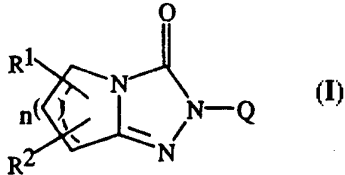




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification <sup>5</sup> : C07D 471/04, 487/04, A01N 43/90, C07D 491/147 // (C07D 471/04, 249:00, 221:00) (C07D 487/04, 249:00, 209:00)</p>	A1	<p>(11) International Publication Number: WO 94/22860 (43) International Publication Date: 13 October 1994 (13.10.94)</p>
<p>(21) International Application Number: PCT/US94/02498 (22) International Filing Date: 8 March 1994 (08.03.94) (30) Priority Data: 08/038,730 26 March 1993 (26.03.93) US (60) Parent Application or Grant (63) Related by Continuation US 08/038,730 (CIP) Filed on 26 March 1993 (26.03.93) (71) Applicants (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). DEGUSSA AKTIENGESELLSCHAFT [DE/DE]; Weissfrauenstrasse 9, D-60311 Frankfurt am Main (DE). (72) Inventors; and (75) Inventors/Applicants (for US only): HONG, Wonpyo [KR/US]; 16 Foxview Circle, Hockessin, DE 19707-2504 (US). DRAUZ, Karlheinz [DE/DE]; Zur Marienruhe 13, D-63579 Freigericht (DE). SCHAFFER, Matthias [DE/DE]; Sonnenstrasse 2, D-63808 Haibach (DE). ZIMMERMAN,</p>	<p>William, Thomas [US/US]; 1 Evans Drive, Landenberg, PA 19350-9393 (US). (74) Agents: GREGORY, Theodore, C. et al.; E.I. du Pont de Nemours and Company, Legal/Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US). (81) Designated States: BR, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). <b>Published</b> <i>With international search report.</i></p>	
<p>(54) Title: HERBICIDAL TRIAZOLINONES</p>		
<p>(57) Abstract</p>		
<p>Compounds of formula (I) having herbicidal utility are disclosed, wherein the left-hand ring contains only single bonds or one bond in the ring is a double bond, and R<sup>1</sup>, R<sup>2</sup>, Q and n are defined in the text, including compositions containing such compounds and a method for controlling weeds employing such compounds.</p>	<div style="text-align: center;">  <p>(I)</p> </div>	

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TITLE  
HERBICIDAL TRIAZOLINONES

CROSS-REFERENCE TO RELATED APPLICATIONS

5 This application is a continuation-in-part of U.S. Serial No. 08,038,730 filed March 26, 1993.

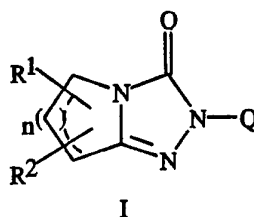
BACKGROUND OF THE INVENTION

New compounds effective for controlling the growth of undesired vegetation are in constant demand. In the most common situation, such compounds are sought to  
10 selectively control the growth of weeds in useful crops such as cotton, rice, corn, wheat and soybeans, to name a few. Unchecked weed growth in such 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  
15 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.

U.S. 4,213,773 discloses herbicidal triazolinones which differ from the compounds of the present invention in that they lack a substituent on the left-hand ring.

20 SUMMARY OF THE INVENTION

The crop protection chemical compounds of this invention are compounds of Formula I:



25

wherein

the dashed line indicates that the left-hand ring contains only single bonds or one bond in the ring is a double bond;

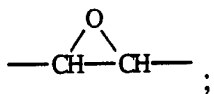
n is 1 or 2;

30 R<sup>1</sup> is selected from the group H, halogen; hydroxy, C<sub>1</sub>-C<sub>3</sub> alkoxy; C<sub>1</sub>-C<sub>3</sub> haloalkoxy; C<sub>2</sub>-C<sub>5</sub> alkylcarbonyloxy; or C<sub>2</sub>-C<sub>5</sub> haloalkylcarbonyloxy;

R<sup>2</sup> is selected from the group H, hydroxy, and halogen; or

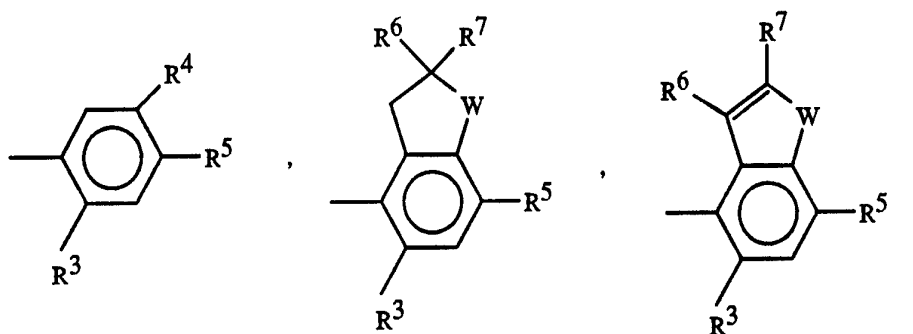
when R<sup>1</sup> and R<sup>2</sup> are bonded to the same carbon atom they can be taken together along with the carbon to which they are attached to form C=O; or

when R<sup>1</sup> and R<sup>2</sup> are bonded to adjacent carbon atoms they can be taken together along with the carbons to which they are attached to form



Q is selected from the group

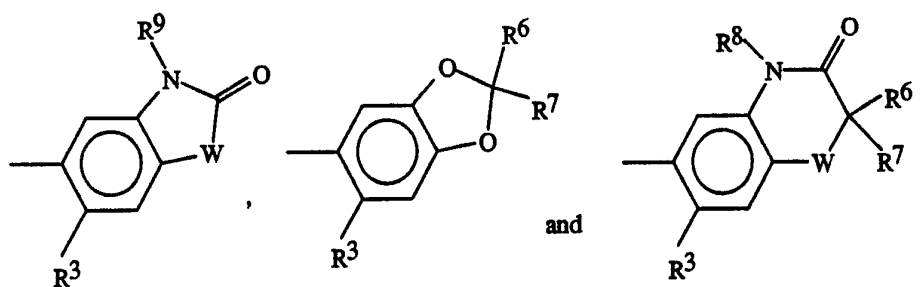
5



Q-1

Q-2

Q-3



Q-4

Q-5

Q-6

10

R<sup>3</sup> is selected from the group H and halogen;

R<sup>4</sup> is selected from the group H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, halogen, OR<sup>9</sup>, S(O)<sub>m</sub>R<sup>9</sup>, COR<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>, C(O)SR<sup>9</sup>, C(O)NR<sup>11</sup>R<sup>12</sup>, CHO, CR<sup>7</sup>=CR<sup>7</sup>CO<sub>2</sub>R<sup>9</sup>, CO<sub>2</sub>N=CR<sup>13</sup>R<sup>14</sup>, NO<sub>2</sub>, CN, NHSO<sub>2</sub>R<sup>15</sup> and NHSO<sub>2</sub>NHR<sup>15</sup>;

15

m is 0, 1 or 2;

R<sup>5</sup> is selected from the group C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> haloalkyl, OCH<sub>3</sub>, SCH<sub>3</sub>, OCHF<sub>2</sub>, halogen, CN and NO<sub>2</sub>;

R<sup>6</sup> is selected from the group H, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkynyl, C<sub>2</sub>-C<sub>3</sub> haloalkynyl, CO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), and halogen;

20

R<sup>7</sup> is independently selected from the group H, C<sub>1</sub>-C<sub>3</sub> alkyl and halogen; or when Q is Q-2 or Q-6, R<sup>6</sup> and R<sup>7</sup> together with the carbon to which they are attached can be C=O;

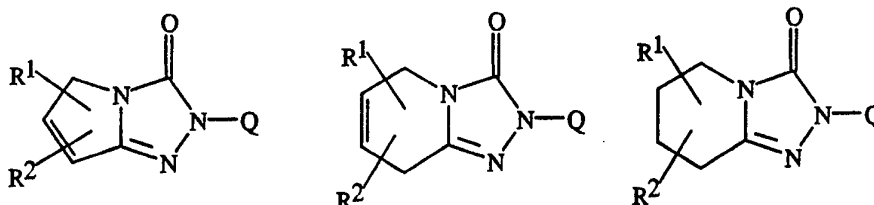
- R<sup>8</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl and C<sub>3</sub>-C<sub>6</sub> alkynyl;
- R<sup>9</sup> is selected from the group C<sub>1</sub>-C<sub>8</sub> alkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub> alkenyl; C<sub>3</sub>-C<sub>8</sub> alkynyl; C<sub>1</sub>-C<sub>8</sub> haloalkyl; C<sub>2</sub>-C<sub>8</sub> alkoxyalkyl; C<sub>2</sub>-C<sub>8</sub> alkylthioalkyl; C<sub>2</sub>-C<sub>8</sub> alkylsulfinylalkyl; C<sub>2</sub>-C<sub>8</sub> alkylsulfonylalkyl; C<sub>1</sub>-C<sub>8</sub> alkylsulfonyl; phenylsulfonyl optionally substituted on the phenyl ring with halogen or C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>4</sub>-C<sub>8</sub> alkoxyalkoxyalkyl, C<sub>4</sub>-C<sub>8</sub> cycloalkylalkyl; C<sub>4</sub>-C<sub>8</sub> alkenoxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkynoxyalkyl; C<sub>6</sub>-C<sub>8</sub> cycloalkoxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkenyloxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkynyloxyalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkoxyalkyl; C<sub>4</sub>-C<sub>8</sub> haloalkenoxyalkyl; C<sub>4</sub>-C<sub>8</sub> haloalkynoxyalkyl; C<sub>6</sub>-C<sub>8</sub> cycloalkylthioalkyl; C<sub>4</sub>-C<sub>8</sub> alkenylthioalkyl; C<sub>4</sub>-C<sub>8</sub> alkynylthioalkyl; C<sub>1</sub>-C<sub>4</sub> alkyl substituted a substituent selected from phenoxy and benzyloxy, each ring optionally substituted with a substituent selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; C<sub>4</sub>-C<sub>8</sub> trialkylsilylalkyl; C<sub>3</sub>-C<sub>8</sub> cyanoalkyl; C<sub>3</sub>-C<sub>8</sub> halocycloalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkenyl; C<sub>5</sub>-C<sub>8</sub> alkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub> haloalkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkenyl; C<sub>3</sub>-C<sub>8</sub> haloalkynyl; C<sub>5</sub>-C<sub>8</sub> alkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> haloalkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkynyl; C<sub>2</sub>-C<sub>8</sub> alkyl carbonyl; benzyl optionally substituted with a substituent selected from the group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; CHR<sup>16</sup>COR<sup>10</sup>; CHR<sup>16</sup>CO<sub>2</sub>R<sup>10</sup>; CHR<sup>16</sup>P(O)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>P(S)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>; and CHR<sup>16</sup>C(O)NH<sub>2</sub>;
- R<sup>10</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkenyl and C<sub>1</sub>-C<sub>6</sub> alkynyl;
- R<sup>11</sup> and R<sup>13</sup> are independently selected from the group H and C<sub>1</sub>-C<sub>4</sub> alkyl;
- R<sup>12</sup> and R<sup>14</sup> are independently selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and phenyl optionally substituted with a substituent selected from the group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl;
- R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, each ring optionally substituted with a substituent selected from the group C<sub>1</sub>-C<sub>3</sub> alkyl, phenyl and benzyl;
- R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon to which they are attached to form C<sub>3</sub>-C<sub>8</sub> cycloalkyl;
- R<sup>15</sup> is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl;
- R<sup>16</sup> is selected from the group H and C<sub>1</sub>-C<sub>3</sub> alkyl; and
- W is selected from the group O and S;
- provided that R<sup>1</sup> is other than H when the left-hand ring contains only single bonds.

In the above definitions, the terms "alkyl", "alkenyl" and "alkynyl" include straight and branched chain groups. "Halogen" means fluorine, chlorine, bromine or

iodine. Further, when used in compound words such as "haloalkyl" said alkyl may be partially or fully saturated with halogen atoms, which may be the same or different.

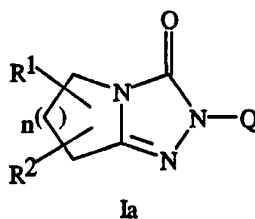
The bonding in compounds of Formula I is such that the left-hand ring contains single bonds, except that at most one of the bonds may be a double bond. Examples of

5 structures of Formula I are:



Preferred for reasons of better biological activity and/or ease of synthesis are:

10 Preferred 1: Compounds of Formula I wherein the left-hand ring contains only single bonds. Such compounds are compounds of Formula Ia:



wherein

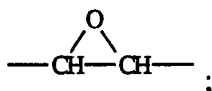
15 n is 1 or 2;

R<sup>1</sup> is selected from the group halogen; hydroxy, C<sub>1</sub>-C<sub>3</sub> alkoxy; C<sub>1</sub>-C<sub>3</sub> haloalkoxy; C<sub>2</sub>-C<sub>5</sub> alkylcarbonyloxy; or C<sub>2</sub>-C<sub>5</sub> haloalkylcarbonyloxy;

R<sup>2</sup> is selected from the group H, hydroxy, and halogen; or

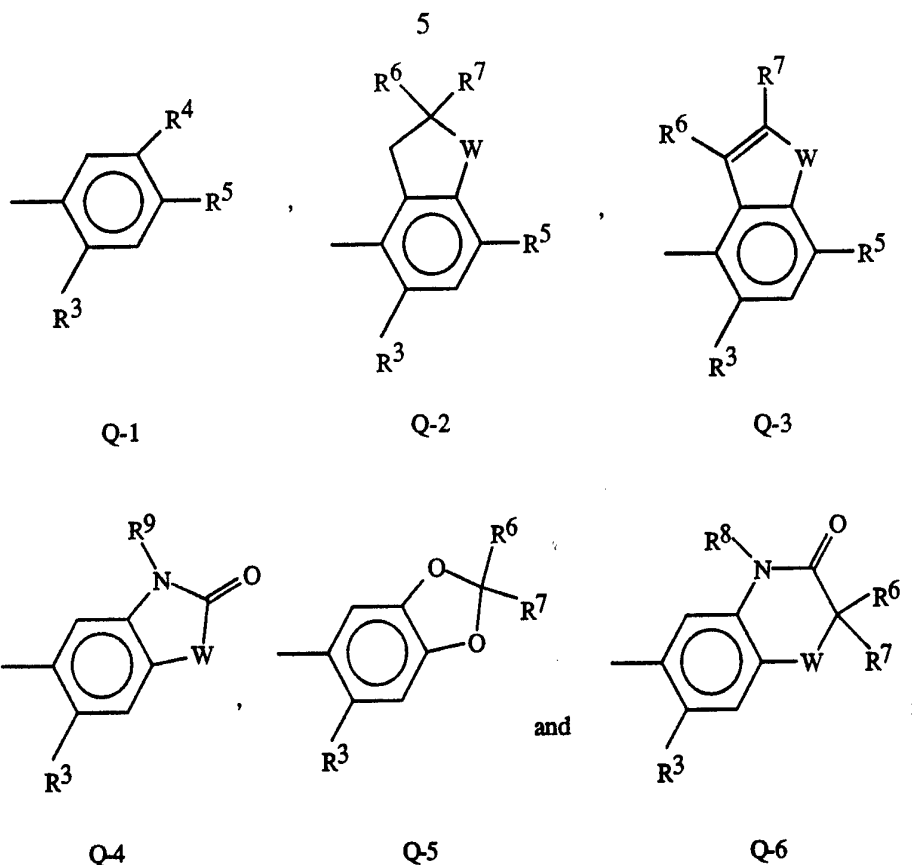
20 when R<sup>1</sup> and R<sup>2</sup> are bonded to the same carbon atom they can be taken together along with the carbon to which they are attached to form C=O; or

when R<sup>1</sup> and R<sup>2</sup> are bonded to adjacent carbon atoms they can be taken together along with the carbons to which they are attached to form



Q is selected from the group

25



- 5         $R^3$  is selected from the group H and halogen;
- $R^4$  is selected from the group H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  haloalkyl, halogen,  $OR^9$ ,  $S(O)_mR^9$ ,  $COR^9$ ,  $CO_2R^9$ ,  $C(O)SR^9$ ,  $C(O)NR^{11}R^{12}$ ,  $CHO$ ,  $CR^7=CR^7CO_2R^9$ ,  $CO_2N=CR^{13}R^{14}$ ,  $NO_2$ ,  $CN$ ,  $NHSO_2R^{15}$  and  $NHSO_2NHR^{15}$ ;
- 10        $m$  is 0, 1 or 2;
- $R^5$  is selected from the group  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$  haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  $OCHF_2$ , halogen,  $CN$  and  $NO_2$ ;
- $R^6$  is selected from the group H,  $C_1$ - $C_3$  alkyl,  $C_2$ - $C_3$  alkynyl,  $C_2$ - $C_3$  haloalkynyl,  $CO_2(C_1$ - $C_4$  alkyl), and halogen;
- 15        $R^7$  is independently selected from the group H,  $C_1$ - $C_3$  alkyl and halogen; or when Q is Q-2 or Q-6,  $R^6$  and  $R^7$  together with the carbon to which they are attached can be  $C=O$ ;
- $R^8$  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;
- 20        $R^9$  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;  $C_1$ - $C_8$  alkylsulfonyl; phenylsulfonyl optionally substituted on the phenyl ring with halogen or  $C_1$ - $C_4$  alkyl;  $C_4$ - $C_8$  alkoxyalkoxyalkyl,  $C_4$ - $C_8$  cycloalkylalkyl;  $C_4$ - $C_8$

- alkenoxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkynoxyalkyl; C<sub>6</sub>-C<sub>8</sub> cycloalkoxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkenyloxyalkyl; C<sub>4</sub>-C<sub>8</sub> alkynyloxyalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkoxyalkyl; C<sub>4</sub>-C<sub>8</sub> haloalkenoxyalkyl; C<sub>4</sub>-C<sub>8</sub> haloalkynoxyalkyl; C<sub>6</sub>-C<sub>8</sub> cycloalkylthioalkyl; C<sub>4</sub>-C<sub>8</sub> alkenylthioalkyl; C<sub>4</sub>-C<sub>8</sub> alkynylthioalkyl; C<sub>1</sub>-C<sub>4</sub> alkyl substituted a
- 5 substituent selected from phenoxy and benzyloxy, each ring optionally substituted with a substituent selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; C<sub>4</sub>-C<sub>8</sub> trialkylsilylalkyl; C<sub>3</sub>-C<sub>8</sub> cyanoalkyl; C<sub>3</sub>-C<sub>8</sub> halocycloalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkenyl; C<sub>5</sub>-C<sub>8</sub> alkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub> haloalkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkenyl; C<sub>3</sub>-C<sub>8</sub> haloalkynyl; C<sub>5</sub>-C<sub>8</sub> alkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> haloalkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkynyl; C<sub>2</sub>-C<sub>8</sub> alkyl carbonyl; benzyl optionally substituted with a substituent selected from the group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; CHR<sup>16</sup>COR<sup>10</sup>; CHR<sup>16</sup>CO<sub>2</sub>R<sup>10</sup>; CHR<sup>16</sup>P(O)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>P(S)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>; and CHR<sup>16</sup>C(O)NH<sub>2</sub>;
- 10 R<sup>10</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkenyl and C<sub>1</sub>-C<sub>6</sub> alkynyl; R<sup>11</sup> and R<sup>13</sup> are independently selected from the group H and C<sub>1</sub>-C<sub>4</sub> alkyl; R<sup>12</sup> and R<sup>14</sup> are independently selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and phenyl optionally substituted with a substituent selected from the group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl;
- 15 R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, each ring optionally substituted with a substituent selected from the group C<sub>1</sub>-C<sub>3</sub> alkyl, phenyl and benzyl; R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon to which they are attached to form C<sub>3</sub>-C<sub>8</sub> cycloalkyl;
- 20 R<sup>15</sup> is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl; R<sup>16</sup> is selected from the group H and C<sub>1</sub>-C<sub>3</sub> alkyl; and W is selected from the group O and S.

