AUSTRALIA

PATENTS ACT 1990

649448

PATENT REQUEST : STANDARD PATENT

I/We being the person(s) identified below as the Applicant(s), request the grant of a patent to the person(s) identified below as the Nominated Person(s), for an invention described in the accompanying standard complete specification.

Full application details follow:

[71/70] Applicant(s)/Nominated Person(s):

Sandoz Ltd.

of

Lichtstrasse 35, CH-4002 Basle, Switzerland

[54] Invention Title:

Substituted Phthalides and Heterocyclic Phthalides and Derivatives thereof

[72] Name(s) of actual inventor(s):

Richard James ANDERSON
Ian Stuart CLOUDSDALE
Takeo HOKAMA

[74] Address for service in Australia:

DAVIES & COLLISON, Patent Attorneys, 1 Little Collins Street, Melbourne,
Victoria, Australia. Attorney Code: DM

Basic Convention Application(s) Details:

[31] Application Number	[33] Country	Code	[32] Date of Application
534794	United States of America	US	7 June 1990
633592	United States of America	US	21 December 1990

DATED this FIFTH day of JUNE 1991

a member of the firm of
DAVIES & COLLISON for
and on behalf of the
applicant(s)

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NOTICE OF ENTITLEMENT

We, Sandoz Ltd., the applicant named in the accompanying Patent Request state the following:-

The Nominated Person is entitled to the grant of the patent because the Nominated Person derives title to the invention from the inventors.

The Nominated Person is entitled to claim priority from the basic applications listed on the patent request because the Nominated Person is the assignee of the applicants in respect of the basic applications.

DATED this FIFTH day of JUNE 1991

a member of the firm of
DAVIES & COLLISON for
and on behalf of the
applicant(s)

(D&C ref: 1410716)

AU9178204

(12) PATENT ABRIDGMENT (11) Document No. AU-B-78204/91
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 649448

(54) Title
SUBSTITUTED PHTHALIDES AND HETEROCYCLIC PHTHALIDES AND DERIVATIVES THEREOF.

International Patent Classification(s)

(51)^5	C07D 405/04	A01N 043/40	A01N 043/54	A01N 043/66
	A01N 043/76	A01N 043/80	A01N 043/82	A01N 043/90
	C07D 211/90	C07D 213/81	C07D 213/82	C07D 239/30
	C07D 239/52	C07D 401/06	C07D 401/14	C07D 403/06
	C07D 405/06	C07D 405/14	C07D 407/14	C07D 409/06
	C07D 409/14	C07D 413/06	C07D 413/10	C07D 417/06
	C07D 471/04	C07D 491/048		

(21) Application No. : **78204/91** (22) Application Date : **05.06.91**

(30) Priority Data

(31) Number	(32) Date	(33) Country
534794	07.06.90	US UNITED STATES OF AMERICA
633592	21.12.90	US UNITED STATES OF AMERICA

(43) Publication Date : **12.12.91**

(44) Publication Date of Accepted Application : **26.05.94**

(71) Applicant(s)
SANDOZ LTD.

(72) Inventor(s)
RICHARD JAMES ANDERSON; IAN STUART CLOUDSDALE; TAKEO HOKAMA

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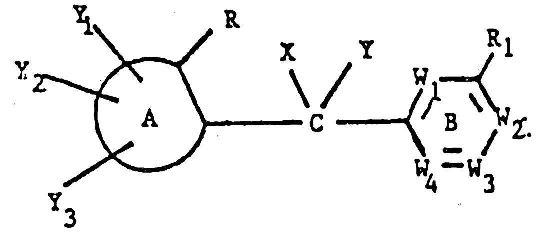
(56) Prior Art Documents
AU 70770/91 C07D 239/52 251/20 A01N 43/54

(57) Claim

1. A compound of formula I



(I)

wherein

ring A is selected from

a) phenyl or naphthyl

b) pyridyl which may be fused by its (b) or (c) side to benzene

c) pyridyl-N-oxide or pyrazinyl-N-oxide

d) pyrimidinyl

e) pyrazinyl

f) 3- or 4-cinnolynyl or 2-quinoxalinyl, and

g) a five membered heteroaromatic ring comprising oxygen,

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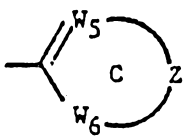
sulphur or nitrogen as heteroatom(s) which ring may be fused to a benzene ring or may comprise nitrogen as an additional heteroatom;

R is cyano, formyl, $CX_1X_2X_3$, a ketone forming group, a carboxyl group which may be in the form of the free acid or in ester or salt form, a thiocarboxyl group which may be in the form of the free acid or in ester form, a carbamoyl group or a mono- or di- substituted carbamoyl group, hydroxyC_{1-8}alkyl, hydroxybenzyl, -CH=NOH, -CH=NOC_{1-8}alkyl, the group $-CH_2-O-C(O)-$ and bridges adjacent carbon atoms in ring A, or a ring C



Y_1, Y_2 and Y_3 are attached to carbon atoms and are independently hydrogen, halogen, hydroxy, C_{1-8}alkyl, C_{2-8}alkenyl, C_{2-8}alkynyl, C_{1-8}alkoxy, C_{2-8}alkenyloxy, C_{2-8}alkynyloxy, C_{1-8}alkylsulfonyloxy, di(C_{1-8}alkyl)sulfamoyloxy, C_{1-8}alkylsulfonyl, C_{1-8}alkylsulfinyl, di(C_{1-8}alkyl)carbamoyloxy, C_{1-8}alkylthio, C_{2-8}alkenylthio or C_{2-8}alkynylthio each of which may in turn be substituted by 1 to 6 halogen atoms; di(C_{1-8}alkoxy)methyl, conjugated C_{1-8}alkoxy, hydroxyC_{1-8}alkyl, carboxyl, C_{2-8}acyl, C_{2-8}acylC_{1-8}alkyl, C_{2-8}acyloxy, C_{2-8}acyloxyC_{1-8}alkyl, tri(C_{1-8}alkyl)silyloxy, tri(C_{1-8}alkyl)silyl, cyano, nitro, amino or substituted amino, aminosulfonyl; C_{3-6}cycloalkyl, aryl, arylC_{1-8}alkyl, arylC_{2-8}alkenyl, arylC_{2-8}alkynyl, C_{1-8}aryloxy, arylC_{1-8}alkoxy, arylsulfonyl, arylsulfinyl, arylthio or arylC_{1-8}alkylthio, each of which may be substituted by one to three substituents selected from halogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxy, C_{1-8}haloalkoxy, nitro, cyano, C_{1-8}alkylthio, C_{2-8}acyl, amino or substituted amino; a group -C(O)-R′ wherein R′ is hydrogen, C_{1-8}alkyl, or C_{1-8}alkoxy; or

Y_1 and R taken together on adjacent carbon atoms form a bridge having the formula -C(S)-O-, -C(O)-O-E- or $-C(O)-N(R_2)-E-$ wherein E is a direct bond or a 1 to 3 membered linking group with

.../3

elements selected from methylene, substituted methylene, -N(R_2)- and oxygen; or

Y_1 and Y_2 taken together on adjacent carbon atoms form a 3- to 5-membered bridge comprised of elements selected from methylene, substituted methylene, -CH=, -C(R_4)=, -NH-, oxygen and -S(O)$_n$- ;

each of W_1, W_2, W_3, W_4 and W_5 is independently CH, CR_3 or nitrogen;

W_6 is NH, oxygen, sulfur, -CR_4=, -CH= or -C(O)- ;

Z is a 2- or 3-membered bridge comprised of elements selected from methylene, substituted methylene, -CH=, -C(R_4)=, -C(O)-, -NH-, -N=, oxygen and -S(O)$_n$- ;

R_1 and R_3 each is independently hydrogen, halogen, C_{1-8}alkyl, C_{2-8}alkenyl, C_{2-8}alkynyl, C_{1-8}alkoxy, C_{2-8}alkenyloxy, C_{2-8}alkynyloxy, C_{1-8}alkylthio, C_{2-8}alkenylthio or C_{2-8}alkynylthio, each of which may in turn be substituted by 1 to 6 halogen atoms; C_{3-6}cycloalkyl, a 5- or 6-membered heterocycloC_{1-8}alkoxy, aryloxy, arylC_{1-8}alkoxy or arylC_{1-8}alkylthio each of which may be substituted by 1 to 3 substituents selected from halogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxy, C_{1-8}haloalkoxy, nitro, cyano, C_{1-8}alkylthio, C_{2-8}acyl, amino or substituted amino; aminoxy, substituted aminoxy; iminoxy; substituted iminoxy; amido; substituted amido; C_{1-8}alkylsulfonylmethyl; cyano; nitro; or -C(O)-Y_4, wherein Y_4 is hydrogen, C_{1-8}alkyl, C_{1-8}alkoxy, hydroxy or unsubstituted or substituted phenyl;

R_2 is hydrogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxyalkyl, C_{1-8}alkoxy, arylC_{1-8}alkoxy, unsubstituted or substituted aryl, unsubstituted or substituted arylC_{1-8}alkyl;

R_4 is as defined for Y_1 except for hydrogen;

X and Y each is independently hydrogen, hydroxy, halogen, cyano, C_{1-8}alkyl, C_{1-8}alkoxy, C_{1-8}alkoxycarbonyl, C_{1-8}alkoxycarbonyloxy, hydroxyC_{1-8}alkyl, C_{1-8}haloalkyl,

C_{2-8}acyl, C_{2-8}acyloxy, carbamoyl, carbamoyloxy, C_{1-8}alkylthio, C_{1-8}alkylsulfinyl, C_{1-8}alkylsulfonyl or C_{1-8}alkylsulfonyloxy; aryl, aryloxy, aryl$S(O)_p$, arylC_{1-8}alkyl, arylC_{1-8}alkoxy, arylC_{1-8}alkyl$S(O)_p$, arylsulphonyloxy, each of which may in turn be substituted by 1 to 3 substituents selected from halogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxy, C_{1-8}haloalkoxy, nitro, cyano, C_{1-8}alkylthio, C_{2-8}acyl; amino, substituted amino or together represent =O, =S, =NH, $=NOR_{12}$ or $=CR_{13}R_{14}$; provided that when one of X and Y is hydrogen the other one is not hydrogen, chlorine, fluorine, methyl or carbamoyl; or

X and R together may form a bridge having the formula -C(O)-O-, -C(O)-S or $-C(O)-NR_2-$ wherein the carbonyl is attached to A;

P is 0, 1 or 2;

X_1, X_2 and X_3 are independently hydrogen, hydroxy, C_{1-8}alkoxy, C_{1-8}alkylthio, hydroxyC_{1-8}alkyl or hydroxybenzyl whereby at least one of X_1, X_2 and X_3 is other than hydrogen; or

X_3 is hydrogen and X_1 and X_2 together form a 4- or 5-membered bridge comprising elements selected from $-O(CH_2)_{n'}-O-$, $-OC(O)(CH_2)_mO-$ and $-S(CH_2)_{n'}S-$;

R_{12} is hydrogen or C_{1-8}alkyl;

R_{13} and R_{14} are independently hydrogen, C_{1-8}alkyl or halogen;

m is 1 or 2;

n is 0, 1 or 2; and

n' is 2 or 3;

with the proviso that when R is carboxyl in free ester or salt form and X and Y together are =O one of rings A and B contains a hetero atom.

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7. A herbicidal composition comprising an herbicidally effective amount of a compound of formula (I) according to Claims 1-6 in association with agriculturally acceptable diluents.

8. A method for combatting weeds which comprises applying thereto or to a locus thereof an herbicidally effective amount of a compound of formula (I) according to Claims 1-6.

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PATENTS ACT 1990
COMPLETE SPECIFICATION

NAME OF APPLICANT(S):

Sandoz Ltd.

ADDRESS FOR SERVICE:

DAVIES & COLLISON
Patent Attorneys
1 Little Collins Street, Melbourne, 3000.

INVENTION TITLE:

Substituted Phthalides and Heterocyclic Phthalides and Derivatives thereof

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

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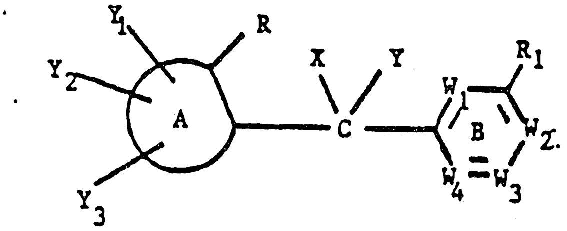
Substituted Phthalides and Heterocyclic Phthalides and Derivatives thereof

The present invention concerns substituted phthalides and heterocyclic phthalides and derivatives thereof, processes for their production, compositions containing them and their use in agriculture.

More particularly, the invention concerns compounds of formula I



(I)

wherein ring system A is selected from

a) phenyl or naphthyl

b) pyridyl which may be fused by its (b) or (c) side to benzene

c) pyridyl-N-oxide or pyrazinyl-N-oxide

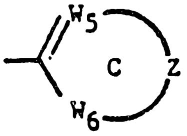
d) pyrimidinyl

e) pyrazinyl

f) 3- or 4- cinnolynyl or 2-quinoxalinyl, and

g) a five membered heteroaromatic ring comprising oxygen, sulphur or nitrogen as heteroatom(s) which ring may be fused to a benzene ring or may comprise nitrogen as an additional heteroatom.

R is cyano, formyl, $CX_1X_2X_3$, a ketone forming group, a carboxyl group which may be in the form of the free acid or in ester or salt form, a thiocarboxyl group which may be in the form of the free acid or in ester form, a carbamoyl group or a mono- or di- substituted carbamoyl group, hydroxyalkyl, hydroxybenzyl, -CH=NOH, -CH=NO-lower alkyl , the group $-CH_2-O-C(O)-$ and bridges adjacent carbon atoms in ring A, or a ring C



Y_1, Y_2 and Y_3 are attached to carbon atoms and are independently hydrogen, halogen, hydroxy, alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylsulfonyloxy, dialkylsulfamoyloxy, alkylsulfonyl, alkylsulfinyl, dialkylcarbamoyloxy, alkylthio, alkenylthio or alkynylthio each of which may in turn be substituted by 1 to 6 halogen atoms; dialkoxymethyl, conjugated alkoxy, hydroxyalkyl, carboxyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, trialkylsilyloxy, trialkylsilyl, cyano, nitro, amino or substituted amino, aminosulfonyl; cycloalkyl, aryl, aralkyl, aralkenyl, aralkynyl, aryloxy, aralkoxy, arylsulfonyl, arylsulfinyl, arylthio or aralkylthio, each of which may be substituted by one to three substituents selected from halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano, alkylthio, acyl, amino or substituted amino; a group $-\underset{\overset{\|}{O}}{C}-R'$ wherein R' is hydrogen, lower alkyl, or lower alkoxy;

or Y_1 and R taken together on adjacent carbon atoms form a bridge having the formula $-\underset{\overset{\|}{S}}{C}-O-$, $-\underset{\overset{\|}{O}}{C}-O-E$ or $-\underset{\overset{\|}{O}}{C}-\underset{\overset{|}{R_2}}{N}-E-$ wherein E is a direct bond or a 1 to 3 membered linking group with elements selected from methylene, substituted methylene, $-\underset{\overset{|}{R_2}}{N}-$ and oxygen.

or Y_1 and Y_2 taken together on adjacent carbon atoms form a 3- to 5-membered bridge comprised of elements selected from methylene, substituted methylene, $-\underset{\overset{|}{H}}{C}=$, $-\underset{\overset{|}{R_4}}{C}=$, $-\underset{\overset{|}{H}}{N}-$, oxygen, and $-\underset{\overset{\downarrow}{(O)_n}}{S}-$;

each of W_1, W_2, W_3, W_4 and W_5 is independently CH, CR_3 or nitrogen;
W_6 is NH, oxygen, sulfur, $-\underset{\overset{|}{R_4}}{C}=$, $-\underset{\overset{|}{H}}{C}=$ or $-\underset{\overset{\|}{O}}{C}-$;

Z is a 2- or 3-membered bridge comprised of elements selected from methylene, substituted methylene, $-\underset{\overset{|}{H}}{C}=$, $-\underset{\overset{|}{R_4}}{C}=$, $-\underset{\overset{\|}{O}}{C}-$, $-\underset{\overset{|}{H}}{N}-$, $-N=$, oxygen and $-\underset{\overset{\downarrow}{(O)_n}}{S}-$

R_1 and R_3 each is independently hydrogen; halogen; alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkenylthio or alkynylthio, each of which may in turn be substituted by 1 to 6 halogen atoms; cycloalkyl, heterocycloalkoxy, aryloxy, aralkoxy or aralkylthio each of which may be substituted by 1 to 3 substituents selected from halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano, alkylthio, acyl, amino or substituted amino; aminoxy; substituted aminoxy; iminoxy; substituted iminoxy; amino; substituted amino; amido; substituted amido; alkylsulfonyl methyl; cyano; nitro; or $-\underset{\overset{\|}{O}}{C}-Y_4$

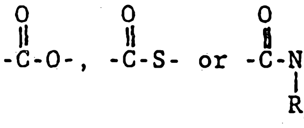
wherein Y_4 is hydrogen, lower alkyl, lower alkoxy, hydroxy or unsubstituted or substituted phenyl.

R_2 is hydrogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxyalkyl, C_{1-8}alkoxy, arylC_{1-8}alkoxy, unsubstituted or substituted aryl, unsubstituted or substituted arylC_{1-8}alkyl;

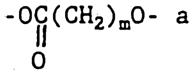
R_4 is as defined for Y_1 except for hydrogen.

X and Y each is independently hydrogen, hydroxy, halogen, cyano, alkyl, alkoxy, alkoxycarbonyl, alkoxycarbonyloxy, hydroxyalkyl, haloalkyl, acyl, acyloxy, carbamoyl, carbamolyoxy, alkylthio, alkylsulfinyl, alkylsulfonyl or alkylsulfonyloxy; aryl, aryloxy, aryl$S(O)_p$, aralkyl, aralkoxy, aralk$S(O)_p$, arylsulphonyloxy, each of which may in turn be substituted by 1 to 3 substituents selected from halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano, alkylthic, acyl; amino, substituted amino or together represent $=O$, $=S$, $=NH$, $=NOR_{12}$ or $=CR_{13}R_{14}$; or

X and R together may form a bridge having the formula


$-\overset{O}{\overset{\|}{C}}-O-$, $-\overset{O}{\overset{\|}{C}}-S-$ or $-\overset{O}{\overset{\|}{C}}-\underset{R_2}{\underset{|}{N}}-$ wherein the carbonyl is attached to A and R_2 represents hydrogen, alkyl, haloalkyl, alkoxyalkyl, alkoxy, aralkoxy, unsubstituted or substituted aryl, unsubstituted or substituted aralkyl.

P is 0, 1 or 2.

X_1, X_2 and X_3 are independently hydrogen, hydroxy, alkoxy, alkylthio, hydroxyalkyl or hydroxybenzyl whereby at least one of X_1, X_2 and X_3 is other than hydrogen; or X_3 represents hydrogen and X_1 and X_2 together form a four or five membered bridge comprising elements selected from $-O(CH_2)_{n'}O-$,  $-O\underset{O}{\underset{\|}{C}}(CH_2)_mO-$ and $-S(CH_2)_{n'}S-$.

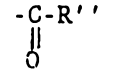
R_{12} is hydrogen or alkyl,

R_{13} and R_{14} are independently hydrogen, alkyl or halogen,

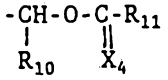
m is one or two,

n' is two or three

with the proviso that when R is carboxyl in free ester or salt form and X and Y together are $=O$ one of rings A and B contains a hetero atom.

