxtail	100	100
Lambsquarters	10	100
Morningglory	0	50
Pigweed	100	100
Rape	90	100
Ryegrass	10	85
Sorghum	0	50

Table C	COMPOUND	
Rate 62 g/ha	3	4
PREEMERGENCE		
Barley Igri	0	0
Barnyardgrass	20	40
Bedstraw	0	15
Blackgrass	0	30
Chickweed	0	-
Corn	0	0
Cotton	0	0
Crabgrass	50	85
Downy Brome	0	25
Giant foxtail	50	100
Lambsquarters	0	100
Morningglory	0	30
Pigweed	70	100
Rape	10	100
Ryegrass	0	65
Sorghum	0	40

Soybean	0	0
Speedwell	100	100
Sugar beet	100	100
Velvetleaf	100	100
Wheat	0	35
Wild buckwheat	100	100
Wild oat	10	70

Soybean	0	0
Speedwell	50	100
Sugar beet	95	100
Velvetleaf	100	95
Wheat	0	10
Wild buckwheat	75	100
Wild oat	0	45

Table C	COMPOUND
Rate 31 g/ha	3
PREEMERGENCE	
Barley Igri	0
Barnyardgrass	0
Bedstraw	0
Blackgrass	0
Chickweed	0
Corn	0
Cotton	0
Crabgrass	0
Downy Brome	0
Giant foxtail	30
Lambsquarters	0

Table C	COMPOUND
Rate 31 g/ha	3
PREEMERGENCE	
Morningglory	0
Pigweed	50
Rape	0
Ryegrass	0
Sorghum	0
Soybean	0
Speedwell	0
Sugar beet	0
Velvetleaf	60
Wheat	0
Wild buckwheat	0
Wild oat	0

TEST D

Seeds, tubers, or plant parts of alfalfa (*Medicago sativa*), annual bluegrass (*Poa annua*), bermudagrass (*Cynodon dactylon*), broadleaf signalgrass (*Brachiaria plantaginea*), dallisgrass (*Paspalum Dilatatum*), goosegrass (*Eleusine indica*), guineagrass (*Panicum maximum*), itchgrass (*Rottboellia exaltata*), johnsongrass (*Sorghum halepense*), large crabgrass (*Digitaria sanguinalis*), peanuts (*Arachis hypogaea*), pitted morningglory (*Ipomoea lacunosa*), purple nutsedge (*Cyperus rotundus*), purslane (*Portulaca oleracea*),

ragweed (*Ambrosia elatior*), sandbur (*Cenchrus echinatus*), and smooth crabgrass (*Digitaria ischaemum*) were planted into greenhouse pots of flats containing greenhouse planting medium. Plant species were grown grown in separate pots or individual compartments. Preemergence applications were made within one day of planting the seed or plant part. Postemergence applications were applied when the plants were in the two to four leaf stage (three to twenty cm). Test chemicals were dissolved in a non-phytotoxic solvent and applied preemergence and postemergence to the plants. Untreated control plants and treated plants were placed in the greenhouse and visually evaluated for injury 13 to 21 days after herbicide application. Plant response ratings, summarized in Table D, are based on a 0 to 100 scale where 0 is no injury and 100 is complete control. A dash (-) response means no test result.

Table D	COMPOUND	Table D	COMPOUND
Rate 125 g/ha	4	Rate 125 g/ha	4
POSTEMERGENCE		PREEMERGENCE	
Alfalfa	0	Alfalfa	0
Ann Bluegrass	0	Ann Bluegrass	0
Bermudagrass	0	Bermudagrass	0
Signalgrass	0	Signalgrass	0
Purslane	70	Purslane	0
Ragweed	0	Ragweed	0
Dallisgrass	0	Dallisgrass	0
Goosegrass	0	Goosegrass	70
Guineagrass	0	Guineagrass	0
Itchgrass	0	Itchgrass	0
Johnsongrass	0	Johnsongrass	0
Large Crabgrass	0	Large Crabgrass	70
Peanuts	10	Peanuts	0