Preferred 2: Compounds of Formula I wherein:

- 30 R<sup>1</sup> is halogen; R<sup>2</sup> is selected from the group H, and halogen; Q is selected from the group consisting of Q-1, Q-2 and Q-6; R<sup>3</sup> is halogen; R<sup>5</sup> is selected from the group C<sub>1</sub>-C<sub>2</sub> haloalkyl, OCH<sub>3</sub>, OCHF<sub>2</sub>, CN, NO<sub>2</sub>, and halogen;
- 35 R<sup>6</sup> is selected from the group H, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkynyl, C<sub>2</sub>-C<sub>3</sub> haloalkynyl, and halogen; R<sup>7</sup> is H; and W is O.

Preferred 3: Compounds of Preferred 2 wherein:

R<sup>4</sup> is selected from the group halogen, OR<sup>9</sup>, S(O)<sub>m</sub>R<sup>9</sup>, COR<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>,  
C(O)NR<sup>11</sup>R<sup>12</sup>, CH=CHCO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>R<sup>15</sup> and NHSO<sub>2</sub>NHR<sup>15</sup>;

R<sup>5</sup> is halogen;

5 R<sup>6</sup> is selected from the group H and C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>7</sup> is H; and

R<sup>9</sup> is selected from the group C<sub>1</sub>-C<sub>8</sub> alkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub> alkenyl;

C<sub>3</sub>-C<sub>8</sub> alkynyl; C<sub>1</sub>-C<sub>8</sub> haloalkyl; C<sub>2</sub>-C<sub>8</sub> alkoxyalkyl; C<sub>1</sub>-C<sub>4</sub> alkyl substituted  
with a substituent selected from phenoxy and benzyloxy, each ring

10 optionally substituted with a substituent selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl

and C<sub>1</sub>-C<sub>3</sub> haloalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkenyl; C<sub>3</sub>-C<sub>8</sub> haloalkynyl; C<sub>2</sub>-C<sub>8</sub> alkyl

carbonyl; benzyl optionally substituted with a substituent selected from the

group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; CHR<sup>16</sup>COR<sup>10</sup>;

CHR<sup>16</sup>CO<sub>2</sub>R<sup>10</sup>; CHR<sup>16</sup>P(O)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>; and

15 CHR<sup>16</sup>C(O)NH<sub>2</sub>.

Preferred compounds of the invention are:

2,5,6,7-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-fluoro-3H-  
pyrrolo[2,1-c]-1,2,4-triazol-3-one; and

20 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-8-chloro-1,2,4-  
triazolo[4,3-a]pyridin-3(2H)-one.

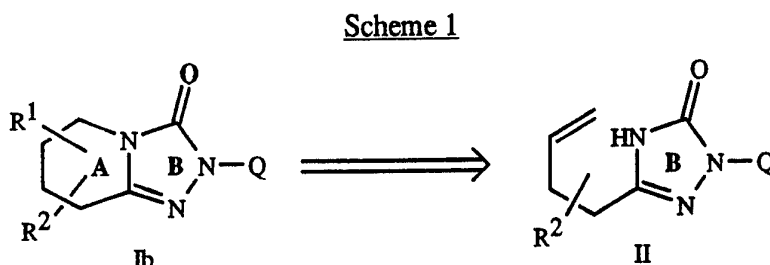
Compounds of General Formula I can be readily prepared by one skilled in the art  
by using the reactions and techniques described in Schemes 1 to 11 below. The  
substituents R<sup>1</sup>-R<sup>16</sup>, n, m, and Q for the compounds illustrated are as defined as for  
compounds of Formula I. Compounds prepared by Schemes 1 to 11 that include  
25 compounds numbered II to XVI are intermediates or reagents for the ultimate  
preparation of compounds of Formula I. Compounds of Formulae Ia-It are subsets of  
compounds of Formula I. In cases where the substituent of a starting material is not  
compatible with the reaction conditions described for any of the reaction schemes, it  
can be assumed that the substituent is converted to a protected form prior to the  
30 described reaction scheme and then deprotected after the reaction using commonly  
accepted protecting/ deprotecting techniques (as an example, see T. W. Greene and  
P. G. M. Wuts, "Protective Groups in Organic Synthesis", 2nd Edition, John Wiley and  
Sons, Inc., New York, 1991). Otherwise alternative approaches known to one skilled in  
the art are available.

35 One skilled in the art will recognize that compounds of Formula I may exist as  
multiple stereoisomers. This invention therefore, comprises racemic mixtures, enriched  
mixtures, and pure enantiomers of compounds of Formula I.

The compounds of this invention are made by the following processes.

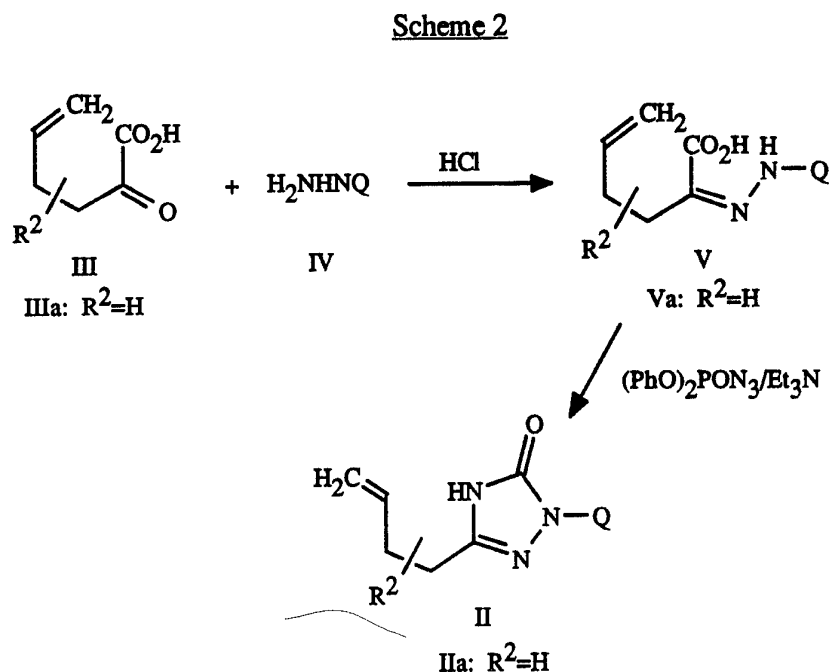
A retrosynthetic analysis of compounds of Formula Ib (compounds of Formula I wherein n is 2) is shown below (Scheme 1). The formation of ring A can be accomplished by an intramolecular cyclization between the nitrogen in ring B and the terminal double bond of triazolinone of Formula II.

5



The synthesis of the triazolinone ring B in compounds of Formula II is known in the art and can be prepared by methods such as those described in U.S. 4,818,275 and U.S. 4,818,276. Acidic condensation of  $\alpha$ -ketoacids of Formula III and phenylhydrazine derivatives of Formula IV gives hydrazones of Formula V. Schmidt rearrangement of the acid of Formula V with diphenylphosphoryl azide followed by a ring cyclization gives triazolinones of Formula II as shown below (Scheme 2).

10

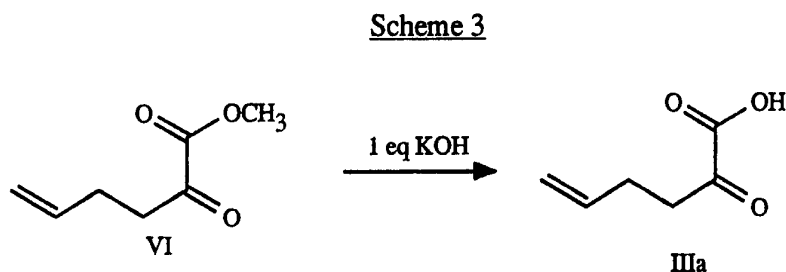


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For the synthesis of the triazolinones of Formula IIa (compounds of Formula II wherein R<sup>2</sup> is H), 2-oxo-5-hexanoic acid IIIa (compound of Formula III wherein R<sup>2</sup> is H) can be used as the starting material (Scheme 3). 2-Oxo-5-hexanoic acid can be

made by hydrolysis of the methyl ester of Formula VI with base, preferably one equivalent of potassium hydroxide in an aqueous alcohol solvent. The ester of Formula VI is made from methyl pyruvate as described in *J. Org. Chem.*, (1983), 48, 158 (Scheme 3).

5



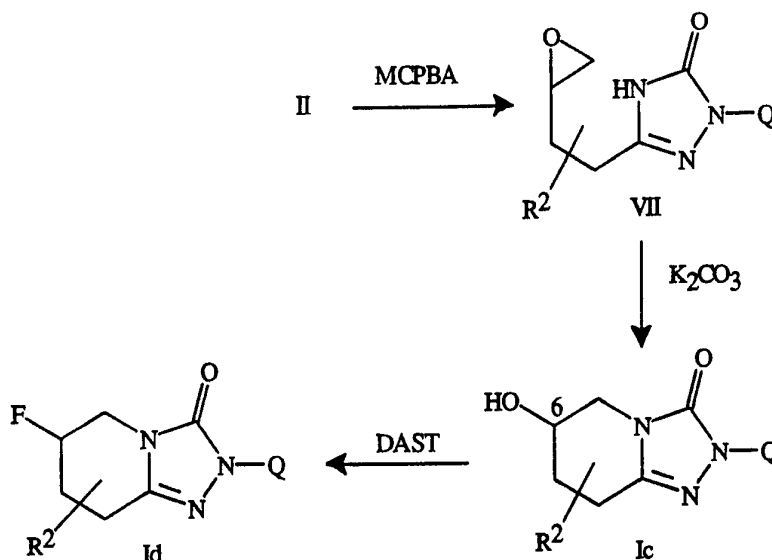
The triazolinone of Formula IIa can be made by the method described in Scheme 2. The hydrazone of Formula Va (compound of Formula V wherein R<sup>2</sup> is H) is made by treating 2-oxo-5-hexanoic acid IIIa with a phenyl hydrazine of Formula IV under acidic conditions using hydrochloric acid in an organic solvent such as ethyl or methyl alcohol at a temperature between room temperature and about 100°C. Schmidt rearrangement of hydrazone of Formula Va using an azide such as diphenylphosphoryl azide followed by intramolecular cyclization at a temperature between about 0°C and about 100°C affords the intermediate of Formula IIa.

Treatment of the olefin of Formula II with MCPBA (*m*-chloroperoxybenzoic acid) in an inert solvent such as dichloromethane at a temperature between about 0°C and about 100°C, preferably at room temperature, gives an epoxide of Formula VII (Scheme 4). Intramolecular cyclization of the epoxide using a base such as potassium carbonate in an inert solvent such as acetonitrile or acetone gives the 6-membered ring product of Formula Ic (compound of Formula I wherein R<sup>1</sup> is 6-OH). Fluorination of the alcohol of Formula Ic with DAST (diethylaminosulfur trifluoride) at a temperature between about -78°C and about 100°C in an inert solvent such as dichloromethane gives the fluorinated product of Formula Id.

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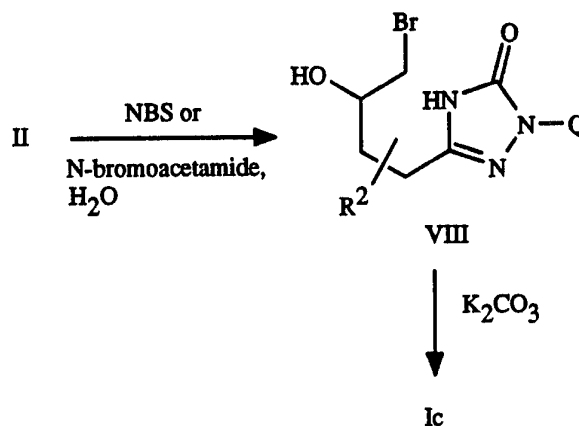
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## Scheme 4



- The alcohol of Formula Ic can also be prepared by hydroxybromination of the olefin of Formula II using *N*-bromosuccinimide (NBS) and water or *N*-bromoacetamide and water followed by cyclization of the resulting bromohydrin of Formula VIII using potassium carbonate in an inert solvent such as acetonitrile or acetone (Scheme 5).
- 5

## Scheme 5

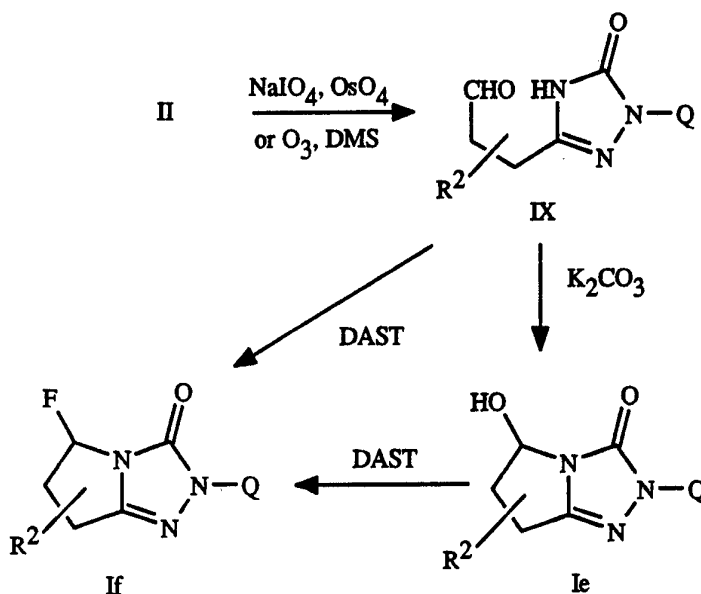


- Compounds of Formula Ic can also be converted to the chloro-, bromo-, and iodo- $\text{R}^1$  substituted bicyclic triazolones of Formula I using methods known to those skilled in the art. The hydroxy group in compounds of Formula Ic can be acylated by known methods to prepare the alkylcarbonyloxy and haloalkylcarbonyloxy derivatives. In addition, the hydroxy or halo group can be converted by known methods to afford the  $\text{R}^1 =$  alkoxy and haloalkoxy derivatives (March, J., *Advanced Organic Chemistry*, 1992), 4th Ed., John Wiley and Sons, Inc., pp 386-389). In fact all the  $\text{R}^1 = \text{OH}$  or halogen compounds in the following Schemes can be functionalized as is known in the
- 10
- 15

art to prepare the other R<sup>1</sup> substituted compounds. Compounds wherein R<sup>1</sup> and R<sup>2</sup> are taken together along with the carbon atom to which they are attached to form C=O can be prepared from the corresponding R<sup>1</sup> = OH and R<sup>2</sup> = H compounds by well-known methods for oxidizing secondary alcohols to ketones.

- 5 Compounds of Formulae Ie and If (compounds of Formula I wherein n is 1) can be prepared as illustrated in Scheme 6. Oxidative cleavage of the olefin of Formula II using sodium periodate and a catalytic amount of osmium tetroxide in an inert solvent mixture such as tetrahydrofuran (THF) and water, or using ozone in dichloromethane followed by reductive workup using dimethyl sulfide (DMS), affords the aldehyde of
- 10 Formula IX. Intramolecular cyclization of the aldehyde under basic conditions using potassium carbonate or sodium hydride gives the 5-membered ring alcohol of Formula Ie. Treatment of the alcohol of Formula Ie with DAST in an inert solvent such as dichloromethane at a temperature between about -80°C and about 60°C produces the fluoride of Formula If. The fluoride If can also be made by direct cyclization and
- 15 fluorination using DAST at a temperature range between about -100°C and about 60°C.

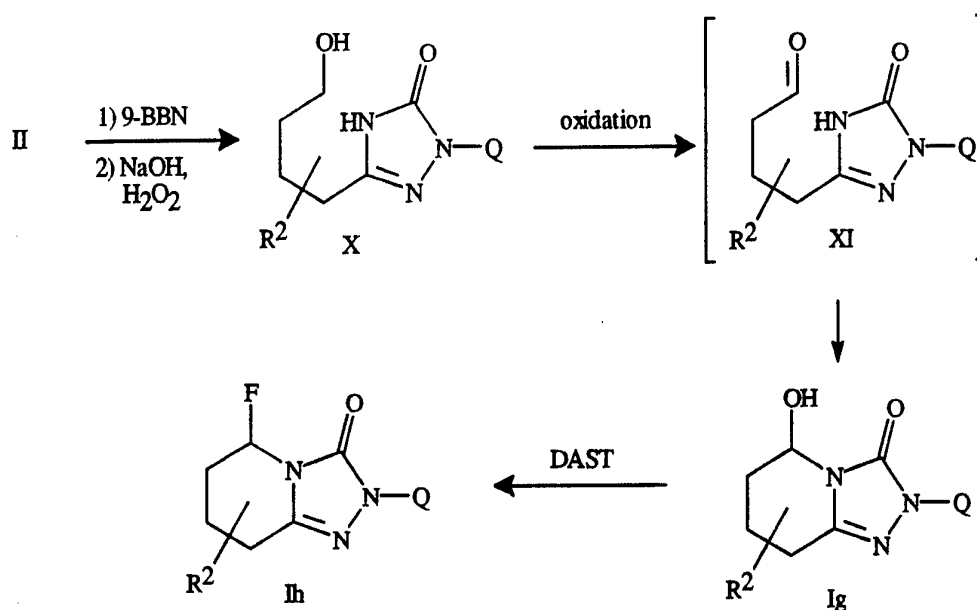
Scheme 6



- 20 Hydroboration of the olefin of Formula II with 9-borabicyclo[3.3.1]nonane (9-BBN) gives the alcohol of Formula X after oxidative workup (Scheme 7). Oxidation of alcohol X with oxidizing agents such as PDC (pyridinium dichlorochromate) produces the hemiaminal of Formula Ig presumably via the aldehyde intermediate of Formula XI. The fluoro compound of Formula Ih can be made by the treatment of the hemiaminal with DAST.

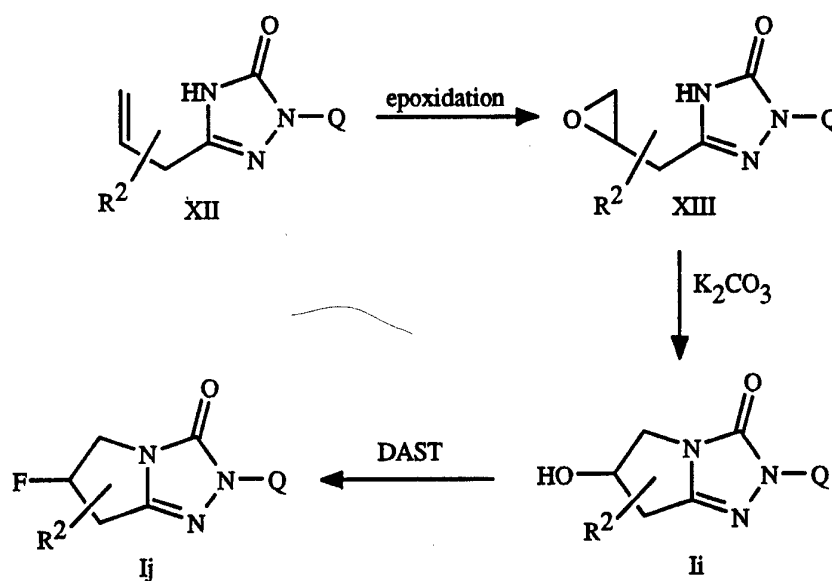
12

Scheme 7



Triazolones of Formula XII can be made from 2-oxo-4-pentenoic acids and substituted phenyl hydrazines of Formula IV by methods known to those skilled in the art and the methods taught herein (Scheme 8). The epoxide of Formula XIII can be prepared from the olefin of Formula XII using an oxidant such as MCPBA. Intramolecular cyclization of the epoxide with a base such as potassium carbonate affords the alcohol of Formula Ii. The fluoro compound of Formula Ij can be made from the alcohol using DAST at a temperature between about  $-70^\circ\text{C}$  and about room temperature in an inert solvent such as dichloromethane.

