592873

SPRUSON & FERGUSON

APPLICATION ACCEPTED AND AMENDMENTS ALLOWED 13-11-89

LODGED AT SUB-OFFICE
-5 JUN 1987
Sydney

COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

CONVENTION APPLICATION FOR A STANDARD PATENT

We, STAUFFER CHEMICAL COMPANY, of Westport, Connecticut, United States of America hereby apply for the grant of a standard patent for an invention entitled:

"CERTAIN SUBSTITUTED 3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES"

which is described in the accompanying complete specification.

PATENT OFFICE 100 AUSTRALIA ONE HUNDRED DOLLARS

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DETAILS OF BASIC APPLICATION

Number of Basic Application:-
872,079

Name of Convention Country in which Basic Application was filed:-
United States of America

Date of Basic application:-
9 June, 1986

Our address for service is:-

C/- Spruson & Ferguson
Patent Attorneys
Level 33 St Martins Tower
31 Market Street
Sydney New South Wales Australia

DATED this NINETEENTH day of MAY 1987

STAUFFER CHEMICAL COMPANY

By: M.J. Anderson
Registered Patent Attorney.

PATENT OFFICE 20 AUSTRALIA TWENTY DOLLARS

PATENT OFFICE 5 AUSTRALIA FIVE DOLLARS

TO: THE COMMISSIONER OF PATENTS
AUSTRALIA

FEE STAMP TO VALUE OF
$ 225 ATTACHED
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SBR/TGK/236U

COMMONWEALTH OF AUSTRA PATENTS ACT 1952-6

DECLARATION IN SUPPORT OF A CONVENTION APPLICATION FOR A PATENT OR PATENT OF ADDITION

In support of the Convention Application made for a ~~PR-7862/7908~~

patent ~~patent of addition~~ for an invention entitled

"CERTAIN SUBSTITUTED 3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES"

Full name and address of Declarant.

I, John Romauld Fennell
care of Stauffer Chemical Company
Westport, Connecticut,
United States of America

do solemnly and sincerely declare as follows:—

~~1. I am the applicant for the~~ patent ~~patent of addition.~~

(or, in the case of an application by a body corporate)

1. I am authorised by STAUFFER CHEMICAL COMPANY the applicant for the patent ~~patent of addition~~ to make this declaration on its behalf.

Insert country and date of basic application and name of foreign applicant.

2. The basic application as defined by Section 141 of the Act was made in in the United States of America on the 9th day of June, 1986 by CHRISTOPHER G. KNUDSEN

~~3. I am the actual inventor of the invention referred to in the basic application.~~

(or where a person other than the inventor is the applicant)

Full name and address of Inventor(s)

3. CHRISTOPHER GLADE KNUDSEN

of 1814 Addison Street,
Berkeley, California 94703,
United States of America

is the actual inventor of the invention and the facts upon which the applicant is/~~are~~ entitled to make the application are as follows:

The said applicant is the assignee of the actual inventor.

4. The basic application referred to in paragraph 2 of this Declaration was the first application made in a Convention country in respect of the invention the subject of the application.

Declared at San Francisco, California this 30 day of March 1987

STAUFFER CHEMICAL COMPANY

John Romauld Fennell

John Romauld Fennell
Senior Vice President, Western Region

To:

The Commissioner of Patents,

SPRUSON & FERGUSON SYDNEY

(12) PATENT ABRIDGMENT (11) Document No. AU-B-73886/87
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 592873

(54) Title
3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES

International Patent Classification(s)

(51)⁴

C07C 097/10	A01N 033/04	A01N 037/24	A01N 037/34
A01N 041/06	A01N 043/36	A01N 043/78	A01N 043/84
C07C 103/22	C07C 103/76	C07C 121/64	C07C 125/06
C07C 131/00	C07C 147/12	C07C 147/14	C07C 149/32
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(71) Applicant(s)
STAUFFER CHEMICAL COMPANY

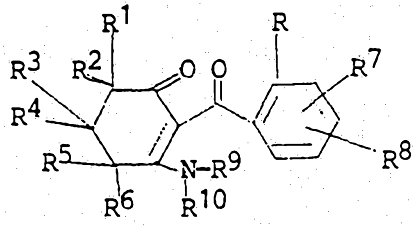
(72) Inventor(s)
CHRISTOPHER GLADE KNUDSEN

(74) Attorney or Agent
SPRUSON & FERGUSON

(56) Prior Art Documents
AU 51336/85 C07C 97/10, 79/36, 147/06
AU 51338/85 C07C 97/10, 49/792, 49/813
FR 2269520

(57) Claim

1. A compound of the formula



wherein

R is halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, nitro; cyano; C_1-C_2 haloalkyl, or R^aSO_n- wherein n is 0 or 2 and R^a is C_1-C_2 alkyl;

R^1 is hydrogen or C_1-C_4 alkyl;

R^2 is hydrogen or C_1-C_4 alkyl; or

R^1 and R^2 together are alkylene having 2 to 5 carbon atoms;

R^3 is hydrogen or C_1-C_4 alkyl;

R^4 is hydrogen or C_1-C_4 alkyl; or

R^3 and R^4 together are oxo;

R^5 is hydrogen or C_1–C_4 alkyl;

R^6 is hydrogen or C_1–C_4 alkyl; or

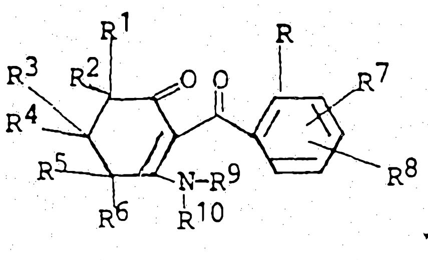
R^5 and R^6 together are alkylene having 2 to 5 carbon atoms;

R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1–C_4 alkyl; (4) C_1–C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1–C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1–C_4 alkyl; (b) C_1–C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1–C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1–C_4 alkyl or C_1–C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined;

R^9 is hydrogen or C_1–C_4 alkyl, R^{10} is (a) hydrogen; (b) C_1–C_6 alkyl, (c) C_4–C_6 cycloalkyl, (d) substituted C_1–C_6 alkyl, (e) phenyl; (f) substituted phenyl; (g) C_1–C_6 alkoxy; (h) benzyl; (i) phenethyl; (j) C_1–C_4 alkyl-C(O)-; (k) C_1–C_4 alkyoxy-C(O)-; (l) C_2–C_6 alkenyl; or (m) C_2–C_6 alkynyl; or ~~and~~ R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen).

2. The compounds of Claim 1 wherein R is chlorine, bromine, C_1–C_2 alkyl, C_1–C_2 alkoxy, cyano, nitro, C_1–C_2 alkylthio or C_1–C_2 alkylsulfonyl; R^1 is hydrogen or methyl; R^2 is hydrogen or methyl; R^3 is hydrogen or methyl; R^4 is hydrogen or methyl; R^5 is hydrogen or methyl; R^6 is hydrogen or methyl; R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1–C_4 alkyl; (4) C_1–C_4 alkoxy; (5) trifloromethoxy; (6) cyano; (7) nitro; (8) C_1–C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1–C_4 alkyl; (b) C_1–C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1–C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1–C_4 alkyl or C_1–C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined; and R^9 is hydrogen or methyl and R^{10} is (a) hydrogen; (b) C_1–C_2 alkyl; (c) cyclohexyl; (d) C_1–C_4 alkoxy; (e) phenyl; (f) benzyl; (g) phenethyl; (h) allyl or R^9 and R^{10} together with the nitrogen atom to which they are attached form a morpholino, pyrrolidino or thiazolidino ring.

7. An herbicidal composition comprising an herbicidally active compound of the structural formula



wherein

R is halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, nitro; cyano; C_1-C_2 haloalkyl, or R^aSO_n- wherein n is 0 or 2 and R^a is C_1-C_2 alkyl;

R^1 is hydrogen or C_1-C_4 alkyl;

R^2 is hydrogen or C_1-C_4 alkyl; or

R^1 and R^2 together are alkylene having 2 to 5 carbon atoms;

R^3 is hydrogen or C_1-C_4 alkyl;

R^4 is hydrogen or C_1-C_4 alkyl; or

R^3 and R^4 together are oxo;

R^5 is hydrogen or C_1-C_4 alkyl;

R^6 is hydrogen or C_1-C_4 alkyl; or

R^5 and R^6 together are alkylene having 2 to 5 carbon atoms;

R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined;

R^9 is hydrogen or C_1-C_4 alkyl, R^{10} is (a) hydrogen; (b) C_1-C_6 alkyl, (c) C_4-C_6 cycloalkyl, (d) substituted C_1-C_6 alkyl, (e) phenyl; (f) substituted phenyl; (g) C_1-C_6 alkoxy; (h) benzyl; (i) phenethyl; (j) C_1-C_4 alkyl-C(O)-; (K) C_1-C_4 alkyoxy-C(O)-; (l) C_2-C_6 alkenyl; or (m) C_2-C_6 alkynyl; or R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen) and an inert carrier therefor.