Pit Morninglory	0	Pit Morninglory	0
Purple Nutsedge	0	Purple Nutsedge	0
S. Sandbur	0	S. Sandbur	0
Smooth Crabgras	0	Smooth Crabgras	0

TEST E

Compounds evaluated in this test were formulated in a non-phytoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application) and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence test while a mixture of sandy loam soil and greenhouse potting mix in a 60:40 ratio was used for the postemergence test. Test compounds were applied within approximately one day after planting seeds for the preemergence test.

Plantings of these crops and weed species were adjusted to produce plants of appropriate size for the postemergence test. All plant species were grown using normal greenhouse practices. Crop and weed species include winter barley (*Hordeum vulgare* cv. 'Igri'), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), downy brome (*Bromus tectorum*), field violet (*Viola arvensis*), galium (*Galium aparine*), green foxtail (*Setaria viridis*), kochia (*Kochia scoparia*), lambsquarters (*Chenopodium album*), speedwell (*Veronica persica*), rape (*Brassica napus*), ryegrass (*Lolium multiflorum*), sugar beet (*Beta vulgaris* cv. 'US1'), sunflower (*Helianthus annuus* cv. 'Russian Giant'), spring wheat (*Triticum aestivum* cv. 'ERA'), winter wheat (*Triticum aestivum* cv. 'Talent'), wild buckwheat (*Polygonum convolvulus*), wild mustard (*Sinapis arvensis*), wild oat (*Avena fatua*), and wild radish (*Raphanus raphanistrum*).

Blackgrass, galium and wild oat were treated at two growth stages. The first stage (1) was when the plants had two to three leaves. The second stage (2) was when the plants had approximately four leaves or in the initial stages of tillering. Treated plants and untreated controls were maintained in a greenhouse for approximately 21 to 28 days, after which all treated plants were compared to untreated controls and visually evaluated. Plant response ratings, summarized in Table E, are based upon a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash response (-) means no test result.

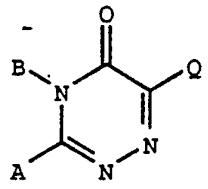
Table E	COMPOUND	Table E	COMPOUND
Rate 125 g/ha	4	Rate 62 g/ha	4
PREEMERGENCE		PREEMERGENCE	
Blackgrass (1)	5	Blackgrass (1)	0
Blackgrass (2)	10	Blackgrass (2)	0
Chickweed	30	Chickweed	0
Downy brome	10	Downy brome	0
Field violet	100	Field violet	100
Galium (1)	0	Galium (1)	0
Galium (2)	5	Galium (2)	5
Green foxtail	95	Green foxtail	10
Kochia	20	Kochia	0
Lambsquarters	100	Lambsquarters	100
Persn Speedwell	100	Persn Speedwell	100
Rape	70	Rape	45
Ryegrass	55	Ryegrass	20
Sugar beet	100	Sugar beet	100
Sunflower	0	Sunflower	0
Wheat (Spring)	40	Wheat (Spring)	35
Wheat (Winter)	25	Wheat (Winter)	5
Wild buckwheat	100	Wild buckwheat	90
Wild mustard	20	Wild mustard	0

Wild oat (1)	20	Wild oat (1)	5
Wild oat (2)	40	Wild oat (2)	40
Wild radish	100	Wild radish	100
Winter Barley	40	Winter Barley	10