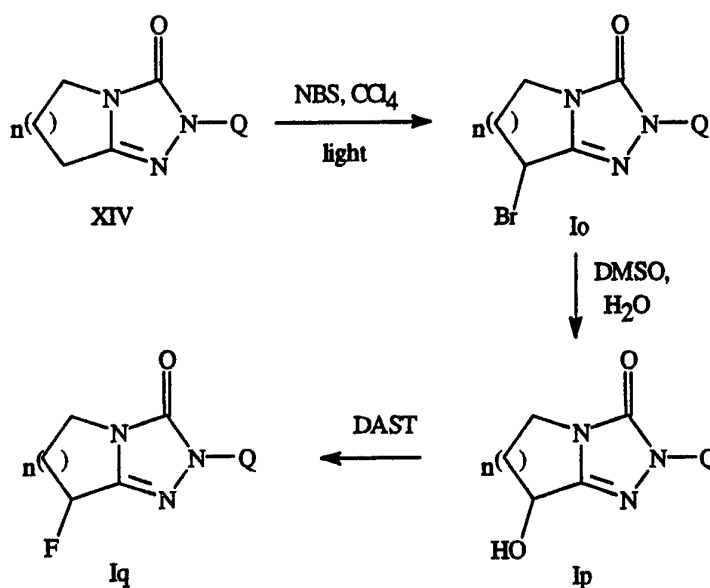
Scheme 8



Compounds of Formula Iq can be prepared from the unsubstituted compounds of Formula XIV as illustrated in Scheme 9. Treatment of the bicyclic triazololinone of Formula XIV with *N*-bromosuccinimide (NBS) under allylic bromination conditions affords the mono-bromo derivative of Formula Io. One skilled in the art will recognize that bromination may also occur on Q if an electron-rich phenyl ring is present. When Q is Q-1, we have found that bromination does not occur on the phenyl ring when R<sup>3</sup> and R<sup>5</sup> are Cl and R<sup>4</sup> is acetyloxy. The acetyl group can be removed by known methods, and the liberated phenolic hydroxyl group can be functionalized by known methods to prepare the desired OR<sup>9</sup> group.

Hydrolysis of the bromide in hot aqueous dimethyl sulfoxide (DMSO) affords the alcohol of Formula Ip. The fluoro compound of Formula Iq can be prepared by treatment of the alcohol with diethylaminosulfur trifluoride (DAST) as described above.

Scheme 9



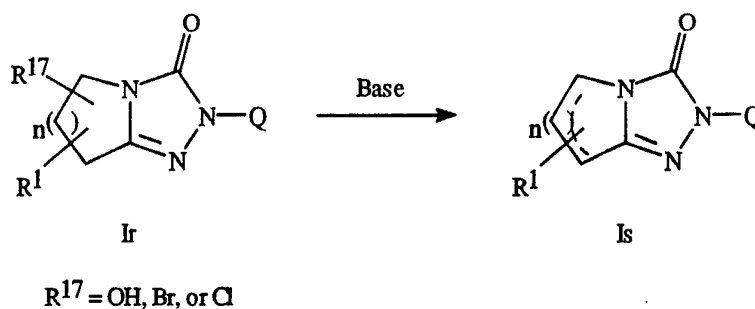
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Compounds of Formula I wherein the left-hand ring is unsaturated can be prepared by treating the hydroxy-, bromo, or chloro-substituted compound of Formula Ir with a base such as 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) as illustrated in Scheme 10. The unsaturated compound is represented by Formula Is wherein the left-hand ring contains one double bond. The hydroxy, bromo and chloro compounds of Formula Ir can be prepared by the methods described in the Schemes above. In some cases, the elimination results in a mixture of double bond isomers which can be separated by chromatography.

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## Scheme 10



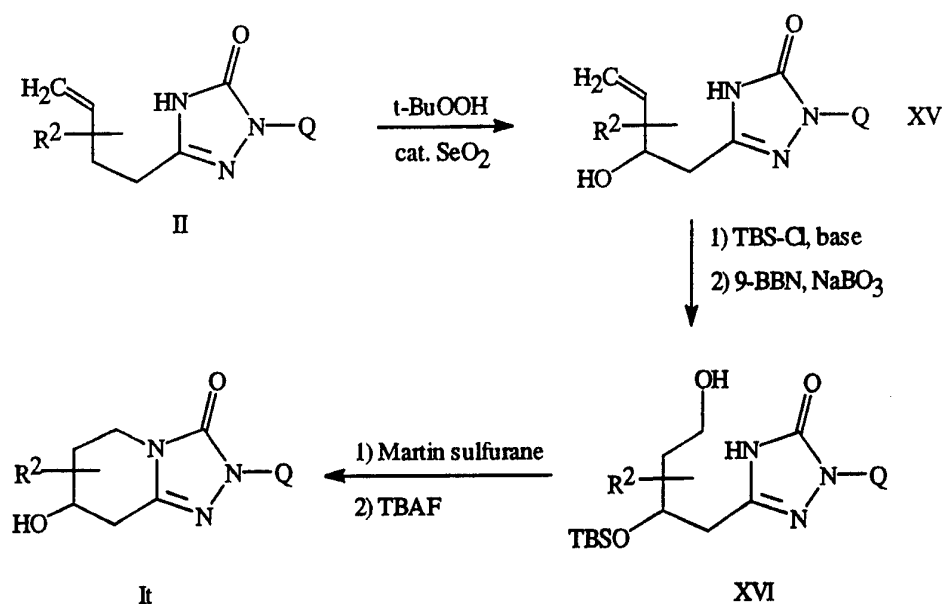
Compounds of Formula I wherein  $R^1$  and  $R^2$  are taken together along with the carbon atoms to which they are attached to form an epoxide can be prepared from the corresponding unsaturated ring compound of Formula Is by well known methods for epoxidizing double bonds, for example using MCPBA in  $\text{CH}_2\text{Cl}_2$ .

Compounds of Formula It can be prepared as illustrated in Scheme 11. Allylic oxidation of the terminal alkene of Formula II with *t*-butyl hydroperoxide and catalytic selenium (IV) oxide in an inert solvent such as dichloromethane produces the allylic alcohol of Formula XV. Protection of the secondary alcohol as the *t*-butyldimethylsilyl (TBS) ether is accomplished using *t*-butylchlorodimethylsilane and a base, preferably triethylamine and catalytic 4-(dimethylamino)pyridine. The terminal olefin is converted to the primary alcohol to afford compounds of Formula XVI using 9-BBN followed by treatment with sodium perborate. Ring cyclization is accomplished using the Martin sulfurane dehydrating agent  $[\text{C}_6\text{H}_5(\text{CF}_3)_2\text{O}]_2\text{S}(\text{C}_6\text{H}_5)_2$ . Removal of the TBS group and liberation of the alcohol can be accomplished using tetrabutylammonium fluoride. The alcohol can be converted to the corresponding fluoro compound using DAST, or to other  $R^1$  substituted compounds as described above.

20

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## Scheme 11



For some compounds of Formula I wherein  $R^2$  is other than hydrogen, the  $R^2$  substituent is more conveniently introduced after cyclization to form the bicyclic triazolone. This is especially the case when  $R^1$  and  $R^2$  are attached to the same carbon atom.

## EXAMPLE 1

Step 1: Preparation of 2-oxo-5-hexenoic acid, 2,4-dichloro-5-[(2-propynyl)oxy]phenylhydrazone.

To a solution of 2.0 g (14.1 mmol) of methyl 2-oxo-5-hexanoate prepared as described in *J. Org. Chem.*, (1983), 48, 158, in 2.5 mL of ethyl alcohol was added a solution of 788 mg (14.1 mmol) of potassium hydroxide in 2.5 mL of water in an ice bath. After 10 min, a mixture of 20 mL of 10% aqueous hydrochloric acid and 20 mL of ethyl alcohol and 3.25 g (14.0 mmol) of 2,4-dichloro-5-[(2-propynyl)oxy]phenylhydrazine were added in sequence. The mixture was then warmed at 40°C for 1h. The mixture was cooled to room temperature and filtered to give 3.78 g of the title product of Step 1 as a brown solid, m.p.: 152-153°C;  $^1\text{H NMR}$  (DMSO- $d_6$ , 400 MHz)  $\delta$  12.5 (s,1H), 7.6 (s,1H), 7.4 (s,1H), 5.9 (m,1H), 4.9-5.1 (m,2H), 4.9 (s,2H), 3.7 (s,1H), 2.6 (t,2H), 2.4 (q,2H).

Step 2: Preparation of 2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-2,4-dihydro-5-(3-butenyl)-3H-1,2,4-triazol-3-one

To a solution of 7.34 g (21.6 mmol) of 2-oxo-5-hexenoic acid, 2,4-dichloro-5-[(2-propynyl)oxy]phenylhydrazone in 100 mL of toluene was added 6.54 g (23.7 mmol) of diphenylphosphoryl azide and 3.6 mL of triethylamine (25.9 mmol) in sequence. The reaction mixture was then warmed at reflux for 1h. The mixture was cooled to room

temperature and the excess toluene and triethylamine were evaporated *in vacuo*. The crude product was purified by flash chromatography over silica gel, eluting with 95:5 v:v mixture of dichloromethane and methanol to give 6.64 g of the title compound of Step 2 as a brown solid, m.p.: 150-151°C; <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 11.7 (broad s,1H), 7.6 (s,1H), 7.2 (s,1H), 5.95-5.7 (m,1H), 5.2-5.0 (m,2H), 4.8 (s,2H), 2.7 (t,2H), 2.6 (t,1H), 2.5 (q,2H).

Step 3: Preparation of 2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-2,4-dihydro-5-(3,4-epoxybutyl)-3H-1,2,4-triazol-3-one

To a solution of 500 mg (1.48 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(3-butenyl)-3H-1,2,4-triazol-3-one in 20 mL of dichloromethane was added 172 mg (1.63 mmol) of sodium carbonate and 970 mg of *m*-chloroperoxybenzoic acid (50-60%, 2.81 mmol) in an ice bath. The reaction mixture was stirred at room temperature for 24h. The mixture was filtered and the filtrate was evaporated *in vacuo* to give 500 mg of the title compound of Step 3 as yellow solid. The crude product was used in the following reaction without further purification. <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 11.25 (s,1H), 7.55 (s,1H), 7.2 (s,1H), 4.8 (s,2H), 3.05 (m,1H), 2.8 (t,1H), 2.8 (t,2H), 2.6 (s,1H), 2.75 (d,1H), 2.2 (m,1H), 1.8 (m,1H).

Step 4: Preparation of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2H)-one

A mixture of 500 mg (1.41 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(3,4-epoxybutyl)-3H-1,2,4-triazol-3-one and 563 mg (4.08 mmol) of potassium carbonate in 20 mL of acetonitrile was warmed at reflux for 2h. The mixture was cooled to room temperature and filtered. The filtrate was evaporated *in vacuo*. The crude product was purified by flash chromatography over silica gel, eluting with a 95:5 v:v mixture of dichloromethane and methanol to give 184 mg of the title product of Step 4 as a pale yellow foam, <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.5 (s,1H), 7.15 (s,1H), 4.8 (s,2H), 4.45 (broad t,1H), 3.8 (m,2H), 3.0 (m,1H), 2.8 (m,1H), 2.6 (s,1H), 2.2 (s,1H), 2.15 (m,1H), 1.95 (m,1H).

#### EXAMPLE 2

Step 1: Preparation of 2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-2,4-dihydro-5-(4-bromo-3-hydroxybutyl)-3H-1,2,4-triazol-3-one

To a solution of 200 mg (0.592 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(3-butenyl)-3H-1,2,4-triazol-3-one in 1.8 mL of dimethyl sulfoxide was added 30 mL (1.67 mmol) of water and 211 mg (1.18 mmol) of *N*-bromosuccinimide, in sequence, at room temperature. The mixture was stirred at room temperature for 10 min. The mixture was then poured into cold water and extracted with dichloromethane. The organic layer was dried (MgSO<sub>4</sub>) and concentrated *in vacuo*. The crude product was purified by flash chromatography over

silica gel, eluting with a 97:3 v:v mixture of dichloromethane and methanol to give 200 mg of the title product of Step 1 as a pale yellow foam. <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 11.0 (s,1H), 7.55 (s,1H), 7.15 (s,1H), 4.8 (s,2H), 3.8 (m,1H), 3.4 (m,2H), 2.8 (m,2H), 2.6 (t,1H), 2.1-1.8 (m,2H).

5 Step 2: Preparation of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2H)-one

A mixture of 200 mg (0.460 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(4-bromo-3-hydroxybutyl)-3H-1,2,4-triazol-3-one and 127 mg (0.920 mmol) of potassium carbonate in 10 mL of acetonitrile was warmed at reflux for 10 2h. The mixture was cooled to room temperature and filtered. The filtrate was evaporated *in vacuo*. The crude product was purified by flash chromatography over silica gel, eluting with a 96:4 v:v mixture of dichloromethane and methanol to give 57 mg of the title product as a pale yellow foam, The <sup>1</sup>H NMR spectrum of the product was identical to that obtained for the product of Step 4 in Example 1.

15 EXAMPLE 3

Preparation of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-fluoro-1,2,4-triazolo[4,3-*a*]pyridin-3(2H)-one

To a solution of 169 mg (0.477 mmol) of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2H)-one in 5 mL of 20 dichloromethane was added 76 μl (0.573 mmol) of diethylaminosulfur trifluoride (DAST) at 0°C. The reaction mixture was stirred at 0°C for 1h. The mixture was then quenched with ice and extracted with dichloromethane. The organic extracts were dried (MgSO<sub>4</sub>), and then concentrated *in vacuo* to give a pale red solid. The crude product was purified by flash chromatography over silica gel, eluting with a 95:5 v:v mixture of 25 dichloromethane and methanol to give 64 mg of the title product as a pale yellow foam. <sup>19</sup>F NMR (CDCl<sub>3</sub>, 400 MHz) δ -188 ppm, <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.5 (s,1H), 7.1 (s,1H), 5.2 (m,1H), 4.8 (s,2H), 4.2-3.6 (m,2H), 3.2-3.0 (m,1H), 3.0-2.6 (m,1H), 2.5 (s,1H), 2.3-1.8 (m,2H).

EXAMPLE 4

30 Step 1: Preparation of 2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-2,4-dihydro-5-(3-oxo-propyl)-3H-1,2,4-triazol-3-one

To a solution of 500 mg (1.48 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(3-butenyl)-3H-1,2,4-triazol-3-one in a mixture of 10 mL of tetrahydrofuran and 10 mL of water was added 696 mg (3.25 mmol) of sodium 35 periodate and 167 mL of 0.18 M aqueous solution of osmium tetroxide at room temperature. The mixture was stirred at the room temperature for 2h, and then diluted with water and extracted with ethyl acetate. The organic layer was dried (MgSO<sub>4</sub>) and concentrated *in vacuo*. The crude product was purified by flash chromatography over

silica gel, eluting with a 96:4 v:v mixture of dichloromethane and methanol to give 464 mg of the title product of Step 1 as a white foam. <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 11.5 (broad s,1H), 9.8 (s,1H), 7.55 (s,1H), 7.2 (s,1H), 4.8 (s,2H), 2.9 (m,4H).

Step 2: Preparation of 2,5,6,7-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-5-fluoro-3H-pyrrolo[2,1-c]-1,2,4-triazol-3-one

To a solution of 40 mg (0.117 mmol) of 2-[2,4-dichloro-5-(2-propynyloxy)-phenyl]-2,4-dihydro-5-(3-oxo-propyl)-3H-1,2,4-triazol-3-one in 5 mL of dichloromethane was added 22.7 mg (0.141 mmol) of diethylaminosulfur trifluoride at 0°C. The mixture was stirred at room temperature for 30 minutes, and then quenched with cold water and extracted with dichloromethane. The organic layer was washed with brine and water, dried (MgSO<sub>4</sub>) and concentrated *in vacuo*. The crude product was purified by flash chromatography over silica gel, eluting with a 95:5 v:v mixture of dichloromethane and methanol to give 18 mg of 2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-2,4-dihydro-5-(3,3-difluoropropyl)-3H-1,2,4-triazol-3-one as a white foam <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 12.0 (s,1H), 7.55 (s,1H), 7.2 (s,1H), 5.95 (t,1H, J=55Hz), 4.8 (s,2H), 2.8 (t,2H), 2.6 (s,1H), 2.3 (m,2H), and 7 mg of the title product as a solid, <sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz) δ 7.5 (s,1H), 7.2 (s,1H), 6.4 and 6.2 (q,1H, J=60 and 5Hz), 4.8 (s,2H), 3.15 (m,1H), 3.0-2.6 (m,3H), 2.6 (s,1H).

#### EXAMPLE 5

Step 1: Preparation of 5,6,7,8-tetrahydro-2-(5-acetyloxy-2,4-dichlorophenyl)-8-bromo-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one

To a solution of 3.55 g (10.4 mmol) of 5,6,7,8-tetrahydro-2-(5-acetyloxy-2,4-dichlorophenyl)-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one in 100 mL of carbon tetrachloride was added 2.03 g (11.4 mmol) of *N*-bromosuccinimide at room temperature. The mixture was warmed under reflux by irradiating with a sun lamp for 3h. The mixture was cooled to room temperature and concentrated under reduced pressure. The crude product was purified by flash chromatography over silica gel, eluting with a 1:1 v:v mixture of ethyl acetate and *n*-hexane to give 4.10 g of the title product of Step 1 as a white solid, m.p.: 75-83°C; <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) δ 7.6 (s,1H), 7.35 (s,1H), 5.25 (m,1H), 4.0 (m,1H), 3.6 (m,1H), 2.75 (s,3H), 2.5-1.9 (m,4H).

Step 2: Preparation of 5,6,7,8-tetrahydro-2-(2,4-dichloro-5-hydroxyphenyl)-8-hydroxy-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one

A mixture of 4.10 g (9.74 mmol) of 5,6,7,8-tetrahydro-2-(5-acetyloxy-2,4-dichlorophenyl)-8-bromo-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one, 50 mL of DMSO, and 50 mL of water was warmed at 90°C for 5h. The mixture was cooled to room temperature and diluted with ethyl acetate. The organic layer was washed with water, dried (MgSO<sub>4</sub>) and concentrated under reduced pressure. The crude product was purified by flash chromatography over silica gel, eluting with a 95:5 v:v mixture of

dichloromethane and methanol to give 1.70 g of the title product of Step 2 as a yellow oil.  $^1\text{H}$  NMR ( $\text{CD}_3\text{SO}$ , 300 MHz)  $\delta$  7.65 (s,1H), 7.05 (s,1H), 5.85 (br s,1H), 4.60 (m,1H), 3.6-3.4 (m,2H), 2.2-1.8 (m,4H).

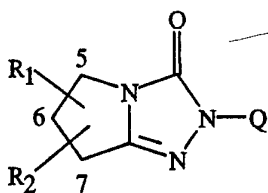
Step 3: Preparation of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-8-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one

5  
A mixture of 1.7 g (5.38 mmol) of 5,6,7,8-tetrahydro-2-(2,4-dichloro-5-hydroxyphenyl)-8-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one, 959 mL (10.7 mmol) of propargyl bromide (80% in toluene), and 1.48 g (10.7 mmol) of potassium carbonate in 20 mL of acetonitrile was warmed at reflux for 5h. The mixture was  
10 cooled to room temperature and filtered. The filtrate was evaporated under reduced pressure. The crude product was flash chromatographed over silica gel, eluting with a 97:3 v:v mixture of dichloromethane and methanol to give 1.36 g of the title product of Step 3 as a yellow solid, m.p. 168-170°C;  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  7.55 (s,1H), 7.15 (s,1H), 4.85 (m,1H), 4.8 (d,2H), 3.8-3.6 (m,2H), 2.6 (m,1H), 2.55 (s,1H), 2.3-1.9  
15 (m,4H).