592873

FORM 10 SPRUSON & FERGUSON

COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

COMPLETE SPECIFICATION

(ORIGINAL)

FOR OFFICE USE:

Class Int. Class

Complete Specification Lodged:

Accepted:

Published:

Priority:

Related Art:

This document contains the amendments made under Section 49 and is correct for printing.

Name of Applicant:	STAUFFER CHEMICAL COMPANY
Address of Applicant:	Westport, Connecticut, United States of America
Actual Inventor:	CHRISTOPHER GLADE KNUDSEN
Address for Service:	Spruson & Ferguson, Patent Attorneys, Level 33 St Martins Tower, 31 Market Street, Sydney, New South Wales, 2000, Australia

Complete Specification for the invention entitled:

"CERTAIN SUBSTITUTED 3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES"

The following statement is a full description of this invention, including the best method of performing it known to us

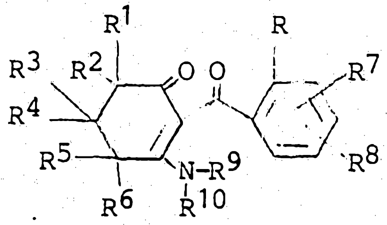
SBR/TGK/236U

PR-7862/7908

CERTAIN SUBSTITUTED 3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES

Abstract of the Disclosure

A compound of the formula



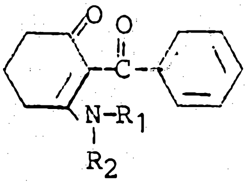
wherein R is halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, nitro; cyano; C_1-C_2 haloalkyl, or R^aSO_n- wherein n is 0 or 2 and R^a is C_1-C_2 alkyl; R^1 is hydrogen or C_1-C_4 alkyl; R^2 is hydrogen or C_1-C_4 alkyl; or R^1 and R^2 together are alkylene having 2 to 5 carbon atoms; R^3 is hydrogen or C_1-C_4 alkyl; R^4 is hydrogen or C_1-C_4 alkyl; or R^3 and R^4 are oxo; R^5 is hydrogen or C_1-C_4 alkyl; R^6 is hydrogen or C_1-C_4 alkyl; and R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined; R^9 is hydrogen or C_1-C_4 alkyl, R^{10} is (a) hydrogen; (b) C_1-C_6 alkyl, (c) C_4-C_6 cycloalkyl, (d) substituted C_1-C_6 alkyl, (e) phenyl; (f) substituted phenyl; (g) C_1-C_6 alkoxy; (h) benzyl; (i) phenethyl; (j) C_1-C_4 alkyl-C(O)-; (k) C_1-C_4 alkyoxy-C(O)-; (l) C_2-C_6 alkenyl; or (m) C_2-C_6 alkynyl; and R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen).

PR-7862/7908

CERTAIN SUBSTITUTED 3-AMINO-2-BENZOYLCYCLOHEX-2-ENONES

Background of the Invention

Compounds having the structural formula



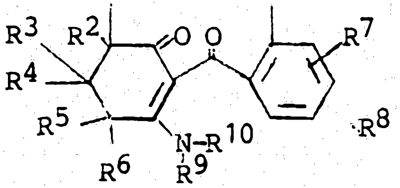
wherein R_1 and R_2 are hydrogen or alkyl are described in Chem. Pharm. Bull., 30(5), 1692-1696 (1982). No utility is taught for the compounds.

Description of the Invention

This invention relates to 3-amino-2-benzoylcyclohex-2-enones and their use as herbicides.

One embodiment of this invention is an herbicidal composition comprising an herbicidally active substituted 3-amino-2-benzoylcyclohex-2-enones and an inert carrier therefor wherein the 2-position of the benzoyl moiety is substituted as herein recited and the 4-position preferably is substituted with an electron withdrawing group, such as halogen, cyano, trifluoromethyl or nitro. The 4-, 5- and 6-positions of the cyclohex-2-enone moiety can be substituted, preferably with the groups hereinafter recited. More preferably, the cyclohex-2-enone moiety has no substitution or the 4- or 6-positions are substituted with one or two methyl groups. The 3-, 4- and 5-positions of the benzoyl moiety can be substituted, preferably with the groups hereinafter recited.

Also embodied within the scope of this invention are novel compounds having the following structural formula



wherein

R is halogen; C_1-C_2 alkyl, preferably methyl; C_1-C_2 alkoxy, preferably methoxy; nitro; cyano; C_1-C_2 haloalkyl, preferably trifluoromethyl; or R^aSO_n- wherein n is 0 or 2, preferably 2 and R^a is C_1-C_2 alkyl, preferably methyl. Preferably, R is chlorine, bromine, C_1-C_2 alkyl, C_1-C_2 alkoxy, cyano, nitro, C_1-C_2 alkylthio or C_1-C_2 alkylsulfonyl; more preferably chlorine, nitro, methyl, trifluoromethyl or methylsulfonyl;

R^1 is hydrogen or C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl, most preferably R^1 is hydrogen or methyl;

R^2 is hydrogen; C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl, most preferably R^2 is hydrogen or methyl; or

R^1 and R^2 together are alkylene having 2 to 5 carbon atoms;

R^3 is hydrogen or C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl; most preferably R^3 is hydrogen or methyl;

R^4 is hydrogen or C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl; most preferably R^4 is hydrogen or methyl; or

R^3 and R^4 together are oxo;

R^5 is hydrogen or C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl; most preferably R^5 is hydrogen or methyl;

R^6 is hydrogen or C_1-C_4 alkyl, preferably C_1-C_2 alkyl, more preferably methyl, most preferably R^6 is hydrogen; or

R^5 and R^6 together are alkylene having 2 to 5 carbon atoms;

R^7 and R^8 independently are (1) hydrogen; (2) halogen, preferably chlorine, fluorine or bromine; (3) C_1-C_4 alkyl, preferably methyl; (4) C_1-C_4 alkoxy, preferably methoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl, more preferably trifluoromethyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2, preferably 2; and

R^b is (a) C_1-C_4 alkyl, preferably methyl;

(b) C_1-C_4 alkyl substituted with halogen or cyano, preferably chloromethyl, trifluoromethyl or cyanomethyl;

(c) phenyl; or

(d) benzyl;

(10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl;

(11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy;

(12) $-SO_2NR^cR^d$ wherein R^c and R^d are as defined;

(13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined; and

R^9 is hydrogen or C_1-C_4 alkyl, preferably methyl or ethyl;

R^{10} is (a) hydrogen;

(b) C_1-C_6 alkyl, preferably C_1-C_2 alkyl;

(c) C_4-C_6 cycloalkyl;

(d) substituted C_1-C_6 alkyl, preferably C_1-C_4 alkyl wherein the substitutions are halogen, hydrogen, cyano, or carboxy;

(e) phenyl;

(f) substituted phenyl;

(g) C_1-C_6 alkoxy, preferably C_1-C_4 alkoxy;

(h) benzyl;

(i) phenethyl;

(j) C_1-C_4 alkyl-C(O)-;

(k) C_1-C_4 alkoxy-C(O)-;

(l) C_2-C_6 alkenyl, preferably C_3-C_4 alkenyl; or more preferably allyl and methallyl; or

(m) C_2-C_6 alkynyl;or

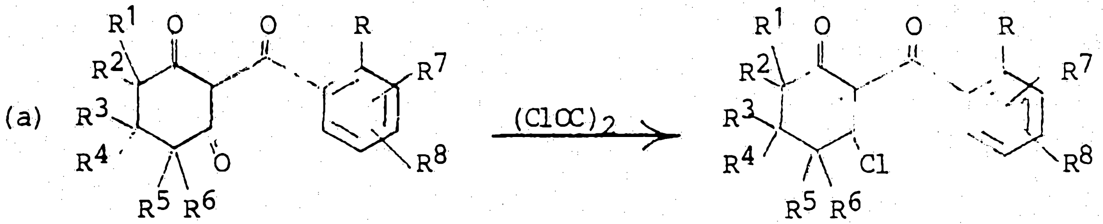
R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen).