When R is a ketone forming group this is preferably  $-\underset{O}{\underset{\|}{C}}-R''$ wherein R'' is alkyl, haloalkyl, alkoxyalkyl, alkenyl, alkynyl, unsubstituted or substituted aryl, unsubstituted or substituted aralkyl.

When R is a carboxyl or thiocarboxyl group in ester form it is preferably of formula $-COOR_5$ or $-COSR_5$ wherein R_5 is alkyl, haloalkyl, alkoxyalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, unsubstituted or substituted aryl, unsubstituted or substituted aralkyl, hydroxyalkyl, cycloalkyl, cyanoalkyl, aralkoxyalkyl; a group $-N=C(R_{15})(R_{16})$; a group $-(CH_2)_{n'}CH(R_{17})(R_{18})$;

a group  $-\underset{R_{10}}{\underset{|}{C}}H-O-\underset{X_4}{\underset{\|}{C}}-R_{11}$

R_{15} and R_{16} are independently hydrogen or alky ,

R_{17} and R_{18} are independently $S(O)_n$alkyl, $COOR_9$, alkoxy, amino, substituted amino, benzyloxy, trimethylsilyl, cyano, $-C(R_{19})SR_{20}$ or additionally one thereof may be hydrogen.

R_{19} is hydrogen or alkyl,

R_{20} is alkyl or aryl,

R_9, R_{10} and R_{11} are independently hydrogen, alkyl, haloalkyl, alkoxyalkyl, unsubstituted or substituted aryl or unsubstituted or substituted aralkyl,

n and n'' are independently zero, one or two, and

X_4 is oxygen or sulfur.

When R is a carbamoyl group or a mono- or di-substituted carbamoyl group it is preferably of formula $CONR_7R_8$ wherein R_7 and R_8 are independently hydrogen or an aliphatic or a saturated or unsaturated cyclic or heterocyclic group each of which may be unsubstituted or substituted.

R_7 and R_8 are preferably each independently (a) hydrogen, halogen; (b) alkyl, alkenyl, alkynyl alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, alkyl$S(O)_p$, alkenyl$S(O)_p$ or alkynyl$S(O)_p$, alkyl$S(O)_p$alkyl, alkenyl$S(O)_p$alkyl, alkynyl$S(O)_p$alkyl, each of which may in turn be substituted by 1 to 6 halogen atoms and each of which may be attached to the adjacent nitrogen atom via alkyl; (c) acyl, acylalkyl, acyloxy, acyloxyalkyl; (d) cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, heterocycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, aryl$S(O)_p$, aralkyl$S(O)_p$ or aryl$S(O)_p$alkyl, each of which is unsubstituted or may be substituted by 1 to 3 substituents selected from (i) halogen; (ii) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, alkyl$S(O)_p$, alkenyl$S(O)_p$, or alkynyl$S(O)_p$, alkyl$S(O)_p$alkyl, alkenyl$S(O)_p$alkyl or alkynyl$S(O)_p$alkyl, each of which may in turn be substituted by 1 to 6 halogen atoms; and (iii) nitro, cyano, acyl, amino, substituted amino, aminosulfonyl, aminoalkyl or substituted aminoalkyl; (e) amino, substituted amino, amido, substituted amido, aminosulfonyl, cyano, nitro, or $-(CHR_4')_{n'''}-C(O)Y_4'$,

wherein Y_4' is hydrogen, lower alkyl, lower alkoxy or hydroxy and n''' is 0, 1, 2 or 3 and p is 0, 1 or 2.

R_4' is as defined for Y_1.

When R is carboxyl in salt form the salt is preferably formed with an alkali metal, alkali earth metal, optionally substituted ammonium cation, a trialkyl sulfonium cation, a trialkylsulfoxonium cation or a phosphonium cation, especially the cation of an alkali metal (e.g. the Li or Na cation) or of an earth alkali metal (e.g. the Ca or Mg cation); the ammonium cation; a substituted ammonium cation [such as a C_{1-5}alkylammonium cation, a di-C_{1-5}alkylammonium cation, a tri-C_{1-5}alkylammonium cation, a tetra-C_{1-5}ammonium cation, a (C_{1-5}alkoxy-alkyl)ammonium cation, a (hydroxy-C_{1-5}alkyl)ammonium cation]; a phosphonium cation; a tri(C_{1-8}alkyl)sulfonium cation; or a tri(C_{1-8}alkyl)sulfoxonium cation.

When Y_1, Y_2 and/or Y_3 is a carboxyl group this may be in ester or salt form or in amide form (i.e. a carbamoyl) and as such is as described above for R in these forms. Where A has meaning g) it contains one to three heteroatoms and signifies for example thienyl, furanyl, pyrrolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl or thiadiozalyl.

Where A has one of the above defined heteroaromatic significances, b) through g), the substituted hetero ring is particularly selected from pyridyl, quinolyl, pyridyl-N-oxide, pyrimidinyl, pyrazinyl, thienyl or furyl, more particularly from pyridyl or thienyl.

Alkyl moieties unless otherwise specified contain 1 to 8 carbon atoms, preferably 1 to 5, especially 1 to 4, e.g. 1 or 2 carbon atoms. Lower alkyl moieties contain 1 to 4, e.g. 1 or 2 carbon atoms. Alkyl moieties as or present in R_5, R_7 or R_8 contain 1 to 24 preferably 1 to 12, especially 1 to 6 whereby one of R_7 and R_8 is preferably hydrogen when the other is alkyl.

Alkyl moieties as bridging groups may be straight chain or branched and preferably contain 1 to 4, e.g. 1 or 2 carbon atoms. They may be optionally substituted by aryl or substituted aryl and may optionally be interrupted by or attached via an oxygen or sulfur atom.

"Conjugated alkoxy" stands for an alkoxy group interrupted in its alkyl moiety by one or more oxygen atoms eg alkoxyalkoxy, alkoxyalkoxyalkoxy, etc.

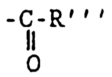
Alkenyl and alkynyl moieties contain 2 to 8, preferably 2 to 4, especially 2 or 3 carbon atoms.

Halogen is preferably F, Cl or Br, especially for Cl.

Aryl moieties are preferably as defined for meanings a) to g) of ring system A or as ring B and preferred meanings of each, especially phenyl. Such aryl moieties may be unsubstituted or substituted and in the latter case carry 1 to 3 substituents as defined for Y_1 unless otherwise specified.

Substituted amino, -amido, -aminoxy, -aminoalkyl, -iminoxy, -carbamyl (other than as R) is preferably substituted by one or two substituents selected from alkylalkoxy, haloalkyl, acyl, alkoxyalkyl, unsubstituted or substituted aryl or unsubstituted or substituted aralkyl.

Substituted methylene is preferably substituted by one or two groups as defined for Y_1.

Acyl as or as part of a substituent is conveniently  $-\underset{\underset{O}{\|}}{C}-R'''$ wherein R''' is as defined for Y_1 (for example alkyl, haloalkyl, cycloalkyl, alkoxyalkyl, unsubstituted or substituted aryl (especially phenyl). Examples of acyl include acetyl, propionyl, butyryl, unsubstituted or substituted benzoyl, pivaloyl or chloracetyl, especially acetyl or unsubstituted or substituted benzoyl.

Cycloalkyl is preferably of 3 to 6 carbon atoms especially cyclopropyl, cyclopentyl or cyclohexyl, heterocyclo is preferably 5 or 6 membered and as defined for A definitions b) to g) and preferences or saturated and containing O, S or N as heteroatom, eg tetrahydrofuryl, piperidinyl, morpholinyl.

For convenience bridging members such as  $-\underset{\underset{H}{|}}{C}=$ are so written but are to be understood as embracing  $=\underset{\underset{H}{|}}{C}-$.

Carbamoyl or substituted carbamoyl moieties are attached to the molecule which they substitute via their carbonyl. Amido or substituted amido moieties are attached to the molecule which they substitute via their nitrogen atom.

A particular group of compounds of formula I (compounds Ia) comprises those wherein ring system A is selected from phenyl, pyridyl or pyridyl-N-oxide.

R is a carboxyl group which may be in the form of the free acid or in ester or salt form, a thiocarboxyl group which may be in the form of the free acid or in ester form, a carbamoyl group or a mono- or di- substituted carbamoyl group.

Y_1, Y_2 and Y_3 are attached to carbon atoms and are independently hydrogen, halogen, alkyl, alkoxy;

each of W_1, W_2, W_3, W_4 and W_5 is independently CH, CR_3 or nitrogen;

W_6 is NH or oxygen;

Z is a 2- or 3-membered bridge comprised of elements selected from methylene, substituted methylene or $\underset{\underset{O}{\|}}{-C-}$

R_1 and R_3 each is independently hydrogen, halogen, alkyl, alkoxy, aryloxy or aralkoxy.

X and Y each is independently hydrogen, hydroxy, cyano, alkoxy, acyloxy or together represent =O; or

X and R together form a bridge having the formula $-\overset{\overset{O}{\|}}{C}-O-$ or $-\overset{\overset{O}{\|}}{C}-\underset{\underset{R_2}{|}}{N}-$ wherein the carbonyl is attached to A.

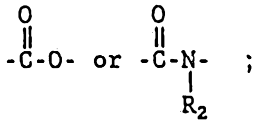
When R is carboxyl or thiocarboxyl in ester form it is preferably of formula $-COOR_5$ or $COSR_5$;

wherein each R_5 is independently alkyl, alkoxyalkyl, alkenyl, alkynyl, substituted aryl or unsubstituted or substituted aralkyl.

When R is carboxy or thiocarboxyl in salt form the salt is preferably formed with an alkali metal, alkali earth metal, optionally substituted ammonium cation especially the cation of an alkali metal (e.g. the Li or Na cation) or of an earth alkali metal (e.g. the Ca or Mg cation); the ammonium cation; a substituted ammonium cation [such as a C_{1-5}alkylammonium cation, a di-C_{1-5}alkylammonium cation, a tri-C_{1-5}alkylammonium cation, a tetra-C_{1-5}ammonium cation.

When R is carbamoyl or mono- or di- substituted carbamoyl it is preferably of formula $CONR_7R_8$ wherein R_7 is hydrogen, alkyl, haloalkyl, alkoxyalkyl, unsubstituted or substituted aryl or unsubstituted or substituted aralkyl and R_8 is hydrogen, alkyl, NH_2, NHR_6 or OR_6 wherein R_6 is as defined for R_7.

A particular compound group (compounds Ib) comprises those compounds of formula I wherein ring system A represents phenyl, pyridyl or thienyl; B represents pyrimidinyl or triazinyl; R represents a ring C especially oxazole, oxazolone, oxazolidine or oxazolidinone; carboxyl in the form of the free acid or in ester or salt form; substituted carbamoyl, cyano or together with X represent


$-\overset{O}{\overset{\|}{C}}-O-$ or $-\overset{O}{\overset{\|}{C}}-\underset{R_2}{\underset{|}{N}}-$;

Y_1, Y_2 and Y_3 each represent independently hydrogen, halogen, alkyl, alkoxy, alkylthio or arylthio.

X, Y each represent independently hydrogen, hydroxy, alkoxy, acyloxy, a ring B, halogen, alkylthio or arylthio or together =O or =NH

and R_1 and R_3 each represent independently halogen, alkoxy, alkyl, haloalkoxy, optionally substituted aryloxy, aralkoxy, alkylnyloxy, alkenyloxy.

A further compound group comprises compounds Ib wherein Y_1, Y_2 and Y_3 additionally may each represent independently aralkoxy, alkenyloxy or alkynyloxy.

B is especially pyrimidinyl, particularly 4,6-dimethoxy-2-pyrimidinyl.

A is especially phenyl or pyridyl substituted as defined above.

X and Y are preferably hydrogen, halogen, cyano, hydroxy, alkoxy or together =O, especially hydrogen, hydroxy or together =O.

A further group of compounds according to the invention (Compounds Ic) comprises those of formula I wherein ring system A is pyridyl,

R is $CONR_7'R_8'$

wherein R_7' and R_8' represent independently hydrogen, alkoxy, alkyl; or aryl or aralkyl each of which may be unsubstituted or substituted,

X is hydrogen,

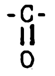
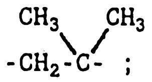
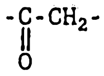
Y is OR_3', SR_3' on $OCOR_3'$

wherein R_3' is alkyl; or aryl; or aralkyl each of which may be unsubstituted or substituted,

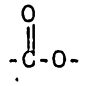
or X and Y together represent =O or =S and ring system B is m-CF_3 phenyl.

Within this group Ic, compounds are preferred wherein X is OH and Y is H or X and Y together represent =O, A is 2- or 3-pyridyl, R_7 is hydrogen or alkyl especially methyl, R_8 is phenyl or benzoyl which may be unsubstituted or substituted eg 1-3 times by halogen, alkyl and/or alkoxy. The following meanings are preferred independently for each substituent.

A a) meanings a) and b)
  b) phenyl
  c) pyridyl

R	a) carboxyl in the form of the free acid or in salt or ester form or carbamoyl or mono- or di-substituted carbamoyl
	b) $COOR_5$ wherein R_5 is hydrogen alkyl, COO^+Ma^- wherein Ma is an alkali metal cation or $CONR_7R_8$ wherein R_7 is hydrogen or alkyl and R_8 is alkyl, aryl or substituted aryl
	c) COO^-Na^+, $COOCH_3$, $CONHC_6H_{13}$, $CONH(CH_3)$ phenyl
Y_1	a) hydrogen, halogen, alkyl or alkoxy
	b) halogen, especially fluorine or chlorine
Y_2, Y_3	a) hydrogen or halogen, alkyl or alkoxy
	b) hydrogen or halogen
	c) hydrogen
W_1	N
W_2	a) CH or N
	b) CH
W_3	CR_3
W_4	N
W_5	a) CH or N
	b) N
W_6	a) O
	b) NH
Z	a) elements selected from methylene, substituted methylene,  $-\underset{\underset{O}{\parallel}}{C}-$
	b)  $-CH_2-C(CH_3)_2-$;  $-\underset{\underset{O}{\parallel}}{C}-CH_2-$
X_1, X_2	a) alkoxy, especially methoxy
	b) hydroxy
X_3	a) hydrogen
	b) alkoxy especially methoxy
R_1, R_3	a) alkoxy, especially methoxy
R_4	a) halogen, especially chlorine
	b) alkyl, especially methyl
R_2	a) alkyl, especially methyl
	b) hydrogen
R_5	a) alkyl, alkenyl or alkynyl
	b) C_{1-4}alkyl, especially methyl or ethyl

	c) C_{2-4}alkenyl
	d) C_{2-4}alkynyl, especially propargyl
R_6, R_7	a) alkyl
	b) methyl, ethyl
R_8	a) hydrogen
	b) alkyl, especially methyl or ethyl
	c) an aryl, especially a phenyl
R_9, R_{10}, R_{12}, R_{15}, R_{19}	a) hydrogen or alkyl
	b) hydrogen or methyl
R_{11}	a) alkyl
	b) propyl (n- or iso-)
Y_4	a) alkyl or alkoxy
	b) CH_3 or CH_3O
R_{13}, R_{14}	a) hydrogen or halogen
	b) hydrogen or fluorine
R_{16}	a) alkyl
	b) C_{1-4}alkyl, especially methyl or ethyl
R_{17}	a) $S(O)_n$alkyl or $COOR_9$
	b) SO_2CH_3 or $COOCH_3$
R_{18}	a) hydrogen
R_{20}	a) alkyl or phenyl
	b) methyl or phenyl
n	a) 2
	b) 0
n'	a) 2
	b) 3
n''	a) 1
	b) 0
m	a) 1
	b) 2
X	a) hydroxyl
	b) hydrogen
	c) taken with Y, $=O$
	d) acyloxy
	e) alkoxycarbonyloxy
	f) carbamoyloxy

	g) sulphonyloxy
Y	a) taken with X, =O
	b) hydrogen
X + R	 $-\overset{O}{\overset{\|}{C}}-O-$
R'	a) alkyl
	b) alkoxy
R''	a) alkyl
	b) methyl
R'''	a) alkyl
	b) aryl, especially phenyl
Ring A, Ring B	a) at least one contains a heteroatom
	b) ring A = a phenyl or a pyridine ring B = a pyrimidine especially 3,5 dimethoxy pyrimidine

Combinations of the above listed preferred meanings are especially preferred. One such combination comprises compounds of formula (I) in which

A is phenyl or pyridyl;

R is a carboxyl group in the form of a free acid or salt; carbamoyl; $COOR_5''$ wherein R_5'' is C_{1-5}alkyl or C_{2-5}alkenyl or $CONR_7''R_8''$ wherein

R_7'' is C_{1-12}alkyl, amino, C_{1-4}alkylamino, anilino, haloanilino, benzyl, halobenzyl, C_{1-4}alkylbenzyl, C_{1-4}alkoxybenzyl, phenyl, halophenyl, C_{1-4}alkylphenyl or C_{1-4}alkoxyphenyl;

R_8'' is hydrogen or C_{1-4}alkyl;

Y_1, Y_2 and Y_3 are independently hydrogen or halogen;

W_1 and W_4 are N;

W_2 is CH;

W_3 is CR_3 wherein R_3 is C_{1-5}alkoxy;

R_1 is C_{1-5}alkoxy;

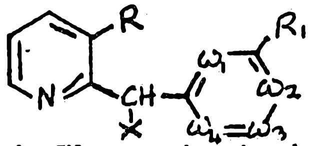
X is hydroxyl or C_{1-4}alkoxycarbonyloxy or taken with Y is =O;

Y is hydrogen or taken with Y is =O; or

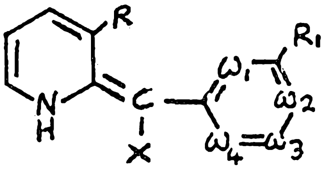
X and R together form a bridge having the formula -C(O)O- wherein the carbonyl is attached to A, and Y is hydrogen or C_{2-8}acyloxy.

Examples of preferred compounds according to the invention are compound nos. 13, 40, 53, 55, 58, 64, 77, 78, 82, 91, 103, 111, 124, 125, 130, 143, 149, 163, 170, 175, 183, 199, 204, 205, 211, 219, 220, 224, 247, 249, 258, 262, 263, 265, 266, 267, 273 and 277.

Compounds having the formula

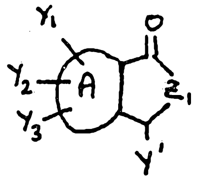


especially those wherein X is CN may exist in the alternate tautomeric form



The compounds of formula I according to the invention may be prepared as follows.

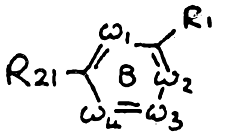
a) when X and R combine to form a bridging group as defined above and Y is hydrogen, cyano, arylthio, arylsulfinyl or arylsulfonyl, reacting a compound of formula II



(II)

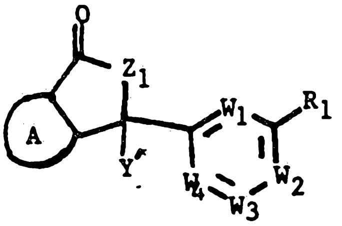
wherein A is as defined above, Y' represents hydrogen, cyano, arylthio, arylsulfinyl or arylfulfonyl and Z_1 represents oxygen, sulfur or NR_2 wherein R_2 is as defined above except for hydrogen.

with a compound of formula III



(III)

wherein W_1, W_2, W_3, W_4 are as defined above and R_{21} represents methylsulfonyl, or halogen to obtain the corresponding compound of formula Ip



(Ip)

b) treating a compound of formula Ip wherein Y' represents cyano or arylsulfonyl and Z_1 represents oxygen and the other symbols are as defined above.