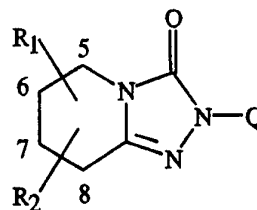
Step 4: Preparation of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-8-fluoro-1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one

To a solution of 300 mg (0.847 mmol) of 5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-8-hydroxy-1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one in 10 mL of  
20 dichloromethane was added 123 mL (0.930 mmol) of diethylaminosulfur trifluoride (DAST) at -78°C. The reaction mixture was stirred at -78°C for 4h. The mixture was warmed to room temperature, quenched with ice, and extracted with dichloromethane. The organic layers were dried ( $\text{MgSO}_4$ ), and concentrated under reduced pressure. The  
25 crude product was flash chromatographed over silica gel, eluting with a 98:2 v:v mixture of dichloromethane and methanol to give 272 mg of the title product of Step 4 as a yellow solid.  $^{19}\text{F}$  NMR ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  -172;  $^1\text{H}$  NMR ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  7.55 (s,1H), 7.2 (s,1H), 5.6, 5.5 (2m,  $J=70\text{Hz}$ ,1H), 4.8 (s,2H), 4.0 (m,1H), 3.55 (m,1H), 2.6 (m,1H), 2.5-1.8 (m,4H).

Using the procedures outlined in Schemes 1-11, the compounds of Tables 1-6 can  
30 be prepared.



Ik



II

The following abbreviations are used in the tables which follow.

$n$  = normal    Ph = phenyl    Me = methyl     $i$  = iso    Pr = propyl

TABLE 1

Compounds of Formula I<sub>k</sub> wherein Q = Q-1; R<sup>5</sup> = Cl

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
5-F	H	Cl	OCH <sub>2</sub> C≡CH	5-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
6-F	H	Cl	OCH <sub>2</sub> C≡CH	6-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
7-F	H	Cl	OCH <sub>2</sub> C≡CH	7-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	5-F	Cl	OCH <sub>2</sub> C≡CH	5-F	5-F	Cl	OCH(CH <sub>3</sub> )C≡CH
6-F	6-F	Cl	OCH <sub>2</sub> C≡CH	6-F	6-F	Cl	OCH(CH <sub>3</sub> )C≡CH
7-F	7-F	Cl	OCH <sub>2</sub> C≡CH	7-F	7-F	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	6-F	Cl	OCH <sub>2</sub> C≡CH	5-F	6-F	Cl	OCH(CH <sub>3</sub> )C≡CH
7-F	6-F	Cl	OCH <sub>2</sub> C≡CH	7-F	6-F	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	H	F	OCH <sub>2</sub> C≡CH	5-F	H	F	OCH(CH <sub>3</sub> )C≡CH
6-F	H	F	OCH <sub>2</sub> C≡CH	6-F	H	F	OCH(CH <sub>3</sub> )C≡CH
7-F	H	F	OCH <sub>2</sub> C≡CH	7-F	H	F	OCH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	OCH <sub>2</sub> C≡CH	5-F	5-F	F	OCH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	OCH <sub>2</sub> C≡CH	6-F	6-F	F	OCH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	OCH <sub>2</sub> C≡CH	7-F	7-F	F	OCH(CH <sub>3</sub> )C≡CH
5-F	6-F	F	OCH <sub>2</sub> C≡CH	5-F	6-F	F	OCH(CH <sub>3</sub> )C≡CH
7-F	6-F	F	OCH <sub>2</sub> C≡CH	7-F	6-F	F	OCH(CH <sub>3</sub> )C≡CH
5-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-F	5-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	5-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-F	6-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-F	6-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-F	7-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-F	7-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-F	6-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	6-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-F	6-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-F	6-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-Cl	H	Cl	OCH <sub>2</sub> C≡CH	5-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
6-Cl	H	Cl	OCH <sub>2</sub> C≡CH	6-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
7-Cl	H	Cl	OCH <sub>2</sub> C≡CH	7-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	Cl	OCH <sub>2</sub> C≡CH	5-Cl	5-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	Cl	OCH <sub>2</sub> C≡CH	6-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	Cl	OCH <sub>2</sub> C≡CH	7-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH

5-Cl	6-Cl	Cl	OCH <sub>2</sub> C≡CH	5-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
7-Cl	6-Cl	Cl	OCH <sub>2</sub> C≡CH	7-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
5-Cl	H	F	OCH <sub>2</sub> C≡CH	5-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	OCH <sub>2</sub> C≡CH	6-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	OCH <sub>2</sub> C≡CH	7-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	F	OCH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	OCH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	F	OCH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	OCH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	F	OCH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	6-Cl	F	OCH <sub>2</sub> C≡CH	5-Cl	6-Cl	F	OCH(CH <sub>3</sub> )C≡CH
7-Cl	6-Cl	F	OCH <sub>2</sub> C≡CH	7-Cl	6-Cl	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-Cl	5-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	5-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-Cl	6-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-Cl	7-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	6-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-Cl	6-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>4</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>4</sup>
5-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	5-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
6-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	6-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
7-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	7-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
5-F	5-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-OMe	H	Cl	Cl	OCH <sub>2</sub> C≡CH
6-F	6-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-OCF <sub>3</sub>	H	Cl	Cl	OCH <sub>2</sub> C≡CH
7-F	7-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-MeCO	H	Cl	Cl	OCH <sub>2</sub> C≡CH
5-F	6-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-CF <sub>3</sub> CO	H	Cl	Cl	OCH <sub>2</sub> C≡CH
7-F	6-F	Cl	Br	OCH <sub>2</sub> C≡CH	5-F	6-OH	Cl	Cl	OCH <sub>2</sub> C≡CH
6-carbonyl		Cl	Cl	OCH <sub>2</sub> C≡CH	6-carbonyl		Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>
5-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	5-F	H	F	Cl	OCF <sub>2</sub> C≡CH
6-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	6-F	H	F	Cl	OCF <sub>2</sub> C≡CH
7-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	7-F	H	F	Cl	OCF <sub>2</sub> C≡CH
5-F	5-F	Cl	Cl	OCF <sub>2</sub> C≡CH	5-F	5-F	F	Cl	OCF <sub>2</sub> C≡CH
6-F	6-F	Cl	Cl	OCF <sub>2</sub> C≡CH	6-F	6-F	F	Cl	OCF <sub>2</sub> C≡CH
7-F	7-F	Cl	Cl	OCF <sub>2</sub> C≡CH	7-F	7-F	F	Cl	OCF <sub>2</sub> C≡CH
5-F	6-F	Cl	Cl	OCF <sub>2</sub> C≡CH	5-F	6-F	F	Cl	OCF <sub>2</sub> C≡CH
7-F	6-F	Cl	Cl	OCF <sub>2</sub> C≡CH	7-F	6-F	F	Cl	OCF <sub>2</sub> C≡CH

Compounds of Formula II wherein Q = Q-1; R<sup>5</sup> = Cl

<u>R</u> <sup>1</sup>	<u>R</u> <sup>2</sup>	<u>R</u> <sup>3</sup>	<u>R</u> <sup>4</sup>	<u>R</u> <sup>1</sup>	<u>R</u> <sup>2</sup>	<u>R</u> <sup>3</sup>	<u>R</u> <sup>4</sup>
5-F	H	Cl	OCH <sub>2</sub> C≡CH	5-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
6-F	H	Cl	OCH <sub>2</sub> C≡CH	6-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
7-F	H	Cl	OCH <sub>2</sub> C≡CH	7-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
8-F	H	Cl	OCH <sub>2</sub> C≡CH	8-F	H	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	5-F	Cl	OCH <sub>2</sub> C≡CH	5-F	5-F	Cl	OCH(CH <sub>3</sub> )C≡CH
6-F	6-F	Cl	OCH <sub>2</sub> C≡CH	6-F	6-F	Cl	OCH(CH <sub>3</sub> )C≡CH
7-F	7-F	Cl	OCH <sub>2</sub> C≡CH	7-F	7-F	Cl	OCH(CH <sub>3</sub> )C≡CH
8-F	8-F	Cl	OCH <sub>2</sub> C≡CH	8-F	8-F	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	6-F	Cl	OCH <sub>2</sub> C≡CH	5-F	6-F	Cl	OCH(CH <sub>3</sub> )C≡CH
6-F	7-F	Cl	OCH <sub>2</sub> C≡CH	6-F	7-F	Cl	OCH(CH <sub>3</sub> )C≡CH
8-F	7-F	Cl	OCH <sub>2</sub> C≡CH	8-F	7-F	Cl	OCH(CH <sub>3</sub> )C≡CH
5-F	H	F	OCH <sub>2</sub> C≡CH	5-F	H	F	OCH(CH <sub>3</sub> )C≡CH
6-F	H	F	OCH <sub>2</sub> C≡CH	6-F	H	F	OCH(CH <sub>3</sub> )C≡CH
7-F	H	F	OCH <sub>2</sub> C≡CH	7-F	H	F	OCH(CH <sub>3</sub> )C≡CH
8-F	H	F	OCH <sub>2</sub> C≡CH	8-F	H	F	OCH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	OCH <sub>2</sub> C≡CH	5-F	5-F	F	OCH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	OCH <sub>2</sub> C≡CH	6-F	6-F	F	OCH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	OCH <sub>2</sub> C≡CH	7-F	7-F	F	OCH(CH <sub>3</sub> )C≡CH
8-F	8-F	F	OCH <sub>2</sub> C≡CH	8-F	8-F	F	OCH(CH <sub>3</sub> )C≡CH
5-F	6-F	F	OCH <sub>2</sub> C≡CH	5-F	6-F	F	OCH(CH <sub>3</sub> )C≡CH
6-F	7-F	F	OCH <sub>2</sub> C≡CH	6-F	7-F	F	OCH(CH <sub>3</sub> )C≡CH
8-F	7-F	F	OCH <sub>2</sub> C≡CH	8-F	7-F	F	OCH(CH <sub>3</sub> )C≡CH
5-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-F	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-F	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-F	5-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	5-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-F	6-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-F	6-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-F	7-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-F	7-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-F	8-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-F	8-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-F	6-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-F	6-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-F	7-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-F	7-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-F	7-F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-F	7-F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>

5-Cl	H	Cl	OCH <sub>2</sub> C≡CH	5-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
6-Cl	H	Cl	OCH <sub>2</sub> C≡CH	6-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
7-Cl	H	Cl	OCH <sub>2</sub> C≡CH	7-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
8-Cl	H	Cl	OCH <sub>2</sub> C≡CH	8-Cl	H	Cl	OCH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	Cl	OCH <sub>2</sub> C≡CH	5-Cl	5-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	Cl	OCH <sub>2</sub> C≡CH	6-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	Cl	OCH <sub>2</sub> C≡CH	7-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
8-Cl	8-Cl	Cl	OCH <sub>2</sub> C≡CH	8-Cl	8-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
5-Cl	6-Cl	Cl	OCH <sub>2</sub> C≡CH	5-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
6-Cl	7-Cl	Cl	OCH <sub>2</sub> C≡CH	6-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH
8-Cl	7-Cl	Cl	OCH <sub>2</sub> C≡CH	8-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> )C≡CH

5-Cl	H	F	OCH <sub>2</sub> C≡CH	5-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	OCH <sub>2</sub> C≡CH	6-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	OCH <sub>2</sub> C≡CH	7-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
8-Cl	H	F	OCH <sub>2</sub> C≡CH	8-Cl	H	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	F	OCH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	OCH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	F	OCH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	OCH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	F	OCH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	OCH(CH <sub>3</sub> )C≡CH
8-Cl	8-Cl	F	OCH <sub>2</sub> C≡CH	8-Cl	8-Cl	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	6-Cl	F	OCH <sub>2</sub> C≡CH	5-Cl	6-Cl	F	OCH(CH <sub>3</sub> )C≡CH
6-Cl	7-Cl	F	OCH <sub>2</sub> C≡CH	6-Cl	7-Cl	F	OCH(CH <sub>3</sub> )C≡CH
8-Cl	7-Cl	F	OCH <sub>2</sub> C≡CH	8-Cl	7-Cl	F	OCH(CH <sub>3</sub> )C≡CH
5-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-Cl	H	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-Cl	H	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-Cl	5-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	5-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-Cl	6-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
7-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	7-Cl	7-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-Cl	8-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-Cl	8-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
5-Cl	6-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	5-Cl	6-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
6-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	6-Cl	7-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>
8-Cl	7-Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	8-Cl	7-Cl	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>

<u>R</u> <sup>1</sup>	<u>R</u> <sup>2</sup>	<u>R</u> <sup>3</sup>	<u>R</u> <sup>5</sup>	<u>R</u> <sup>4</sup>	<u>R</u> <sup>1</sup>	<u>R</u> <sup>2</sup>	<u>R</u> <sup>3</sup>	<u>R</u> <sup>5</sup>	<u>R</u> <sup>4</sup>
5-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	5-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
6-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	6-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH

7-F	H	Cl	Br	OCH <sub>2</sub> C≡CH	7-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
8-Br	H	Cl	Br	OCH <sub>2</sub> C≡CH	8-Br	H	Cl	Cl	OCH <sub>2</sub> C≡CH
6-F	6-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-OMe	H	Cl	Cl	OCH <sub>2</sub> C≡CH
7-F	7-F	Cl	Br	OCH <sub>2</sub> C≡CH	7-OCF <sub>3</sub>	H	Cl	Cl	OCH <sub>2</sub> C≡CH
5-F	6-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-MeCO	H	Cl	Cl	OCH <sub>2</sub> C≡CH
6-F	7-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-CF <sub>3</sub> CO	H	Cl	Cl	OCH <sub>2</sub> C≡CH
8-F	7-F	Cl	Br	OCH <sub>2</sub> C≡CH	6-F	7-OH	Cl	Cl	OCH <sub>2</sub> C≡CH
7-carbonyl		Cl	Cl	OCH <sub>2</sub> C≡CH	7-carbonyl		Cl	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>
5-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	5-F	H	F	Cl	OCF <sub>2</sub> C≡CH
6-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	6-F	H	F	Cl	OCF <sub>2</sub> C≡CH
7-F	H	Cl	Cl	OCF <sub>2</sub> C≡CH	7-F	H	F	Cl	OCF <sub>2</sub> C≡CH
8-Br	H	Cl	Cl	OCF <sub>2</sub> C≡CH	8-Br	H	F	Cl	OCF <sub>2</sub> C≡CH
6-F	6-F	Cl	Cl	OCF <sub>2</sub> C≡CH	6-F	6-F	F	Cl	OCF <sub>2</sub> C≡CH
7-F	7-F	Cl	Cl	OCF <sub>2</sub> C≡CH	7-F	7-F	F	Cl	OCF <sub>2</sub> C≡CH
5-F	6-F	Cl	Cl	OCF <sub>2</sub> C≡CH	5-F	6-F	F	Cl	OCF <sub>2</sub> C≡CH
6-F	7-F	Cl	Cl	OCF <sub>2</sub> C≡CH	6-F	7-F	F	Cl	OCF <sub>2</sub> C≡CH
8-F	7-F	Cl	Cl	OCF <sub>2</sub> C≡CH	8-F	7-F	F	Cl	OCF <sub>2</sub> C≡CH

TABLE 2

Compounds of Formula I<sub>k</sub> wherein Q = Q-2; R<sup>6</sup> = H; W = O

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>7</sup>
5-F	H	Cl	Cl	Me	5-F	H	F	Cl	Me
6-F	H	Cl	Cl	Me	6-F	H	F	Cl	Me
7-F	H	Cl	Cl	Me	7-F	H	F	Cl	Me
5-F	5-F	Cl	Cl	Me	5-F	5-F	F	Cl	Me
6-F	6-F	Cl	Cl	Me	6-F	6-F	F	Cl	Me
7-F	7-F	Cl	Cl	Me	7-F	7-F	F	Cl	Me
5-F	6-F	Cl	Cl	Me	5-F	6-F	F	Cl	Me
7-F	6-F	Cl	Cl	Me	7-F	6-F	F	Cl	Me
5-Cl	H	Cl	Cl	Me	5-Cl	H	F	Cl	Me
6-Cl	H	Cl	Cl	Me	6-Cl	H	F	Cl	Me
7-Cl	H	Cl	Cl	Me	7-Cl	H	F	Cl	Me
5-Cl	5-Cl	Cl	Cl	Me	5-Cl	5-Cl	F	Cl	Me
6-Cl	6-Cl	Cl	Cl	Me	6-Cl	6-Cl	F	Cl	Me
7-Cl	7-Cl	Cl	Cl	Me	7-Cl	7-Cl	F	Cl	Me
5-Cl	6-Cl	Cl	Cl	Me	5-Cl	6-Cl	F	Cl	Me
7-Cl	6-Cl	Cl	Cl	Me	7-Cl	6-Cl	F	Cl	Me

Compounds of Formula II wherein Q = Q-2; R<sup>6</sup> = H; W = O

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	R <sup>7</sup>
5-F	H	Cl	Cl	Me	5-F	H	F	Cl	Me
6-F	H	Cl	Cl	Me	6-F	H	F	Cl	Me
7-F	H	Cl	Cl	Me	7-F	H	F	Cl	Me
8-F	H	Cl	Cl	Me	8-F	H	F	Cl	Me
5-F	5-F	Cl	Cl	Me	5-F	5-F	F	Cl	Me
6-F	6-F	Cl	Cl	Me	6-F	6-F	F	Cl	Me
7-F	7-F	Cl	Cl	Me	7-F	7-F	F	Cl	Me
8-F	8-F	Cl	Cl	Me	8-F	8-F	F	Cl	Me
5-F	6-F	Cl	Cl	Me	45-F	6-F	F	Cl	Me
6-F	7-F	Cl	Cl	Me	6-F	7-F	F	Cl	Me
8-F	7-F	Cl	Cl	Me	8-F	7-F	F	Cl	Me
5-Cl	H	Cl	Cl	Me	5-Cl	H	F	Cl	Me
6-Cl	H	Cl	Cl	Me	6-Cl	H	F	Cl	Me
7-Cl	H	Cl	Cl	Me	7-Cl	H	F	Cl	Me
8-Cl	H	Cl	Cl	Me	8-Cl	H	F	Cl	Me
5-Cl	5-Cl	Cl	Cl	Me	5-Cl	5-Cl	F	Cl	Me
6-Cl	6-Cl	Cl	Cl	Me	6-Cl	6-Cl	F	Cl	Me
7-Cl	7-Cl	Cl	Cl	Me	7-Cl	7-Cl	F	Cl	Me
8-Cl	8-Cl	Cl	Cl	Me	8-Cl	8-Cl	F	Cl	Me
5-Cl	6-Cl	Cl	Cl	Me	5-Cl	6-Cl	F	Cl	Me
6-Cl	7-Cl	Cl	Cl	Me	6-Cl	7-Cl	F	Cl	Me
8-Cl	7-Cl	Cl	Cl	Me	8-Cl	7-Cl	F	Cl	Me

TABLE 3

Compounds of Formula Ik wherein Q = Q-6; W = O; R<sup>6</sup> = H

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>7</sup>	R <sup>8</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>7</sup>	R <sup>8</sup>
5-F	H	F	H	CH <sub>2</sub> C≡CH	5-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
5-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	5-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	H	CH <sub>2</sub> C≡CH	6-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	H	CH <sub>2</sub> C≡CH	7-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	H	CH <sub>2</sub> C≡CH	5-F	5-F	F	H	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	H	CH <sub>2</sub> C≡CH	6-F	6-F	F	H	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-F	6-F	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	H	CH <sub>2</sub> C≡CH	7-F	7-F	F	H	CH(CH <sub>3</sub> )C≡CH