The term "C_1-C_4 alkyl" includes methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl and t-butyl. The term "halogen" includes chlorine, bromine, iodine and fluorine. The term "C_1-C_4 alkoxy" includes methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, sec-butoxy, isobutoxy and t-butoxy. The term "C_1-C_4 haloalkyl" includes the alkyl groups defined above under C_1-C_4 alkyl in which one or more hydrogen is replaced by chloro, bromo, iodo or fluoro.

Preferably R^7 is in the 3-position. More preferably R^7 is hydrogen, chorine, fluorine, trifluoromethyl, cyano, C_1-C_4 alkoxy, or C_1-C_4 thioalkyl. More preferably, R^7 is hydrogen. Preferably R^8 is in the 4-position. Most preferably R^8 is halogen, cyano, trifluoromethyl, or R^bSO_2 wherein R^b is C_1-C_4 alkyl, preferably methyl or C_1-C_4 haloalkyl, preferably chloromethyl, difluoromethyl or trifluoromethyl.

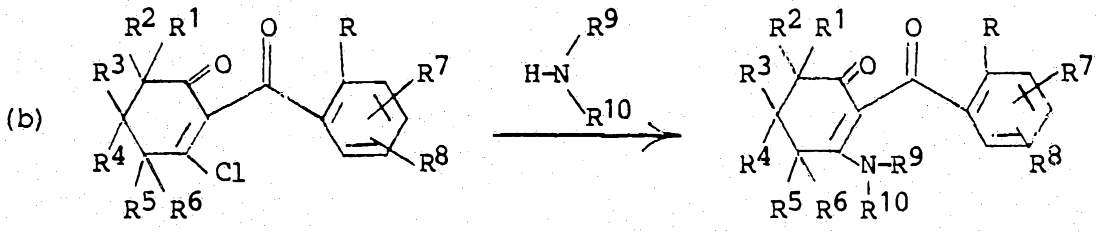
The compounds of this invention are active herbicides of a general type. That is, they are herbicidally effective against a wide range of plant species. The method of controlling undesirable vegetation of the present invention comprises applying an herbicidally effective amount of the above-described compounds to the area where control is desired.

The compounds of the present invention can be prepared by the following two-step general method.



wherein R through R^8 are as defined.

Generally in step (a) the benzoyl dione is dissolved in an inert solvent such as methylene dichloride and an excess, usually 150 to 200 mole percent, of oxalyl chloride is added followed by a catalytic amount (0.1 equivalent) of dimethylformamide. The reaction mixture is stirred from one hour to one day at room temperature. The reaction product is isolated using conventional techniques.



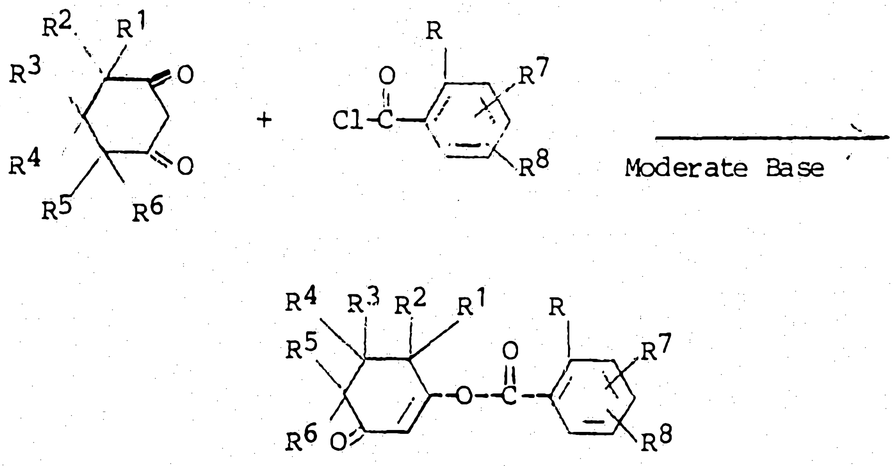
wherein R through R^{10} are as defined.

Generally, in step (b) the 3-chloro-2-benzoylcycloalk-2-enone is reacted with 200 to 250 mole percent of primary or secondary amine in an inert solvent. The mixture is stirred 1 to 18 hours and the product is isolated using conventional techniques.

The precursor benzoyl diones used in step (a) can be prepared by the following two-step general method.

The process proceeds via the production of an enol ester intermediate as shown in reaction (1). The final product is obtained by rearrangement of the enol ester as shown in reaction (2). The two reactions may be conducted as separate steps by isolation and recovery of the enol ester using conventional techniques prior to conducting step (2), or by addition of a cyanide source to the reaction medium after the formation of the enol ester, or in one step by inclusion of the cyanide source at the start of reaction (1).

1)

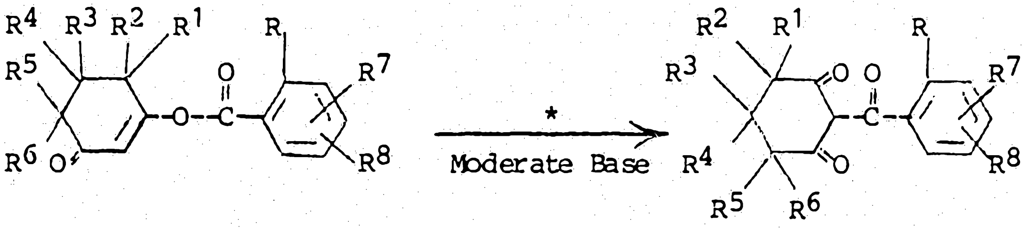


wherein R through R^8 are as defined and the moderate base is as defined, preferably tri-C_1-C_6 alkylamine, alkali metal carbonate or alkali metal phosphate.

Generally, in step (1) mole amounts of the dione and substituted benzoyl reactant are used, along with a mole amount or excess of the base. The two reactants are combined in an organic solvent such as methylene chloride, toluene, ethyl acetate or dimethylformamide. The base or benzoyl reactant preferably is added to the reaction mixture with cooling. The mixture is stirred at 0°C-50°C until the reaction is substantially complete.

The reaction product is worked up by conventional techniques.

2)



* = Cyanide source. Moderate base = as defined herein.

wherein R through R^8 are as defined.

Generally, in step (2) a mole of the enol ester intermediate is reacted with 1 to 4 moles of the moderate base, preferably about 2 moles of moderate base and from 0.01 mole to about 0.5 mole or higher, preferably about 0.1 mole of the cyanide source (e.g., potassium cyanide or acetone cyanohydrin). The mixture is stirred in a reaction pot until the rearrangement is substantially complete at a temperature below 80°C, preferably about 20°C to about 40°C, and the desired product is recovered by conventional techniques.

The term "cyanide source" refers to a substance or substances which under the rearrangement conditions consists of or generates hydrogen cyanide and/or cyanide anion.

The process is conducted in the presence of a catalytic amount of a source of cyanide anion and/or hydrogen cyanide, together with a molar excess, with respect to the enol ester, of a moderate base.

Preferred cyanide sources are alkali metal cyanides such as sodium and potassium cyanide; cyanohydrins of methyl alkyl ketones having from 1-4 carbon atoms in the alkyl groups, such as acetone or methyl isobutyl ketone cyanohydrins; cyanohydrins of benzaldehyde or of C_2-C_5 aliphatic aldehydes such as acetaldehyde, propionaldehyde, etc., cyanohydrins; zinc cyanide; tri(lower alkyl) silyl cyanides, notably trimethyl silyl cyanide; and hydrogen cyanide itself. Hydrogen cyanide is considered most advantageous as it produces relatively rapid reaction and is inexpensive. Among cyanohydrins the preferred cyanide source is acetone cyanohydrin.

The cyanide source is used in an amount up to about 50 mole percent based on the enol ester. It may be used in as little as about 1 mole percent to produce an acceptable rate of reaction at about 40°C on a small scale. Larger scale reactions give more reproducible results with slightly higher catalyst levels of about 2 mole percent. Generally about 1-10 mole % of the cyanide source is preferred.

The process is conducted with a molar excess, with respect to the enol ester, of a moderate base. By the term "moderate base" is meant a substance which acts as a base yet whose strength or activity as a base lies between that of strong bases such as hydroxides (which could cause hydrolysis of the enol ester) and that of weak bases such as bicarbonates (which would not function effectively). Moderate bases suitable for use in this embodiment include both organic bases such as tertiary amines and inorganic bases such as alkali metal carbonates and phosphates. Suitable tertiary amines include trialkylamines such as triethylamine. Suitable inorganic bases include potassium carbonate and trisodium phosphate.