(i) by hydrolysis to give a corresponding compound of formula I wherein R and X form a bridge and Y is hydroxy or a compound of formula I wherein X and Y together form $=O$

(ii) with an amine to give a corresponding compound of formula I wherein R is an optionally substituted carbamoyl group and X and Y together form $=O$

(iii) with a group

$$MOR_{22}$$

wherein M is an alkali metal and R_{22} is hydrogen or alkyl, to give a corresponding compound wherein R and X form a bridge and Y is hydroxy or alkoxy

c) hydrolyzing a compound of formula Ip wherein Y' represents hydrogen and Z_1 represents oxygen to give a compound of formula I wherein R is a carboxyl group optionally in salt form, X is hydrogen and Y is hydroxy

d) ring opening a compound of formula Ip wherein Y' represents hydroxy and Z_1 represents oxygen to give a compound of formula I wherein R is a carboxyl group optionally in salt form and X and Y together are $=O$

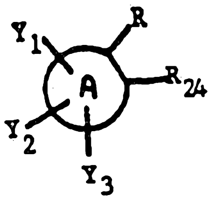
e) esterifying a compound of formula I wherein R is a carboxyl group optionally in salt form and X and Y are $=O$ to give the corresponding compound wherein R is a carboxyl group in ester form

f) halogenating a compound of formula Ip wherein Y' represent hydroxy to give a compound of formula I wherein X and R together form a bridging group and Y' is halogen

g) reacting a compound of formula Ip wherein Z_1 is oxygen and Y' is halogen with a group R_2NH_2 and a group HOR_{23} wherein R_{23} represents alkyl, acyl or aryl and R_2 is as defined above to give the corresponding compound wherein Z_1 is NR_2 and Y' is alkoxy, aryloxy or acyloxy

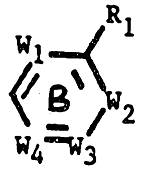
h) oxidizing a compound of formula Ip wherein Y' represents hydrogen to give the corresponding compound wherein Y' represents hydroxy

i) reacting a compound of formula IV

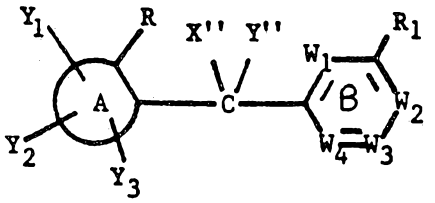


(IV)

with a compound of formula V



to produce a compound of formula Iq



(Iq)

wherein A, R, R_1, W_1, W_2, W_3, W_4, Y_1, Y_2 and Y_3 are as defined above and X'' and Y'' are hydrogen and R_{24} is alkyl, especially methyl

j) mono-or di-halogenating a compound of formula Iq wherein X'' and Y'' are hydrogen to produce the corresponding compound of formula Iq wherein one or both of X'' and Y'' are halogen

k) oxidizing a compound of formula Iq wherein X'' and Y'' are both hydrogen or X'' is halogen and Y'' is hydrogen to produce the corresponding compound wherein X'' and Y'' together represent =O or one represents hydrogen and the other represents hydroxy

l) alkylating a compound of formula Iq wherein X'' represents hydrogen and Y'' represents hydrogen to produce the corresponding compound wherein X'' represents alkyl and Y'' represents hydrogen

m) introducing an alkoxy or alkylthio group into a compound of formula Iq wherein X'' represents halogen and Y'' represents hydrogen to produce the corresponding compound wherein X'' represents alkoxy or alkylthio and Y'' represents hydrogen

n) acylating a compound of formula Iq wherein X'' represents hydroxy and Y represents hydrogen to produce the corresponding compound wherein X'' represents acyloxy amd Y'' represents hydrogen

o) reacting a compound of formula Ip wherein Z_1 is oxygen and Y' is hydrogen with a group R_7NH_2 wherein R_7 is as defined above to give a compound of formula I wherein R is monosubstituted carbamoyl, X is hydrogen and Y is hydroxy

p) sulfonylating, carbamoylating, acylating or carbalkoxylating a compound of formula Ip wherein Z_1 is oxygen and Y' is hydroxy to produce the corresponding compound of formula I wherein R and X form a $-\underset{\underset{O}{\|}}{C}-O-$ bridge and Y represents sulfonyloxy, carbamoyloxy, acyloxy or alkoxycarbonoyloxy

q) reacting a compound of formula Ip wherein Z_1 is oxygen and Y' is halogen with a group R_7R_8NH wherein R_7 and R_8 are as defined above (R_7 and $R_8 \neq H$) to give a compound of formula I wherein R is disubstituted carbamoyl, and X and Y together represent =O.

and recovering any compound wherein R is a carboxyl or thiocarboxyl group in free form or in ester form and any compound wherein R is carboxyl in free form or in salt form.

The following table is illustrative of suitable reaction conditions.

REACTION CONDITIONS

Reaction Reagents	Solvents	Temperature	Others
a) 1) a) base eg LDA or b) base eg NaH	1) and 2) inert eg DMF, ether, cyclic ether eg THF	a) reduced eg -70° b) R.T.	
2) III	-"-		
b) i)1) base eg NaOH 2) acidify	inert eg ether, cyclic ether eg THF or alcohol eg methanol	R.T.	
b)ii) 1) amine	inert eg ether, cyclic ether eg THF		
b)iii) MOR_{22}	alcohol eg methanol, cyclic ether eg THF		
c) base eg LiOH	water optionally with an alcohol or cyclic ether eg THF	R.T.	
d) base eg NaOH	as c)	R.T.	
e) halide eg IR_5 base eg K_2CO_3, NaH	inert eg DMF, 2-butanone (MEK)	elevated eg 50-80°	
f) halogenating agent eg $SOCl_2$, DMF	inert eg chlorinated hydrocarbon eg CCl_4 CH_2Cl_2	elevated eg 50-80°	
g) 1) R_7NH_{22}; $R_{23}OH$	as f)	elevated eg 50-80°	
h) 1) oxidizing agent eg NaOCl	1), 2) and 3) inert eg H_2O optionally with alcohol eg methanol	elevated eg 50°	
2) base eg NaOH		R.T.	
3) acid eg HCl		R.T.	
i) 1) base eg LDA	1) anhyd. inert eg ether such as cyclic ether eg THF	reduced eg -30°	
2) AcOH	2), 3) and 4) ether, H_2O	R.T.	
3) DDQ		reduced eg 0°	
4)aq NaOH		elevated eg 75°	
j) NBS, benzoylperoxide	inert eg halogenated hydrocarbon such as CCl_4	elevated eg 75°	
k) DMSO, Na_2CO_3	DMSO	elevated eg 50-60°	
l) base eg NaH, alkyl halide	inert eg ether, THF	0° → R.T.	
m) MOR_{22}, MSR_{22} eg $NaOCH_3$	inert eg DMF, alcohol	R.T. → 50°	

REACTION CONDITIONS (cont.)

Reaction Reagents	Solvents	Temperature	Others
n) acyl chloride eg $CH_3\overset{O}{C}Cl$ or anhydride eg Ac_2O; amine eg triethylamine	inert eg ether, THF pyridine	R.T. → 30°	
o) amine, eg α-methyl benzylamine	alcohol eg methnol	R.T. → 80°	
or			
amine, eg aniline, $CH_3SO_2NH_2$; Me_3Al (catalyst)	inert eg toluene CH_2Cl_2	R.T.	
p) acylchloride eg acetylchloride, ethylchloroformate or anyhdride; amine eg DMAP, triethylamine	inert eg ether THF, pyridine	R.T.	
or			
isocyanate eg methylisocyanate; amine eg triethylamine	-"-	-"-	
or			
sulfonyl chloride eg methylsulfonyl chloride; amine eg triethylamine	-"-	-"-	
q) R_7R_8NH, triethylamine, DMAP	inert eg CH_2Cl_2	-"-	

Process a) through p) also form part of the invention.

The starting materials of formula II or III are either known or may be prepared analogously to known methods.

The compounds of formula I have herbicidal activity as observed after their pre-emergent or post-emergent application to weeds or a weed locus.

The term "herbicide" (or "herbicidal") refers to an active ingredient (or an effect) which modifies the growth of plants because of plant growth regulating or phytotoxic properties so as to retard the growth of the plant or damage the plant sufficiently to kill it.

Application of a compound of formula I is made according to conventional procedure to the weeds or their locus using a herbicidally effective amount of the compound, usually from 10 g to 10 kg/ha.

Compounds according to the invention may be used in the control of both broad-leaf and grassy weeds on both pre- and post-emergent application. Compounds may also exhibit selectivity in various crops and are thus suited for use in weed control in crops such as corn, cotton, wheat and soybean.

The optimum usage of a compound of formula I is readily determined by one of ordinary skill in the art using routine testing such as greenhouse testing and small plot testing. It will depend on the compound employed, the desired effect (a phytotoxic effect requiring a higher rate than a plant growth regulating effect), the conditions of treatment and the like. In general satisfactory phytotoxic effects are obtained when the compound of formula I is applied at a rate in the range of from 0.01 to 5.0 kg, more preferably of from 0.05 to 2.5 kg per hectare, eg 0.05 to 5.0 kg per hectare, especially 0.1 to 2.5 kg kper hectare.

The compounds of formula I may be advantageously combined with other herbicides for broadspectrum weed control. Examples of herbicides which can be combined with a compound of the present invention include those selected from the carbamates, thiocarbamates, chloroacetamides, dinitroanilines, benzoic acids, glycerol ethers, pyridazinones, semicarbazones, uracils and ureas for controlling a broad spectrum of weeds.

The compounds of formula I are conveniently employed as herbicidal compositions in association with agriculturally acceptable diluents. Such compositions also form part of the present invention. They may contain, aside from a compound of formula I as active agent, other active agents, such as herbicides or compounds having antidotal, fungicidal, insecticidal or insect attractant activity. They may be employed in either solid or liquid forms eg in the form of a wettable powder or an emulsifiable concentrate incorporating conventional diluents. Such compositions may be produced in conventional manner, eg by mixing the active ingredient with a diluent and optionally other formulating ingredients such as surfactants.

Agriculturally acceptable additives may be employed in herbicidal compositions to improve the performance of the active ingredient and to reduce foaming, caking and corrosion, for example.

The term "diluent" as used herein means any liquid or solid agriculturally acceptable material which may be added to the active constituent to bring it in an easier or improved applicable form, respectively, to a usable or desirable strength of activity. It can for example be talc, kaolin, diatomaceous earth, xylene or water.

"Surfactant" as used herein means an agriculturally acceptable material which imparts emulsifiability, spreading, wetting, dispersibility or other surface-modifying properties. Examples of surfactants are sodium lignin sulfonate and lauryl sulfate.

Particularly formulations to be applied in spraying forms such as water dispersible concentrates or wettable powders may contain surfactants such as wetting and dispersing agents, for example the condensation product of formaldehyde with naphthylene sulphonate, an ethoxylated alkylphenol and an ethoxylated fatty alcohol.

In general, the formulations include from 0.01 to 90% by weight of active agent and from 0 to 20% by weight of agriculturally acceptable surfactant, the active agent consisting either of at least one compound of formula I or mixtures thereof with other active agents. Concentrate forms of compositions generally contain between about 2 and 90%, preferably between about 5 and 70% by weight of active agent. Application forms of formulation may for example contain from 0.01 to 20% by weight of active agent.

Typical herbicidal compositions, according to this invention, are illustrated by the following Examples A, B and C in which the quantities are in parts by weight.

EXAMPLE A

Preparation of a Dust

10 Parts of a compound according to this invention and 90 parts of powdered talc are mixed in a mechanical grinder-blender and are ground until a homogeneous, free-flowing dust of the desired particle size is obtained. This dust is suitable for direct application to the site of the weed infestation.

EXAMPLE B

Preparation of a Wettable Powder

25 Parts of a compound according to this invention are mixed and milled with 25 parts of synthetic fine silica, 2 parts of sodium lauryl sulphate, 3 parts of sodium ligninsulphonate and 45 parts of finely divided kaolin until the mean particle size is about 5 micron. The resulting wettable powder is diluted with water before use to a spray liquor with the desired concentration.

EXAMPLE C

Preparation of Emulsifiable Concentrate (EC)

13.37 Parts of a compound according to this invention are mixed in a beaker with 1.43 parts of Toximul 360A (a mixture of anionic and non-ionic surfactants containing largely anionic surfactants), 5.61 parts of Toximul 360A (a mixture of anionic and non-ionic surfactants containing largely non-ionic surfactants), 23.79 parts of dimethylformamide and 55.8 parts of Tenneco 500-100 (predominantly a mixture of alkylated aromatics such as xylene and ethylbenzene) until solution is effected. The resulting EC is diluted with water for use.

The following examples are provided to illustrate the practice of the present invention. Temperature is given in degrees Celsius.

Abbreviations used in this specification.

THF - tetrahydrofuran
LDA - lithiumdiisopropylamide
RT - room temperature
DMF - dimethylformamide
DDQ - 2,3-dichloro-5,6-dicyanobenzoquinone
NBS - N-bromosuccinimide
DMSO - Dimethylsulfoxide
MEK - Methylethylketone
DMAP - Dimethylaminopyridine

Individual alkyl substituents listed in the following tables from A to F are in the "n" isomeric form unless otherwise indicated.

EXAMPLE 1

7-chloro-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide

(Table A, cpd. no. 6)

1.68 g (0.01 mol) of 7-chlorophthalide is added to 100 ml of dry THF and the mixture cooled to -70°C. 6.8 ml (0.01 mol) of 1.5 M LDA is then added over 3 minutes and the reaction mixture stirred at -70°C for 15 minutes. 2.18 g (0.01 mol) of 2-methylsulfonyl-4,6-dimethoxypyrimidine in 50 ml of THF is then added and the mixture stirred for 4 hrs with temperature being maintained at -75 to -70°C. The reaction mixture is neutralized with 1.5 g of NH_4Cl in 5 ml of water, warmed and concentrated on a rotovaporator. The concentrate is partitioned between CH_2Cl_2/H_2O (50 ml each) and the aqueous phase separated and treated with further 30 ml of CH_2Cl_2. The combined CH_2Cl_2 phases are washed with 30 ml of water, separated and concentrated. The concentrate was flash chromatographed on silica gel using 80/20 hexane/ethyl acetate (500 ml), 50/50 hexane/ethyl acetate (500 ml) and 80/20 acetone/methanol (500 ml) (30 fractions X 50 ml). The title compound (fractions 9-23) was obtained after recrystalization from hexane/CH_2Cl_2 as a white solid, m.p. 148-149°C.

EXAMPLE 2

5-(4,6-dimethoxy-2-pyrimidinyl)-furo[3,4,b] pyridine-7(5H)-one

(Table B, cpd. no. 40)

A solution of 1.3 g (0.0096 mols) of furo [3,4-b]pyridine-7(5H)-one in 50 ml of dry THF is cooled to -75° C and 8 ml (0.0192 mols) of 2.5 M LDA added dropwise over 5 minutes. The mixture is allowed to react for 1 hr at -75° C and 2.1 g (0.0096 mol) of 2-methylsulfonyl-4,6-dimethoxypyrimidine in 30 ml of dry THF added dropwise over 10 minutes. The mixture is allowed to warm to RT, 1.6 ml of HCl added and the THF evaporated off. The residue is dissolved in 75 ml of CH_2Cl_2, washed with water (2 x 50 ml) and the organic phase concentrated to give a yellowish white gummy solid. This is chromatographed on a silica gel column using 50/50 hexane/ethyl - acetate (500 ml), ethyl acetate (500 ml) and 80/20 acetone/methanol (1000 ml) (30 fractions). The crystalline residue (fractions 18-21) of the title product has m.p. of 167-168°C.

EXAMPLE 3

7-chloro-3-methoxy-3-(4,6-dimethoxy-2-pyrimidinyl)-2-methyl-isoindol-1(3H)-one (Table C, cpd. no. 54)

A mixture of 0.5 g of 7-chloro-3-hydroxy-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide, 30 ml of CCl_4, 2 ml of $SOCl_2$ and 4 drops of DMF is heated at 65°C for 1½ hrs, cooled and excess $SOCl_2$ and CCl_4 removed on a rotovaporator. The residue is diluted with 20 ml of CH_2Cl_2 and added to a mixture of 5 ml of 40% aq methylamine and 10 ml of methanol with stirring over ½ hr. The mixture is placed on a rotovaporator and the residue partitioned between 50 ml each of CH_2Cl_2 and water. The organic phase is concentrated and flash chromatographed on silica gel using 50/50 hexane/ethyl acetate (800 ml), ethyl acetate (500 ml) and 80/20 acetone/methanol (200 ml) (30 fractions X 50 ml). The product (fractions 19-21) was obtained as a yellow gum.

EXAMPLE 4

7-chloro-3-hydroxy-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide (Table A, cpd. no. 13)

A mixture of 1.8 g of 7-chloro-3-cyano-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide, 50 ml of 1% NaOH and 50 ml of THF are stirred at room temperature for 3 hrs. The THF is removed by evaporation and the mixture is diluted with water and extracted twice with ethyl acetate. The aqueous solution is acidified with 2N-H_2SO_4. The resulting acid solution is extracted with 3 x 100 ml ethyl acetate and the organic phases combined, dried over Na_2SO_4 and concentrated to give a pale yellow solid. This residue is taken up in ethyl acetate and treated with activated charcoal until the yellow base line material is removed to give the title product as a white solid m.p. 188-190°C.

EXAMPLE 5

7-chloro-3-methoxy-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide (Table A, cpd. no. 30)

1.0 g of 7-chloro-3-cyano-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide is slurried in 20 ml of methanol and the solution cooled with ice and 0.6 ml of sodium methoxide added dropwise. After stirring for 10 min a further 1 ml of sodium methoxide is added and stirring continued for 10 min and the mixture is then quenched with 2N H_2SO_4. Methanol is removed on a rotovaporator and the residue partitioned between water and ethyl acetate. The organic phase is dried over Na_2SO_4 and concentrated. Flash chromatography of the residue over silica gel using 25% ethyl acetate/hexane yields a white solid m.p. 180-183°C.

EXAMPLE 6

a) Methyl 2-chloro-6-(4,6-dimethoxy-2-pyrimidinylcarbonyl)benzoate (Table C, cpd. no. 55), and

b) 7-chloro-3-chloro-(4,6-dimethoxy-2-pyrimidinyl)phthalide (Table A, cpd. no. 21)

A mixture of 0.7 g of 7-chloro-3-hydroxy-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide, 30 ml of CCl_4, 2 ml of $SOCl_2$ and 4 drops of DMF are refluxed at 60° for 1½ hrs. The mixture is then cooled, excess $SOCl_2$ and CCl_4 removed on a rotovaporator. The residue is diluted with 20 ml of CH_2Cl_2 and added to a stirred mixture of 10 ml of methanol and 2 ml of diethylamine. After 2½ hrs the mixture is stripped on a rotovaporator to remove excess CH_2Cl_2 and methanol and the residue partitioned between CH_2Cl_2 (50 ml) and water (50 ml). The organic phase is separated, concentrated and the gummy residue flash chromatographed over silica gel using 80/20 hexane/ethyl acetate (500 ml), 60/40 hexane/ethyl acetate (500 ml) (28 fractions X 50 ml). Fractions 18 to 20 yielded title compound a) and fractions 11 to 16 the compound b).

EXAMPLE 7

7-chloro-3-cyano-3-(4,6-dimethoxy-2-pyrimidinyl)phthalide (Table A, cpd. no. 27)

600 mg of 7-chloro-3-cyanophthalide are added to an ice-cold suspension of hexane washed 60% NaH (160 mg) in DMF (20 ml). After 15 min, 710 mg of 2-methylsulfonyl-4,6-dimethoxypyrimidine are added. After stirring at RT for 1½ hr the mixture is poured onto 200 ml of ice/water acidified with 2N H_2SO_4 and stirred. The precipitate is filtered and dried in a vacuum oven to yield the title product, m.p. 159-161°C.