5-Cl	H	F	H	CH <sub>2</sub> C≡CH	5-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
5-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	H	CH <sub>2</sub> C≡CH	6-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	H	CH <sub>2</sub> C≡CH	7-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	F	H	CH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	H	CH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	F	H	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	H	CH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	F	H	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	H	CH(CH <sub>3</sub> )C≡CH

Compounds of Formula II wherein Q = Q-6; W = O; R<sup>6</sup> = H

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>7</sup>	R <sup>8</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>7</sup>	R <sup>8</sup>
5-F	H	F	H	CH <sub>2</sub> C≡CH	5-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
5-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	5-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	H	CH <sub>2</sub> C≡CH	6-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	H	CH <sub>2</sub> C≡CH	7-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
8-F	H	F	H	CH <sub>2</sub> C≡CH	8-F	H	F	H	CH(CH <sub>3</sub> )C≡CH
8-F	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	8-F	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	H	CH <sub>2</sub> C≡CH	5-F	5-F	F	H	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	H	CH <sub>2</sub> C≡CH	6-F	6-F	F	H	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	H	CH <sub>2</sub> C≡CH	7-F	7-F	F	H	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-F	7-F	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
8-F	8-F	F	H	CH <sub>2</sub> C≡CH	8-F	8-F	F	H	CH(CH <sub>3</sub> )C≡CH
5-Cl	H	F	H	CH <sub>2</sub> C≡CH	5-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
5-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	H	CH <sub>2</sub> C≡CH	6-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
6-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	H	CH <sub>2</sub> C≡CH	7-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
7-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
8-Cl	H	F	H	CH <sub>2</sub> C≡CH	8-Cl	H	F	H	CH(CH <sub>3</sub> )C≡CH
8-Cl	H	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	8-Cl	H	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
5-Cl	5-Cl	F	H	CH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	H	CH(CH <sub>3</sub> )C≡CH
6-Cl	6-Cl	F	H	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	H	CH(CH <sub>3</sub> )C≡CH
7-Cl	7-Cl	F	H	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	H	CH(CH <sub>3</sub> )C≡CH

7-Cl	7-Cl	F	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	CH <sub>3</sub>	CH(CH <sub>3</sub> )C≡CH
8-Cl	8-Cl	F	H	CH <sub>2</sub> C≡CH	8-Cl	8-Cl	F	H	CH(CH <sub>3</sub> )C≡CH

TABLE 4

Compounds of Formula Ik wherein Q = Q-1; R<sup>1</sup> = 6-F; R<sup>2</sup> = H; R<sup>5</sup> = Cl

R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>
Cl	H	Cl	SCH <sub>2</sub> C≡CH	Cl	CH=CHCO <sub>2</sub> ( <i>i</i> -Pr)	Cl	OCH <sub>2</sub> OCH <sub>3</sub>
F	H	F	SCH <sub>2</sub> C≡CH	F	CH=CHCO <sub>2</sub> ( <i>i</i> -Pr)	F	OCH <sub>2</sub> OCH <sub>3</sub>
Cl	O-( <i>n</i> -Pr)	Cl	SCH(CH <sub>3</sub> )C≡CH	Cl	OCH <sub>2</sub> CO <sub>2</sub> ( <i>i</i> -Pr)	Cl	SCH <sub>2</sub> CO <sub>2</sub> Et
F	O-( <i>n</i> -Pr)	F	SCH(CH <sub>3</sub> )C≡CH	F	OCH <sub>2</sub> CO <sub>2</sub> ( <i>i</i> -Pr)	F	SCH <sub>2</sub> CO <sub>2</sub> Et
Cl	OCH <sub>2</sub> CF <sub>3</sub>	Cl	NHSO <sub>2</sub> CH <sub>3</sub>	Cl	OCH <sub>2</sub> OPh	Cl	OCH <sub>2</sub> CO( <i>i</i> -Pr)
Cl	CO <sub>2</sub> ( <i>i</i> -Pr)	F	NHSO <sub>2</sub> CH <sub>3</sub>	F	OCH <sub>2</sub> OPh	F	OCH <sub>2</sub> CO( <i>i</i> -Pr)
F	CO <sub>2</sub> ( <i>i</i> -Pr)	Cl	OCH <sub>2</sub> CO <sub>2</sub> ( <i>n</i> -pentyl)	F	OCH <sub>2</sub> CO <sub>2</sub> ( <i>n</i> -pentyl)		

Compounds of Formula II wherein Q = Q-1; R<sup>1</sup> = 6-F; R<sup>2</sup> = H; R<sup>5</sup> = Cl

R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>3</sup>	R <sup>4</sup>
Cl	H	Cl	SCH <sub>2</sub> C≡CH	Cl	CH=CHCO <sub>2</sub> ( <i>i</i> -Pr)	Cl	OCH <sub>2</sub> OCH <sub>3</sub>
F	H	F	SCH <sub>2</sub> C≡CH	F	CH=CHCO <sub>2</sub> ( <i>i</i> -Pr)	F	OCH <sub>2</sub> OCH <sub>3</sub>
Cl	O-( <i>n</i> -Pr)	Cl	SCH(CH <sub>3</sub> )C≡CH	Cl	OCH <sub>2</sub> CO <sub>2</sub> ( <i>i</i> -Pr)	Cl	SCH <sub>2</sub> CO <sub>2</sub> Et
F	O-( <i>n</i> -Pr)	F	SCH(CH <sub>3</sub> )C≡CH	F	OCH <sub>2</sub> CO <sub>2</sub> ( <i>i</i> -Pr)	F	SCH <sub>2</sub> CO <sub>2</sub> Et
Cl	OCH <sub>2</sub> CF <sub>3</sub>	Cl	NHSO <sub>2</sub> CH <sub>3</sub>	Cl	OCH <sub>2</sub> OPh	Cl	OCH <sub>2</sub> CO( <i>i</i> -Pr)
Cl	CO <sub>2</sub> ( <i>i</i> -Pr)	F	NHSO <sub>2</sub> CH <sub>3</sub>	F	OCH <sub>2</sub> OPh	F	OCH <sub>2</sub> CO( <i>i</i> -Pr)
F	CO <sub>2</sub> ( <i>i</i> -Pr)	Cl	OCH <sub>2</sub> CO <sub>2</sub> ( <i>n</i> -pentyl)	F	OCH <sub>2</sub> CO <sub>2</sub> ( <i>n</i> -pentyl)		

TABLE 5

Compounds of Formula Ik wherein Q = Q-4; W=S;

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>
5-F	H	F	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>2</sub> C≡CH	6-F	6-F	F	CH <sub>2</sub> C≡CH
5-F	H	F	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>2</sub> C≡CH	6-F	6-F	F	CH <sub>2</sub> C≡CH
6-F	H	F	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>2</sub> C≡CH	7-F	7-F	F	CH <sub>2</sub> C≡CH
6-F	H	F	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	CH <sub>2</sub> C≡CH
7-F	H	F	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	CH <sub>2</sub> C≡CH
7-F	H	F	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	CH <sub>2</sub> C≡CH
5-F	5-F	F	CH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	CH <sub>2</sub> C≡CH				

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>
5-F	H	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
5-F	H	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	H	F	CH(CH <sub>3</sub> )C≡CH

6-F	H	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	5-Cl	F	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	6-Cl	F	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	6-Cl	F	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	7-Cl	F	CH(CH <sub>3</sub> )C≡CH

Compounds of Formula II wherein Q = Q-4; W = S;

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>
5-F	H	F	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>2</sub> C≡CH	7-F	7-F	F	CH <sub>2</sub> C≡CH
5-F	H	F	CH <sub>2</sub> C≡CH	5-Cl	H	F	CH <sub>2</sub> C≡CH	7-F	7-F	F	CH <sub>2</sub> C≡CH
6-F	H	F	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>2</sub> C≡CH	8-F	8-F	F	CH <sub>2</sub> C≡CH
6-F	H	F	CH <sub>2</sub> C≡CH	6-Cl	H	F	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	CH <sub>2</sub> C≡CH
7-F	H	F	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>2</sub> C≡CH	7-Cl	7-Cl	F	CH <sub>2</sub> C≡CH
7-F	H	F	CH <sub>2</sub> C≡CH	7-Cl	H	F	CH <sub>2</sub> C≡CH	8-Cl	8-Cl	F	CH <sub>2</sub> C≡CH
8-F	H	F	CH <sub>2</sub> C≡CH	8-Cl	H	F	CH <sub>2</sub> C≡CH	6-F	6-F	F	CH <sub>2</sub> C≡CH
8-F	H	F	CH <sub>2</sub> C≡CH	8-Cl	H	F	CH <sub>2</sub> C≡CH	6-Cl	6-Cl	F	CH <sub>2</sub> C≡CH
5-F	4-F	F	CH <sub>2</sub> C≡CH	5-Cl	5-Cl	F	CH <sub>2</sub> C≡CH				

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>9</sup>
5-F	H	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
5-F	H	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
6-F	H	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
7-F	H	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
8-F	H	F	CH(CH <sub>3</sub> )C≡CH	8-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
8-F	H	F	CH(CH <sub>3</sub> )C≡CH	8-Cl	H	F	CH(CH <sub>3</sub> )C≡CH
5-F	5-F	F	CH(CH <sub>3</sub> )C≡CH	5-Cl	5-Cl	F	CH(CH <sub>3</sub> )C≡CH
6-F	6-F	F	CH(CH <sub>3</sub> )C≡CH	6-Cl	6-Cl	F	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	7-Cl	F	CH(CH <sub>3</sub> )C≡CH
7-F	7-F	F	CH(CH <sub>3</sub> )C≡CH	7-Cl	7-Cl	F	CH(CH <sub>3</sub> )C≡CH
8-F	8-F	F	CH(CH <sub>3</sub> )C≡CH	8-Cl	8-Cl	F	CH(CH <sub>3</sub> )C≡CH

TABLE 6

Compounds of Formula I<sub>k</sub> wherein Q = Q-5; R<sup>6</sup> = R<sup>7</sup> = CF<sub>3</sub>;

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>
5-F	H	F	6-F	6-F	F	7-Cl	H	F	5-F	5-F	F
5-F	H	Cl	6-F	6-F	Cl	7-Cl	H	Cl	5-F	5-F	Cl
6-F	H	F	7-F	7-F	F	5-Cl	5-Cl	F	6-Cl	H	F
6-F	H	Cl	7-F	7-F	Cl	5-Cl	5-Cl	Cl	6-Cl	H	Cl
7-F	H	F	5-Cl	H	F	6-Cl	6-Cl	F	7-Cl	7-Cl	F
7-F	H	Cl	5-Cl	H	Cl	6-Cl	6-Cl	Cl	7-Cl	7-Cl	Cl

Compounds of Formula II wherein Q = Q-5; R<sup>6</sup> = R<sup>7</sup> = CF<sub>3</sub>;

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>
5-F	H	F	5-F	5-F	F	5-Cl	5-Cl	F	6-F	6-F	F
5-F	H	Cl	5-F	5-F	Cl	5-Cl	5-Cl	Cl	6-F	6-F	Cl
6-F	H	F	7-F	7-F	F	8-Cl	H	F	7-Cl	H	F
6-F	H	Cl	7-F	7-F	Cl	8-Cl	H	Cl	7-Cl	H	Cl
7-F	H	F	8-F	8-F	F	6-Cl	6-Cl	F	8-Cl	8-Cl	F
7-F	H	Cl	8-F	8-F	Cl	6-Cl	6-Cl	Cl	8-Cl	8-Cl	Cl
8-F	H	F	6-Cl	H	F	7-Cl	7-Cl	F			
8-F	H	Cl	6-Cl	H	Cl	7-Cl	7-Cl	Cl			

Formulation/Utility

The compounds of this invention are useful as herbicides in agriculture.

- 5 Typically, such compound(s) can be formulated in an effective amount with conventional additives including a carrier therefor (comprising a surfactant and/or a solid or liquid diluent) and applied by known methods to the locus to be protected.

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.

- 10 Useful 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
- 15 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		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
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.
- 5 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 by 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), pp 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714.
- 10 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).
- 15  
20  
25

In the following Examples, all percentages are by weight and all formulations are worked up in conventional ways. The compound number refers to the compound in Index Table A hereinafter.

5	<u>Example A</u>	
	<u>High Strength Concentrate</u>	
	Compound 12	98.5%
	silica aerogel	0.5%
	synthetic amorphous fine silica	1.0%.
10	<u>Example B</u>	
	<u>Wettable Powder</u>	
	Compound 12	65.0%
	dodecylphenol polyethylene glycol ether	2.0%
	sodium ligninsulfonate	4.0%
15	sodium silicoaluminate	6.0%
	montmorillonite (calcined)	23.0%.
	<u>Example C</u>	
	<u>Granule</u>	
	Compound 12	10.0%
20	attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.
	<u>Example D</u>	
	<u>Extruded Pellet</u>	
25	Compound 12	25.0%
	anhydrous sodium sulfate	10.0%
	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.
30	The compounds of the present invention are highly active preemergent and/or postemergent herbicides and/or plant growth regulants. Many of them have utility for broad-spectrum pre- and/or postemergence weed control in areas where complete control of all vegetation is desired such as around fuel storage tanks, industrial storage areas, parking lots, drive-in theaters, around billboards and highway and railroad	
35	structures. Some of the compounds are useful for the control of selected grass and broadleaf weeds with tolerance to important agronomic crops which include but are not limited to barley, cotton, wheat, corn, soybeans, rice, citrus, peanut, and plantation crops such as sugarcane, coffee, banana, oil palm, rubber, grapes, fruit trees, nut trees,	

turf, pineapple and loblolly pine. The compounds of the instant invention are particularly useful on plantation crops such as sugarcane, coffee, banana, oil palm, rubber, grapes, fruit trees, nut trees, turf, pineapple and loblolly pine. Those skilled in the art will appreciate that not all compounds are equally effective against all weeds.

5 Alternatively, the subject compounds are useful to modify plant growth.

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,  
 10 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-propenyl-acetamide, 2-chloroallyl diethyldithiocarbamate, chloramben, chlorbromuron, chloridazon,  
 15 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,  
 20 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 dipropylcarbamoithioate, esprocarb, ethalfluralin, ethametsulfuron methyl, ethofumesate, fenac, fenoxaprop, fenuron, salt of fenuron and trichloroacetic acid,  
 25 flamprop, fluazifop, fluazifop-P, fluchloralin, flumesulam, flumipropyn, fluometuron, fluorochloridone, fluorodifen, fluoroglycofen, flupoxam, fluridone, fluroxypyr, fluzasulfuron, fomesafen, fosamine, glyphosate, glyphosate salts, haloxyfop, hexaflurate, hexazinone, imazamethabenz, imazapyr, imazaquin, imazamethabenz methyl, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isouron,  
 30 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, methalproalin, methabenzthiazuron, metham, methazole, methoxuron, metolachlor,  
 35 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, 5 quinchlorac, quizalofop ethyl, rimsulfuron, secbumeton, sethoxydim, siduron, simazine, 1-( $\alpha,\alpha$ -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-dichloro-10 phenoxy)butanoic acid, vernolate, and xylachlor.

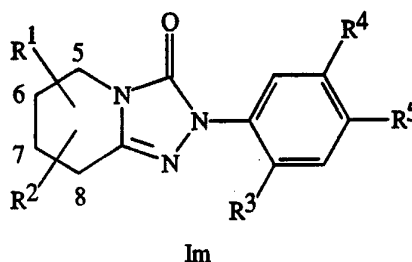
In certain instances, combinations with other herbicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

A herbicidally effective amount of the compounds of this invention is determined 15 by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. In general, a herbicidally effective amount of a compound(s) of this invention is applied at rates from about 0.005 to 5.0 kg/ha with a preferred rate range of 0.010 to 2.0 kg/ha. One skilled in the art can easily determine application rates necessary for the desired level of 20 weed control.

The following Tests demonstrate the control efficacy of the compounds of this invention against specific weeds. The weed control afforded by the compounds is not limited, however, to these species. See Index Tables A and B for compound 25 descriptions.

25

#### Index Table A



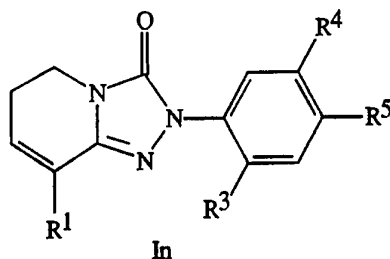
Compounds of Formula Im wherein:

30

<u>Cmpd No.</u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>R<sup>4</sup></u>	<u>R<sup>5</sup></u>	<u>m.p. (°C)<sup>1,2</sup></u>
1	6-OH	H	Cl	OCH <sub>2</sub> C=CH	Cl	oil
2	8-OH	H	Cl	OCH <sub>2</sub> C=CH	Cl	168-170

3	8-Br	H	Cl	OC(O)Me	Cl	75-83
4	8-Br	8-Br	Cl	OC(O)Me	Cl	77-82
5	8-Br	H	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	oil
6	8-Br	8-Br	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	161-162 d
7	6-Br	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
8	6-F	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
9		6-(=O)	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
10	6-OC(O)Me	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
11	8-F	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
12	8-Cl	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
13	7-OH	8-OH	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	glass
14	8-OC(O)Me	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
15	7-OH	8-OH	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
16	8-OH	H	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	oil
17		8-(=O)	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	oil
18		8-(=O)	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
19	7-OH	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
20	8-F	H	Cl	OCH <sub>3</sub>	Cl	148-151
21	6-OH	H	H	H	F	oil
22	6-Cl	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
23	8-OH	H	Cl	OCH <sub>3</sub>	Cl	245-247
24	6-OH	H	Cl	OCH <sub>2</sub> C≡CH	Cl	186-187
25	6-F	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
26	6-Cl	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
27	7-Cl	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
28	7-F	H	Cl	OCH <sub>2</sub> C≡CH	Cl	oil
29	8-OH	H	Cl	OH	Cl	238-240 d
30	8-Br	H	Cl	OH	Cl	187-190
31	7-OH	8-OH	Cl	OH	Cl	215-218
32	8-OH	H	Cl	OH	Cl	oil
33		8-(=O)	Cl	OH	Cl	oil

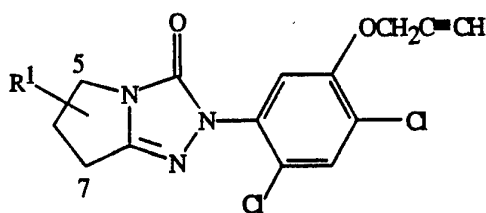
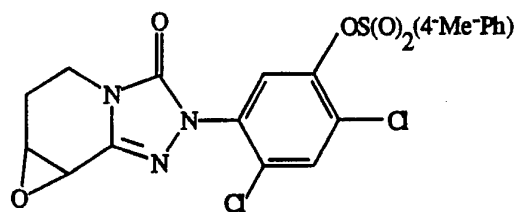
35

Index Table B

Compounds of Formula In wherein:

<u>Cmpd No.</u>	<u>R<sup>1</sup></u>	<u>R<sup>3</sup></u>	<u>R<sup>4</sup></u>	<u>R<sup>5</sup></u>	<u>m.p. (°C)<sup>1</sup></u>
36	H	Cl	OS(O) <sub>2</sub> (4-CH <sub>3</sub> -Ph)	Cl	oil
37	H	Cl	OH	Cl	oil
38	Br	Cl	OH	Cl	246-247
39	Br	Cl	OC(O)Me	Cl	oil

5

Cmpd 34: R<sup>1</sup> = 5-F oil<sup>1</sup>Cmpd 35: R<sup>1</sup> = 7-Cl oil<sup>1</sup>

Cmpd 40: mp 151-157°C

<sup>1</sup> <sup>1</sup>H NMR data for oils and glass in Index Table C.<sup>2</sup> d = decomposed

10

Index Table C

<u>Cmpd No.</u>	<u><sup>1</sup>H NMR Data</u>
(solvent = CDCl <sub>3</sub> unless otherwise indicated, in ppm downfield from tetramethylsilane)	
1	7.55 (s,1H), 7.2 (s,1H), 4.8 (s,2H), 4.4 (m,1H), 3.75 (m,2H), 3.2 (s,1H), 3.0 (m,1H), 2.75 (m,1H), 2.6 (s,1H), 2.1 (m,1H), 1.9 (m,1H).
5	7.78 (d,2H), 7.55 (s,1H), 7.50 (s,1H), 7.35 (d,2H), 5.27 (t,1H), 4.0 (m,1H), 3.61 (m,1H), 2.45 (s,3H), 2.1-2.5 (m,4H).
7	7.6 (s,1H), 7.1 (s,1H), 4.8 (q,2H), 4.6 (m,1H), 4.0 (m,1H), 3.8 (m,1H), 3.2 (m,1H), 3.0 (m,1H), 2.6 (m,1H), 2.4 (m,2H).
8	7.55 (s,1H), 7.2 (s,1H), 5.4, 5.2 (2m, 1H), 4.8 (d,2H), 4.1 (m,1H), 3.8-3.6 (4d,1H), 2.95 (m,2H), 2.6 (m,1H), 2.5 (m,1H), 2.0 (m,1H).