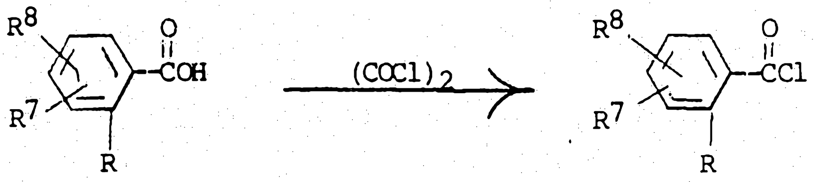
The base is used in an amount of from about 1 to about 4 moles per mole of enol ester, preferably about 2 moles per mole.

When the cyanide source is an alkali metal cyanide, particularly potassium cyanide, a phase transfer catalyst may be included in the reaction. Particularly suitable phase transfer catalysts are the Crown ethers.

A number of different solvents are useful in this process, depending on the nature of the acid chloride or the acylated product. A preferred solvent for this reaction is 1,2-dichloroethane. Other solvents which can be employed, depending on the reactants or products include toluene, acetonitrile, methylene chloride, ethyl acetate, dimethylformamide, and methyl isobutyl ketone (MIBK).

In general, depending on the nature of the reactants and the cyanide source, the rearrangment may be conducted at temperatures up to about 50°C.

The above described substituted benzoyl chlorides can be prepared from the corresponding substituted benzoic acids according to the teaching of <u>Reagents for Organic Synthesis</u>, <u>Vol. I</u>, L.F. Fieser and M. Fieser, pp. 767-769 (1967).

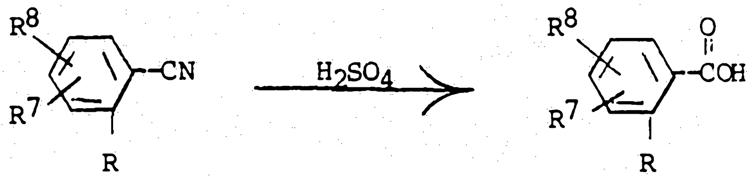


wherein R, R^7 and R^8 are as previously defined.

The substituted benzoic acids can be prepared by a wide variety of general methods according to the teaching of The Chemistry of Carboxylic Acids and Esters, S. Patai, editor, J. Wiley and Sons, New York, N.Y. (1969) and Survey of Organic Synthesis, C.A. Buehler and D.F. Pearson, J. Wiley and Sons, (1970).

The following are four representative examples of the methods described therein.

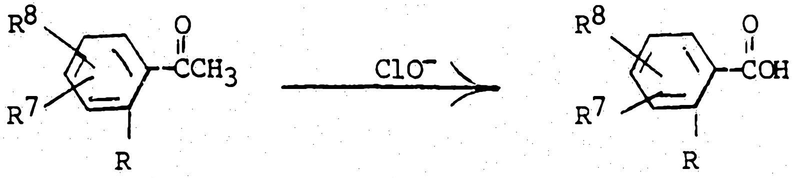
a)



wherein R, R^7 and R^8 are as previously defined.

In reaction (a) the substituted benzonitrile is heated to reflux in aqueous sulfuric acid for several hours. The mixture is cooled and the reaction product is isolated by conventional techniques.

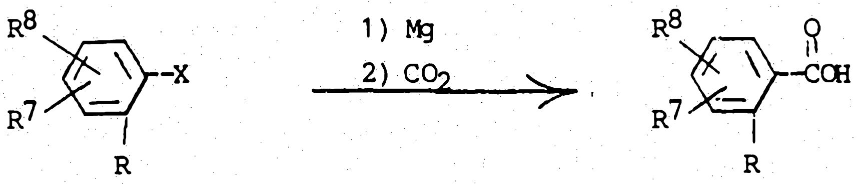
b)



wherein R, R^7 and R^8 are as previously defined.

In reaction (b) the substituted acetophenone is heated to reflux for several hours in an aqueous hypochlorite solution. The mixture is cooled and the reaction product is isolated by conventional techniques.

c)



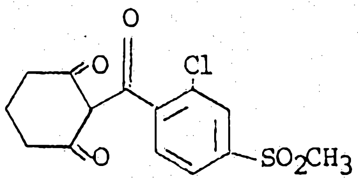
wherein R, R^7 and R^8 are as defined and X is chlorine, bromine or iodine.

The substituted aromatic halide is allowed to react with magnesium in a solvent such as ether. The solution is then poured over crushed dry ice and the benzoic acid is isolated by conventional techniques.

The following examples teach the synthesis of a representative compound of this invention.

EXAMPLE I

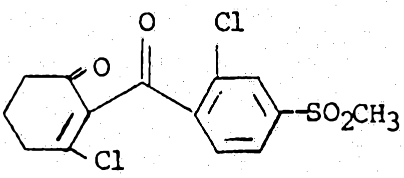
2-(2-Chloro-4-methanesulfonylbenzoyl)-cyclohexane-1,3-dione



1,3-Cyclohexanedione [11.2 grams (g), 0.1 mole] and 23.3 g (0.1 mole) 2-chloro-4-methanesulfonylbenzoyl chloride were dissolved in 200 ml methylene chloride at room temperature. Triethylamine (11 g, 0.11 mole) was slowly added with cooling. The reaction mixture was stirred at room temperature for 5 hours and then poured into 2N hydrochloric acid. The aqueous phase was discarded and the organic phase dried with $MgSO_4$ and then evaporated to yield the intermediate enol ester 3-(2-chloro-4-methanesulfonylbenzoyloxy)cyclohex-2-enone. The 3-(2-chloro-4-methanesulfonylbenzoyloxy)cyclohex-2-enone was dissolved in 200 ml acetonitrile and triethylamine (22 g, 0.22 mole) was added all at once, followed by acetonecyanohydrin (0.8 g, 0.01 mole). The solution was stirred for 5 hours and then poured into 2N HCl and extracted twice with ethyl acetate. The organic layer was dried with $MgSO_4$ and the solvent evaporated to yield the product.

EXAMPLE II

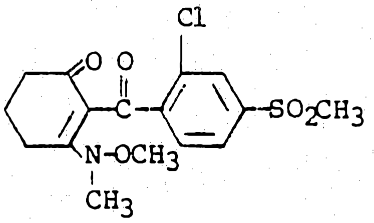
3-Chloro-2-(2-chloro-4-methanesulfonylbenzoyl)cyclohex-2-enone



2-(2-Chloro-4-methanesulfonylbenzoyl)-cyclohexane-1,3-dione [9.8 g, 30 millimole (mmol)] was dissolved in 100 ml methylene chloride and stirred at room temperature. To this solution was added oxalyl chloride (5.7 g, 45 mmol) followed by dimethylformamide (0.5 ml) in portions small enough to control effervescence. The resulting solution was stirred for 4 hours and then poured into water and extracted with methylene chloride. The organic layer was washed again with water, saturated K_2CO_3 solution and then dried with $MgSO_4$ and the solvent evaporated to yield 3-chloro-2(2-chloro-4-methanesulfonylbenzoyl)cyclohex-2-enone (7.3 g, 70%) as an oil which was used without further purification.

EXAMPLE III

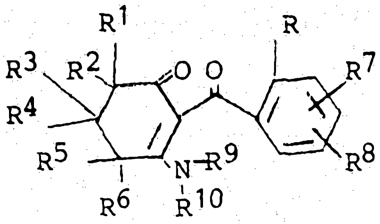
2-(2-Chloro-4-methanesulfonylbenzoyl)-3-N-methyl, N-methoxyamino-cyclohex-2-enone



3-Chloro-2-(2-chloro-4-methanesulfonylbenzoyl)-cyclohex-2-enone (8.0 g, 23 millimole) was dissolved in 80 ml THF and stirred at room temperature. N,O-Dimethylhydroxylamine hydrochloride (2.5 g, 27 millimole) and triethylamine (4.6 g, 46 millimole) were added all at once, and the reaction mixture stirred for 4 hours. The reaction mixture was then poured into 1N HCl solution and extracted with ethyl acetate. The organic layer was washed with 5% K_2CO_3, dried with $MgSO_4$ and the solvent evaporated to yield a solid: 2.2 g, 27%, m.p. 109-112°C. The structure is consistent with nuclear magnetic resonance, infrared and mass spectral data.