EXAMPLE 8

7-chloro-3,3-bis(4,6-dimethoxy-1,3,5-triazin-2-yl)phthalide (Table A, cpd. no. 36)

1.48 g of 7-chlorophthalide are dissolved in 80 ml of THF. The solution is cooled to -70°C and 1.5 M LDA in THF (6 ml) is syringed in at -70°C over 3 min. Stirring is continued for 15 min at -70°, 1.54 g of 2-chloro-4,6-dimethoxy-1,3,5-triazine in 50 ml of THF added dropwise and the mixture is then allowed to warm to -20°. The mixture is again cooled to -70° and 1 ml of conc. HCl in 10 ml of water is added. The mixture is stirred for 25 min and allowed to warm to RT and the THF is removed by evaporation. The residue is partitioned between CH_2Cl_2 and water (50 ml each) and the aqueous phase extracted with an additional 30 ml of CH_2Cl_2. The combined organic phases are washed with 30 ml of water and concentrated to give a yellow gum. This is flash chromatographed on silica gel using 60/40 hexane/ethyl acetate (1000 ml), ethyl acetate (400 ml), 80/20 acetone/ methanol (500 ml) (30 fractions X 50 ml, 1 X 200 ml). Fractions 21 and 22 yielded a yellow gum which upon recrystalization from hexane yielded title product m.p. 126-127° as a yellow solid.

EXAMPLE 9

Lithium 2-chloro-6-(4,6-dimethoxy-α-hydroxy-2-pyrimidinylmethyl)-benzoate (Table C, cpd. no. 53)

A mixture of 1.0 g of 7-chloro-3-(4,6-dimethoxy-2-pyrimidinyl)-phthalide, 0.136 g of $LiOH.H_2O$, 2 ml of water and 10 ml of methanol is stirred overnight at RT. The mixture is evaporated to dryness on a roto-vaporator. Further drying in a drying pistol yield the title compound as a white solid, m.p. 153-157°C.

EXAMPLE 10

Lithium 3-[(4,6-dimethoxy-α-hydroxy-2-pyrimidinyl)methyl]pyridine-2-carboxylate (Table D, cpd. no. 64)

A mixture of 0.490 g of 5-(4,6-dimethoxy-2-pyrimidinyl)furo [3,4,b]-pyridine-7(5H)-one, 0.0768 gm of $LiOH.H_2O$, 10 ml of methanol and 2 ml of water is stirred for 24 hrs under nitrogen at RT and the solvent stripped off. The yellowish solid is dried for a further 2 hrs to yield the title product, m.p. >250°C (decomp.).

EXAMPLE 11

Sodium 2-chloro-6-[(4,6-dimethoxy-2-pyrimidinyl)carbonyl]benzoate (Table C, cpd. no. 58)

1.24 g of 7-chloro-3-hydroxy-3-(4,6-dimethoxy-2-pyrimidinyl)-phthalide, 154 mg NaOH, 25 ml THF and 25 ml water are mixed until a yellow homogenous solution is achieved. The solvents are stripped on a rotovaporator and then on a Kugelrohr at 100°C to produce the title compound as a yellow solid, m.p. 276-278°C.

EXAMPLE 12

3-[(4,6-dimethoxy-2-pyrimidinyl)carbonyl]-pyridine-2-carboxylic acid (Table D, cpd. no. 63)

490 mg of 5-(4,6-dimethoxy-2-pyrimidinyl)-furo[3,4-b]pyridine-7(5H)-one is dissolved in 50 ml of methanol and the mixture heated with stirring at 50°C until a homogenous solution is formed (ca ½ hr). 2.6 g of NaOCl is added dropwise and the solution heated for a further ½ hr at 55°C. 0.208 g of 50% NaOH is added at 55° and the mixture heated for a further ½ hr at this temperature and then cooled in an ice-bath and acidified with 1 ml conc. HCl. The solvent is evaporated and the residue partitioned between 50 ml of CH_2Cl_2 and 50 ml of water. The organic phase is concentrated to give a white solid, m.p. 71-73°.

EXAMPLE 13

2-[(4,6-dimethoxy-2-pyrimidinyl)-α-iminomethyl]benzoic acid (Table C, cpd. no. 51)

2.67 g of isopropyl 2-bromobenzoate are dissolved in 100 ml of dry diethylether, the solution cooled to -100° C and 6.6 ml of 1.6 M n-butyllithium solution added. Stirring is continued for 10 min and 12 g of 2-cyano-4,6-dimethoxypyrimidine in 60 ml of diethylether is added over 2 min at -100°C. The mixture is stirred for ½ hr at -80° and then allowed to warm to RT. 3 g of NH_4Cl in 30 ml of water is added to the reaction mixture, cooled in an ice-bath. The ether layer is separated off, washed with water (2 x 30 ml) and concentrated. The gummy residue is dissolved in 20 ml of 85/15 hexane/ethyl acetate, and CH_2Cl_2, and flash chromatographed on silica gel using 800 ml 85/15 hexane/ethyl acetate, 500 ml 1% methanol in ethyl acetate, 500 ml 5% methanol in ethyl acetate and 500 ml of 80/20 acetone/methanol (40 fractions at 50 ml; 1 at 200 ml).

Fractions 7 to 10 yielded title compound which on recrystallization from CH_2Cl_2 melted at 225-235°C.

EXAMPLE 14

5-Chloro-5-(4,6-dimethoxy-2-pyrimidinyl)furo[3,4,b]pyridine-7(5H)-one (Table B, cpd. no. 68)

A mixture of 490 mg of 5-(4,6-dimethoxy-2-pyrimidinyl)furo[3,4,b]-pyridine-7(5H)one and 50 ml of methanol is heated at 55° for 1/2 hour or until a homogenous solution is formed. 2.6 g of NaOCl (common house bleach) is added dropwise. The mixture is taken up in dichloromethane an the organic phase separated and evaporated to dryness to yield the title compound.

EXAMPLE 15

3-[(4,6-dimethoxy-2-pyrimidinyl)carbonyl]-pyridine-2-carboxylic acid (Table E, cpd. no. 63)

0.208 g of 50% NaOH is added at 55° to a solution of 0.551 g of 5-chloro-5-(4,6-dimethoxy-2-pyrimidinyl)-furo[3,4,b]pyridine (Table B, cpd. no. 68) in 50 ml methanol. The mixture stirred for a further 1/2 hr at 55°, cooled in an ice-bath, acidified with 1 ml of concentrated HCl and the solvent evaporated. The residue is partitioned between 50 ml of CH_2Cl_2 and 50 ml H_2O and the CH_2Cl_2 layer concentrated to give 0.39 g of the title product as a white solid, m.p. 71-73°C.

EXAMPLE 16

2-(2-(4,4-dimethyl-oxazolin-2-yl)-benzyl)-4,6-dichloropyrimidine (Table C, cpd. no. 61)

To a mixture of 1.25 g of 2-o-tolyl-4,4-dimethyl-oxazoline in 20 ml of ether under N_2 atmosphere at -30°C is added by syringe 4.2 ml of 1.6 M n-butyllithium in hexane with stirring which is continued for 1 hr at -10°C. 0.98 g of 4,6-dichloropyrimidine in 20 ml of ether are added slowly to the reaction mixture which is then stirred at -45 to -30°C for 30 min and at 0°C for a further 30 min. The reaction mixture is quenched with acetic acid (0.4 ml) and water (0.1 ml) in THF (1.3 ml) and then treated with 1.5 g of 2,3-dichloro-5,6-dicyanobenzoquinone (DDQ) in 6 ml of THF. The temperature is brought to RT and the mixture stirred for 5 min after cooling to 0°C. 7.6 ml of 1N NaOH (cooled) are added and the mixture stirred for 5 min. The organic phase is separated and dried over Na_2SO_4 filtered and the solvent removed. Following chromatography (10/90 ether/hexane) the title product is obtained.

EXAMPLE 17

2-(2-(4,4-dimethyl-oxazolin-2-yl)-benzyl)-4,6-dimethoxypyrimidine (Table C, cpd. no. 48)

To a solution of 1.7 g of 2(2-(4,4-dimethyl-oxazolin-2-yl)-benzyl)-4,6-dichloropyrimidine in 100 ml of methanol are added 2.18 g of 25% methanolic $NaOCH_3$ and the mixture heated for 10 hrs at 65°C with stirring. The temperature is lowered to 60° and stirring continued overnight. The solvent is stripped and the residue taken up in 80 ml of toluene and 50 ml of water. The toluene layer was separated and washed with 50 ml of water, separated and concentrated to give the title compound as a yellow oil.

EXAMPLE 18

2-(2-(4,4-dimethyl-oxazolin-2-yl)-α-bromobenzyl)-4,6-dimethoxy pyrimidine (Table C, cpd. no. 62)

0.55 g of 2-(2-(4,4-dimethyl-oxazolin-2-yl)-benzyl)-4,6-dimethoxypyrimidine, 0.30 g of a N-bromosuccinimide, 0.03 g of benzoyl peroxide are dissolved in 60 ml of CCl_4 and heated under reflux overnight at 75°C. The reaction mixture is filtered and the filtrate washed with 5% $NaHCO_3$ solution (50 ml), 50 ml of water and the organic phase separated and concentrated to give the title compound.

EXAMPLE 19

2-(2-(4,4-dimethyl-oxazolin-2-yl)-benzoyl)4,6-dimethoxypyrimidine (Table C, cpd. no. 49)

A mixture of 1.2 g of 2-(2-(4,4-dimethyl-oxazolin-2-yl)-α-bromobenzyl)-4,6-dimethoxy-pyrimidine and 2 g of Na_2CO_3 in 30 ml of DMSO is heated with stirring at 50-60°C for 3 hrs. The mixture is poured into 150 ml of water and extracted with toluene. The toluene extract is washed twice with water (2 x 50 ml) separated and concentrated. The thus obtained gum is chromatographed with 800 ml of 80/20 hexane/ethyl acetate, 500 ml 70/30 hexane/ethyl acetate, 60/40 ml hexane/ethyl acetate (50 ml fractions) fractions 29 to 34 yielded the title compound.

EXAMPLE 20

2-chloro-6-(4,6-dimethoxy-2-pyrimidinylcarbonyl)-benzoic acid dimethylamide (Table C, cpd. no. 57)

1.0 g of 7-chloro-3-cyano-3-(4,6-dimethoxy-2-pyrimidinyl) phthalide is dissolved in 15 ml of THF. 0.7 ml of a 40% aqueous dimethylamine solution is then added via syringe whereupon the solution darkens. Stirring is continued at R.T. for 15 minutes and the mixture diluted with water and partitioned between ethyl acetate and water. The organic phase is separated, washed with 2N H_2SO_4, then brine, dried and concentrated. The residue is purified on silica gel, eluant 200 ml of 50% ethyl acetate/hexane then 100% ethyl acetate. Fractions 12 to 15 yielded the title compound, m.p. 141-142°C.

EXAMPLE 21

3-acetoxy-7-chloro-3-(4,6-dimethyloxy-2-pyrimidinyl)phthalide (Table A, cpd. no. 125)

1.1gof7-chloro-3-(4,6-dimethoxy-2-pyrimidinyl)-3-hydroxy-phthalide is dissolved in 20 ml of pyridine and 0.3 ml of acetic anhydride added with stirring. After stirring for 20 min the mixture is poured into 2N HCl and extracted with two portions of ethylacetate. The combined ethyl acetate extracts are washed once with 2N HCl, once with H_2O and once with brine and dried over magnesium sulfate. Filtration and evaporation produced the title compound as a white solid, m.p. 213-215°.

EXAMPLE 22

3-[(4,6-dimethoxy-α-hydroxy-2-pyrimidinyl)methyl]pyridine-2-carboxamide (Table E, cpd. no. 82)

To a solution of 0.9 g of ammonia, in 15 ml of methanol, is added 0.5 g of 3[(4,6-dimethoxy-2-pyrimidinyl)-7-azaphthalide. After stirring for 2 hrs at RT, the methanol is removed under reduced pressure and the concentrate recrystallized from toluene to give the title compound as a white solid, m.p. 135-137°C.

EXAMPLE 23

3[(4,6-dimethoxy-2-hydroxy-2-pyrimidinyl)methyl]pyridine-2-[carboxy-(4-isopropyl)anilide] (Table E, cpd. no. 183)

To a solution of 3 ml of 4-isopropylaniline in 50 ml of toluene is syringed in 4 ml of 15.6% trimethylaluminum in hexane at RT. The mixture is stirred for 0.5 hr at RT and 0.5 g of 3-[(4,6-dimethoxy-2-pyrimidinyl)-7-azaphthalide is added. The mixture is stirred for 2 hrs at RT and acidified with 30 ml of 10% hydrochloric acid at 5-10°C. The toluene solution is separated, washed with 20 ml of 10% hydrochloric acid, 20 ml of 5% sodium carbonate and 20 ml of water, dried and concentrated. The concentrate is recrystallized from hexane to yield the title compound as a white solid, m.p. 113-114°C.

TABLE 24

3-[(4,6-dimethoxy-α-(ethoxycarbonyloxy)-2-pyrimidinyl)methyl]-pyridine-2-carbaxamide (Table E, cpd. no. 129)

To a solution of 0.5 g of 3-[(4,6-dimethoxy-α-hydroxy-2-pyrimidinyl)-methyl]pyridine-2-carboxamide, 0.05 g of 4-(dimethylamino)pyridine, and 1 ml of triethylamine, in 20 ml of toluene and 10 ml of dichloromethane is added 1 ml of ethyl chloroformate at RT. After stirring for 1 hr at ambient temperature, the mixture is washed with water (2x30 ml), dried and concentrated on a rotoevaporator. The concentrate is digested with v/v mixture of hexane-toluene, 10 ml, at 50°C, cooled to RT and filtered to isolate 0.45 g of the title compound as a yellow solid, m.p. 112-114°C.

EXAMPLE 25

3-[(4,6-dimethoxy-α-benzoyloxy-2-pyrimidinyl)methyl]pyridine-2-(N,N-dibenzoyl)carboxamide (Table E, cpd. no. 159)

To a solution of 0.05 g of 3-[(4,6-dimethoxy-α-hydroxy-2-pyrimidinyl)methyl]-2-carboxamide, 0.5 g, 4-(dimethylamino)pyridine and 4 ml of triethylamine in 30 ml of dichloromethane is added 1.4 g of benzoyl chloride at RT in two portions. The reaction mixture is stirred at RT for 17 hrs and washed with 30 ml of water, 30 ml of 5% hydrochloric acid and 30 ml of water. The dichloromethane solution is concentrated and the concentrate flash chromatographed through 300 ml silica gel, 230-400 mesh, using 1 L 70/30 hexane-ethyl acetic and 500 ml 50/50 hexane-ethyl acetate as eluting solvent mixtures. Fractions 18-21 gave after recrystallization from 70/30 hexane ethyl acetate the title compound as a white solid, m.p. 168-170°C.

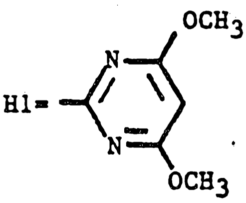
EXAMPLE 26

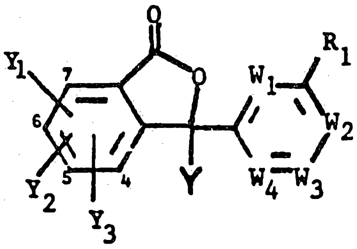
3-[(4,6-dimethoxy-α-(N-methylcarbamoyloxy)-2-pyrimidinyl)methyl]-2-pyridine carbox(N-allyl)amide (Table E, cpd. no. 133)

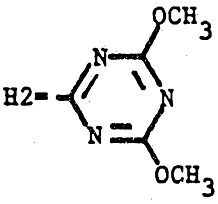
To a solution of 0.5 g of 3-[(4,6-dimethoxy-α-hydroxy-2-pyrimidinyl)-methyl]-2-pyridine carbox(N-allyl)amide and 3 drops of triethylamine, in 20 ml of dichloromethane is added 3 ml of methyl isocyante, in three 1 ml portion/day while stirring at RT for 3 days. The reaction mixture is washed with water (2x50ml), dried and concentrated. The concentrate is flash chromoatographed through 300 ml silica gel, 230-400 mesh, using 1 L 50/50 hexane-ethyl acetate, 500 ml ethyl acetate, 500 ml 80/20 ethyl acetate methanol taking 34 fractions (50 m/m). Fractions 21-25 give 0.4 g of the title product as a yellow gum.

The following compounds may be prepared analogously to the preceding examples or as otherwise described herein.

TABLE A







Cpd No	Y_1	Y_2	Y_3	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
1	H	H	H	OH	N	CH	C-OCH_3	N	OCH_3	136-138
2	H	H	H	H	N	CH	C-OCH_3	N	OCH_3	102-104
3	H	H	H	$O\underset{\underset{O}{\|}}{C}CH_3$	N	C-Br	C-OCH_3	N	OCH_3	215-225
4	H	H	H	H	N	C-Br	CH	N	OCH_3	136-138
5	H	H	5-Cl	H	N	CH	C-OCH_3	N	OCH_3	151-153
6	7-Cl	H	H	H	N	CH	C-OCH_3	N	OCH_3	148-149
7	H	6-Cl	H	H	N	CH	C-OCH_3	N	OCH_3	138-139
8	H	H	H	H	N	CH	C-Cl	N	OCH_3	152-153
9	7-Cl	H	H	H	N	CH	C-Cl	N	OCH_3	128-130
10	H	H	4-Cl	H	N	CH	C-OCH_3	N	OCH_3	98- 99
11	7-CH_3	H	H	H	N	CH	C-OCH_3	N	OCH_3	138-140
12	H	H	H	H	N	CH	C-Cl	N	CH_3	133-135
13	7-Cl	H	H	OH	N	CH	C-OCH_3	N	OCH_3	188-190
14	7-Cl	H	H	H	N	CH	C-OiC_3H_7	N	OCH_3	101-102
15	7-OCH_3	H	4-Br	H	N	CH	C-OCH_3	N	OCH_3	126-128
16	7-Cl	H	H	H	N	CH	C-OCH_2CF_3	N	OCH_3	112-113
17	7-Cl	H	H	H	N	CH	C-OCH_3	N	O-  F	136-138
18	7-Cl	H	H	H	N	CH	C-O-CH_2 	N	OCH_3	115-116
19	7-Cl	H	H	H	N	CH	C-OCH_3	N	-OCH_2 	85- 88
20	7-Cl	H	H	H	N	CH	C-OCH_3	N	-OC_2H_5	98-100
21	7-Cl	H	H	Cl	N	CH	C-OCH_3	N	-OCH_3	163-165
22	7-Cl	H	H	H	N	CH	C-$OCH_2C\equiv CCH_3$	N	-OCH_3	131-133

TABLE A (cont)