Table E	COMPOUND	Table E	COMPOUND
Rate 16 g/ha	4	Rate 8 g/ha	4
PREEMERGENCE		PREEMERGENCE	
Blackgrass (1)	0	Blackgrass (1)	0
Blackgrass (2)	0	Blackgrass (2)	0
Chickweed	0	Chickweed	0
Downy brome	0	Downy brome	0
Field violet	80	Field violet	40
Galium (1)	0	Galium (1)	0
Galium (2)	0	Galium (2)	0
Green foxtail	0	Green foxtail	0
Kochia	0	Kochia	0
Lambsquarters	-	Lambsquarters	30
Persn Speedwell	60	Persn Speedwell	20
Rape	0	Rape	0
Ryegrass	0	Ryegrass	0
Sugar beet	80	Sugar beet	0
Sunflower	0	Sunflower	0
Wheat (Spring)	0	Wheat (Spring)	0
Wheat (Winter)	0	Wheat (Winter)	0
Wild buckwheat	90	Wild buckwheat	50
Wild mustard	0	Wild mustard	0
Wild oat (1)	0	Wild oat (1)	0
Wild oat (2)	0	Wild oat (2)	0
Wild radish	0	Wild radish	0
Winter Barley	0	Winter Barley	0

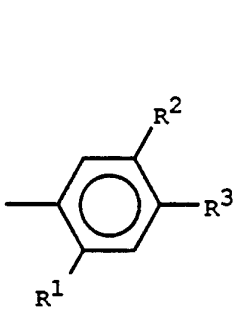
CLAIMS

1. A compound of the formula

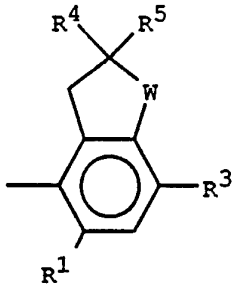


wherein

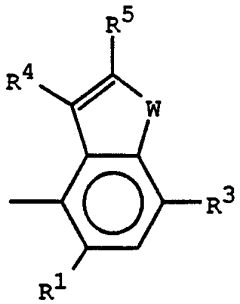
Q is selected from the group:



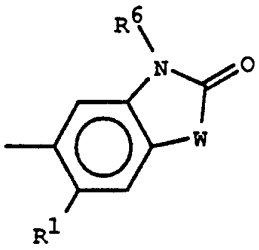
Q-1



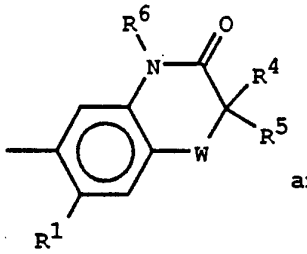
Q-2



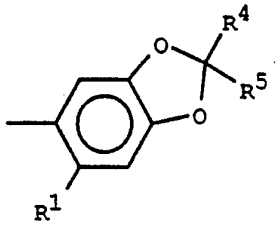
Q-3



Q-4



Q-5 and



Q-6 ;

A is selected from the group C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, OR^{16}, SR^{16} and halogen;

B is selected from the group C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_4 alkenyl and C_3-C_4 alkynyl;

A and B can be taken together as X-Y-Z to form a fused ring such that X is connected to nitrogen and Z is connected to carbon;

X is selected from the group CHR^{17}, CH_2CH_2 and $CR^{17}=CR^{18}$;

Y is selected from the group CHR^{19}, $CR^{19}=CR^{20}$, $CHR^{19}CHR^{20}$, NR^{21}, O and $S(O)_n$;

Z is selected from the group CHR^{22}, CH_2CH_2, $CR^{22}=CR^{23}$, NR^{21}, O and $S(O)_n$;

n is 0, 1 or 2;

R^1 is halogen;

R^2 is selected from the group H, C_1-C_8 alkyl, C_1-C_8 haloalkyl, halogen, OH, OR^7, SH, $S(O)_nR^7$, COR^7, CO_2R^7, $C(O)SR^7$, $C(O)NR^9R^{10}$, CHO, $CR^{24}=NOR^{25}$, $CH=CR^{28}CO_2R^7$, $CH_2CHR^{28}CO_2R^7$, $CO_2N=CR^{11}R^{12}$, NO_2, CN, $NHSO_2R^{13}$, $NHSO_2NHR^{13}$, NR^7R^{26}, NH_2 and phenyl optionally substituted with R^{27};