The following is a table of certain selected compounds that are preparable according to the procedure described herein. Compound numbers are assigned to each compound and are used throughout the remainder of the application.

TABLE I



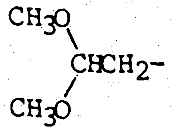
Comp. No.	R	R^1	R^2	R^3	R^4	R^5	R^6	R^7	R^8	R^9	R^{10}
1	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	OCH_3
2	Cl	H	H	H	H	H	H	H	4-Cl	H	OC_2H_5
3	Cl	H	H	H	H	H	H	H	$4\text{-}NO_2$	CH_3	OCH_3
4	Cl	H	H	H	H	H	H	H	4-Cl	H	OCH_3
5	Cl	H	H	CH_3	CH_3	H	H	H	$4\text{-}NO_2$	CH_3	OCH_3
6	Cl	H	H	H	H	H	H	H	$4\text{-}NO_2$	CH_3	OCH_3
7	Cl	H	H	CH_3	CH_3	H	H	H	$4\text{-}SO_2\text{-}i\text{-}C_3H_7$	CH_3	OCH_3
8	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2\text{-}i\text{-}C_3H_7$	CH_3	OCH_3
9	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2\text{-}n\text{-}C_4H_9$	CH_3	OCH_3
10	NO_2	H	H	CH_3	CH_3	H	H	H	4-Cl	CH_3	OCH_3
11	NO_2	CH_3	CH_3	H	H	H	H	H	4-Cl	CH_3	OCH_3
12	CH_3	H	H	H	H	H	H	H	H	CH_3	OCH_3
13	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	CH_3
14	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	H
15	Cl	H	H	H	H	H	H	H	4-Cl	C_2H_5-	H
16[a)]	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	CH_3	OCH_3
17	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2\text{-}C_2H_5$	CH_3	OCH_3
18	NO_2	CH_3	CH_3	H	H	H	H	H	H	CH_3	OCH_3
19	Cl	H	H	H	H	H	H	Cl	$4\text{-}SO_2\text{-}C_2H_5$	CH_3	OCH_3
20	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	$-CH_2CH_2OCH_2CH_2-$	
21	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	$-CH_2CH_2CH_2CH_2-$	
22	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	$-CH_2SCH_2CH_2-$	
23	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	CH_3	$C_2H_5OC(O)CH_2-$
24	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	CH_3	 $(CH_3O)_2CHCH_2-$
25	Cl	H	H	H	H	H	H	H	$4\text{-}SO_2CH_3$	H	$CH_2{=}C(CH_3)-CH_2-$
26	Cl	H	H	H	H	H	H	H	4-Cl	H	$CH_2{=}C(CH_3)-CH_2-$
27	Cl	H	H	H	H	H	H	H	4-Cl	$-CH_2SCH_2CH_2-$	
28	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	$C_2H_5OC(O)CH_2-$

TABLE I

(continued)

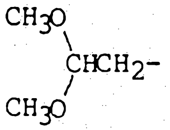
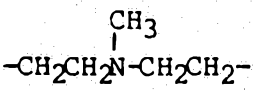
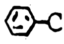
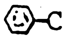
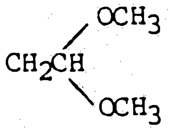
Comp. No.	R	R^1	R^2	R^3	R^4	R^5	R^6	R^7	R^8	R^9	R^{10}
29	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	 $(CH_3O)_2CHCH_2-$
30	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	$HOCH_2CH_2-$
31	CH_3	H	H	H	H	H	H	H	4-Br	CH_3	OCH_3
32	Cl	H	H	H	H	H	H	Cl	4-Cl	$-CH_2CH_2CH_2CH_2-$	
33	NO_2	CH_3	CH_3	H	H	H	H	H	H	$-CH_2CH_2CH_2CH_2-$	
34	NO_2	CH_3	CH_3	H	H	H	H	H	H	$-CH_2CH_2OCH_2CH_2-$	
35	Cl	H	H	H	H	H	H	Cl	4-Cl	$-CH_2CH_2OCH_2CH_2-$	
36	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	$HOCH_2CH_2-$
37	Cl	H	H	H	H	H	H	H	4-Cl	H	H
38	NO_2	CH_3	CH_3	H	H	H	H	H	4-CF_3	C_2H_5	C_2H_5
39	CH_3	CH_3	CH_3	H	H	H	H	H	4-$SO_2C_2H_5$	C_2H_5	C_2H_5
40	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	phenyl
41	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	phenyl
42	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	benzyl
43	Cl	H	H	H	H	H	H	H	4-Cl	H	phenyl
44	Cl	H	H	H	H	H	H	H	4-Cl	Et	$(CH_3)_2CHCH(CH_3)-$
45	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	cyclopentyl
46	Cl	H	H	H	H	H	H	H	4-Cl	H	$-CH_2CN$
47	NO_2	H	H	H	H	H	H	H	4-CF_3	C_2H_5	C_2H_5
48	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	benzyl
49	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	C_2H_5	$(CH_3)_2CHCH(CH_3)-$
50	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	cyclopentyl
51	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	HC C-CH_2-
52	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	N C-CH_2CH_2-
53	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	N C-CH_2CH_2-
54	Cl	H	H	H	H	H	H	H	4-Cl	 $-CH_2CH_2N(CH_3)-CH_2CH_2-$	
55	Cl	H	H	H	H	H	H	H	4-Cl	CH_3	 $-CH_2CH_2-$
56	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	CH_3	 $-CH_2CH_2-$
57	NO_2	CH_3	CH_3	H	H	H	H	H	4-Cl	C_2H_5	C_2H_5
58	Cl	H	H	H	H	H	H	H	4-Cl	H	$HOCH_2CH_2-$

TABLE I
(continued)

Comp. No.	R	R^1	R^2	R^3	R^4	R^5	R^6	R^7	R^8	R^9	R^{10}
59	NO_2	H	H	H	H	H	H	H	4-Cl	C_2H_5	C_2H_5
60	CH_3	CH_3	CH_3	H	H	H	H	H	4-Br	C_2H_5	C_2H_5
61	NO_2	H	H	H	H	H	H	H	4-Cl	CH_3	OCH_3
62	NO_2	H	H	H	H	H	H	H	H	CH_3	OCH_3
63	Cl	H	H	H	H	H	H	H	4-Cl	C_2H_5	C_2H_5
64	NO_2	CH_3	CH_3	H	H	H	H	H	H	CH_3	CH_3
65	NO_2	CH_3	CH_3	H	H	H	H	H	H	CH_3	H
66	NO_2	CH_3	CH_3	H	H	H	H	H	H	C_2H_5	C_2H_5
67	Cl	H	H	H	H	H	H	H	4-SO_2CH_3	C_2H_5	C_2H_5
68	Cl	CH_3	CH_3	oxo		CH_3	CH_3	H	4-CH_3SO_2	$-CH_2CH_2O-CH_2CH_2-$	
69	NO_2	CH_3	CH_3	oxo		CH_3	CH_3	H	H	C_2H_5	C_2H_5
70	H	CH_3	CH_3	oxo		CH_3	CH_3	H	H	CH_3	 $CH_2CH(OCH_3)_2$
71	H	CH_3	CH_3	oxo		CH_3	CH_3	H	4-Cl	C_2H_5	C_2H_5

a) Prepared in Example III.

Herbicidal Screening Tests

As previously mentioned, the herein described compounds produced in the above-described manner are phytotoxic compounds which are useful and valuable in controlling various plant species. Selected compounds of this invention were tested as herbicides in the following manner.

Pre-emergence herbicide test. On the day preceding treatment, seeds of seven different weed species are planted in loamy sand soil in individual rows using one species per row across the width of a flat. The weeds used are green foxtail (FT) (*Setaria viridis*), watergrass (WG) (*Echinochloa crusgalli*), wild oat (WO) (*Avena fatua*), annual morningglory (AMG) (*Ipomoea lacunosa*), velvetleaf (VL) (*Abutilon theophrasti*), Indian mustard (MD) (*Brassica juncea*) and yellow nutsedge (YNS) (*Cyperus esculentus*). Ample seeds are planted to give about 20 to 40 seedlings per row, after emergence, depending upon the size of the plants.