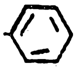
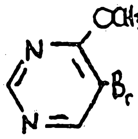
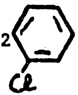
Cpd No	Y_1	Y_2	Y_3	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
23	7-Cl	H	H	SCH_3	N	CH	$C\text{-}OCH_2C{\equiv}CCH_3$	N	$-OCH_3$	134-136
24	7-Cl	H	H	H	N	CH	$C\text{-}OCH_3$	N	$-OCH_2CH{=}CH_2$	72-75
25	7-Cl	H	H	H	N	N	$C\text{-}OCH_3$	N	$-OCH_3$	157-160
26	$7\text{-}OCH_3$	H	H	H	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	152-154
27	7-Cl	H	H	CN	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	159-161
28	7-Cl	H	H	CN	N	N	$C\text{-}OCH_3$	N	$-OCH_3$	184-186
29	7-Cl	6-Cl	H	H	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	194-195
30	7-Cl	H	H	OCH_3	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	180-183
31	7-S	H	H	CN	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	169-171
32	$7\text{-}OCH_3$	$6\text{-}OCH_3$	H	-S	N	N	$C\text{-}OCH_3$	N	$-OCH_3$	134-136
33	7-Cl	H	H	H	N	CH	$C\text{-}CH_3$	N	$-CH_3$	164-166
34	H	H	H		N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	163-176
35	H	5-Cl	H	"H1"	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	151-153
36	7-Cl	H	H	"H2"	N	N	$C\text{-}OCH_3$	N	$-OCH_3$	126-127
37	H	H	H	OH	N	C-Cl	$C\text{-}OCH_3$	N	OCH_3	162-165
38	7-F	H	H	CN	N	CH	$C\text{-}OCH_3$	N	OCH_3	132-134
69	7-Cl	H	H	OC_2H_5	N	CH	$C\text{-}OCH_3$	N	OCH_3	148-151
72	$7\text{-}OCH_3$	H	H	CN	N	CH	$C\text{-}OCH_3$	N	OCH_3	159-163
73	H	H	H	CH_3	N	CH	$C\text{-}OCH_3$	N	OCH_3	87-89
75	H	H	H	"H1"	N	CH	$C\text{-}OCH_3$	N	OCH_3	168-170
88	7-Cl	H	H	H	N	CH	$C\text{-}OCH_2$	N	$-OCH_3$	gum, NMR
98	7-Cl	H	H	H	N	CH	$C\text{-}OCH_2$CH_3	N	$-OCH_3$	97-98
101	7-Cl	H	H	H	N	CH	$C\text{-}OCH_2$	N	$-OCH_3$	125-127

TABLE A (cont)

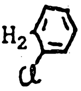
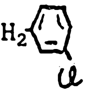
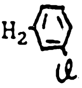
Cpd No	Y_1	Y_2	Y_3	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
102	7-Cl	H	H	H	N	CH	C-OCH$_2$	N	-OCH$_3$	83-85
104	7CH$_3$OC$_2$H$_4$--OCH$_2$O-	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	105-108
105	7CH$_3$OC$_2$H$_4$--OCH$_2$O-	H	H	OH	N	CH	C-OCH$_3$	N	-OCH$_3$	109-110
109	7-F	H	H	OCH$_3$	N	CH	C-OCH$_3$	N	-OCH$_3$	172-173.5
113	7-F	H	H	H	N	CH	C-OCH$_3$	N	-OCH$_3$	138-140
117	7-F	H	H	OH	N	CH	C-OCH$_3$	N	-OCH$_3$	183.5-185.5
118	7-OH	H	H	OH	N	CH	C-OCH$_3$	N	-OCH$_3$	121-122
120	7-O-CH$_2$- 	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	174-176
125	7-Cl	H	H	acetoxy	N	CH	C-OCH$_3$	N	-OCH$_3$	213-215
134	7-OH	H	H	OH	N	CH	C-OCH$_3$	N	-OCH$_3$	138-141 (decomp)
135	7CH$_3$SO$_2$O	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	159-161
137	7-OCON(C$_2$H$_5$)$_2$	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	123-125
138	7propargyloxy	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	174-175
139	7-OCH$_2$ 	H	H	CN	N	CH	C-OCH$_3$	N	-OCh$_3$	170-171
140	7-OCH$_2$ 	H	H	CN	N	CH	C-OCH$_3$	N	-OCH$_3$	169-172
145	7-OCH$_2$ 	H	H	H	N	CH	C-OCH$_3$	N	-OCH$_3$	108-110
146	7-OCH$_2$ 	H	H	H	N	CH	C-OCH$_3$	N	-OCH$_3$	115-118
147	7-OCH$_3$	H	H	OH	N	CH	C-OCH$_3$	N	-OCH$_3$	174-176
153	7propargyloxy	H	H	H	N	CH	C-OCH$_3$	N	-OCH$_3$	130-131

TABLE A (cont)

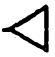
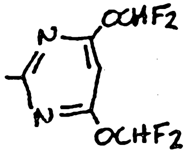
Cpd No	Y_1	Y_2	Y_3	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
154	7-OCH_2	H	H	CN	N	CH	C-OCH_3	N	-OCH_3	182-185 (decomp)
166	7-OCF_3	H	H	OH	N	CH	C-OCH_3	N	-OCH_3	131-132
167	7-OCH_3	H	H	acetoxy	N	CH	C-OCH_3	N	-OCH_3	201-202
180	7-OCH_2-Cl	H	H	H	N	CH	C-OCH_3	N	-OCH_3	133-136
190	7-Oallyl	H	H	H	N	CH	C-OCH_3	N	-OCH_3	109-110
195	7-CF_3O	H	H	acetoxy	N	CH	C-OCH_3	N	-OCH_3	165-166
203	7-Cl	H	H	propionoxy	N	CH	C-OCH_3	N	-OCH_3	178-180
204	7-Cl	H	H	hexanoyloxy	N	CH	C-OCH_3	N	-OCH_3	131-133
205	7-Cl	H	H	cyclopropyl-carbonyloxy	N	CH	C-OCH_3	N	-OCH_3	177-179
208	7-Cl	H	H	benzoyloxy	N	CH	C-OCH_3	N	-OCH_3	192-194
240	7-CL	H	H	crotonyloxy	N	CH	C-OCH_3	N	-OCH_3	158-160
250	7-Cl	H	4-Cl	OH	N	CH	C-OCH_3	N	-OCH_3	171-175
253	7-Cl	H	H	cinnamoyloxy	N	CH	C-OCH_3	N	-OCH_3	221-224
256	7-Cl	H	H	$\mathrm{O\underset{\underset{O}{\Vert}}{C}C_{17}H_{35}}$	N	CH	C-OCH_3	N	-OCH_3	102-103
258	7-Cl	H	H	2-butenoxy	N	CH	C-OCH_3	N	-OCH_3	102-103
263	7-Cl	H	4-Cl	$\mathrm{O\underset{\underset{O}{\Vert}}{C}CH_3}$	N	CH	C-OCH_3	N	-OCH_3	163-164
265	7-Cl	H	4-Cl	$\mathrm{O\underset{\underset{O}{\Vert}}{C}C_5H_{11}}$	N	CH	C-OCH_3	N	-OCH_3	87-91
266	7-Cl	H	4-Cl	$\mathrm{O\underset{\underset{O}{\Vert}}{C}}$	N	CH	C-OCH_3	N	-OCH_3	137-138
267	7-Cl	H	4-Cl	$\mathrm{O\underset{\underset{O}{\Vert}}{C}CH{=}CHCH_3}$	N	CH	C-OCH_3	N	-OCH_3	128-131
268	7-F	H	4-F	CN	N	CH	C-OCH_3	N	-OCH_3	135-136
269	7-Cl	H	4-Cl	CN	N	CH	C-OCH_3	N	-OCH_3	123-126
270	7-Cl	H	4-Cl	H	N	CH	C-OCH_3	N	-OCH_3	156-161
307	4-Cl	H	H	OH	N	CH	C-OCH_3	N	-OCH_3	146-150

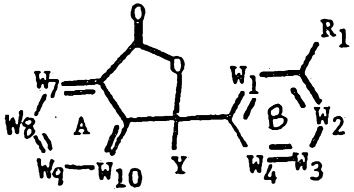
TABLE A (cont)

Cpd No	Y_1	Y_2	Y_3	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
319	4-Cl	H	H	CN	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	132-133
320	4-Cl	H	H	OCH_3	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	168-168.5
326	7-Cl	H	H	$O\underset{\overset{\|}{O}}{C}iC_3H_7$	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	142-143
409	7-Cl	H	H	$O\underset{\overset{\|}{O}}{C}tC_4H_9$	N	CH	$C\text{-}OCH_3$	N	$-OCH_3$	162-163

TABLE B

* =





Cpd No	W_7	W_8	W_9	W_{10}	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
39	CH	CH	CH	N	H	N	CH	C-OCH_3	N	-OCH_3	149-151
40	N	CH	CH	CH	H	N	CH	C-OCH_3	N	-OCH_3	167-168
41	CH	CH	N	CH	H	N	CH	C-OCH_3	N	-OCH_3	oil NMR
42	CH	N	CH	CH	H	N	CH	C-OCH_3	N	-OCH_3	120-126
43	N	C-Cl	CH	CH	H	N	CH	C-OCH_3	N	-OCH_3	166-169
44	N	CH	C-C_2H_5	CH	H	N	N	C-OCH_3	N	-OCH_3	oil NMR
45	N	CH	CH	CH	H	N	N	C-OCH_3	N	-OCH_3	75- 80
46	CH	C-C_2H_5	CH	N	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
47	CH	CH	CH	N	CH_3	N	CH	C-OCH_3	N	OCH_3	98-101
68	N	CH	CH	CH	Cl	N	CH	C-OCH_3	N	OCH_3	
70	N	CH	CH	CH	"H1"	N	CH	C-OCH_3	N	OCH_3	173-176
89	C-CH_3	CH	CH	N	H	N	CH	C-OCH_3	N	-OCH_3	129-131
92	N	CH	CH	CH	H	N	CH	C-OCH_2CF_3	N	-OCH_3	116-119

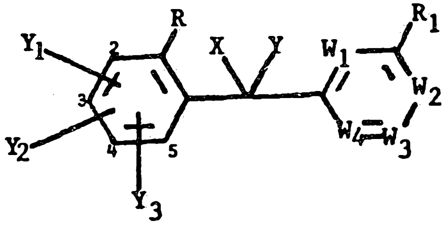
TABLE B (cont)

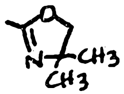
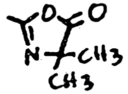
Cpd No	W_7	W_8	W_9	W_{10}	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
93	N	CH	CH	CH	H	N	CH	C-CH_3	N	-CH_3	193-195
94	N	CH	CH	CH	H	N	CH	C-Cl	N	-OCH_3	147-149
95	N	CH	CH	CH	H	N	CH	C-OCH_2Cl	N	-OCH_3	oil NMR
99	N	CH	CH	CH	H	N	CH	C-OC_3H_7	N	-OCH_3	140-142
100	N	CH	CH	CH	H	N	CH	C-OC_2H_5	N	-OCH_3	133-135
106	N	CH	CH	CH	H	N	CH	C-Oallyl	N	-OCH_3	112-114
107	N	CH	CH	CH	H	N	CH	-$COCH_2$-CH=$CHCH_3$	N	-OCH_3	oil NMR
114	C-Cl	N	CH	CH	H	N	CH	C-OCH_3	N	-OCH_3	168-170
121	C-OCH_3	N	CH	CH	H	N	CH	C-OCH_3	N	-OCH_3	150-153 (decomp)
136	N	CH	CH	CH	H	N	CH	C-OCH_3	N	H	158-160
141	N	CH	CH	CH	*	N	CH	C-$OCHF_2$	N	$OCHF_2$	145-147
148	CH	CH	CH	N	"H1"	N	CH	C-OCH_3	N	OCH_3	212-213
175	CH	CH	CH	N	OH	N	CH	C-OCH_3	N	OCH_3	172-178
260	C-CH_3	N	CH	CH	OH	N	CH	C-OCH_3	N	OCH_3	203-204

TABLE B (cont)

Cpd No	W_7	W_8	W_9	W_{10}	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
261	C-COOH	N	CH	CH	OH	N	CH	C-OCH_3	N	OCH_3	130-132 (decomp)
317	N	CH	CH	CH	H	N	CH	C-OCH_3	N	CH_3	138-140
408	N	CH	CH	CH	-$N(CH_3)OCH_3$	N	CH	C-OCH_3	N	OCH_3	168-170

TABLE C



Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
48	H	H	H		H	H	N	CH	C-OCH_3	N	-OCH_3	oil NMR
49	H	H	H	—‖—	=O		N	CH	C-OCH_3	N	-OCH_3	oil NMR
50	H	H	H		H	H	N	CH	C-OCH_3	N	-OCH_3	150-152
51	H	H	H	COOH	=NH		N	CH	C-OCH_3	N	-OCH_3	225-235 (free acid)
52	2-Cl	H	H	CN	H	H	N	CH	C-OCH_3	N	-OCH_3	94- 95
53	2-Cl	H	H	COOH	OH	H	N	CH	C-OCH_3	N	-OCH_3	153-157 (Li^+ salt)

5 691 78204

TABLE C (cont)

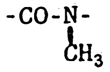
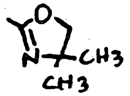
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
54	2-Cl	H	H	 $-CO-\underset{CH_3}{N}-$		OCH_3	N	CH	C-OCH_3	N	-OCH_3	oil NMR
55	2-Cl	H	H	-$COOCH_3$	=O		N	CH	C-OCH_3	N	-OCH_3	110-111
56	2-Cl	H	H	-$CONHCH_3$	OH	H	N	CH	C-OCH_3	N	-OCH_3	130-132
57	2-Cl	H	H	-$CON(CH_3)_2$	=O		N	CH	C-OCH_3	N	-OCH_3	141-142
58	2-Cl	H	H	COOH	=O		N	CH	C-OCH_3	N	-OCH_3	276-278 (Na^+ salt)
59	2-Cl	H	H	CONH- 	OCH_3	H	N	CH	C-OCH_3	N	-OCH_3	148-150
60	H	H	H	COOH	OH	H	N	CH	C-OCH_3	N	-OCH_3	185 (dec.) (Li^+ salt)
61	H	H	H		H	H	N	CH	C-Cl	N	Cl	NMR
62	H	H	H	—— " ——	Br	H	N	CH	C-OCH_3	N	OCH_3	
71	H	H	H	COOH	OH	H1	N	CH	C-OCH_3	N	OCH_3	158-160 (Li^+ salt)
74	H	H	H	COOH	OH	CH_3	N	CH	C-OCH_3	N	OCH_3	>250 (Li^+ salt)
76	2-Cl	H	H	$COOC_2H_5$	=O		N	CH	C-OCH_3	N	OCH_3	66-67
77	2Cl	H	H	COOallyl	=O		N	CH	C-OCH_3	N	OCH_3	81-83

TABLE C (cont)

Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
78	2Cl	H	H	COObuten-3-yl		=O	N	CH	$C-OCH_3$	N	OCH_3	48-50
79	2Cl	H	H	COObenzyl		=O	N	CH	$C-OCH_3$	N	OCH_3	99-101
80	2Cl	H	H	$-CO-\underset{iC_3H_7}{\underset{\mid}{N}}-$		OH	N	CH	$C-OCH_3$	N	OCH_3	99-101
81	2Cl	H	H	$-CO-\underset{CH_3}{\underset{\mid}{N}}-$		OH	N	CH	$C-OCH_3$	N	OCH_3	153-154
85	2Cl	H	H	$-CO-N(C_2H_5)_2$		=O	N	CH	$C-OCH_3$	N	OCH_3	110-111
86	H	H	H	COObenzyl	OH	H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
87	H	H	H	$-CO-\underset{phenyl}{\underset{\mid}{N}}-$		OH	N	CH	$C-OCH_3$	N	OCH_3	161-163
96	2-Cl	H	H	$-CO-N(C_2H_5)_2$	OH	H	N	CH	$C-OCH_3$	N	OCH_3	74-80
103	2-Cl	H	H	$-CONCH_3$(benzyl)		=O	N	CH	$C-OCH_3$	N	OCH_3	105-107
115	2-F	H	H	$-COOCH_3$		=O	N	CH	$C-OCH_3$	N	OCH_3	101-104
116	2-F	H	H	-COOallyl		=O	N	CH	$C-OCH_3$	N	OCH_3	97-99
122	H	H	H	-COOallyl		=O	N	CH	$C-OCH_3$	N	OCH_3	92-93
123	2-Cl	H	H	-COO 2-methyl-allyl		=O	N	CH	$C-OCH_3$	N	OCH_3	137-139
124	2-Cl	H	H	-COO-3-methyl-but-2-enyl		=O	N	CH	$C-OCH_3$	N	OCH_3	54-57
126	2-Cl	H	H	-COOpropargyl		=O	N	CH	$C-OCH_3$	N	OCH_3	138-140
143	2-Cl	H	H	-COObut-2-enyl		=O	N	CH	$C-OCH_3$	N	OCH_3	61-65
156	$2-OCH_3$	H	H	$-COOCH_3$		=O	N	CH	$C-OCH_3$	N	OCH_3	142-143
163	2-F	H	H	-COOH	OH	H	N	CH	$C-OCH_3$	N	OCH_3	Li^+ salt 220-240 (decomp)

TABLE C (cont)

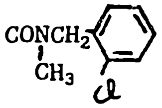
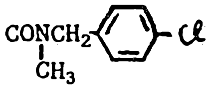
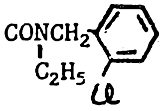
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
165	2-OCH_3	H	H	-COOallyl		=O	N	CH	C-OCH_3	N	OCH_3	100-101
181	2-OCH_2-	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt 158-159
191	2-CF_3O	H	H	$COOCH_3$		=O	N	CH	C-OCH_3	N	OCH_3	80-82 (decomp)
192	3-Cl	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt 170-174 (decomp)
194	5-Cl	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt 225-227 (decomp)
197.	4-Cl	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt 195-198 (decomp)
202	2-propargyloxy	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt >200 (decomp)
218	2-Cl	H	H			=O	N	CH	C-OCH_3	N	OCH_3	oil NMR
219	2-Cl	H	H			=O	N	CH	C-OCH_3	N	OCH_3	127-128
220	2-Cl	H	H			=O	N	CH	C-OCH_3	N	OCH_3	154-155
222	2-OC_3H_7	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Li^+ salt >273 (decomp)

TABLE C (cont)

Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
228	2-Cl	5-Cl	H	COOH		=0	N	CH	C-OCH$_3$	N	OCH$_3$	Na$^+$ salt >210 (decomp)
235	2-Cl	5-Cl	H	COOH	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	Na$^+$ salt >205 (decomp)
239	2-Cl	H	H	COOCH$_2$- -CH=CH-Cl		=0	N	CH	C-OCH$_3$	N	OCH$_3$	86-87
242	2-Cl	H	H	CON-"H1" CH$_3$	OCH$_3$	H	N	CH	C-OCH$_3$	N	OCH$_3$	112-113
243	H	H	H	COOH		=0	N	CH	C-OCH$_3$	N	OCH$_3$	Na$^+$ salt >295 (decomp)
244	2-F	H	H	COOH		=0	N	CH	C-OCH$_3$	N	OCH$_3$	Li$^+$ salt 276 (decomp)
247	2-Cl	H	H	COOC$_3$H$_7$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	63-65
249	2-Cl	5-Cl	H	COOCH$_3$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	130-132
251	2-Cl	H	H	COOCH$_2$CH=CHCl		=0	N	CH	C-OCH$_3$	N	OCH$_3$	107-108
262	2-Cl	5-Cl	H	COOallyl		=0	N	CH	C-OCH$_3$	N	OCH$_3$	89-90
264	2-Cl	5-Cl	H	COOC$_{12}$H$_{25}$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	oil NMR
274	2-F	5-F	H	COOH		=0	N	CH	C-OCH$_3$	N	OCH$_3$	Na$^+$ salt >295 (decomp)
277	2-Cl	H	H	COOC$_4$H$_9$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	NMR
281	2-Cl	H	H	COOC$_5$H$_{11}$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	NMR
287	2-Cl	H	H	COOC$_6$H$_{13}$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	70-71
299	2-Cl	H	H	COOC$_7$H$_{15}$		=0	N	CH	C-OCH$_3$	N	OCH$_3$	NMR