- 9 7.55 (s,1H), 7.2 (s,1H), 4.8 (s,2H), 4.4 (s,2H), 3.15 (m,2H), 2.8 (m,2H), 2.6 (s,1H).
- 10 7.55 (s,1H), 7.2 (s,1H), 5.4 (m,1H), 4.8 (s,2H), 3.95 (m,1H), 3.8 (dd,1H), 2.9 (m,2H), 2.6 (s,1H), 2.3 (m,1H), 2.1 (s,3H), 2.0 (m,1H).
- 11 7.55 (s,1H), 7.2 (s,1H), 5.6, 5.5 (2m,1H), 4.8 (s,2H), 4.0 (m,1H), 3.55 (m,1H), 2.6 (m,1H), 2.5-1.8 (m,4H).
- 12 7.55 (s,1H), 7.2 (s,1H), 5.15 (t,1H), 4.8 (s,2H), 3.95 (m,1H), 3.6 (m,1H), 2.6 (m,1H), 2.5-2.0 (m,4H).
- 13 7.81 (d,2H), 7.63 (s,1H), 7.50 (s,1H), 7.35 (d,2H), 4.62 (dd,1H), 4.15 (m,1H), 3.89 (m,1H), 3.71 (m,1H), 3.55 (d,1H), 2.77 (d,1H), 2.46 (s,3H), 2.36 (m,1H), 2.1 (m,1H).
- 14 7.55 (s,1H), 7.15 (m,1H), 5.95 (m,1H), 4.8 (s,2H), 3.85 (m,1H), 3.6 (m,1H), 2.6 (s,1H), 2.2-2.0 (m,4H), 2.15 (s,3H).
- 15 (solvent =  $(CD_3)_2SO$ ) 7.85 (s,1H), 7.35 (s,1H), 6.13 (d,1H), 5.44 (d,1H), 4.97 (d, 2H), 4.35 (m,1H), 3.95 (m,1H), 3.70 (t,1H), 3.65 (m,1H), 3.5 (m,1H), 2.15 (m,1H), 1.9 (m,1H).
- 16 7.78 (d,2H), 7.60 (s,1H), 7.50 (s,1H), 7.34 (d,2H), 4.85 (m,1H), 2.78 (d,1H), 2.45 (s,3H), 2.25 (m,1H), 2.0 (m,3H).
- 17 7.77 (d,2H), 7.60 (s,1H), 7.53 (s,1H), 7.30 (d,2H), 4.00 (m,2H), 2.86 (m,2H), 2.46 (s,3H), 2.42 (m,2H).
- 18 (solvent =  $(CD_3)_2SO$ ) 7.92 (s,1H), 7.42 (s,1H), 4.98 (d,2H), 3.8 (t,2H), 3.70 (t,1H), 2.75 (t,2H), 2.25 (m,2H).
- 19 7.54 (s,1H), 7.16 (s,1H), 4.77 (d,2H), 4.40 (m,1H), 3.75-3.90 (m,2H), 3.6 (t,1H), 2.9-3.0 (m,2H), 2.6 (m,1H), 2.0-2.2 (m,2H).
- 21 7.9 (m,2H), 7.1 (m,2H), 4.5 (m,1H), 3.8 (m,2H), 3.0 (m,1H), 2.8 (m,1H), 2.2 (m,1H), 1.9 (m,1H), 1.6 (br s,1H).
- 22 7.55 (s,1H), 7.2 (s,1H), 4.8 (s,2H), 4.6 (m,1H), 4.0 (m,2H), 3.15 (m,1H), 2.9 (m,1H), 2.6 (m,1H), 2.3 (m,2H).
- 25 7.55 (s,1H), 7.2 (s,1H), 6.3, 6.15 (2t,1H), 4.8 (d,2H), 3.0 (m,1H), 2.7 (m,1H), 2.6 (m,1H), 2.5 (m,1H), 2.2-1.8 (m,3H).
- 26 7.55 (s,1H), 7.2 (s,1H), 6.2 (m,1H), 4.8 (t,2H), 3.0 (m,1H), 2.8 (m,1H), 2.6 (m,1H), 2.6-2.0 (m,4H)
- 27 7.55 (s,1H), 7.2 (s,1H), 4.8 (d,2H), 4.6 (m,1H), 4.0-3.8 (m,2H), 3.2 (m,2H), 2.65 (m,1H), 2.6 (m,1H), 2.4 (m,1H).
- 28 7.6 (s,1H), 7.2 (s,1H), 5.4, 5.2 (2q,1H), 4.8 (d,2H), 4.0-3.75 (m,2H), 3.3-2.8 (m,2H), 2.6 (m,1H), 2.5 (m,1H), 2.2-2.0 (m,1H).
- 32 (solvent =  $(CD_3)_2SO$ ) 10.9 (s,1H), 7.65 (s,1H), 7.02 (s,1H), 5.22 (d,1H), 4.17 (m,1H), 3.55 (m,2H), 2.80 (m,1H), 2.59 (dd,1H), 1.95 (m,2H).
- 33 (solvent =  $(CD_3)_2SO$ ) 11.1 (s,1H), 7.75 (s,1H), 7.09 (s,1H), 3.78 (t,2H), 2.74 (d,2H), 2.25 (m,2H).

- 34 7.55 (s,1H), 7.2 (s,1H), 6.4, 6.2 (2d,1H), 4.8 (s,2H), 3.2-2.6 (m,4H), 2.6 (s,1H).  
 35 7.55 (s,1H), 7.2 (s,1H), 5.2 (d,1H), 4.8 (d,2H), 4.0 (m,2H), 2.8 (m,2H), 2.6 (m,1H).  
 36 7.80 (d,2H), 7.62 (s,1H), 7.48 (s,1H), 7.34 (d,2H), 6.43 (m,2H), 3.89 (t,2H), 2.69  
 (dt,2H), 2.45 (s,3H).  
 37 7.46 (s,1H), 7.10 (s,1H), 6.4 (m,2H), 3.89 (t,2H), 2.65 (m,2H).  
 39 7.6 (s,1H), 7.35 (s,1H), 6.7 (t,1H), 3.9 (t,2H), 2.7 (q,2H), 2.35 (s,3H).

### TEST A

Seeds of barley (*Hordeum vulgare*), barnyardgrass (*Echinochloa crus-galli*),  
 bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), cheatgrass (*Bromus*  
 5 *secalinus*), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn  
 (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria spp.*), downy brome  
 (*Bromus tectorum*), 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*),  
 10 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  
 15 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.

POSTEMERGENCE	Table A													COMPOUND												
	8	10	11	12	14	20	21	22	23	35	36	38														
Rate 400 g/ha	8	10	11	12	14	20	21	22	23	35	36	38	PREEMERGENCE	Rate 400 g/ha	8	10	11	12	14	20	21	22	23	35	36	38
Barley	9	6	7	8	8	9	0	6	2	4	4	2	Barley	6	0	8	8	7	8	0	2	2	0	0	0	
Barnyardgrass	10	3	10	10	10	10	0	10	5	9	3	2	Barnyardgrass	10	7	10	9	10	10	0	9	7	4	0	0	
Bedstraw	10	5	10	9	8	9	2	10	2	9	1	1	Bedstraw	10	3	10	7	9	7	0	10	3	9	2	0	
Blackgrass	10	4	10	9	9	10	0	10	2	9	3	2	Blackgrass	8	1	10	9	9	10	0	9	2	6	0	0	
Chickweed	10	4	10	2	4	3	0	9	0	5	1	2	Chickweed	10	2	10	4	8	4	0	10	0	2	0	0	
Cocklebur	9	7	9	8	8	8	0	10	4	7	4	2	Cocklebur	10	0	10	5	9	10	0	7	3	2	0	2	
Corn	9	5	8	8	9	9	0	7	3	5	3	2	Corn	8	3	7	5	10	7	0	9	2	3	0	0	
Cotton	10	10	10	10	10	10	0	10	9	10	9	9	Cotton	9	0	10	7	9	8	0	9	3	1	0	0	
Crabgrass	10	4	9	8	8	10	0	9	3	8	4	2	Crabgrass	10	1	10	10	10	10	0	10	4	10	0	2	
Downy brome	9	4	8	6	5	9	0	8	1	5	2	1	Downy brome	10	0	9	7	10	10	0	8	3	5	1	0	
Giant foxtail	10	3	9	9	9	9	0	9	3	8	3	2	Giant foxtail	10	4	10	9	10	10	0	9	8	10	0	0	
Lambsquarter	10	7	10	10	10	10	0	10	9	10	6	4	Lambsquarter	10	8	10	10	10	10	3	10	10	10	4	0	
Morningglory	10	9	10	10	10	10	0	10	7	9	4	2	Morningglory	10	1	10	10	10	7	0	10	5	10	0	5	
Nutsedge	10	8	-	5	6	10	0	6	1	5	0	1	Nutsedge	3	2	3	1	8	4	0	2	8	1	3	0	
Rape	10	6	10	10	9	9	0	10	7	8	5	1	Rape	10	2	10	10	10	10	0	10	8	8	1	0	
Rice	10	5	9	8	8	9	0	9	5	6	3	3	Rice	9	5	9	5	8	9	0	5	3	2	0	0	
Sorghum	10	4	9	9	9	10	0	9	3	6	3	3	Sorghum	10	2	10	10	9	10	0	7	2	4	0	0	
Soybean	9	7	9	9	9	9	1	9	5	9	5	3	Soybean	9	2	10	7	9	9	0	10	4	1	0	3	
Sugar beet	10	7	10	10	10	10	0	10	7	10	6	3	Sugar beet	10	4	10	10	10	10	0	10	9	10	3	0	
Velvetleaf	10	10	10	10	10	10	0	10	9	10	8	2	Velvetleaf	10	10	10	10	10	10	0	10	9	10	0	0	
Wheat	9	6	9	9	8	9	0	6	2	5	4	1	Wheat	7	0	10	9	9	9	0	4	6	1	0	0	
Wild buckwheat	10	10	10	10	10	10	2	10	9	10	3	2	Wild buckwheat	10	2	10	10	10	10	0	10	8	10	0	0	
Wild oat	10	5	9	8	9	9	0	9	1	8	3	2	Wild oat	9	0	10	10	9	10	0	9	6	6	0	0	

Table A	COMPOUND			Table A			COMPOUND			Table A			COMPOUND		
	Rate	200 g/ha	50 g/ha	Rate	200 g/ha	50 g/ha	Rate	200 g/ha	50 g/ha	Rate	200 g/ha	50 g/ha	Rate	200 g/ha	50 g/ha
POSTEMERGENCE	6	24	40	6	24	40	6	24	40	6	24	40	6	24	40
PREEMERGENCE	2	3	0	2	3	0	2	3	0	2	3	0	2	3	0
Barley	2	3	0	Barley	2	3	0	Barley	2	3	0	Barley	2	3	0
Barnyardgrass	2	3	1	Barnyardgrass	2	3	1	Barnyardgrass	2	3	1	Barnyardgrass	2	3	1
Bedstraw	1	3	2	Bedstraw	1	3	2	Bedstraw	1	3	2	Bedstraw	1	3	2
Blackgrass	2	4	3	Blackgrass	2	4	3	Blackgrass	2	4	3	Blackgrass	2	4	3
Chickweed	1	2	0	Chickweed	1	2	0	Chickweed	1	2	0	Chickweed	1	2	0
Cocklebur	2	3	2	Cocklebur	2	3	2	Cocklebur	2	3	2	Cocklebur	2	3	2
Corn	2	2	1	Corn	2	2	1	Corn	2	2	1	Corn	2	2	1
Cotton	9	9	2	Cotton	9	9	2	Cotton	9	9	2	Cotton	9	9	2
Crabgrass	5	4	1	Crabgrass	5	4	1	Crabgrass	5	4	1	Crabgrass	5	4	1
Downy brome	2	3	0	Downy brome	2	3	0	Downy brome	2	3	0	Downy brome	2	3	0
Giant foxtail	3	3	2	Giant foxtail	3	3	2	Giant foxtail	3	3	2	Giant foxtail	3	3	2
Lambsquarter	4	4	3	Lambsquarter	4	4	3	Lambsquarter	4	4	3	Lambsquarter	4	4	3
Morningglory	3	5	1	Morningglory	3	5	1	Morningglory	3	5	1	Morningglory	3	5	1
Nutsedge	1	1	-	Nutsedge	1	1	-	Nutsedge	1	1	-	Nutsedge	1	1	-
Rape	3	3	0	Rape	3	3	0	Rape	3	3	0	Rape	3	3	0
Rice	3	3	1	Rice	3	3	1	Rice	3	3	1	Rice	3	3	1
Sorghum	3	4	1	Sorghum	3	4	1	Sorghum	3	4	1	Sorghum	3	4	1
Soybean	3	2	3	Soybean	3	2	3	Soybean	3	2	3	Soybean	3	2	3
Sugar beet	5	8	0	Sugar beet	5	8	0	Sugar beet	5	8	0	Sugar beet	5	8	0
Velvetleaf	6	7	4	Velvetleaf	6	7	4	Velvetleaf	6	7	4	Velvetleaf	6	7	4
Wheat	5	4	0	Wheat	5	4	0	Wheat	5	4	0	Wheat	5	4	0
Wild buckwheat	4	3	3	Wild buckwheat	4	3	3	Wild buckwheat	4	3	3	Wild buckwheat	4	3	3
Wild oat	3	3	2	Wild oat	3	3	2	Wild oat	3	3	2	Wild oat	3	3	2

POSTEMERGENCE	Table A										Table A										Table A																				
Rate 100 g/ha	8	9	10	11	12	14	20	21	22	23	35	36	38	Rate 100 g/ha	8	9	10	11	12	14	20	21	22	23	35	36	38	Rate 100 g/ha	8	9	10	11	12	14	20	21	22	23	35	36	38
Barley	7	4	3	7	5	5	7	0	4	1	4	3	1	Barley	6	1	0	6	2	4	4	0	1	0	0	0	Barley	6	1	0	6	2	4	4	0	1	0	0	0		
Barnyardgrass	9	2	2	9	9	9	9	0	9	3	9	3	1	Barnyardgrass	9	0	1	10	8	9	10	0	8	2	1	0	0	Barnyardgrass	9	0	1	10	8	9	10	0	8	2	1	0	0
Bedstraw	9	7	4	10	9	6	9	0	9	2	6	1	1	Bedstraw	9	1	2	10	10	0	3	0	8	0	1	0	0	Bedstraw	9	1	2	10	10	0	3	0	8	0	1	0	0
Blackgrass	9	4	4	9	8	4	7	0	5	1	4	2	1	Blackgrass	7	2	1	9	7	5	9	0	8	0	3	1	0	Blackgrass	7	2	1	9	7	5	9	0	8	0	3	1	0
Chickweed	9	5	4	7	1	3	3	0	6	0	4	1	1	Chickweed	10	0	0	9	2	0	2	0	10	0	3	0	0	Chickweed	10	0	0	9	2	0	2	0	10	0	3	0	0
Cocklebur	9	4	6	10	7	7	7	0	7	3	7	3	1	Cocklebur	3	0	0	6	2	4	5	0	5	2	0	0	1	Cocklebur	3	0	0	6	2	4	5	0	5	2	0	0	1
Corn	8	2	2	7	5	5	5	0	6	3	2	3	1	Corn	7	0	0	6	4	6	3	0	5	0	1	0	0	Corn	7	0	0	6	4	6	3	0	5	0	1	0	0
Cotton	10	9	9	10	10	10	10	0	10	9	9	9	6	Cotton	10	0	0	7	0	2	1	0	2	0	0	0	0	Cotton	10	0	0	7	0	2	1	0	2	0	0	0	0
Crabgrass	7	3	3	8	8	3	6	0	8	3	4	3	2	Crabgrass	10	0	0	10	8	9	10	0	10	2	6	0	0	Crabgrass	10	0	0	10	8	9	10	0	10	2	6	0	0
Downy brome	7	3	3	8	5	3	7	0	3	1	4	2	0	Downy brome	6	2	0	6	7	5	8	0	6	1	3	0	0	Downy brome	6	2	0	6	7	5	8	0	6	1	3	0	0
Giant foxtail	6	3	3	9	8	5	7	0	9	3	6	3	1	Giant foxtail	9	0	0	10	8	9	10	0	9	8	6	0	0	Giant foxtail	9	0	0	10	8	9	10	0	9	8	6	0	0
Lambsquarter	10	4	6	10	10	10	9	0	10	8	10	4	2	Lambsquarter	10	6	4	10	10	10	10	0	10	9	10	2	0	Lambsquarter	10	6	4	10	10	10	10	0	10	9	10	2	0
Morningglory	9	7	9	10	10	9	10	0	9	7	8	4	2	Morningglory	10	0	0	8	3	6	6	0	10	4	10	0	0	Morningglory	10	0	0	8	3	6	6	0	10	4	10	0	0
Nutsedge	10	1	4	4	3	2	2	0	3	1	2	0	1	Nutsedge	1	0	0	2	0	1	2	0	1	0	0	0	0	Nutsedge	1	0	0	2	0	1	2	0	1	0	0	0	0
Rape	9	4	6	9	9	8	8	0	10	5	7	3	1	Rape	8	1	2	10	5	8	9	0	9	3	2	1	0	Rape	8	1	2	10	5	8	9	0	9	3	2	1	0
Rice	8	5	4	9	7	5	7	0	9	3	4	3	2	Rice	7	0	2	8	4	6	7	0	3	2	0	0	0	Rice	7	0	2	8	4	6	7	0	3	2	0	0	0
Sorghum	9	4	3	8	7	8	9	0	9	3	4	3	3	Sorghum	9	0	0	4	3	7	5	0	3	0	0	0	0	Sorghum	9	0	0	4	3	7	5	0	3	0	0	0	0
Soybean	9	6	6	8	8	9	8	0	9	4	5	3	2	Soybean	10	0	2	8	4	9	6	0	9	2	0	0	1	Soybean	10	0	2	8	4	9	6	0	9	2	0	0	1
Sugar beet	10	7	7	10	8	10	10	0	9	6	8	4	2	Sugar beet	10	6	2	10	10	10	10	0	10	7	10	2	0	Sugar beet	10	6	2	10	10	10	10	0	10	7	10	2	0
Velvetleaf	10	7	7	10	9	9	10	0	10	5	8	5	1	Velvetleaf	10	4	5	10	9	10	10	0	10	9	9	0	0	Velvetleaf	10	4	5	10	9	10	10	0	10	9	9	0	0
Wheat	9	5	3	9	7	7	8	0	6	1	5	4	1	Wheat	7	1	0	7	1	7	5	0	2	1	2	0	0	Wheat	7	1	0	7	1	7	5	0	2	1	2	0	0
Wild buckwheat	10	8	7	10	10	10	9	0	10	7	9	2	2	Wild buckwheat	10	1	0	10	8	10	9	0	6	3	7	0	0	Wild buckwheat	10	1	0	10	8	10	9	0	6	3	7	0	0
Wild oat	8	3	3	8	4	6	8	0	8	0	5	2	1	Wild oat	8	0	0	9	5	7	7	0	9	2	3	0	0	Wild oat	8	0	0	9	5	7	7	0	9	2	3	0	0