Using an analytical balance, 600 milligrams (mg) of the compound to be tested are weighed out on a piece of glassine weighing paper. The paper and compound are placed in a 60 milliliter (ml) wide-mouth clear bottle and dissolved in 45 ml of acetone or substituted solvent. Eighteen ml of this solution are transferred to a 60 ml wide-mouth clear bottle and diluted with 22 ml of a water and acetone mixture (19:1) containing enough polyoxyethylene sorbitan monolaurate emulsifier to give a final solution of 0.5% (v/v). The solution is then sprayed on a seeded flat on a linear spray table calibrated to deliver 80 gallons per acre (748 L/ha). The application rate is 4 lb/acre (4.48 Kg/ha).

After treatment, the flats are placed in the greenhouse at a temperature of 70 to 80°F and watered by sprinkling. Two weeks after treatment, the degree of injury or control is determined by comparison with untreated check plants of the same age. The injury rating from 0 to 100% is recorded for each species as percent control with 0% representing no injury and 100% representing complete control.

The results of the tests are shown in the following Table II.

TABLE II

Pre-Emergence Herbicidal Activity
Application Rate -- 4.48 kg/ha

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
1	80	90	0	30	100	85	80
2	25	35	0	0	50	0	20
3	100	75	20	20	100	95	75
4	20	20	0	0	25	20	0
5	100	100	30	40	100	100	90
6	40	100	0	0	100	100	0
7	0	25	0	0	50	100	0
8	0	30	0	40	90	100	90
9	20	90	0	100	100	100	80
10	100	90	40	100	100	100	90
11	100	100	70	100	100	100	90
12	50	0	0	0	0	0	0
13	0	100	0	5	100	95	70
14	0	90	0	0	100	100	50
15	0	40	0	0	0	0	40

TABLE II
(continued)

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
16	100	100	100	100	100	100	80
17	95	100	80	100	100	100	80
18	100	100	90	50	100	100	80
19	100	100	30	100	100	100	80
20	100	100	80	100	100	100	80
21	0	65	0	25	100	90	80
22	100	100	50	100	100	100	80
23	100	100	80	100	100	100	80
24	100	100	80	100	100	100	80
25	0	0	0	0	100	50	80
26	10	40	0	0	100	95	80
27	100	100	40	100	100	100	80
28	100	100	20	50	100	100	80
29	100	100	50	100	100	100	80
30	100	100	50	90	100	100	80
31	5	70	0	20	100	100	80
32	5	40	10	0	100	85	60
33	5	50	10	0	0	0	20
34	100	100	95	75	100	100	80
35	100	100	10	75	100	100	80
36	100	100	90	100	100	100	80
37	0	100	0	10	100	100	80
38	100	100	85	100	100	100	80
39	100	100	80	100	100	100	80
40	0	80	0	5	90	90	70
41	100	100	80	100	100	100	80
42	95	98	10	100	100	100	80
43	0	0	0	5	10	20	0
44	5	85	0	0	100	100	80
45	30	85	0	10	100	100	80
46	100	100	10	100	100	100	80
47	100	100	80	100	100	100	80
48	100	100	30	100	100	100	80
49	10	100	0	100	100	100	80
50	100	100	10	100	100	100	80

TABLE II
(continued)

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
51	100	100	80	80	100	100	80
52	100	100	10	100	100	100	80
53	100	100	80	100	100	100	-
54	100	100	30	100	100	100	80
55	100	100	5	80	100	100	80
56	100	100	30	100	100	100	80
57	100	100	80	100	100	100	80
58	0	20	0	0	100	90	80
59	100	100	70	70	100	100	80
60.	100	100	0	5	100	100	80
68	100	100	100	100	100	100	80
69	100	100	100	100	100	100	80
70	100	100	95	100	100	100	80
71	100	100	100	100	100	100	80

(-) = Not tested.

Post-Emergence Herbicide Test: This test is conducted in an identical manner to the testing procedure for the pre-emergence herbicide test, except the seeds of the seven different weed species are planted 10-12 days before treatment. Also, watering of the treated flats is confined to the soil surface and not to the foliage of the sprouted plants.

The results of the post-emergence herbicide test are reported in Table III.

TABLE III

Post-Emergence Herbicidal Activity
Application Rate -- 4.48 kg/ha

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
1	80	100	20	100	100	100	50
2	65	50	0	40	75	75	15
3	50	60	10	50	100	100	60
4	0	20	10	25	50	40	0
5	90	70	50	40	80	80	60
6	20	60	0	35	100	100	30
7	10	60	0	50	50	90	0
8	50	40	20	50	100	100	80
9	0	100	0	100	100	100	80
10	90	100	30	50	65	70	65

TABLE III
(continued)

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
11	100	100	70	100	100	100	80
12	10	0	0	10	40	10	0
13	0	10	65	95	100	95	30
14	0	20	0	90	95	80	30
15	0	20	0	90	95	80	10
16	100	95	100	90	100	100	80
17	85	100	65	90	90	100	80
18	85	65	90	40	85	80	80
19	100	100	80	90	90	100	80
20	100	100	100	100	100	100	70
21	80	100	0	100	95	100	-
22	100	100	98	100	98	100	80
23	100	100	100	100	100	100	80
24	100	100	95	100	100	100	80
25	0	10	0	10	50	20	10
26	0	20	0	10	50	20	0
27	100	100	90	100	100	100	70
28	100	100	85	100	100	100	80
29	90	100	50	100	100	100	70
30	100	95	50	100	100	100	70
31	80	70	0	70	100	100	0
32	30	60	0	10	50	80	30
33	30	50	40	20	50	10	30
34	100	85	85	90	90	90	80
35	90	90	50	100	100	100	80
36	100	95	90	85	98	100	80
37	0	50	0	30	80	50	30
38	100	90	100	95	80	100	80
39	100	100	100	90	100	100	30
40	10	75	0	25	100	100	0
41	100	100	100	100	100	100	-
42	30	100	20	100	100	100	0
43	0	0	0	5	0	0	0
44	10	90	0	80	100	100	30
45	80	100	0	100	100	100	30
46	100	100	85	100	100	100	-
47	100	100	100	100	100	100	70
48	60	80	50	50	80	80	30
49	10	80	30	50	80	80	30
50	50	80	30	50	80	90	70
51	100	100	80	80	100	100	80
52	100	100	10	100	100	100	80
53	100	100	80	100	100	100	-
54	100	100	30	100	100	100	80
55	100	100	5	80	100	100	80

TABLE III
(continued)

Cmpd. No.	FT	WG	WO	AMG	VL	MD	YNS
56	95	90	80	100	100	100	80
57	85	80	80	50	85	90	40
58	10	30	20	10	90	50	20
59	80	80	80	90	90	100	80
60	80	80	50	50	90	100	80
68	85	80	85	60	80	80	70
69	80	80	80	80	80	80	80
70	60	70	50	80	90	90	60
71	100	100	100	100	100	100	80

(-) - Not tested.

Pre-Emergence Multi-Weed Herbicide Test

Several compounds were evaluated at an application rate of 1/2 lb/acre (0.56 kg/ha) for pre-emergence activity against a larger number of weed species.

Pre-Emergence Multi-Weed Herbicide Test

Several compounds were evaluated at an application rate of 1/2 lb/acre (0.56 kg/ha) for pre-emergence activity against a larger number of weed species.

The process was generally similar to the pre-emergence herbicide test described above except that only 150 or 75 milligrams of test compound were weighed out and the application rate was 40 gallons per acre.

Redroot pigweed (PW) and curly dock (CD) were eliminated in this test and the following weed species were added:

Grasses:	downy brome	*Bromus tectorum*	(DB)
	annual ryegrass	*Lolium multiflorum*	(ARG)
	shattercane	*Sorghum bicolor*	(SHC)
	broadleaf signalgrass	*Brachiaria platyphylla*	(BSG)
	hemp sesbania	*Sesbania exaltata*	(SESB)
	sicklepod	*Cassia obtusifolia*	(SP)
	cocklebur	*Xanthium sp.*	(CB)

The results of the test are shown in Table IV.

TABLE IV

Pre-Emergence Multi-weed Herbicide Test

Application Rate - 0.56 kg/ha

Cmpd. No.	DB	FT	ARG	WG	SHC	WO	BSG	AMG	SESB	VL	SP	MD	YNS	CB
61	60	100	100	100	85	65	85	25	80	100	35	100	95	65
62	60	100	75	80	75	20	70	25	90	100	0	100	50	50
63	-	25	25	100	30	0	50	25	50	100	25	-	0	20
64	-	35	30	60	50	20	0	20	50	60	30	-	35	100
65	-	100	60	80	60	20	0	25	35	50	0	-	0	0
66	-	100	85	100	100	30	35	35	60	75	0	-	50	100
67	-	80	80	100	85	20	80	95	100	100	45	-	-	100

(-) - Not tested.