R^3 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;

R^4 is selected from the group H, C_1-C_3 alkyl and halogen;

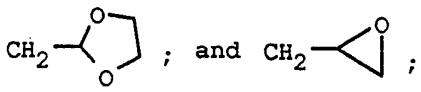
R^5 is selected from the group H, C_1-C_3 alkyl, halogen, C_1-C_3 haloalkyl, cyclopropyl, C_2 alkenyl, C_2 alkynyl, CN, $C(O)R^{29}$, CO_2R^{29}, $CONR^{29}R^{30}$, $CR^{31}R^{32}C(O)R^{29}$, $CR^{31}R^{32}CO_2R^{29}$, $CR^{31}R^{32}CONR^{29}R^{30}$, $CHR^{31}OH$, $CHR^{31}OC(O)R^{29}$ and $OCHR^{31}OC(O)NR^{29}R^{30}$;

when Q is Q-2 or Q-6, R^4 and R^5 together with the carbon to which they are attached can be C=O;

R^6 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^7 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 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxyalkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_4-C_8 alkenoxyalkyl; C_4-C_8 alkynoxyalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxyalkyl; C_4-C_8 haloalkenoxyalkyl; C_4-C_8 haloalkynoxyalkyl; C_6-C_8 cycloalkyl-thioalkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy or benzyloxy, each ring optionally substituted with a member 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 alkyl carbonyl; benzyl optionally substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl; $CHR^{14}COR^8$; $CHR^{14}P(O)(OR^8)_2$; $CHR^{14}P(S)(OR^8)_2$; $CHR^{14}C(O)NR^9R^{10}$; $CHR^{14}C(O)NH_2$, $CHR^{33}CO_2R^{34}$; CO_2R^{34}; SO_2R^{34}; phenyl optionally substituted with R^{27};



R^8 is selected from the group C_1-C_6 alkyl, C_2-C_6 alkenyl and C_2-C_6 alkynyl;

R^9 and R^{11} are independently selected from the group H and C_1-C_4 alkyl;

R^{10} and R^{12} are independently selected from the group C_1-C_4 alkyl and phenyl optionally

substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl;

R^9 and R^{10} can be taken together as $-(CH_2)_5-$, $-(CH_2)_4-$ or $-CH_2CH_2OCH_2CH_2-$, each ring optionally and independently substituted with one or more members selected from the group C_1-C_3 alkyl, phenyl and benzyl;

R^{11} and R^{12} can be taken together with the carbon to which they are attached to form C_3-C_8 cycloalkyl;

R^{13} is selected from the group C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{14} is selected from the group H and C_1-C_4 alkyl;

R^{16} is selected from the group C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{17}, R^{18}, R^{19}, R^{20}, R^{22} and R^{23} are independently selected from the group H, halogen, C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{21} is selected from the group H, C_1-C_4 alkyl and C_1-C_4 haloalkyl;

R^{24} is selected from the group H and C_1-C_4 alkyl;

R^{25} is selected from the group H, C_1-C_6 alkyl, C_3-C_6 alkenyl and C_3-C_6 alkynyl;

R^{26} is selected from the group H and C_1-C_4 alkyl;

R^{27} 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;

R^{28} is selected from the group H, C_1-C_4 alkyl and halogen;

R^{29}, R^{30}, R^{31} and R^{32} are independently selected from the group H and C_1-C_3 alkyl;

R^{33} is selected from the group H and C_1-C_4 alkyl;

R^{34} is selected from the group C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl or C_3-C_6 alkynyl; and

W is selected from the group O and S;

and their agriculturally suitable salts,

provided that

1) the sum of X, Y and Z is no greater than 5 atoms in length and only one of Y and Z can be other than a carbon containing link;