Table A	COMPOUND	Table A	COMPOUND	Table A	COMPOUND	Table A	COMPOUND
Rate	20 g/ha	Rate	20 g/ha	Rate	10 g/ha	Rate	10 g/ha
POSTEMERGENCE	9	PREEMERGENCE	9	POSTEMERGENCE	37	PREEMERGENCE	37
Barley	3	Barley	0	Barley	0	Barley	0
Barnyardgrass	2	Barnyardgrass	0	Barnyardgrass	0	Barnyardgrass	0
Bedstraw	5	Bedstraw	1	Bedstraw	0	Bedstraw	0
Blackgrass	1	Blackgrass	0	Blackgrass	0	Blackgrass	0
Chickweed	3	Chickweed	0	Chickweed	0	Chickweed	0
Cocklebur	4	Cocklebur	0	Cocklebur	0	Cocklebur	0
Corn	2	Corn	0	Corn	0	Corn	0
Cotton	7	Cotton	0	Cotton	0	Cotton	0
Crabgrass	4	Crabgrass	0	Crabgrass	1	Crabgrass	0
Downy brome	1	Downy brome	0	Downy brome	0	Downy brome	0
Giant foxtail	4	Giant foxtail	0	Giant foxtail	-	Giant foxtail	0
Lambsquarter	4	Lambsquarter	0	Lambsquarter	0	Lambsquarter	0
Morningglory	6	Morningglory	0	Morningglory	-	Morningglory	0
Nutsedge	-	Nutsedge	0	Nutsedge	0	Nutsedge	0
Rape	3	Rape	1	Rape	0	Rape	0
Rice	4	Rice	0	Rice	0	Rice	0
Sorghum	3	Sorghum	0	Sorghum	0	Sorghum	0
Soybean	5	Soybean	0	Soybean	0	Soybean	0
Sugar beet	4	Sugar beet	2	Sugar beet	0	Sugar beet	0
Velvetleaf	5	Velvetleaf	3	Velvetleaf	0	Velvetleaf	0
Wheat	4	Wheat	0	Wheat	1	Wheat	0
Wild buckwheat	7	Wild buckwheat	1	Wild buckwheat	0	Wild buckwheat	0
Wild oat	3	Wild oat	0	Wild oat	1	Wild oat	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  
 5 (*Abutilon theophrasti*), and wild oat (*Avena fatua*) were planted into a sandy loam soil  
 and treated preemergence, or with a soil drench(PDRN), with test chemicals dissolved  
 in a non-phytotoxic solvent. At the same time, these crop and weed species were also  
 treated postemergence, or sprayed to runoff(STRO), with test chemicals. Plants ranged  
 in height from two to eighteen cm and were in the two to three leaf stage for the  
 10 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  
 15 complete control. A dash (-) response means no test results.

Table B	COMPOUND
Rate 2000 g/ha	7
PDRN	
Barnyardgrass	0
Cocklebur	0
Crabgrass	0
Downy brome	0
Giant foxtail	0
Morningglory	0
Sorghum	0
Velvetleaf	0
Wild oats	0

Table B	COMPOUND
Rate 1000 g/ha	7
STRO	
Barnyardgrass	1
Cocklebur	0
Crabgrass	1
Downy brome	1
Giant foxtail	2
Morningglory	1
Sorghum	1
Velvetleaf	5
Wild oats	2

Table B	COMPOUND			
Rate 800 g/ha	3	4	13	30
PREEMERGENCE				
Barnyardgrass	0	0	0	0
Cocklebur	0	0	0	0
Crabgrass	0	0	0	0
Downy brome	0	0	0	0
Giant foxtail	0	0	0	0
Morningglory	0	0	0	0
Sorghum	0	0	0	0
Velvetleaf	0	0	0	0
Wild oats	0	0	0	0

Table B	COMPOUND			
Rate 400 g/ha	3	4	13	30
POSTEMERGENCE				
Barnyardgrass	2	2	1	2
Cocklebur	2	1	0	1
Crabgrass	1	1	1	1
Downy brome	1	1	0	1
Giant foxtail	1	1	0	2
Morningglory	0	0	1	0
Sorghum	3	2	1	2
Velvetleaf	3	2	1	2
Wild oats	1	1	0	2

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 barnyardgrass (*Echinochloa crus-galli*), barley (*Hordeum vulgare*), bedstraw (*Galium aparine*), blackgrass (*Alopecurus myosuroides*), chickweed (*Stellaria media*), cocklebur (*Xanthium pensylvanicum*), corn (*Zea mays*), cotton (*Gossypium hirsutum*), crabgrass (*Digitaria sanguinalis*), downy brome (*Bromus tectorum*), giant foxtail (*Setaria faberii*), johnsongrass (*Sorghum halpense*), lambsquarters (*Chenopodium album*), morningglory (*Ipomoea hederacea*), pigweed (*Amaranthus retroflexus*), 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 postemergence portion of the test. Plant species in the flood test consisted of rice (*Oryza sativa*), umbrella sedge (*Cyperus difformis*), duck salad (*Heteranthera limosa*), barnyardgrass (*Echinochloa crus-galli*) and Late watergrass (*Echinochloa oryzicola*) grown to the 1 and 2 leaf stage for testing.

All plant species were grown using normal greenhouse practices. Visual evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty one days after application of the test compound. Plant response ratings, summarized in Table C, were recorded on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (-) response means no test result.

Table C	COMPOUND				Table C	COMPOUND					
Rate	125 g/ha	11	12	14	20	Rate	125 g/ha	11	12	14	20
POSTEMERGENCE						PREEMERGENCE					
Barley Igri		65	35	45	85	Barley Igri		70	15	25	55
Barnyardgrass		100	95	85	80	Barnyardgrass		95	100	100	100

Blackgrass	100	40	50	45	Blackgrass	100	80	60	95
Chickweed	85	45	30	35	Chickweed	100	0	25	60
Cocklebur	55	65	65	70	Cocklebur	35	0	85	65
Corn	50	65	35	50	Corn	65	0	85	70
Cotton	100	100	100	100	Cotton	95	0	90	85
Crabgrass	35	65	80	90	Crabgrass	100	95	100	100
Downy Brome	90	55	45	90	Downy Brome	90	10	30	55
Duck salad	35	20	50	90	Galium	100	80	90	30
Galium	100	60	65	70	Giant foxtail	100	100	100	100
Giant foxtail	95	95	80	95	Italn. Rygrass	100	90	80	100
Italn. Rygrass	100	55	75	100	Johnsongrass	100	95	100	100
Johnsongrass	100	95	100	100	Lambsquarters	100	100	100	100
Lambsquarters	100	100	100	95	Morningglory	85	30	65	95
Morningglory	100	100	100	95	Rape	100	95	95	100
Rape	100	100	100	100	Redroot Pigweed	100	100	90	100
Redroot Pigweed	100	90	100	100	Soybean	60	25	40	90
Rice Japonica	95	80	95	90	Speedwell	100	55	100	100
Soybean	85	75	90	90	Sugar beet	100	100	100	100
Speedwell	100	100	100	-	Velvetleaf	100	100	100	100
Sugar beet	100	100	100	100	Wheat	80	45	35	95
Umbrella sedge	100	60	45	90	Wild buckwheat	100	100	100	100
Velvetleaf	100	100	100	100	Wild oat	100	55	60	70
Watergrass 2	100	100	90	95					
Wheat	100	35	45	100					
Wild buckwheat	100	100	100	100					
Wild oat	100	60	65	95					
2 Leaf BYG	100	100	100	95					

Table C					Table C						
COMPOUND					COMPOUND						
Rate	125 g/ha	11	12	14	20	Rate	125 g/ha	11	12	14	20
POSTEMERGENCE					PREEMERGENCE						
Barley Igri		65	35	45	85	Barley Igri		70	15	25	55
Barnyardgrass		100	95	85	80	Barnyardgrass		95	100	100	100
Blackgrass		100	40	50	45	Blackgrass		100	80	60	95
Chickweed		85	45	30	35	Chickweed		100	0	25	60
Cocklebur		55	65	65	70	Cocklebur		35	0	85	65
Corn		50	65	35	50	Corn		65	0	85	70
Cotton		100	100	100	100	Cotton		95	0	90	85
Crabgrass		35	65	80	90	Crabgrass		100	95	100	100
Downy Brome		90	55	45	90	Downy Brome		90	10	30	55
Duck salad		35	20	50	90	Galium		100	80	90	30
Galium		100	60	65	70	Giant foxtail		100	100	100	100
Giant foxtail		95	95	80	95	Italn. Rygrass		100	90	80	100
Italn. Rygrass		100	55	75	100	Johnsongrass		100	95	100	100
Johnsongrass		100	95	100	100	Lambsquarters		100	100	100	100
Lambsquarters		100	100	100	95	Morningglory		85	30	65	95
Morningglory		100	100	100	95	Rape		100	95	95	100
Rape		100	100	100	100	Redroot Pigweed		100	100	90	100
Redroot Pigweed		100	90	100	100	Soybean		60	25	40	90
Rice Japonica		95	80	95	90	Speedwell		100	55	100	100
Soybean		85	75	90	90	Sugar beet		100	100	100	100
Speedwell		100	100	100	-	Velvetleaf		100	100	100	100
Sugar beet		100	100	100	100	Wheat		80	45	35	95
Umbrella sedge		100	60	45	90	Wild buckwheat		100	100	100	100
Velvetleaf		100	100	100	100	Wild oat		100	55	60	70
Watergrass 2		100	100	90	95						
Wheat		100	35	45	100						
Wild buckwheat		100	100	100	100						
Wild oat		100	60	65	95						
2 Leaf BYG		100	100	100	95						

Table C		COMPOUND					Table C		COMPOUND				
Rate	62 g/ha	11	12	14	20	22	Rate	62 g/ha	11	12	14	20	22
POSTEMERGENCE						PREEMERGENCE							
Barley Igri		65	35	45	85	0	Barley Igri		50	0	0	35	25
Barnyardgrass		100	65	45	80	95	Barnyardgrass		95	85	80	90	95
Blackgrass		95	40	50	35	65	Blackgrass		100	45	30	80	65
Chickweed		80	30	30	20	95	Chickweed		40	0	0	30	95
Cocklebur		50	45	45	45	95	Cocklebur		10	0	30	50	30
Corn		35	30	35	50	60	Corn		50	0	65	50	65
Cotton		100	100	100	100	100	Cotton		55	0	60	75	0
Crabgrass		35	35	25	70	35	Crabgrass		100	85	90	100	100
Downy Brome		85	50	45	40	65	Downy Brome		70	0	30	55	35
Duck salad		30	0	0	85	60	Galium		80	40	10	10	100
Galium		100	55	65	20	100	Giant foxtail		100	95	50	100	90
Giant foxtail		95	90	45	95	100	Italn. Rygrass		95	65	40	95	90
Italn. Rygrass		95	50	60	90	75	Johnsongrass		100	95	100	95	95
Johnsongrass		90	95	90	100	100	Lambsquarters		100	90	100	100	100
Lambsquarters		100	100	100	95	100	Morningglory		40	0	30	0	80
Morningglory		100	95	100	95	95	Rape		100	25	0	80	80
Rape		100	100	95	80	100	Redroot Pigweed		100	100	75	100	100
Redroot Pigweed		100	90	100	100	100	Soybean		-	25	35	70	95
Rice Japonica		95	70	80	80	75	Speedwell		100	40	95	100	100
Soybean		80	75	80	85	75	Sugar beet		100	0	100	100	95
Speedwell		100	95	100	-	100	Velvetleaf		100	100	100	100	100
Sugar beet		100	100	100	100	100	Wheat		65	0	0	90	40
Umbrella sedge		95	30	30	90	80	Wild buckwheat		100	45	95	95	100
Velvetleaf		100	90	100	100	100	Wild oat		85	10	0	70	70
Watergrass 2		100	100	75	95	95							
Wheat		95	30	45	95	65							
Wild buckwheat		100	95	100	95	100							
Wild oat		95	60	30	85	85							
2 Leaf BYG		100	100	85	90	95							

Table C		COMPOUND					Table C		COMPOUND				
Rate	31 g/ha	11	12	14	20	22	Rate	31 g/ha	11	12	14	20	22
POSTEMERGENCE						PREEMERGENCE							
Barley Igri		55	35	40	50	0	Barley Igri		35	0	0	0	0
Barnyardgrass		85	25	40	80	65	Barnyardgrass		85	75	75	65	85
Blackgrass		50	35	35	25	60	Blackgrass		95	20	0	45	50
Chickweed		65	20	30	20	80	Chickweed		40	0	0	0	65
Cocklebur		0	40	40	45	50	Cocklebur		0	0	10	25	20
Corn		0	30	25	50	35	Corn		35	0	20	35	60

Cotton	100	100	100	100	100	Cotton	25	0	0	35	0
Crabgrass	0	30	25	65	10	Crabgrass	90	55	40	100	95
Downy Brome	75	40	35	40	35	Downy Brome	60	0	30	10	0
Duck salad	0	0	0	0	10	Galium	35	0	0	0	50
Galium	95	45	45	15	100	Giant foxtail	100	85	15	100	70
Giant foxtail	65	70	45	95	55	Italn. Rygrass	95	20	20	45	80
Italn. Rygrass	85	45	45	80	55	Johnsongrass	100	55	95	95	85
Johnsongrass	60	90	90	95	95	Lambsquarters	100	90	90	100	100
Lambsquarters	100	95	100	95	100	Morningglory	40	0	0	0	65
Morningglory	90	95	90	90	85	Rape	100	0	0	45	35
Rape	95	100	95	65	100	Redroot Pigweed	100	100	75	100	100
Redroot Pigweed	100	65	100	100	100	Soybean	60	10	10	25	65
Rice Japonica	85	65	55	35	70	Speedwell	100	0	30	100	100
Soybean	60	75	50	75	75	Sugar beet	90	0	45	100	80
Speedwell	100	85	100	-	100	Velvetleaf	100	100	100	100	100
Sugar beet	100	100	100	85	100	Wheat	50	0	0	0	0
Umbrella sedge	75	20	0	75	80	Wild buckwheat	95	0	35	90	75
Velvetleaf	100	90	100	100	100	Wild oat	75	0	0	35	30
Watergrass 2	100	85	65	50	80						
Wheat	60	25	45	90	45						
Wild buckwheat	100	90	100	80	100						
Wild oat	40	35	20	80	30						
2 Leaf BYG	100	60	50	30	80						

Table C		COMPOUND					Table C		COMPOUND				
Rate	16 g/ha	11	12	14	20	22	Rate	16 g/ha	11	12	14	20	22
POSTEMERGENCE						PREEMERGENCE							
Barley Igri		45	25	35	50	0	Barley Igri		35	0	0	0	0
Barnyardgrass		70	0	35	0	40	Barnyardgrass		65	0	0	65	30
Blackgrass		35	30	25	25	50	Blackgrass		95	0	0	25	40
Chickweed		45	20	20	20	80	Chickweed		0	0	0	0	40
Cocklebur		0	40	35	-	50	Cocklebur		0	0	0	0	0
Corn		0	25	20	35	10	Corn		20	0	0	0	0
Cotton		65	100	80	100	95	Cotton		25	0	0	10	0
Crabgrass		0	30	25	45	10	Crabgrass		85	50	40	60	95
Downy Brome		60	40	30	25	30	Downy Brome		50	0	30	10	0
Duck salad		0	0	0	0	0	Galium		0	0	0	0	0
Galium		-	45	45	0	0	Giant foxtail		95	0	10	50	70
Giant foxtail		20	20	40	50	45	Italn. Rygrass		85	0	0	35	10

Italn. Rygrass	80	30	45	40	25	Johnsongrass	95	30	35	90	75
Johnsongrass	20	70	85	50	90	Lambsquarters	100	70	80	100	100
Lambsquarters	100	90	95	95	100	Morningglory	35	0	0	0	65
Morningglory	80	65	90	85	85	Rape	100	0	0	25	0
Rape	80	100	85	50	100	Redroot Pigweed	100	70	45	100	100
Redroot Pigweed	85	50	85	-	20	Soybean	30	0	0	10	40
Rice Japonica	70	55	35	35	20	Speedwell	100	0	0	0	90
Soybean	55	75	45	55	75	Sugar beet	-	0	0	95	80
Speedwell	100	85	100	-	100	Velvetleaf	100	40	100	0	100
Sugar beet	100	100	100	40	100	Wheat	30	0	0	0	0
Umbrella sedge	70	0	0	65	70	Wild buckwheat	75	0	30	80	60
Velvetleaf	90	85	100	100	100	Wild oat	40	0	0	35	15
Watergrass 2	70	30	0	35	65						
Wheat	55	25	35	50	30						
Wild buckwheat	95	90	70	35	100						
Wild oat	30	30	10	65	25						
2 Leaf BYG	80	40	25	20	60						

Table C	COMPOUND	Table C	COMPOUND
Rate 8 g/ha	22	Rate 8 g/ha	22
POSTEMERGENCE		PREEMERGENCE	
Barley Igri	0	Barley Igri	0
Barnyardgrass	35	Barnyardgrass	10
Blackgrass	35	Blackgrass	0
Chickweed	70	Chickweed	0
Cocklebur	35	Cocklebur	0
Corn	10	Corn	0
Cotton	95	Cotton	0
Crabgrass	0	Crabgrass	95
Downy Brome	30	Downy Brome	0
Duck salad	0	Galium	0
Galium	0	Giant foxtail	55
Giant foxtail	30	Italn. Rygrass	0
Italn. Rygrass	0	Johnsongrass	50
Johnsongrass	75	Lambsquarters	95
Lambsquarters	95	Morningglory	60
Morningglory	85	Rape	0
Rape	85	Redroot Pigweed	90
Redroot Pigweed	10	Soybean	10
Rice Japonica	5	Speedwell	0
Soybean	65	Sugar beet	35
Speedwell	40	Velvetleaf	65
Sugar beet	80	Wheat	0

Umbrella sedge	10	Wild buckwheat	45
Velvetleaf	100	Wild oat	0
Watergrass 2	20		
Wheat	30		
Wild buckwheat	75		
Wild oat	20		
2 Leaf BYG	10		

#### TEST D

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

Table D	COMPOUND	Table D	COMPOUND	Table D	COMPOUND
Rate 280 g/ha	12	Rate 17.5 g/ha	12	Rate 140 g/ha	12
PREEMERGENCE		PREEMERGENCE		PREEMERGENCE	
Barnyardgrass	100	Barnyardgrass	65	Barnyardgrass	100
Bindweed	100	Bindweed	0	Bindweed	100
Blk Nightshade	100	Blk Nightshade	100	Blk Nightshade	100
Cassia	70	Cassia	0	Cassia	50
Cocklebur	55	Cocklebur	0	Cocklebur	25
Corn	80	Corn	0	Corn	70
Cotton	90	Cotton	0	Cotton	65
Crabgrass	100	Crabgrass	60	Crabgrass	100
Fall Panicum	100	Fall Panicum	65	Fall Panicum	100
Giant Foxtail	100	Giant Foxtail	60	Giant Foxtail	100
Green Foxtail	100	Green Foxtail	55	Green Foxtail	100
Jimson Weed	100	Jimson Weed	15	Jimson Weed	100
Johnson Grass	100	Johnson Grass	80	Johnson Grass	100
Lambsquarter	100	Lambsquarter	100	Lambsquarter	100
Morningglory	100	Morningglory	0	Morningglory	45
Nutsedge	25	Nutsedge	0	Nutsedge	15
Pigweed	100	Pigweed	65	Pigweed	100
Prickly Sida	100	Prickly Sida	50	Prickly Sida	100
Ragweed	90	Ragweed	15	Ragweed	90
Shattercane	95	Shattercane	15	Shattercane	80
Signalgrass	100	Signalgrass	20	Signalgrass	90
Smartweed	100	Smartweed	70	Smartweed	100
Soybean	100	Soybean	0	Soybean	65
Sunflower	80	Sunflower	0	Sunflower	65
Velvetleaf	100	Velvetleaf	50	Velvetleaf	100
Wild Proso	100	Wild Proso	20	Wild Proso	100
Wooly Cup Grass	95	Wooly Cup Grass	10	Wooly Cup Grass	80
Yellow Foxtail	100	Yellow Foxtail	55	Yellow Foxtail	90