Post-Emergence Multi-Weed Herbicide Test: This test is conducted in an identical manner to the testing procedure for the post-emergence herbicide test, except the seeds of the seven weed species used in the pre-emergence multi-weed herbicide test were used and the seeds were planted 10-12 days before treatment. Also, watering of the treated flats is confined to the soil surface and not to the foliage of the sprouted plants.

The results of the post-emergence multi-weed herbicide test are reported in Table V.

TABLE V

Post-Emergence Multi-Weed Herbicidal Activity

Application Rate — 0.56 kg/ha

Cmpd. No.	DB	FT	ARG	WG	SHC	WO	BSG	AMG	SESB	VL	SP	MD	YNS	CB
61	35	40	60	70	65	20	65	100	100	100	85	95	60	95
62	50	100	75	100	75	20	85	40	80	90	0	35	20	20
63	-	0	0	90	20	0	75	100	100	100	50	-	10	50
64	-	35	0	60	40	20	20	55	65	100	0	-	0	100
65	-	40	20	50	50	0	25	50	60	85	0	-	20	25
66	-	100	35	70	70	0	75	60	75	100	40	-	40	100
67	-	0	0	100	0	0	95	98	100	98	45	-	40	95

(-) = Not Tested.

The compounds of the present invention are useful as herbicides and can be applied in a variety of ways at various concentrations. In practice, the compounds herein defined are formulated into herbicidal compositions, by admixture, in herbicidally effective amounts, with the adjuvants and carriers normally employed for facilitating the dispersion of active ingredients for agricultural applications, recognizing the fact that the formulation and mode of application of a toxicant may affect the activity of the materials in a given application. Thus, these active herbicidal compounds may be formulated as granules of relatively large particle size, as wettable powders, as emulsifiable concentrates, as powdery dusts, as flowables, as solutions or as any of several other known types of formulations, depending upon the desired mode of application. These formulations may contain as little as about 0.5% to as much as about 95% or more by weight of active ingredient. A herbicidally effective amount depends upon the nature of the seeds or plants to be controlled and the rate of application varies from about 0.01 to approximately 10 pounds per acre, preferably from about 0.02 to about 4 pounds per acre.

Wettable powders are in the form of finely divided particles which disperse readily in water or other dispersants. The wettable powder is ultimately applied to the soil either as a dry dust or as a dispersion in water or other liquid. Typical carriers for wettable powders include fuller's earth, kaolin clays, silicas and other readily wet organic or inorganic diluents. Wettable powders normally are prepared to contain about 5% to about 95% of the active ingredient and usually also contain a small amount of wetting, dispersing, or emulsifying agent to facilitate wetting and dispersion.

Emulsifiable concentrates are homogeneous liquid compositions which are dispersible in water or other dispersant, and may consist entirely of the active compound with a liquid or solid emulsifying agent, or may also contain a liquid carrier, such as xylene, heavy aromatic naphthal, isophorone and other non-volatile organic solvents. For herbicidal application, these concentrates are dispersed in water or other liquid carrier and normally applied as a spray to the area to be treated. The percentage by weight of the essential active ingredient may vary according to the manner in which the composition is to be applied, but in comprises about 0.5% to 95% of active ingredient by weight of the herbicidal composition.

Granular formulations wherein the toxicant is carried on relatively coarse particles, are usually applied without dilution to the area in which suppression of vegetation is desired. Typical carriers for granular formulations include sand, fuller's earth, attapulgite clay, bentonite clays, montmorillonite clay, vermiculite, perlite and other organic or inorganic materials which absorb or which may be coated with the toxicant. Granular formulations normally are prepared to contain about 5% to about 25% of active ingredients which may include surface-active agents such heavy aromatic naphthas, kerosene or other petroleum fractions, or vegetable oils; and/or stickers such as destrins, glue or synthetic resins.

Typical wetting, dispersing or emulsifying agents used in agricultural formulations include, for example, the alkyl and alkylaryl sulfonates and sulfates and their salts; polyhydric alcohols; polyethoxylated alcohols; esters and fatty amines; and other types of surface-active agents, many of which are available in commerce. The surface-active agent, when used, normally comprises from 0.1% to 15% by weight of the herbicidal composition.

Dusts, which are free-flowing admixtures of the active ingredient with finely divided solids such as talc, clays, flours and other organic and inorganic solids which act as dispersants and carriers for the toxicant, are useful formulations for soil-incorporating application.

Pastes, which are homogeneous suspensions of a finely divided solid toxicant in a liquid carrier such as water or oil, are employed for specific purposes. These formulations normally contain about 5% to about 95% of active ingredient by weight, and may also contain small amounts of a wetting, dispersing or emulsifying agent to facilitate dispersion. For application, the pastes are normally diluted and applied as a spray to the area to be affected.

Other useful formulations for herbicidal applications include simple solutions of the active ingredient in a dispersant in which it is completely soluble at the desired concentration, such as acetone, alkylated naphthalenes, xylene and other organic solvents. Pressurized sprays, typically aerosols, wherein the active ingredient is dispersed in finely-divided form as a result of vaporization of a low boiling dispersant solvent carrier, such as the Freons, may also be used.

The phytotoxic compositions of this invention can be applied to the plants in the conventional manner. Thus, the dust and liquid compositions can be applied to the plant by the use of power-dusters, boom and hand sprayers and spray dusters. The compositions can also be applied from airplanes as a dust or a spray or by rope wick applications because they are effective in very low dosages. In order to modify or control growth of germinating seeds or emerging seedlings, as a typical example, the dust and liquid compositions can be applied to the soil according to conventional methods and can be distributed in the soil to a depth of at least 1/2 inch below the soil surface. It is not necessary that the phytotoxic compositions be mechanically admixed with the soil particles since these compositions can also be applied merely by spraying or sprinkling the surface of the soil. The phytotoxic compositions of this invention can also be applied by addition to irrigation water supplied to the field to be treated. This method of application permits the penetration of the compositions into the soil as the water is absorbed therein. Dust compositions, granular compositions or liquid formulations applied to the surface of the soil can be distributed below the surface of the soil by conventional means such as discing, dragging or mixing operations.

EMULSIFIABLE CONCENTRATE FORMULATIONS

General Formula with Ranges	
Herbicidal compound	5-55
surfactant(s)	5-25
solvent(s)	20-90
	100%

Specific Formula	
herbicidal compound	24
proprietary blend of oil-soluble sulfonates and polyoxyethylene ethers	10
polar solvent	27
petroleum hydrocarbon	39
	100%

WETTABLE POWDER FORMULATIONS

herbicidal compound	3-90	herbicidal compound	80
wetting agent	0.5-2	sodium dialkyl naphthalene sulfonate	0.5
dispersing agent	1-8	sodium lignosulfonate	7
diluent(s)	8.5-87	attapulgite clay	12.5
	100%		100%

EXTRUDED GRANULAR FORMULATIONS

herbicidal compound	1-20	herbicidal compound	10
binding agent	0-10	lignin sulfonate	5
diluent(s)	70-99	calcium carbonate	85
	100%		100%

FLOWABLE FORMULATIONS

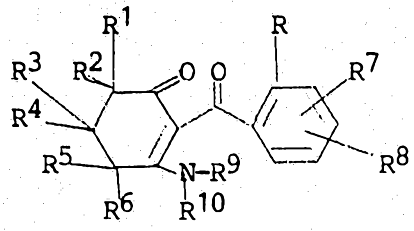
herbicidal compound	20-70	herbicidal compound	45
surfactant(s)	1-10	polyoxyethylene ether	5
suspending agent(s)	0.05-1	attagel	0.05
antifreeze agent	1-10	propylene glycol	10
antimicrobial agent	1-10	1,2-benzisothiazoline-3-one	0.03
antifoam agent	0.1-1	silicone defoamer	0.02
solvent	7.95-77.85	water	39.9
	100%		100%

The phytotoxic compositions of this invention can also contain other additives, for example, fertilizers, other herbicides and other pesticides, used as adjuvant or in combination with any of the above-described adjuvants. Fertilizers useful in combination with the active ingredients include, for example, ammonium nitrate, urea and superphosphate.