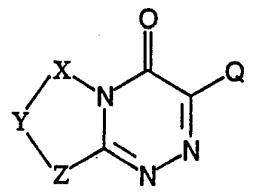
2) when R^2 is CO_2R^7, $C(O)SR^7$, $CH=CR^{28}CO_2R^7$ or $CH_2CHR^{28}CO_2R^7$ then R^7 is other than C_1 haloalkyl, and when R^7 is $CHR^{33}CO_2R^{34}$ or CO_2R^{34} then R^{34} is other than C_1 haloalkyl;

3) when X is CHR^{17}, Y is CHR^{19} and Z is CHR^{22} then at least one of R^{17}, R^{19} and R^{22} is halogen;

4) when A and B are other than taken together as X-Y-Z and Q is Q-1 then R^2 is OR^7 and R^7 is C_3-C_8 alkynyl; and

5) when Z is NR^{21}, O or S and Q is Q-1 then R^2 is OR^7 and R^7 is C_3-C_8 alkynyl.

2. A compound of Claim 1 wherein the compounds are of the formula



wherein

X is CHR^{17} or CH_2CH_2;

Y is CHR^{19} or $CHR^{19}CHR^{20}$;

Z is CHR^{22} or CH_2CH_2;

R^2 is selected from the group H, C_1-C_8 alkyl, C_1-C_8 haloalkyl, halogen, OR^7, $S(O)_nR^7$, COR^7, CO_2R^7, $C(O)SR^7$, $C(O)NR^9R^{10}$, CHO, $CH=CHCO_2R^7$, $CO_2N=CR^{11}R^{12}$, NO_2, CN, $NHSO_2R^{13}$ and $NHSO_2NHR^{13}$;

R^5 is selected from the group H, C_1-C_3 alkyl and halogen;

R^7 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 alkylsulfinylalkyl; C_2-C_8 alkylsulfonylalkyl; C_4-C_8 alkoxyalkoxyalkyl; C_4-C_8 cycloalkylalkyl; C_4-C_8 alkenoxyalkyl; C_4-C_8 alkynoxyalkyl; C_6-C_8 cycloalkoxyalkyl; C_4-C_8 alkenyloxyalkyl; C_4-C_8 alkynyloxyalkyl; C_3-C_8 haloalkoxyalkyl; C_4-C_8 haloalkenoxyalkyl; C_4-C_8 haloalkynoxyalkyl; C_6-C_8 cycloalkyl-thioalkyl; C_4-C_8 alkenylthioalkyl; C_4-C_8 alkynylthioalkyl; C_1-C_4 alkyl substituted with phenoxy or benzyloxy, each ring optionally substituted with a member 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 alkyl carbonyl; benzyl optionally substituted with a member selected from the group halogen, C_1-C_3 alkyl and C_1-C_3 haloalkyl; $CHR^{14}COR^8$; $CHR^{14}P(O)(OR^8)_2$; $CHR^{14}P(S)(OR^8)_2$; $CHR^{14}C(O)NR^9R^{10}$ and $CHR^{14}C(O)NH_2$;

R^{17}, R^{19}, R^{20} and R^{22} are selected from the group H, halogen and C_1-C_4 alkyl;

provided that only one of R^{17}, R^{19}, R^{20} and R^{22} is other than hydrogen.

3. A compound of Claim 1 wherein

X is CHR^{17};

Y is $CHR^{19}CHR^{20}$;

Z is CHR^{22}.

4. A compound of Claim 3 wherein

R^2 is selected from the group H, OR^7, SR^7 and CO_2R^7;

R^3 is selected from the group halogen and CN;

R^{17}, R^{19}, R^{20} and R^{22} are independently selected from the group H, F, CH_3 and CF_3.