TABLE C (cont)

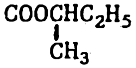
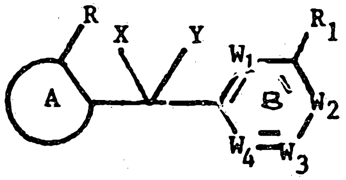
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
30[illegible]	2-Cl	H	H	$COOC_8H_{17}$		=O	N	CH	C-OCH_3	N	OCH_3	NMR
306	5-Cl	H	H	COOH		=O	N	CH	C-OCH_3	N	OCH_3	Na^+ salt 266-276 (decomp)
308	2-Cl	H	H	$COOC_{12}H_{25}$		=O	N	CH	C-OCH_3	N	OCH_3	NMR
314	2-Cl	H	H	 $\mathrm{COO\underset{CH_3}{\underset{\vert}{CH}}C_2H_5}$		=O	N	CH	C-OCH_3	N	OCH_3	92-94
315	2-Cl	H	H	CH_2OH	OH	H	N	CH	C-OCH_3	N	OCH_3	115-116
316	2-Cl	H	H	$COOC_3H_7$		=O	N	CH	C-OCH_3	N	OCH_3	109-110
321	5-Cl	H	H	$COOCH_3$		=O	N	CH	C-OCH_3	N	OCH_3	115-116

TABLE D



Cpd No	A *(anti clockwise)**	R	X	Y	W1	W2	W3	W4	R1	melting point (° C)
65	-S-CH=CH-	$-\underset{\underset{O}{\|}}{C}-O-$		H	N	CH	C-OCH$_3$	N	OCH$_3$	125-127
66.	-S-CH=CH-	$-\underset{\underset{O}{\|}}{C}-O-$		H	N	N	C-OCH$_3$	N	OCH$_3$	oil NMR
67	-CH=CH-CH=N-	COOH	OH	CH$_3$	N	CH	C-OCH$_3$	N	OCH$_3$	Li$^+$ salt >283 (decomp)
119	$=\underset{\mathrm{Cl}}{\underset{\|}{C}}-N=CH-CH=$	CONHCH$_3$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	oil NMR
142	=CH-CH=CH-N=	COOC$_2$H$_5$	CN	H	N	CH	C-OCH$_3$	N	OCH$_3$	109-111
149	=CH-CH=CH-N=	COOH	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	Li$^+$ salt 160-165 (decomp)
150	=CH-CH=CH-N=	CONHC$_3$H$_7$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	oil NMR
173	=CH-CH=CH-N=	COOH	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	142-145 (decomp)
174	=CH-CH=CH-N=	COOCH$_3$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	oil NMR
176	=CH-CH=CH-N=	COOCH$_3$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	129-131
178	$=\underset{\mathrm{OCH_3}}{\underset{\|}{C}}-N=CH-CH=$	COOCH$_3$	H	H	N	CH	C-OCH$_3$	N	OCH$_3$	oil NMR

TABLE D (cont)

Cpd No	A (anti clockwise)*	R	X		Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
179	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	COOH	H		H	N	CH	$C-OCH_3$	N	OCH_3	Li^+ salt >240 (decomp)
186	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	$COOCH_3$		=O		N	CH	$C-OCH_3$	N	OCH_3	147-149
187	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	COOH		=O		N	CH	$C-OCH_3$	N	OCH_3	Na^+ salt 235
198	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	$COOCH_3$	Br		H	N	CH	$C-OCH_3$	N	OCH_3	125-126
199	=CH-CH=CH-N=	COOH	OH		H	N	CH	$C-OCH_3$	N	OCH_3	Na^+ salt 242 (decomp)
206	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	$COOCH_3$	acetoxy		H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
216	$=\underset{\mid}{C}-N=CH-CH=$ OCH_3	$COOC_2H_5$	H		H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
236	$=\underset{\mid}{C}-N=CH-CH=$ CH_3	$COOC_2H_5$	Br		H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
237	$=\underset{\mid}{C}-N=CH-CH=$ CH_2Br	$COOC_2H_5$	Br		H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
238	$=\underset{\mid}{C}-N=CH-CH=$ CH_2OCOCH_3	$COOC_2H_5$	Br		H	N	CH	$C-OCH_3$	N	OCH_3	oil NMR
248	$=\underset{\mid}{C}-N=CH-CH=$ CH_3	$COOC_2H_5$		=O		N	CH	$C-OCH_3$	N	OCH_3	103-104

TABLE D (cont)

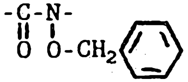
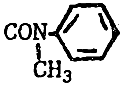
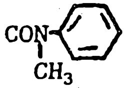
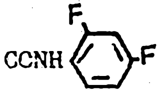
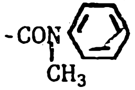
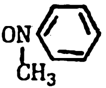
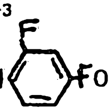
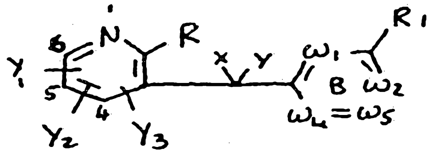
Cpd No	A *(anti clockwise)**	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
254	$=\underset{CH_3}{C}-N=CH-CH=$	COOH	=O		N	CH	C-OCH_3	N	OCH_3	180-185 (decomp)
301	=CH-CH=CH-N=	$CON(C_2H_5)_2$	H	H	N	CH	C-OCH_3	N	OCH_3	69-72
3[illegible]	=CH-CH=CH-N=	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	K^+ salt 220-230 (decomp)
330	=CH-CH=CH-N=	$CON(C_2H_5)_2$	OtC_4H_9	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
414	-N=CH-CH=CH-			OH	N	CH	C-OCH_3	N	OCH_3	136-138
415	=CH-CH=CH-N=		=O		CH	CH	CH	CH	CF_3	
416	=CH-CH=CH-N=		OH	H	CH	CH	CH	CH	CF_3	
417	=CH-CH=CH-N=		OH	H	CH	CH	CH	CH	CF_3	
418	=CH-CH=CH-N=		OH	H	CH	CH	CH	CH	CF_3	
419	-N=CH-CH=CH-		=O		CH	CH	CH	CH	CF_3	

TABLE D (cont)

Cpd No	A (anti clockwise)*	R	X	Y	W_1	W_2	W_3	W_4	R_1	melting point (° C)
420	-N=CH-CH=CH-	-CON 	OH	H	CH	CH	CH	CH	CF_3	
421	-N=CH-CH=CH-	-CONH 	OH	H	CH	CH	CH	CH	CF_3	
422	-N=CH-CH=CH-	-CONH 	OH	H	CH	CH	CH	CH	CF_3	

*Left hand atom attached to R-bearing carbon

TABLE E



Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
63	H	H	H	COOH	=O		N	CH	C-OCH_3	N	OCH_3	164-166
64	H	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	>250 (K^+ salt)
82	H	H	H	$CONH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	135-137
83	H	H	H	CONHbenzyl	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
84	H	H	H	CONHallyl	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
91	H	H	H	$COOCH_3$	=O		N	CH	C-OCH_3	N	OCH_3	119-121
97	H	H	H	COObenzyl	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
108	H	H	H	$CONHCH_3$	OH	H	N	CH	C-OCH_3	N	OCH_3	127-129
110	H	H	H	$CONHC_3H_7$	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
111	H	H	H	$CONHC_6H_{13}$	OH	H	N	CH	C-OCH_3	N	OCH_3	67-69
112	H	H	H	CONH(i)C_3H_7	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
127	H	H	H	CONHallyl	benzoyloxy	H	N	CH	C-OCH_3	N	OCH_3	NMR
128	H	H	H	CONHallyl	acetoxy	H	N	CH	C-OCH_3	N	OCH_3	NMR
129	H	H	H	$CONH_2$	ethoxy-carbonyloxy	H	N	CH	C-OCH_3	N	OCH_3	112-114

(64: free acid m.p. 90-92°; sodium salt m.p. >190° (decomp); potassium salt m.p. >230° (decomp))

TABLE E (cont)

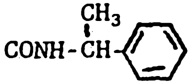
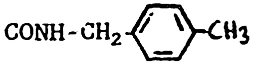
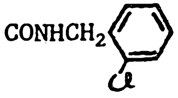
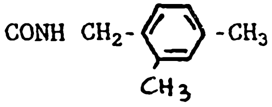
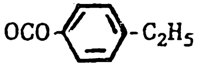
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
130	H	H	H	$CONHC_{12}H_{25}$	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
131	H	H	H	$CONHC_2H_4OCH_3$	OH	H	N	CH	C-OCH_3	N	OCH_3	79-80
132	H	H	H	$CONH_2NH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	75-78
133	H	H	H	CONHallyl	$OCONHCH_3$	H	N	CH	C-OCH_3	N	OCH_3	NMR
144	H	H	H	CONHpropargyl	OH	H	N	CH	C-OCH_3	N	OCH_3	102-104
151	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
152	H	H	H		OH	H	N	Ch	C-OCH_3	N	OCH_3	oil NMR
155	H	H	H	$CONHC_{18}H_{37}$	OH	H	N	CH	C-OCH_3	N	OCH_3	64-66
157	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	102-104
158	H	H	H	$CONH_2$	benzoyloxy	H	N	CH	C-OCH_3	N	OCH_3	115-116
159	H	H	H	$CON(benzoyl)_2$	benzoyloxy	H	N	CH	C-OCH_3	N	OCH_3	168-170
160	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	88-90
161	H	H	H	$CONH_2$		H	N	CH	C-OCH_3	N	OCH_3	138-140

TABLE E (cont)

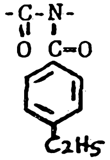
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
162	H	H	H	CON(CO-$C_2H_5)_2$	OCO--C_2H_5	H	N	CH	C-OCH_3	N	OCH_3	198-200
164	H	H	H	$CONHCH_2$--OCH_3	OH	H	N	CH	C-OCH_3	N	OCH_3	91-94
168	H	H	H	$CONHCH_2$--NO_2	OH	H	N	CH	C-OCH_3	N	OCH_3	103-106
169	H	H	H			H	N	CH	C-OCH_3	N	OCH_3	135-137
170	H	H	H	CONHNH(t)C_4H_9	OH	H	N	CH	C-OCH_3	N	OCH_3	109-110
171	H	H	H	$CONHCH_2$--C_2H_5	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
172	H	H	H	$CONHCH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	140-142
177	H	H	H	$CONHC_2H_4N(CH_3)_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
182	H	H	H	CONHNH	OH	H	N	CH	C-OCH_3	N	OCH_3	117-120

TABLE E (cont)

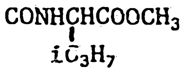
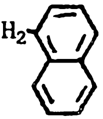
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
183	H	H	H	CONH--iC_3H_7	OH	H	N	CH	C-OCH_3	N	OCH_3	132-133
184	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	113-114
185	H	H	H	$CONHSO_2CH_3$	OH	H	N	CH	C-OCH_3	N	OCH_3	133-135
188	H	H	H	CONH--Cl	OH	H	N	CH	C-OCH_3	N	OCH_3	115-117
189	H	H	H	$CONHCH_2COOCH_3$	OH	H	N	CH	C-OCH_3	N	OCH_3	97-99
193	H	H	H	 $CONHCH(iC_3H_7)COOCH_3$	OH	H	N	CH	C-CCH_3	N	OCH_3	oil NMR
196	H	H	H	$CONHCH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
199	H	H	H	COOH	OH	H	N	CH	C-OCH_3	N	OCH_3	Na^+ salt 89-91
200	H	H	H	$CONHCH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	144-146
201	H	H	H	$CONHCH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR

TABLE E (cont)

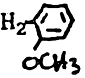
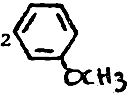
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
207	H	H	H	$CONH_2$	dichloro-acetoxy	H	N	CH	C-OCH_3	N	OCH_3	118-119
209	H	H	H	 $\underset{COOCH_3}{CONHCH}$-phenyl	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
210	H	H	H	$CONHCH_2$ 	OH	H	N	CH	C-OCH_3	N	OCH_3	119-121
211	H	H	H	$CONHCH_2$ 	OH	H	N	CH	C-OCH_3	N	OCH_3	125-127
212	H	H	H	$CONHCH_2$  SO_2NH_2	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
213	H	H	H	$CONHCH_2$ 	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
214	H	H	H	$CONHCH_2$ 	OH	H	N	CH	C-OCH_3	N	OCH_3	oil NMR
215	H	H	H	CONH-  -OCH_3	OH	H	N	CH	C-OCH_3	N	OCH_3	119-120
217	H	H	H	CONH-  -NO_2	OH	H	N	CH	C-OCH_3	N	OCH_3	182-183

TABLE E (cont)

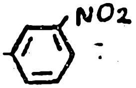
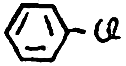
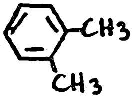
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
221	H	H	H	CONHCH$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	105-106
223	H	H	H	CONHCH$_2$-	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	130-131
224	H	H	H	CONHNH	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	149-150
225	H	H	H	CONHCH$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	98-100
226	H	H	H	CONH-N	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	40-42
227	H	H	H	CONHC$_2$H$_4$-N	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	NMR
229	H	H	H	CONHNH-OCH$_3$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	121-123
230	H	H	H	CONHNH-CH$_3$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	130-132
231	H	H	H	CONH-	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	138-140
232	H	H	H	CONH-	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	152-154
233	H	H	H	CONHC$_3$H$_6$(CH$_3$)$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	NMR
234	H	H	H	CONHC$_2$H$_4$N(C$_2$H$_5$)$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	NMR

TABLE E (cont)

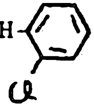
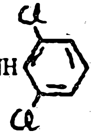
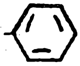
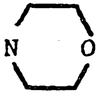
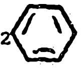
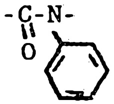
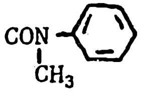
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
241	H	H	H	COOH	OH	"H1"	N	CH	C-OCH_3	N	OCH_3	Li^+ salt 158-160
245	H	H	H	CONHNH--Cl	OH	H	N	CH	C-OCH_3	N	OCH_3	150-154
246	H	H	H	CONHNH	OH	H	N	CH	C-OCH_3	N	OCH_3	133-134
252	H	H	H	CONHNH--Br	OH	H	N	CH	C-OCH_3	N	OCH_3	150-151
255	H	H	H	CONH-NO	OH	H	N	CH	C-OCH_3	N	OCH_3	52-54
257	H	H	H	$CONHNHCH_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
259	H	H	H	$CONHC_8H_{17}$	OH	H	N	CH	C-OCH_3	N	OCH_3	54-56
271	H	H	H		OH	N	CH	C-OCH_3		N	OCH_3	137-138
272	H	H	H	COOH	=O		N	CH	C-OCH_3	N	OCH_3	Li^+ salt 210 (decomp)
273	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	147-149

TABLE E (cont)

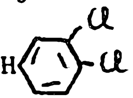
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
275	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	158-160
276	H	H	H	COOH	=O		N	CH	C-OCH_3	N	OCH_3	Na^+ salt 195 (decomp) K^+ salt (255 decomp)
278	H	H	H	CONHC_9H_{19}	OH	H	N	CH	C-OCH_3	N	OCH_3	45-47
279	H	H	H	CONH$C_{10}H_{21}$	OH	H	N	CH	C-OCH_3	N	OCH_3	49-51
280	H	H	H	CONHNH	OH	H	N	CH	C-OCH_3	N	OCH_3	58-62
282	H	H	H	CONHCH_2iPr	OH	H	N	CH	C-OCH_3	N	OCH_3	103-105
283	H	H	H	CONH$CH_2CH(OCH_3)_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
284	H	H	H	CONH-$C(CH_3)_2$-C≡CH	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
285	H	H	H	CONHC_4H_9	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
286	H	H	H	CONHCH-CH_2OCH_3 CH_3	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
288	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	182-184

TABLE E (cont)

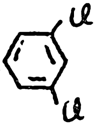
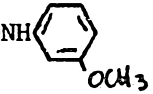
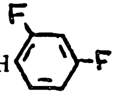
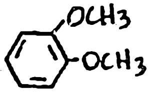
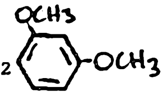
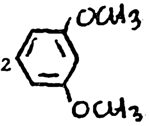
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
289	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	181-183
290	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
291	H	H	H	CONH$C_6H_{12}N(CH_3)_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
292	H	H	H	CONH$C_4H_8N(CH_3)_2$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
293	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	131-132
294	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	124-126
295	H	H	H	CONHCH_2 	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
296	H	H	H	CONHCH_2 	OH	H	N	CH	C-OCH_3	N	OCH_3	88-90
297	H	H	H	CONHCH_2 	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR

TABLE E (cont)

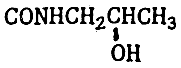
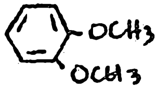
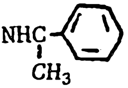
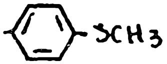
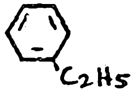
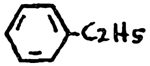
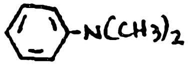
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
298	H	H	H	 $CONHCH_2\underset{OH}{C}HCH_3$	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
303	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	NMR
304	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	54-56
305	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	D(+) 64-68 L(-) NMR
309	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	133-134
310	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	102-104
311	H	H	H	CONH 	OH	H	N	CH	C-OCH_3	N	OCH_3	122-123
312	H	H	H	CONHNH 	OH	H	N	CH	C-OCH_3	N	OCH_3	126-128
313	H	H	H	$CONHCH_2$ 	OH	H	N	CH	C-OCH_3	N	OCH_3	106-108

TABLE E (cont)

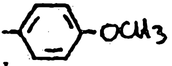
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
318	H	H	H	COOH	OH	H	N	CH	C-OCH$_3$	N	CH$_3$	Li$^+$ salt 185-188 (decomp)
322	H	H	H	COOH	OH	H	N	CH	C-OCH$_3$	N	CH$_3$	Li$^+$ salt >195 (decomp)
323	H	H	H	COOH	OH	H	N	CH	C-OCH$_3$	N	H	Li$^+$ salt >225 (decomp)
324	H	H	H	COOH	OH	H	N	CH	C-OCH$_3$	N	OC$_2$H$_5$	Li$^+$ salt 195 (decomp)
325	H	H	H	COOH	OH	H	N	CH	C-OCH$_3$	N	Cl	Li$^+$ salt 225 (decomp)
327	H	H	H	CONH 	H	H	N	CH	C-OCH$_3$	N	OCH$_3$	96-98
328	H	H	H	CON  CH$_3$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	114-116
329	H	H	H	CON  CH$_3$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	146-148
379	H	H	H	CON(C$_2$H$_5$)$_2$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	
380	H	H	H	CON(C$_2$H$_5$)$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	
381	H	H	H	CON(iC$_3$H$_7$)$_2$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	
382	H	H	H	CON(iC$_3$H$_7$)$_2$	OH	H	N	CH	C-OCH$_3$	N	OCH$_3$	
383	H	H	H	CON-OCH$_3$ CH$_3$	=O		N	CH	C-OCH$_3$	N	OCH$_3$	

TABLE E (cont)