Table D	COMPOUND	Table D	COMPOUND
Rate 70 g/ha	12	Rate 35 g/ha	12
PREEMERGENCE		PREEMERGENCE	
Barnyardgrass	95	Barnyardgrass	75
Bindweed	100	Bindweed	15
Blk Nightshade	100	Blk Nightshade	100
Cassia	30	Cassia	0
Cocklebur	15	Cocklebur	0
Corn	55	Corn	25
Cotton	15	Cotton	0
Crabgrass	100	Crabgrass	65
Fall Panicum	100	Fall Panicum	100
Giant Foxtail	100	Giant Foxtail	75
Green Foxtail	100	Green Foxtail	75
Jimson Weed	70	Jimson Weed	55
Johnson Grass	100	Johnson Grass	85
Lambsquarter	100	Lambsquarter	100
Morningglory	30	Morningglory	0
Nutsedge	0	Nutsedge	0
Pigweed	100	Pigweed	80
Prickly Sida	100	Prickly Sida	65
Ragweed	50	Ragweed	50
Shattercane	60	Shattercane	15
Signalgrass	90	Signalgrass	60
Smartweed	100	Smartweed	95
Soybean	15	Soybean	0
Sunflower	25	Sunflower	15
Velvetleaf	100	Velvetleaf	70
Wild Proso	80	Wild Proso	40
Wooly Cup Grass	65	Wooly Cup Grass	10
Yellow Foxtail	85	Yellow Foxtail	65

### TEST E

5 Seeds, rhizomes, or plant parts of alfalfa (*Medicago sativa*), annual bluegrass (*Poa annua*), bermudagrass (*Cynodon dactylon*), broadleaf signalgrass (*Brachiaria platyphylla*), common purslane (*Portulaca oleracea*), common ragweed (*Ambrosia artemisiifolia*), dallisgrass (*Paspalum dilatatum*), goosegrass (*Eleusine indica*), guineagrass (*Panicum maximum*), itchgrass (*Rottboellia cochinchinensis*), johnsongrass

(*Sorghum halepense*), large crabgrass (*Digitaria sanguinalis*), peanut (*Arachis hypoagaea*), pitted morningglory (*Ipomoea lacunosa*), purple nutsedge (*Cyperus rotundus*), sandbur (*Southern sandbur*), smooth crabgrass (*Digitaria ischaemum*) were planted into greenhouse pots containing greenhouse planting medium. Each pot contained only one plant species.

The test compound was dissolved in a non-phytotoxic solvent and applied preemergence and/or postemergence to the plants. Preemergence applications were made within one day of planting the seeds or plant parts. Postemergence applications were applied when the plants were in the two to four leaf stage (three to twenty cm). Untreated control plants and treated plants were placed in the greenhouse and visually evaluated for injury at 14 to 28 days after herbicide application. Plant response ratings, summarized in Table E, are based on a 0 to 100 scale where 0 is no injury and 100 is complete control. A dash (-) response indicates no test result.

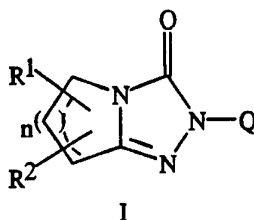
Table E	COMPOUND	Table E	COMPOUND
Rate 0500 g/ha	11	Rate 0500 g/ha	11
POSTEMERGENCE		PREEMERGENCE	
Alfalfa Var.	100	Alfalfa Var.	100
Ann Bluegrass	100	Ann Bluegrass	100
Bermudagrass	100	Bermudagrass	100
Brdlf Sgnlgrass	100	Brdlf Sgnlgrass	100
Cmn Purslane	100	Cmn Purslane	100
Cmn Ragweed	100	Cmn Ragweed	100
Dallisgrass	100	Dallisgrass	100
Goosegrass	100	Goosegrass	100
Guineagrass	100	Guineagrass	100
Itchgrass	100	Itchgrass	98
Johnsongrass	98	Johnsongrass	100
Large Crabgrass	95	Large Crabgrass	100
Peanuts	95	Peanuts	60
Pit Morninglory	70	Pit Morninglory	10
Purple Nutsedge	75	Purple Nutsedge	90
S. Sandbur	100	S. Sandbur	100
Smooth Crabgras	90	Smooth Crabgras	100

Table E	COMPOUND		
Rate 0250 g/ha	1	8	11
POSTEMERGENCE			
Alfalfa Var.	0	20	98
Ann Bluegrass	0	50	95
Bermudagrass	0	40	98
Brdlf Sgnlgrass	0	70	100
Cmn Purslane	30	50	100
Cmn Ragweed	-	-	100
Dallisgrass	0	0	100
Goosegrass	20	40	90
Guineagrass	0	90	75
Itchgrass	0	60	95
Johnsongrass	0	70	100
Large Crabgrass	0	50	80
Peanuts	0	60	98
Pit Morninglory	0	70	75
Purple Nutsedge	0	40	80
S. Sandbur	-	50	95
Smooth Crabgras	0	70	75
Table E	COMPOUND		
Rate 0125 g/ha	8	11	34
POSTEMERGENCE			
Alfalfa Var.	0	50	20
Ann Bluegrass	0	65	20
Bermudagrass	0	98	60
Brdlf Sgnlgrass	50	20	10
Cmn Purslane	50	80	10
Cmn Ragweed	-	95	-
Dallisgrass	0	65	0
Goosegrass	20	50	10
Guineagrass	70	20	0
Itchgrass	60	40	0
Johnsongrass	20	40	10
Large Crabgrass	0	30	0
Peanuts	30	60	30
Pit Morninglory	30	20	90
Purple Nutsedge	-	20	60
S. Sandbur	30	30	0
Smooth Crabgras	30	15	0

Table E	COMPOUND		
Rate 0250 g/ha	1	8	11
PREEMERGENCE			
Alfalfa Var.	30	100	100
Ann Bluegrass	0	80	100
Bermudagrass	20	100	100
Brdlf Sgnlgrass	0	100	100
Cmn Purslane	0	100	100
Cmn Ragweed	-	-	100
Dallisgrass	40	100	100
Goosegrass	50	100	100
Guineagrass	80	100	100
Itchgrass	0	90	100
Johnsongrass	0	100	100
Large Crabgrass	60	100	100
Peanuts	0	20	60
Pit Morninglory	0	0	100
Purple Nutsedge	0	0	80
S. Sandbur	50	100	100
Smooth Crabgras	30	100	100
Table E	COMPOUND		
Rate 0125 g/ha	8	11	34
PREEMERGENCE			
Alfalfa Var.	30	100	60
Ann Bluegrass	80	90	0
Bermudagrass	100	90	100
Brdlf Sgnlgrass	80	100	40
Cmn Purslane	100	100	100
Cmn Ragweed	-	100	-
Dallisgrass	100	100	80
Goosegrass	100	100	100
Guineagrass	100	100	100
Itchgrass	90	80	20
Johnsongrass	100	100	30
Large Crabgrass	100	100	80
Peanuts	20	10	0
Pit Morninglory	0	10	50
Purple Nutsedge	0	20	0
S. Sandbur	100	90	60
Smooth Crabgras	100	90	80

What is claimed is:

1. Compounds of Formula I:



5

wherein

the left-hand ring contains only single bonds or one bond in the ring is a double bond;

10

n is 1 or 2;

R<sup>1</sup> is selected from the group H, halogen; hydroxy, C<sub>1</sub>-C<sub>3</sub> alkoxy; C<sub>1</sub>-C<sub>3</sub> haloalkoxy; C<sub>2</sub>-C<sub>5</sub> alkylcarbonyloxy; or C<sub>2</sub>-C<sub>5</sub> haloalkylcarbonyloxy;;

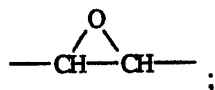
15

R<sup>2</sup> is selected from the group H, hydroxy, and halogen; or

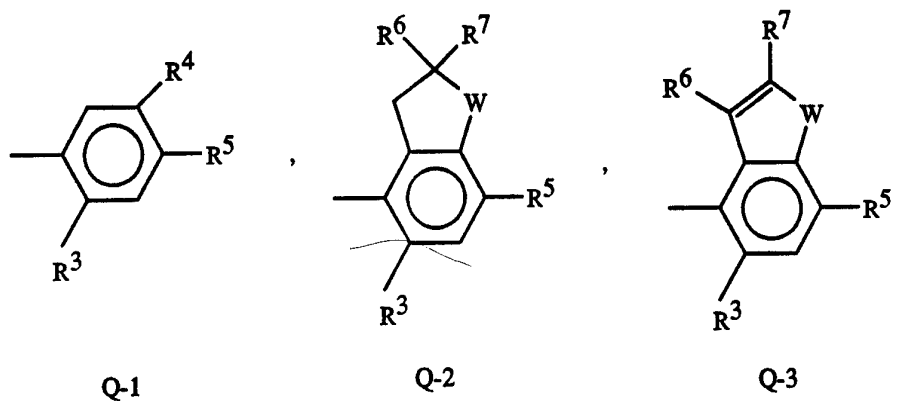
when R<sup>1</sup> and R<sup>2</sup> are bonded to the same carbon atom they can be taken together along with the carbon to which they are attached to form C=O; or

when R<sup>1</sup> and R<sup>2</sup> are bonded to adjacent carbon atoms they can be taken together along with the carbons to which they are attached to form

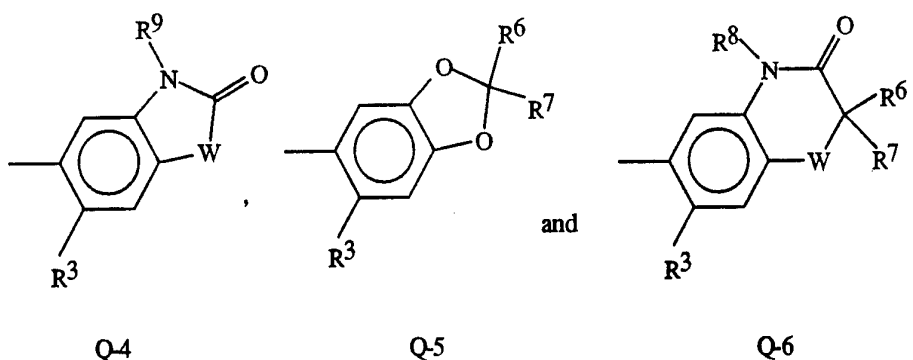
20



Q is selected from the group



55



$R^3$  is selected from the group H and halogen;

$R^4$  is selected from the group H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  haloalkyl, halogen,  
 5             $OR^9$ ,  $S(O)_mR^9$ ,  $COR^9$ ,  $CO_2R^9$ ,  $C(O)SR^9$ ,  $C(O)NR^{11}R^{12}$ , CHO,  
              $CR^7=CR^7CO_2R^9$ ,  $CO_2N=CR^{13}R^{14}$ ,  $NO_2$ , CN,  $NHSO_2R^{15}$  and  
              $NHSO_2NHR^{15}$ ;

$m$  is 0, 1 or 2;

$R^5$  is selected from the group  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$  haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  
 10             $OCHF_2$ , halogen, CN and  $NO_2$ ;

$R^6$  is selected from the group H,  $C_1$ - $C_3$  alkyl,  $C_2$ - $C_3$  alkynyl,  $C_2$ - $C_3$   
             haloalkynyl,  $CO_2(C_1$ - $C_4$  alkyl), and halogen;

$R^7$  is independently selected from the group H,  $C_1$ - $C_3$  alkyl and halogen; or  
 when Q is Q-2 or Q-6,  $R^6$  and  $R^7$  together with the carbon to which they are  
 15            attached can be  $C=O$ ;

$R^8$  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;

$R^9$  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;  $C_2$ - $C_8$   
 20            alkylthioalkyl;  $C_2$ - $C_8$  alkylsulfinylalkyl;  $C_2$ - $C_8$  alkylsulfonylalkyl;  
              $C_1$ - $C_8$  alkylsulfonyl; phenylsulfonyl optionally substituted on the  
             phenyl ring with halogen or  $C_1$ - $C_4$  alkyl;  $C_4$ - $C_8$  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;  $C_4$ - $C_8$   
 25            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 a  
             substituent selected from phenoxy and benzyloxy, each ring optionally  
             substituted with a substituent selected from halogen,  $C_1$ - $C_3$  alkyl and

C<sub>1</sub>-C<sub>3</sub> haloalkyl; C<sub>4</sub>-C<sub>8</sub> trialkylsilylalkyl; C<sub>3</sub>-C<sub>8</sub> cyanoalkyl; C<sub>3</sub>-C<sub>8</sub>  
 halocycloalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkenyl; C<sub>5</sub>-C<sub>8</sub> alkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub>  
 haloalkoxyalkenyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkenyl; C<sub>3</sub>-C<sub>8</sub> haloalkynyl; C<sub>5</sub>-C<sub>8</sub>  
 alkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> haloalkoxyalkynyl; C<sub>5</sub>-C<sub>8</sub> alkylthioalkynyl;  
 5 C<sub>2</sub>-C<sub>8</sub> alkyl carbonyl; benzyl optionally substituted with a substituent  
 selected from the group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl;  
 CHR<sup>16</sup>COR<sup>10</sup>; CHR<sup>16</sup>CO<sub>2</sub>R<sup>10</sup>; CHR<sup>16</sup>P(O)(OR<sup>10</sup>)<sub>2</sub>;  
 CHR<sup>16</sup>P(S)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>; and CHR<sup>16</sup>C(O)NH<sub>2</sub>;  
 R<sup>10</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkenyl and C<sub>1</sub>-C<sub>6</sub>  
 10 alkynyl;  
 R<sup>11</sup> and R<sup>13</sup> are independently selected from the group H and C<sub>1</sub>-C<sub>4</sub> alkyl;  
 R<sup>12</sup> and R<sup>14</sup> are independently selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and  
 phenyl optionally substituted with a substituent selected from the  
 group halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl;  
 15 R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>4</sub>- or  
 -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, each ring optionally substituted with a  
 substituent selected from the group C<sub>1</sub>-C<sub>3</sub> alkyl, phenyl and benzyl;  
 R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon to which they are  
 attached to form C<sub>3</sub>-C<sub>8</sub> cycloalkyl;  
 20 R<sup>15</sup> is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl;  
 R<sup>16</sup> is selected from the group H and C<sub>1</sub>-C<sub>3</sub> alkyl; and  
 W is selected from the group O and S;  
 provided that R<sup>1</sup> is other than H when the left-hand ring contains only single  
 bonds.

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2. The compounds of Claim 1 wherein:

R<sup>1</sup> is halogen;

R<sup>2</sup> is selected from the group H, and halogen;

Q is selected from the group consisting of Q-1, Q-2 and Q-6;

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R<sup>3</sup> is halogen;

R<sup>5</sup> is selected from the group C<sub>1</sub>-C<sub>2</sub> haloalkyl, OCH<sub>3</sub>, OCHF<sub>2</sub>, CN, NO<sub>2</sub>,  
 and halogen;

R<sup>6</sup> is selected from the group H, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkynyl, C<sub>2</sub>-C<sub>3</sub>  
 haloalkynyl, and halogen;

R<sup>7</sup> is H; and

W is O.

3. The compounds of Claim 2 wherein:

5 R<sup>4</sup> is selected from the group halogen, OR<sup>9</sup>, S(O)<sub>m</sub>R<sup>9</sup>, COR<sup>9</sup>, CO<sub>2</sub>R<sup>9</sup>,  
C(O)NR<sup>11</sup>R<sup>12</sup>, CH=CHCO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>R<sup>15</sup> and NHSO<sub>2</sub>NHR<sup>15</sup>;

R<sup>5</sup> is halogen;

R<sup>6</sup> is selected from the group H and C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>7</sup> is H; and

10 R<sup>9</sup> is selected from the group C<sub>1</sub>-C<sub>8</sub> alkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub>  
alkenyl; C<sub>3</sub>-C<sub>8</sub> alkynyl; C<sub>1</sub>-C<sub>8</sub> haloalkyl; C<sub>2</sub>-C<sub>8</sub> alkoxyalkyl; C<sub>1</sub>-C<sub>4</sub>  
alkyl substituted with a substituent selected from phenoxy and  
benzyloxy, each ring optionally substituted with a substituent selected  
from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; C<sub>3</sub>-C<sub>8</sub> haloalkenyl;  
15 C<sub>3</sub>-C<sub>8</sub> haloalkynyl; C<sub>2</sub>-C<sub>8</sub> alkyl carbonyl; benzyl optionally  
substituted with a substituent selected from the group halogen, C<sub>1</sub>-C<sub>3</sub>  
alkyl and C<sub>1</sub>-C<sub>3</sub> haloalkyl; CHR<sup>16</sup>COR<sup>10</sup>; CHR<sup>16</sup>CO<sub>2</sub>R<sup>10</sup>;  
CHR<sup>16</sup>P(O)(OR<sup>10</sup>)<sub>2</sub>; CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>; and CHR<sup>16</sup>C(O)NH<sub>2</sub>.

20 4. The compounds of Claim 3 which are:

2,5,6,7-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-6-fluoro-3*H*-  
pyrrolo[2,1-*c*]-1,2,4-triazol-3-one; and

5,6,7,8-tetrahydro-2-[2,4-dichloro-5-(2-propynyloxy)phenyl]-8-chloro-  
1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one.

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5. Agriculturally suitable compositions for controlling the growth of  
undesired vegetation comprising an effective amount of a compound of Claim 1  
and at least one of a surfactant, solid or liquid diluent.

30

6. Agriculturally suitable compositions for controlling the growth of  
undesired vegetation comprising an effective amount of a compound of Claim 2  
and at least one of a surfactant, solid or liquid diluent.

7. Agriculturally suitable compositions for controlling the growth of undesired vegetation comprising an effective amount of a compound of Claim 3 and at least one of a surfactant, solid or liquid diluent.
- 5        8. Agriculturally suitable compositions for controlling the growth of undesired vegetation comprising an effective amount of a compound of Claim 4 and at least one of a surfactant, solid or liquid diluent.
- 10       9. A method for controlling the growth of undesired vegetation comprising applying to the locus to be protected an effective amount of the composition of Claim 5.
- 15       10. A method for controlling the growth of undesired vegetation comprising applying to the locus to be protected an effective amount of a composition of Claim 8.

INTERNATIONAL SEARCH REPORT

In tional Application No  
PCT/US 94/02498

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 5 C07D471/04 C07D487/04 A01N43/90 C07D491/147 /  
/(C07D471/04,249:00,221:00),(C07D487/04,249:00,209:00)  
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 5 C07D A01N  
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US,A,4 213 773 (A.D. WOLF) 22 July 1980 cited in the application see claims 1,17 -----	1,5

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

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- \*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
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- \* & \* document member of the same patent family

Date of the actual completion of the international search  
**11 July 1994**

Date of mailing of the international search report  
**18. 07 94**

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**Alfaro Faus, I**

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 94/02498

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		CA-A- 1088060	21-10-80
		DE-A- 2801429	20-07-78
		FR-A- 2384769	20-10-78
		GB-A- 1561376	20-02-80
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		LU-A- 78858	09-04-79
		NL-A- 7800380	17-07-78
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