5. A compound of Claim 4 wherein

Q is selected from the group Q-1, Q-2, Q-4 and Q-5;

A and B are taken together as X-Y-Z;

R^{17}, R^{18}, R^{19}, R^{20}, R^{22} and R^{23} are independently selected from the group H and F;

R^7 is selected from the group C_1-C_4 alkyl, C_3-C_4 alkenyl, C_3-C_4 alkynyl, C_2-C_4 alkoxyalkyl, C_1-C_4 haloalkyl, C_3-C_4 haloalkenyl and C_3-C_4 haloalkynyl.

6. A compound of Claim 1 wherein

X is CHR^{17};

Y is CHR^{19};

Z is CHR^{22}.

7. A compound of Claim 6 wherein

R^2 is selected from the group H, OR^7, SR^7 and CO_2R^7;

R^3 is selected from the group halogen and CN;

R^{17}, R^{19}, and R^{22} are independently selected from the group H, F, CH_3 and CF_3.

8. A compound of Claim 7 wherein

Q is selected from the group Q-1, Q-2, Q-4 and Q-5;

A and B are taken together as X-Y-Z;

R^{17}, R^{19}, and R^{22} are independently selected from the group H and F;

R^7 is selected from the group C_1-C_4 alkyl, C_3-C_4 alkenyl, C_3-C_4 alkynyl, C_2-C_4 alkoxyalkyl, C_1-C_4 haloalkyl, C_3-C_4 haloalkenyl and C_3-C_4 haloalkynyl.

9. A composition for controlling growth of undesired vegetation comprising an effective amount of a compound of Claim 1 and a carrier therefor.

10. A method for controlling growth of undesired vegetation comprising applying to the locus to be protected an effective amount of a compound of Claim 1.

INTERNATIONAL SEARCH REPORT

International Application No PCT/US 93/06132

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all)[6]

According to International Patent Classification (IPC) or to both National Classification and IPC

Int.Cl. 5 C07D471/04; C07D487/04; A01N43/90; C07D513/04
C07D498/04; C07D253/06; C07D405/04; C07D409/04

II. FIELDS SEARCHED

Minimum Documentation Searched[7]

Classification System	Classification Symbols
Int.Cl. 5	C07D ; A01N

Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched[8]

III. DOCUMENTS CONSIDERED TO BE RELEVANT[9]

Category °	Citation of Document,[11] with indication, where appropriate, of the relevant passages[12]	Relevant to Claim No.[13]
X	DE,A,3 340 026 (SCHERING) 15 May 1985 cited in the application see claims 1,17	1,9
A	FR,A,1 577 658 (BAYER) 8 August 1969 see page 17, line 22 - page 18, line 8	1,9

° Special categories of cited documents :[10]

"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

"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

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
11 OCTOBER 1993	25. 11. 93
International Searching Authority	**Signature of Authorized Officer**
EUROPEAN PATENT OFFICE	ALFARO FAUS I.

INTERNATIONAL SEARCH REPORT

International Application No PCT/US 93/06132

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all)

According to International Patent Classification (IPC) or to both National Classification and IPC

IPC^5: A01N43/707 //(C07D471/04; 253:00, 221:00) (C07D487/04, 253:00, 223:00)

II. FIELDS SEARCHED

Minimum Documentation Searched

Classification System	Classification Symbols
IPC^5	

Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched

III. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of Document, with indication, where appropriate, of the relevant passages	Relevant to Claim No.

* 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

"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

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
International Searching Authority	**Signature of Authorized Officer**
EUROPEAN PATENT OFFICE	

ANNEX TO THE INTERNATIONAL SEARCH REPORT ON INTERNATIONAL PATENT APPLICATION NO. US 9306132 SA 76417

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information. 11/10/93

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
DE-A-3340026	15-05-85	None	
FR-A-1577658	08-08-69	DE-A- 1670912	18-03-71
		AT-A- 299215	15-05-72
		AT-A- 295234	15-11-71
		BE-A- 719564	17-02-69
		CH-A- 505118	31-03-71
		GB-A- 1212273	11-11-70
		NL-A- 6811166	20-02-69
		US-A- 3544570	01-12-70