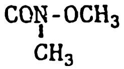
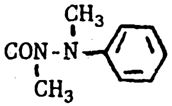
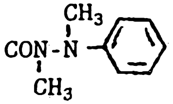
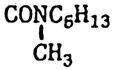
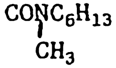
Cpd #	Y_1	Y_2	Y_3	R	X		Y	W_1	W_2	W_3	W_4	R_1	m.p.
384	H	H	H	 $\mathrm{CO}\underset{\mathrm{CH_3}}{\mathrm{N}}\mathrm{\text{-}OCH_3}$	OH		H	N	CH	C-OCH_3	N	OCH_3	
385	H	H	H	$CON(CH_3)_2$		=O		N	CH	C-OCH_3	N	OCH_3	
386	H	H	H	$CON(CH_3)_2$	OH		H	N	CH	C-OCH_3	N	OCH_3	
387	H	H	H			=O		N	CH	C-OCH_3	N	OCH_3	
388	H	H	H		OH		H	N	CH	C-OCH_3	N	OCH_3	
389	H	H	H			=O		N	CH	C-OCH_3	N	OCH_3	
390	H	H	H		OH		H	N	CH	C-OCH_3	N	OCH_3	
391	H	H	H			=O		N	CH	C-OCH_3	N	OCH_3	
392	H	H	H		OH		H	N	CH	C-OCH_3	N	OCH_3	
393	H	H	H	 $\mathrm{CO}\underset{\mathrm{CH_3}}{\mathrm{N}}\mathrm{C_6H_{13}}$		=O		N	CH	C-OCH_3	N	OCH_3	
394	H	H	H	 $\mathrm{CO}\underset{\mathrm{CH_3}}{\mathrm{N}}\mathrm{C_6H_{13}}$	OH		H	N	CH	C-OCH_3	N	OCH_3	

TABLE E (cont)

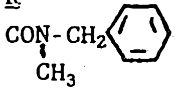
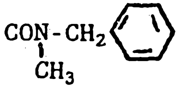
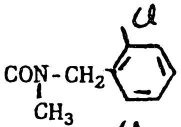
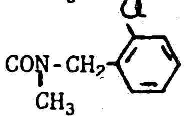
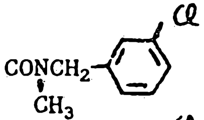
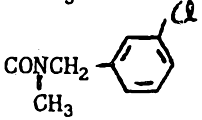
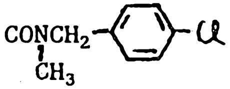
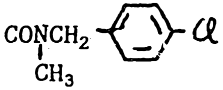
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
395	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
396	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	
397	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
398	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	
399	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
400	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	
401	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
402	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	

TABLE E (cont)

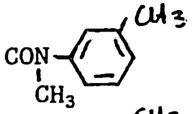
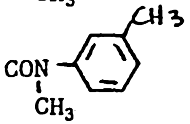
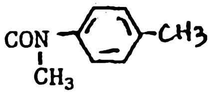
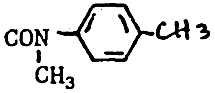
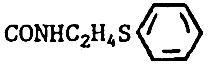
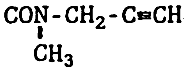
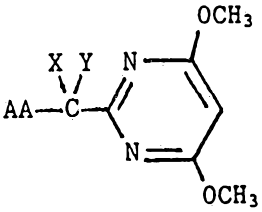
Cpd #	Y_1	Y_2	Y_3	R	X	Y	W_1	W_2	W_3	W_4	R_1	m.p.
403	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
404	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	
405	H	H	H		=O		N	CH	C-OCH_3	N	OCH_3	
406	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	
407	H	H	H		OH	H	N	CH	C-OCH_3	N	OCH_3	gum NMR
411	H	H	H	$CONHC_2H_4S_nC_4H_9$	OH	H	N	CH	C-OCH_3	N	OCH_3	gum NMR
413	H	H	H	 $\mathrm{CON(CH_3)\text{-}CH_2\text{-}C{\equiv}CH}$	=O		N	CH	C-OCH_3	N	OCH_3	gum NMR

TABLE F



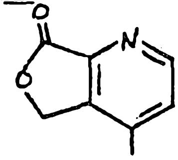
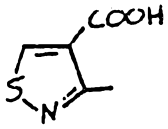
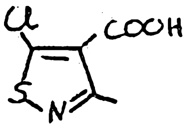
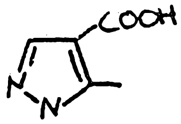
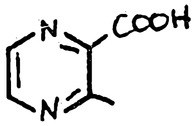
Cpd #	AA	X	Y	m.p.
90		H	H	123-125
331		=O		
332	— " —	OH	H	
333		=O		
334	— " —	OH	H	
335		=O		
336	— " —	OH	H	
337		=O		
338	— " —	OH	H	

TABLE F (cont)

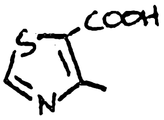
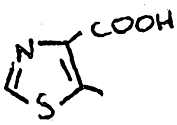
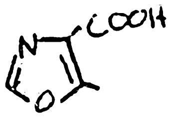
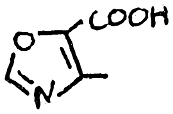
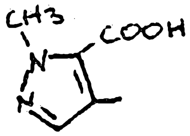
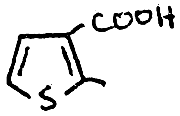
Cpd #	AA	X	Y	m.p.
339		=O		
340	——"——	OH	H	
341		=O		
342	——"——	OH	H	
343		=O		
344	——"——	OH	H	
345		=O		
346	——"——	OH	H	
347		=O		
348	——"——	OH	H	
349		=O		
350	——"——	OH	H	

TABLE F (cont)

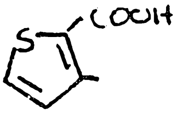
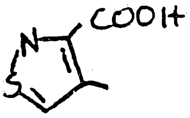
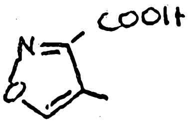
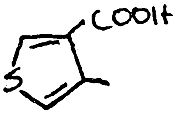
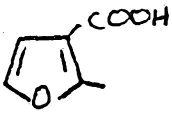
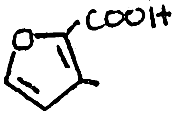
Cpd #	AA	X	Y	m.p.
351		=O		
352	——"——	OH	H	
353		=O		
354	——"——	OH	H	
355		=O		
356	——"——	OH	H	
357		=O		
358	——"——	OH	H	
359		=O		
360	——"——	OH	H	
361		=O		
362	——"——	OH	H	

TABLE F (cont)

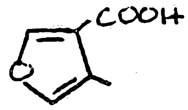
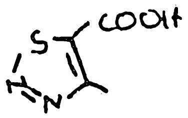
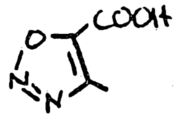
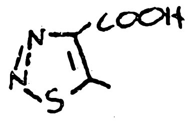
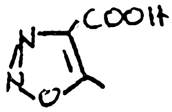
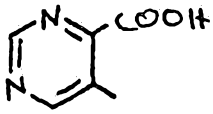
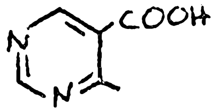
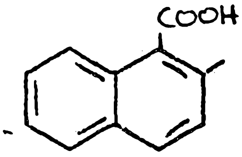
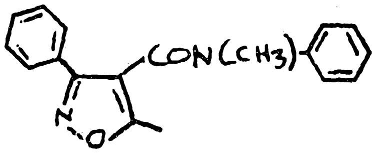
Cpd #	AA	X	Y	m.p.
363		=O		
364	—"—	OH	H	
365		=O		
366	—"—	OH	H	
367		=O		
368	—"—	OH	H	
369		=O		
370	—"—	OH	H	
371		=O		
372	—"—	OH	H	
373		=O		
374	—"—	OH	H	

TABLE F (cont)

Cpd #	AA	X	Y	m.p.
375			=O	
376	—"—	OH	H	
377			=O	
378	—"—	OH	H	
410		H	H	122-123
412	—"—	H	OtC_4H_9	gum NMR

Compounds of Table F wherein COOH is replaced by other meanings of R as listed in Tables C, D and E above for R may be prepared analogously.

NMR data [^{1}H nmr ($CDCl_3$)]

NMR data [^{1}H nmr ($CDCl_3$)]

Cpd No	
41	δ: 3.95 (s, 6H, OCH_3), 5.95 (s, 1H, pyrimidine H), 6.45 (s, 1H, OCH), 7.7-9.1 (m, 3H, pyridine H).
44	δ: 1.32 (t, 3H, CH_3), 2.87 (q, 2H, CH_2), 4.05 (s, 6H, OCH_3), 6.3 (s, 1H, OCH), 7.82 (d, 1H, arom.), 8.72 (d, 1H, arom.).
46	δ: 1,32 (t, 3H, CH_3), 2.85 (q, 2H, CH_2), 3.87 (s, 6H, OCH_3), 5.97 (s, 1H, pyrimidine H), 6.32 (s, 1H, OCH), 8.08 (d, 1H, pyridine H), 8.71 (d, 1H, pyridine H).
48	δ: 1.25 (s, 6H, CH_3), 3.85 (s, 6H, OCH_3), 3.95 (2H, OCH_2), 4.65 (s, 2H, CH_2), 5.85 (s, 1H, pyrimidine H), 7.2-8.0 (4H, aromatic).
49	δ: 1.00 (s, 6H, CH_3), 3.65 and 3.75 (d of d, 2H, OCH_2), 6.05 (s, 1H, pyrimidine H), 7.2-8.1 (4H, aromatic H).
54	δ: 2.9 (s, 3H, CH_3N), 3.10 (s, 3H, CH_3O), 3.90 (s, 6H aromatic OCH_3), 6.10 (s, 1H, pyrimidine H), 7.2-7.9 (3H, aromatic H).
61	δ: 1.24 (s, 6H, CH_3), 3.98 (s, 2H, CH_2O), 4.74 (s, 2H, CH_2), 7.16 (s, 1H, pyrimidine H).
65	δ: 3.96 (s, 6H, OCH_3), 5.96 (s, 1H, pyrimidine H), 6.32 (s, 1H, OCH), 7.27 (d, 1H, thienyl H), 7.85 (d, 1H, thienyl H).
66	δ: 4.08 (s, 6H, OCH_3), 6.27 (s, 1H, OCH), 7.18 (d, 1H, thienyl H), 7.95 (d, 1H, thienyl H).
76	δ: 1.63 (t, 3H, $CH_2C\underline{H}_3$), 3.91 (s, 6H, OCH_3), 4.1 (q, 2H, OCH_2), 6.08 (s, 1H, pyrimidine H), 7.2-7.8 (m, 3H, aromatic H).
83	δ: 3.85 (s, 6H, OCH_{33}), 4.52-4.63 (d, 2H, NCH_2), 5.21-5.42 (s, 1H, OH), 5.80 (s, 1H, OCH), 6.82 (s, 1H, pyrimidine), 7.25 (s, 5H, aromatic), 7.31-7.52 (m, 1H, pyridine), 7.80-8.12 (d, 1H, pyridine), 8.35-8.55 (d, 1H, pyridine), 8.58-8.88 (s, 1H, NH).
84	δ: 3.85 (s, 6H, OCH_3), 4.05 (t, 2H, NCH_2), 5.0-5.45 (m, 3H, $CH{=}CH_2$), 5.85 (s, 1H, pyrimidine), 6.80 (s, 1H, OCH), 7.2-8.6 (m, 3H, pyridine).
86	δ: 3.85 (s, OCH_3), 5.37 (s, OCH_2Ar), 5.85 (s, pyrimidine), 6.80 (d, OCH), 7.2-8.2 (m, aromatic), mixture with cpd. 40.
88	δ: 4.00 (s, 3H, OCH_3), 5,50 (s, 2H, OCH_2), 6.05 (s, 1H, pyrimidine H), 6.27 (s, 1H, O-CH) 7.1-7.7 (m, 8H, aromatic H).
95	δ: 3.85 (s, 6H, OCH_3), 5.42 (s, 2H, OCH_2), 6.05 (s, 1H, OCH), 6.42 (s, 1H, pyrimidine), 7.05-7.35 (s, 5H, aromatic), 7.35-7.768 (m, 11H, pyridine), 7.78-8.1 (d, 1H, pyridine), 8.81-9.01 (d, 1H, pyridine).
97	δ: 3.80 (s, 6H, OCH_3), 5.35 (s, 2H, OCH_2Ar), 6.85 (s, 1H, pyrimidine), 6.65 (s, 1H, OCH), 7.15-8.6 (m, 8H, aromatic), mixture with cpd. 40.

107	δ: 1.92 (s, 3H, $C\equiv CCH_3$), 3.98 (s, 6H, OCH_3), 4.92 (s, 2H, OCH_2), 6.07 (s, 1H, OCH), 6.58 (s, 1H, pyrimidine), 7.52-7.88 (m, 1H, pyridine), 8.10-8.32 (d, 1H, pyridine), 8.90-8.91 (d, 1H, pyridine).
110	δ: 0.75-1.12 (t, 3H, CH_3), 3.18-3.48 (m, 2H, CH_2), 3.81 (s, 6H, OCH_3), 4.42-4.91 (m, 3H, OH and NCH_2), 5.82 (s, 1H, OCH), 6.72 (s, 1H, pyrimidine), 7.21-7.52 (m, 1H, pyridine), 7.82-8.08 (d, 1H, pyridine), 8.32-8.61 (d, 1H, pyridine).
112	δ: 1.12-1.31 (d, 6H, CH_3), 3.81 (s, 6H, OCH_3), 4.12-4.32 (m, 1H, NCH), 5.85 (s, 1H, OCH), 6.71 (s, 1H, pyrimidine), 7.21-7.52 (q, 1H, pyridine), 7.81-8.09 (d, 1H, pyridine), 8.12-8.31 (m, 1H, NH), 8.39-8.55 (d, 1H, pyridine).
119	δ: 3.05 (d, 3H, NCH_3), 3.94 (s, 6H, OCH_3), 5.20 (s, 1H, OH), 5.75 (s, 1H, OCH), 5.98 (s, 1H pyrimidine H), 7.26 (d, 1H, pyridine H), 7.82 (q, 1H, NH), 8.28 (d, 1H, pyridine H).
127	δ: 3.75 (s, 6H, OCH_3), 4.05 (t, 2H, NCH_2), 5.05-5.5 (m, 3H, $CH=CH_2$), 5.85 (s, 1H, pyrimidine), 7.2-8.6 (m, 9H, aromatic + OCH).
128	δ: 2.20 (s, 3H, CH_3), 3.75 (s, 6H, OCH_3), 4.10 (t, 2H, NCH_2), 5.0-6.6 (m, 3H, $CH=CH_2$), 5.85 (s, 1H, pyrimidine), 7.2-8.6 (m, 5H, pyridine + NH, OCH).
130	δ: 0.75-1.61 (m, 15H, aliphatic), 1.61-3.02 (m, 8H, aliphatic), 3.15-3.61 (m, 2H, NCH_2), 3.81 (s, 6H, OCH_3), 5.82 (s, 1H, OCH), 6.81 (s, 1H, pyrimidine), 7.21-7.52 (q, 1H, pyridine), 7.92-8.15 (d, 1H, pyridine), 8.17-8.32 (m, 1H, NH), 8.35-8.52 (d, 1H, pyridine).
133	δ: 2.85 (d, 3H, NCH_3), 3.85 (s, 6H, OCH_3), 4.10 (t, 2H, NCH_2), 5.0-6.0 (m, 3H, $CH=CH_2$), 5.85 (s, 1H, pyrimidine), 7.2-8.6 (m, 4H, pyridine + OCH).
150	δ 0.96 (t, 3H, CH_3), 1.68 (m, 2H, $CH_2\underline{CH_2}CH_3$), 3.50 (m, 2H, NCH_2), 3.93 (s, 6H, OCH_3), 5.92 (s, 1H, pyrimidine H), 6.17 (d, 1H, OCH), 7.21 (d of d, 1H, pyridine H), 8.07 (d of d, 1H, pyridine H), 8.57 (d of d, 1H, pyridine H), 8.66 (t, 1H, NH).
151	δ: 1.41-1.61 (d, 3H, CH_3), 3.82 (s, 6H, OCH_3), 4.92-5.35 (m, 2H, NCH, OH), 5.81 (s, 1H, OCH), 6.85 (s, 1H, pyrimidine), 7.12-7.51 (m, 6H, aromatic and pyridine), 7.82-8.10 (d, 1H, pyridine), 8.38-8.50 (d, 1H, pyridine) 8.51-8.82 (m, 1H, NH).
152	δ: 2.25 (s, 3H, CH_3), 3.89 (s, 6H, OCH_3), 3.42-3.61 (d, 2H, NCH_2), 5.81 (s, 1H, OCH), 6.85 (s, 1H, pyrimidine), 7.03 (s, 4H, aromatic), 7.12-7.52 (q, 1H, pyridine), 7.85-8.12 (d, 1H, pyridine), 8.40-8.51 (d, 1H, pyridine), 8.58-8.72 (m, 1H, NH).
171	δ: 1.24 (t, 3H, CH_3), 2.60 (q, 2H, CH_2), 3.86 (s, 6H, OCH_3), 4.62 (d, 2H, NCH_2), 5.86 (s, 1H, pyrimidine H), 6.90 (d, 1H, OCH), 7.0-8.54 (m, 7H, aromatic H), 8.60 (bs, 1H, NH).
174	δ: 3.83 (s, 3H, OCH_3), 3.90 (s, 6H, OCH_3), 5.83 (s, 1H, pyrimidine H), 6.60 (d, 1H, OCH), 7.30 (d of d, 1H, pyridine H), 8.23 (d of d, 1H, pyridine H), 8.70 (d of d, 1H, pyridine H).

177 δ: 2.20 (s, 6H, CH_3), 2.31-2.60 (m, 2H, CH_2N), 3.31-3.75 (q, 2H, NCH_2), 3.78 (s, 6H, OCH_3), 5.75 (s, 1H, OCH), 6.61 (s, 1H, pyrimidine), 7.13-7.42 (q, 1H, pyridine), 7.71-7.91 (d, 1H, pyridine), 8.31-8.51 (d, 1H, pyridine).

178 δ: 3.85 (s, 9H, OCH_3), 3.95 (s, 3H, OCH_3), 4.14 (s, 2H, CH_2), 5.82 (s, 1H, pyrimidine H), 6.90 (d, 1H, pyridine H), 8.12 (d, 1H, pyridine H).

187 δ: 3.70 (s, 9H, OCH_3), 5.82 (s, 1H, pyrimidine H), ;6.80 (d, 1H, pyridine H), 8.10 (d, 1H, pyridine H).

193 δ: 0.85-1.05 (d, 6H, CH_3), 3.85 (s, 6H, OCH_3), 4.53-4.82 (q, 1H, CH), 5.25-5.55 (m, 2H, OH and NCH), 5.82 (s, 1H, OCH), 6.88 (s, 1H, pyrimidine), 7.25-7.51 (q, 1H, pyridine), 7.83-8.05 (d, 1H, pyridine), 8.41-8.60 (d, 1H, pyridine), 8.72-8.85 (d, 1H, NH).

196 δ: 3.78 (s, 6H, OCH_3), 4.51-4.78 (d, 2H, NCH_2), 5.35 (s, 1H, OH), 5.81 (s, 1H, OCH), 6.28 (s, 2H, furfuryl), 6.81 (s, 1H, pyrimidine), 7.12-7.43 (m, 2H, furfuryl), 7.82-8.05 (d, 1H, pyridine), 8.31-8.43 (d, 1H, pyridine), 8.52-8.71 (m, 1H, NH).

201 δ: 1.87-2.04 (m, 4H, CH_2 and tetrahydrofuran), 3.71-3.92 (m, 9H, OCH_3 and tetrahydrofuran), 5.86-5.87 (m, 2H, OCH and OH), 6.71 (d, 1H, pyrimidine), 7.31-7.42 (d, 1H, pyridine), 7.81-7.92 (d, 1H, pyridine), 8.41-8.50 (d, 2H, NH and pyridine).