~~WHAT IS CLAIMED IS:~~

The claims defining the invention are as follows:

1. A compound of the formula



wherein

R is halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, nitro; cyano; C_1-C_2 haloalkyl, or R^aSO_n- wherein n is 0 or 2 and R^a is C_1-C_2 alkyl;

R^1 is hydrogen or C_1-C_4 alkyl;

R^2 is hydrogen or C_1-C_4 alkyl; or

R^1 and R^2 together are alkylene having 2 to 5 carbon atoms;

R^3 is hydrogen or C_1-C_4 alkyl;

R^4 is hydrogen or C_1-C_4 alkyl; or

R^3 and R^4 together are oxo;

R^5 is hydrogen or C_1-C_4 alkyl;

R^6 is hydrogen or C_1-C_4 alkyl; or

R^5 and R^6 together are alkylene having 2 to 5 carbon atoms;

R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined;

R^9 is hydrogen or C_1-C_4 alkyl, R^{10} is (a) hydrogen; (b) C_1-C_6 alkyl, (c) C_4-C_6 cycloalkyl, (d) substituted C_1-C_6 alkyl, (e) phenyl; (f) substituted phenyl; (g) C_1-C_6 alkoxy; (h) benzyl; (i) phenethyl; (j) C_1-C_4 alkyl-C(O)-; (k) C_1-C_4 alkyoxy-C(O)-; (l) C_2-C_6 alkenyl; or (m) C_2-C_6 alkynyl; or ~~and~~ R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen).

2. The compounds of Claim 1 wherein R is chlorine, bromine, C_1-C_2 alkyl, C_1-C_2 alkoxy, cyano, nitro, C_1-C_2 alkylthio or C_1-C_2 alkylsulfonyl; R^1 is hydrogen or methyl; R^2 is hydrogen or methyl; R^3 is hydrogen or methyl; R^4 is hydrogen or methyl; R^5 is hydrogen or methyl; R^6 is hydrogen or methyl; R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifloromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined; and R^9 is hydrogen or methyl and R^{10} is (a) hydrogen; (b) C_1-C_2 alkyl; (c) cyclohexyl; (d) C_1-C_4 alkoxy; (e) phenyl; (f) benzyl; (g) phenethyl; (h) allyl or R^9 and R^{10} together with the nitrogen atom to which they are attached form a morpholino, pyrrolidino or thiazolidino ring.

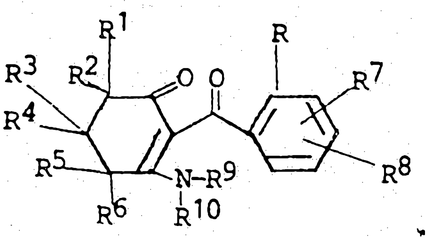
3. The compounds of Claim 2 wherein R^7 and R^8 are independently are hydrogen; chlorine; fluorine; bromine; methyl; methoxy; trifluoromethoxy; cyano; nitro; trifluoromethyl; R^bSO_n- wherein n is the integer 2 and R^b is methyl, chloromethyl, trifluoromethyl, cyanomethyl, ethyl, or n-propyl; $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; $R^eC(O)$- where R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy or $SO_2NR^cR^d$ wherein R^c and R^d are as defined and R^7 is in the 3-position.

4. The compound of Claim 2 wherein R^7 is hydrogen and R^8 is hydrogen, chlorine, bromine, fluorine, trifluoromethyl or R^bSO_2 wherein R^b is C_1-C_4 alkyl.

5. The method of controlling undesirable vegetation comprising applying to the ~~are~~ area where control is desired, an herbicidally effective amount of a compound described in Claims 1, 2, 3 or 4.

6. The method of Claim 5 wherein R is chlorine, bromine, C_1-C_2 alkyl, C_1-C_2 alkoxy, cyano, nitro, C_1-C_2 alkylthio or C_1-C_2 alkylsulfonyl; R^1 is hydrogen or methyl; R^2 is hydrogen or methyl; R^3 is hydrogen or methyl; R^4 is hydrogen or methyl; R^5 is hydrogen or methyl; R^6 is hydrogen or methyl; R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) -NR^cR^d wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) -$N(R^c)C(O)R^d$ wherein R^c and R^d are as defined: R^9 is hydrogen or methyl and R^{10} is (a) hydrogen; (b) C_1-C_2 alkyl; (c) cyclohexyl; (d) C_1-C_4 alkoxy; (e) phenyl; (f) benzyl; (g) phenethyl; (h) allyl or R^9 and R^{10} together with the nitrogen atom to which they are attached form a morpholino, pyrrolidino or thiazolidino ring.

7. An herbicidal composition comprising an herbicidally active compound of the structural formula



wherein

R is halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, nitro; cyano; C_1-C_2 haloalkyl, or R^aSO_n- wherein n is 0 or 2 and R^a is C_1-C_2 alkyl;

R^1 is hydrogen or C_1-C_4 alkyl;

R^2 is hydrogen or C_1-C_4 alkyl; or

R^1 and R^2 together are alkylene having 2 to 5 carbon atoms;

R^3 is hydrogen or C_1-C_4 alkyl;

R^4 is hydrogen or C_1-C_4 alkyl; or

R^3 and R^4 together are oxo;

R^5 is hydrogen or C_1-C_4 alkyl;

R^6 is hydrogen or C_1-C_4 alkyl; or

R^5 and R^6 together are alkylene having 2 to 5 carbon atoms;

R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined;

R^9 is hydrogen or C_1-C_4 alkyl, R^{10} is (a) hydrogen; (b) C_1-C_6 alkyl, (c) C_4-C_6 cycloalkyl, (d) substituted C_1-C_6 alkyl, (e) phenyl; (f) substituted phenyl; (g) C_1-C_6 alkoxy; (h) benzyl; (i) phenethyl; (j) C_1-C_4 alkyl-C(O)-; (K) C_1-C_4 alkyoxy-C(O)-; (l) C_2-C_6 alkenyl; or (m) C_2-C_6 alkynyl; or R^9 and R^{10} together form a heterocyclic ring with the nitrogen to which they are attached containing 0, 1 or 2 additional hetero atoms (nitrogen, sulfur or oxygen) and an inert carrier therefor.

8. The composition of Claim 7 wherein R is chlorine, bromine, C_1-C_2 alkyl, C_1-C_2 alkoxy, cyano, nitro, C_1-C_2 alkylthio or C_1-C_2 alkylsulfonyl; R^1 is hydrogen or methyl; R^2 is hydrogen or methyl; R^3 is hydrogen or methyl; R^4 is hydrogen or methyl; R^5 is hydrogen or methyl; R^6 is hydrogen or methyl; R^7 and R^8 independently are (1) hydrogen; (2) halogen; (3) C_1-C_4 alkyl; (4) C_1-C_4 alkoxy; (5) trifluoromethoxy; (6) cyano; (7) nitro; (8) C_1-C_4 haloalkyl; (9) R^bSO_n- wherein n is the integer 0, 1 or 2; and R^b is (a) C_1-C_4 alkyl; (b) C_1-C_4 alkyl substituted with halogen or cyano; (c) phenyl; or (d) benzyl; (10) $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; (11) $R^eC(O)$- wherein R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy; (12) $SO_2NR^cR^d$ wherein R^c and R^d are as defined; or (13) $-N(R^c)C(O)R^d$ wherein R^c and R^d are as defined; R^9 is hydrogen or methyl and R^{10} is (a) hydrogen; (b) C_1-C_2 alkyl; (c) cyclohexyl; (d) C_1-C_4 alkoxy; (e) phenyl; (f) benzyl; (g) phenethyl; (h) allyl or R^9 and R^{10} together with the nitrogen atom to which they are attached form a morpholino, pyrrolidino or thiazolidino ring.

9. The composition of Claim 7 wherein R^7 and R^8 are independently hydrogen; chlorine; fluorine; bromine; methyl; methoxy; trifluoromethoxy; cyano; nitro; trifluoromethyl; R^bSO_n- wherein n is the integer 2 and R^b is methyl, chloromethyl, trifluoromethyl, cyanomethyl, ethyl, or n-propyl; $-NR^cR^d$ wherein R^c and R^d independently are hydrogen or C_1-C_4 alkyl; $R^eC(O)$- where R^e is C_1-C_4 alkyl or C_1-C_4 alkoxy or SO_2NR^c wherein R^c and R^d are as defined and R^7 is in the 3-position.

10. A compound of the formula as set out in Claim 1 substantially as hereinbefore described with reference to any one of the Examples.

DATED this SEVENTH day of NOVEMBER 1989
Stauffer Chemical Company

Patent Attorneys for the Applicant
SPRUSON & FERGUSON

TMR/976u