206 δ: 2.22 (s, 1H, CH_3), 3.85 (s, 6H, OCH_3), 3.92 (s, 3H, OCH_3), 3.97 (s, 3H, OCH_3), 5.86 (s, 1H, pyrimidine H), 6.80 (s, 1H, OCH), 7.10 (d, 1H, pyridine H), 8.16 (d, 1H, pyridine H).

209 δ: 3.63-3.74 (t, 9H, OCH_3), 5.48-5.81 (m, 4H, CHO, OH, COCH), 6.88-7.42 (m, 8H, phenyl, pyrimidine, pyridine), 7.94-7.97 (d, 1H, pyridine), 8.45-8.47 (d, 1H, pyridine) 9.24-9.26 (d, 1H, NH).

212 δ: 3.85 (s, 6H, OCH_3), 4.41-4.52 (m, 2H, NCH_2), 5.21-5.72 (d, s, 4H, NH_2, OCH, OH), 6.61 (s, 1H, pyrimidine), 7.12-7.32 (m, 3H, pyridine, benzylsulfon), 7.71-7.80 (m, 3H, pyridine, benzylsulfon), 8.3 (d, 1H, pyridine), 8.71 (m, 1H, NH).

213 δ: 3.61 (s, 6H, OCH_3), 4.60-5.18 (m, 3H, $ArCH_2$, OH), 5.72 (s, 1H, OCH), 6.72 (s, 1H, pyrimidine), 7.15-7.17 (t, 5H, pyridine), 7.84-7.86 (d, 1H, pyridine), 8.38-8.44 (d, 2H, pyridine), 9.12 (s, 1H, NH).

214 δ: 3.75 (s, 6H, OCH_3), 4.72-4.74 (d, 2H, NCH_2), 5.04 (s, 1H, OCH), 6.86-6.96 (d, 3H, pyrimidine, thiophenyl), 7.14-7.16 (d, 1H, pyridine), 7.32-7.36 (d, 1H, pyridine), 7.94-7.97 (d, pyridine), 8.39-8.40 (d, 1H, pyridine), 8.71 (d, 1H, NH).

216 δ: 1.31 (t, 3H, CH_3), 2.62 (s, 3H, CH_3), 3.87 (s, 6H, OCH_3), 4.21 (s, 2H, CH_2), 4.40 (q, 2H, OCH_2), 5.83 (s, 1H, pyrimidine H), 7.13 (d, 1H, pyridine H), 8.44 (d, 1H, pyridine H).

218 δ: 284 (3.08) (s, 3H, NCH_3), 3.92 (s, 6H, OCH_3), 4.50-5.03 (m, 2H, NCH_2), 6.10 (s, 1H, pyrimidine H), 7.10-7.80 (m, 7H, aromatic H).

227	δ: 1.50 (m, 6H, CH_2), 2.40 (m, 6H, NCH_2), 3.55 (q, 2H, NCH_2), 3.80 (s, 6H, OCH_3), 5.85 (s, 1H, pyrimidine H), 6.70 (s, 1H, OCH), 7.15-8.60 (m, 1H, 3 pyridine H + NH).
233	δ: 1.80 (q, 2H, CH_2), 2.25 (s, 6H, NCH_3), 2.35 (q, 2H, NCH_2), 3.45 (q, 2H, NCH_2), 3.80 (s, 6H, CH_3), 5.80 (s, 1H, pyridine), 6.65 (s, 1H, OCH), 7.15-850 (m, 3H, pyridine).
234	δ: 1.00 (t, 6H, CH_3), 2.60 (m, 6H, NCH_2), 3.50 (q, 2H, NCH_2), 3.82 (s, 6H, OCH_3), 5.80 (s, 1H, pyrimidine H), 6.80 (s, 1H, OCH), 7.15-8.7 (m, 3H, pyridine).
236	δ: 1.37 (t, 3H, CH_3), 2.56 (s, 3H, CH_3), 3.87 (s, 6H, OCH_3), 4.43 (q, 2H, OCH_2), 5.87 (s, 1H, pyrimidine H), 6.12 (s, 1H, CHBr), 7.90 (d, 1H, pyridine H), 8.56 (d, 1H, pyridine H).
237	δ: 1.40 (t, 3H, CH_3), 3.87 (s, 6H, OCH_3), 4.43 (q, 2H, OCH_2), 4.70 (s, 2H, CH_2Br), 5.88 (s, 1H, pyrimidine H), 6.23 (s, 1H, CHBr), 8.07 (d, 1H, pyridine H), 8.65 (d, 1H, pyridine H).
238	δ: 1.37 (t, 3H, CH_3), 2.06 (s, 3H, CH_3), 3.88 (s, 6H, OCH_3), 4.40 (q, 2H, OCH_2), 5.28 (s, 2H, OCH_2), 5.87 (s, 1H, pyrimidine H), 6.23 (s, 1H, CHBr), 8.04 (d, 1H, pyridine H), 8.64 (d, 1H, pyridine H).
257	δ: 3.82 (s, 6H, OCH_3), 4.06 (s, 2H, NCH_2), 5.05-5.10 (s, 1H, OH), 5.42-5.45 (s, 1H, NH), 5.87 (s, 1H, OCH), 6.76-6.86 (s, 1H, pyrimidine), 7.32-7.38 (m, 6H, pyridine, aromatic), 7.94-7.98 (d, pyridine), 8.45-8.49 (d, 1H, pyridine), 9.55 (s, 1H, NH).
264	δ: 0.8-1.9 (br s, 25H, aliphatic), 3.85-3.90 (s, 6H, 2XOMe), 6.15 (s, 1H, ArH, pyrimidine), 7.4 (s, 2H, ArH).
277	δ: 0.90 (t, 3H, CH_3), 1.2-1.7 (m, 4H, aliphatic), 3.95 (s, 6H, OCH_3), 4.08 (t, 2H, OCH_2), 6.15 (s, 1H, pyrimidine H), 7.5-7.7 (m, 3H, aromatic).
281	δ: 0.90 (t, 3H, CH_3), 1.3 (m, 4H, aliphatic), 1.6 (m, 2H, aliphatic), 3.95 (s, 6H, OCH_3), 4.08 (t, 2H, OCH_2), 6.15 (s, 1H, pyrimidine H), 7.4-7.7 (m, 3H, aromatic).
283	δ: 3.40 (s, 6H, OCH_3), 3.43 (m, 2H, NCH_2), 3.82 (s, 6H, OCH_3), 4.47 (t, 1H, CH), 5.86 (s, 2H, OCH, OH), 6.77 (s, 1H, pyrimidine), 7.41-7.43 (m, 1H, pyridine), 7.94-7.98 (d, 1H, pyridine), 8.47-8.48 (d, 1H, NH).
284	δ: 1.71-1.76 (s, 6H, CH_3), 2.31 (s, 1H, $C\equiv CH$), 3.82 (s, 6H, OCH_3), 5.61-5.63 (d, 1H, OH), 5.85 (s, 1H, OCH), 6.86-6.96 (d, 1H, pyrimidine), 7.44-7.48 (m, 1H, pyridine), 7.94-7.98 (d, 1H, pyridine), 8.44-8.45 (d, 2H, NH).
285	δ: 0.75-1.13 (m, 4H, aliphatic), 1.28-1.77 (m, 3H, aliphatic), 3.23-3.52 (m, 2H, NCH_2), 3.82 (s, 6H, OCH_3), 5.73-5.88 (m, 2H, OH, OCH), 6.60-6.81 (d, 1H, pyrimidine), 7.21-7.45 (q, 1H, pyridine), 7.78-8.01 (d, 1H, pyridine), 8.32-8.55 (d, 2H, pyridine, NH).

286 δ: 1.21-1.32 (d, 2H, CH_2O), 3.38-3.58 (d, 6H, CH_3), 3.85 (s, 6H, OCH_3), 4.18-4,48 (m, 2H, NCH, OH), 5.88 (s, 1H, OCH), 6.73 (s, 1H, pyrimidine), 7.28-7.52 (q, 1H, pyridine), 7.81-8.08 (d, 1H, pyridine), 8.43-8.62 (d, 2H, pyridine, NH).

290 δ: 3.74 (s, 9H, OCH_3), 5.44 (bs, 1H, OH), 5.73 (s, 1H, pyrimidine), 6.62 (bs, 1H, OCH), 6.9-8.6 (m, aromatic, 7H), 10.22 (s, 1H, NH).

291 δ: 1.40 (m, 8H, CH_2), 2,28 (s, 6H, NCH_3), 2.68 (m, 2H, NCH_2), 3.40 (m, 2H, NCH_2), 3.80 (s, 6H, OCH_3), 5.80 (s, 1H, pyrimidine), 6.70 (s, 1H, OCH), 7.2-8.6 (m, 4H, pyridine H + OH).

292 δ: 1.60 (m, 4H, CH_2), 2.25 (s, 6H, NCH_3), 2.25 (m, 2H, NCH_2), 3.48 (m, 2H, NCH_2), 3.65 (s, 6H, OCH_3), 5.80 (s, 1H, pyrimidine), 6.65 (s, 1H, OCH), 7.2-8.6 (m, 4H, pyridine + OH).

295 δ: 3.74 (s, 6H, OCH_3), 3.80 (s, 6H, OCH_3), 4.50 (d, 2H, NCH_2), 5.84 (s, 1H, pyrimidine), 6.5-8.6 (m, 8H, aromatic, OCH, NH).

297 δ: 3.65 (s, 6H, OCH_3), 3.77 (s, 6H, OCH_3), 4.50 (d, 2H, NCH_2), 5.64 (d, 1H, OH), 5.80 (s, 1H, pyrimidine), 6.25-6.60 (m, 3H, aromatic), 6.8 (d, 1H, OCH), 7.2-8.6 (m, 3H, pyridine).

298 δ: 3.82 (s, 6H, OCH_3), 5.81 (s, 1H, OCH), 6.29-7.58 (m, 8H, OH, NH, pyrimidine, aromatic, pyridine), 7.80-8.25 (d, 1H, pyridine), 8.48-8.62 (d, 1H, pyridine), 9.74-9.93 (br, 1HNH).

299 δ: 0.85 (t, 3H, CH_3), 1.2 (m, 8H, aliphatic), 1.6 (m, 2H, aliphatic), 3.95 (s, 6H, OCH_3), 4.08 (t, 2H, OCH_2), 6.15 (s, 1H, pyrimidine H), 7.4-7.7 (m, 3H, aromatic).

300 δ: 0.90 (t, 3H, CH_3), 1.2 (m, 10H, aliphatic), 1.6 (m, 2H, aliphatic), 3.95 (s, 6H, OCH_3), 4.08 (t, 2H, OCH_3), 4.08 (t, 2H, OCH_2), 6.15 (s, 1H, pyrimidine H), 7.4-7.7 (m, 3H, aromatic).

303 δ: 3.80 (s, 6H, OCH_3), 3.90 (d, 6H, OCH_3), 5.45 (d, 1H, OH), 5.80 (s, 1H, pyrimidine), 6.85 (s, 1H, OCH), 7.0-8.6 (m, 6H, aromatic), 10.2 (s, 1H, NH).

305L(-) δ: 1.60 (d, 3H, CH_3), 3.75 (2s, 6H, OCH_3), 5.25 (m, 1H, OH), 5.75 (s, 1H, pyrimidine), 6.75 (d, 1H, OCH), 7.2-8.6 (m, 8H, aromatic).

308 δ: 0.88 (t, 3H, CH_3), 1.25 (bs, 18H, aliphatic), 1.6 (m, 2H, aliphatic), 3.95 (s, 6H, OCH_3), 4.07 (t, 2H, O-CH_2), 6.15 (s, 1H, pyrimidine H), 7.55-7.7 (m, 3H, aromatic).

330 δ: 1.05 (m, 6H, NCH_2CH_3), 1.27 (s, 9H, $C(CH_3)_2$), 2.3 (m, 4H, NCH_2), 3.8 (s, 6H, OCH_3), 5.8 (s, 1H, pyrimidine H), 5.9 (s, 1H, CH-Ot-Bu), 7.18 (d of d, 1H, pyridine H), 7.45 (d of d, 1H, pyridine H), 8.6 (d of d, 1H, pyridine H).

407 δ: 3.18 (m, 2H, CH_2S), 3.65 (m, 2H, CH_2N), 3.95 (s, 6H, OCH_3), 5.85 (s, 1H, pyrimidine), 6.80 (s, 1H, OCH), 7.0-8.7 (m, 8H, aromatic).

411 δ: 1.90 (t, 3H, CH_3), 1.55 (m, 4H, CH_2), 2.65 (m, 4H, CH_2S), 3.62 (m, 2H, CH_2N), 3.82 (s, 6H, CH_3O), 5.90 (s, 1H, pyrimidine), 6.75 (s, OCH), 7.2-8.65 (m, 3H, pyridine).

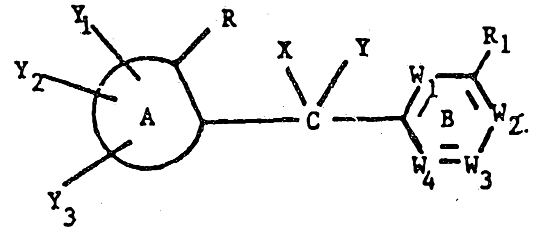
412 δ: 1.37 (s, 9H, tBuO), 3.30 (s, 3H, CH_3N), 3.90 (s, 6H, CH_3), 5.95 (s, 1H, pyrimidine), 5.97 (s, 1H, OCH), 6.5-7.6 (m, 10H, aromatic).

413 δ: 2.23 (s, 1H, $C\equiv CH$), 3.12-3.23 (d, 3H, N-CH_3), 3.93 (s, 8H, OCH_3, N-$CH_2C\equiv$), 6.155 (s, 1H, pyrimidine), 7.47-7.52 (m, 1H, pyridine), 8.142-8.168 (m, 1H, pyridine), 8.69-8.709 (t, 1H, pyridine).

Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", or variations such as "comprises" or "comprising", will be understood to imply the inclusion of a stated integer or group of integers but not the exclusion of any other integer or group of integers.

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound of formula I



(I)

wherein

ring A is selected from

a) phenyl or naphthyl

b) pyridyl which may be fused by its (b) or (c) side to benzene

c) pyridyl-N-oxide or pyrazinyl-N-oxide

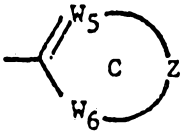
d) pyrimidinyl

e) pyrazinyl

f) 3- or 4-cinnolynyl or 2-quinoxalinyl, and

g) a five membered heteroaromatic ring comprising oxygen, sulphur or nitrogen as heteroatom(s) which ring may be fused to a benzene ring or may comprise nitrogen as an additional heteroatom;

R is cyano, formyl, $CX_1X_2X_3$, a ketone forming group, a carboxyl group which may be in the form of the free acid or in ester or salt form, a thiocarboxyl group which may be in the form of the free acid or in ester form, a carbamoyl group or a mono- or di- substituted carbamoyl group, hydroxyC_{1-8}alkyl, hydroxybenzyl, -CH=NOH, -CH=NOC_{1-8}alkyl, the group -CH_2-O-C(O)- and bridges adjacent carbon atoms in ring A, or a ring C



Y_1, Y_2 and Y_3 are attached to carbon atoms and are independently hydrogen, halogen, hydroxy, C_{1-8}alkyl, C_{2-8}alkenyl, C_{2-8}alkynyl, C_{1-8}alkoxy, C_{2-8}alkenyloxy, C_{2-8}alkynyloxy, C_{1-8}alkylsulfonyloxy, di(C_{1-8}alkyl)sulfamoyloxy, C_{1-8}alkylsulfonyl, C_{1-8}alkylsulfinyl, di(C_{1-8}alkyl)carbamoyloxy, C_{1-8}alkylthio, C_{2-8}alkenylthio or C_{2-8}alkynylthio each of which may in turn be substituted by 1 to 6 halogen atoms; di(C_{1-8}alkoxy)methyl, conjugated C_{1-8}alkoxy, hydroxyC_{1-8}alkyl, carboxyl, C_{2-8}acyl, C_{2-8}acylC_{1-8}alkyl, C_{2-8}acyloxy, C_{2-8}acyloxyC_{1-8}alkyl, tri(C_{1-8}alkyl)silyloxy, tri(C_{1-8}alkyl)silyl, cyano, nitro, amino or substituted amino, aminosulfonyl; C_{3-6}cycloalkyl, aryl, arylC_{1-8}alkyl, arylC_{2-8}alkenyl, arylC_{2-8}alkynyl, C_{1-8}aryloxy, arylC_{1-8}alkoxy, arylsulfonyl, arylsulfinyl, arylthio or arylC_{1-8}alkylthio, each of which may be substituted by one to three substituents selected from halogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxy, C_{1-8}haloalkoxy, nitro, cyano, C_{1-8}alkylthio, C_{2-8}acyl, amino or substituted amino; a group -C(O)-R′ wherein R′ is hydrogen, C_{1-8}alkyl, or C_{1-8}alkoxy; or

Y_1 and R taken together on adjacent carbon atoms form a bridge having the formula -C(S)-O-, -C(O)-O-E- or -C(O)-N(R_2)-E- wherein E is a direct bond or a 1 to 3 membered linking group with elements selected from methylene, substituted methylene, -N(R_2)- and oxygen; or

Y_1 and Y_2 taken together on adjacent carbon atoms form a 3- to 5-membered bridge comprised of elements selected from methylene, substituted methylene, -CH=, -C(R_4)=, -NH-, oxygen and -S(O)$_n$- ;

each of W_1, W_2, W_3, W_4 and W_5 is independently CH, CR_3 or nitrogen;

W_6 is NH, oxygen, sulfur, $-CR_4=$, -CH= or -C(O)- ;

Z is a 2- or 3-membered bridge comprised of elements selected from methylene, substituted methylene, -CH=, $-C(R_4)=$, -C(O)-, -NH-, -N=, oxygen and $-S(O)_n-$;

R_1 and R_3 each is independently hydrogen, halogen, C_{1-8}alkyl, C_{2-8}alkenyl, C_{2-8}alkynyl, C_{1-8}alkoxy, C_{2-8}alkenyloxy, C_{2-8}alkynyloxy, C_{1-8}alkylthio, C_{2-8}alkenylthio or C_{2-8}alkynylthio, each of which may in turn be substituted by 1 to 6 halogen atoms; C_{3-6}cycloalkyl, a 5- or 6-membered heterocycloC_{1-8}alkoxy, aryloxy, arylC_{1-8}alkoxy or arylC_{1-8}alkylthio each of which may be substituted by 1 to 3 substituents selected from halogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxy, C_{1-8}haloalkoxy, nitro, cyano, C_{1-8}alkylthio, C_{2-8}acyl, amino or substituted amino; aminoxy, substituted aminoxy; iminoxy; substituted iminoxy; amido; substituted amido; C_{1-8}alkylsulfonylmethyl; cyano; nitro; or $-C(O)-Y_4$, wherein Y_4 is hydrogen, C_{1-8}alkyl, C_{1-8}alkoxy, hydroxy or unsubstituted or substituted phenyl;

R_2 is hydrogen, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}alkoxyalkyl, C_{1-8}alkoxy, arylC_{1-8}alkoxy, unsubstituted or substituted aryl, unsubstituted or substituted arylC_{1-8}alkyl;

R_4 is as defined for Y_1 except for hydrogen;

X and Y each is independently hydrogen, hydroxy, halogen, cyano, C_{1-8}alkyl, C_{1-8}alkoxy, C_{1-8}alkoxycarbonyl, C_{1-8}alkoxycarbonyloxy, hydroxyC_{1-8}alkyl, C_{1-8}haloalkyl, C_{2-8}acyl, C_{2-8}acyloxy, carbamoyl, carbamoyloxy, C_{1-8}alkylthio, C_{1-8}alkylsulfinyl, C_{1-8}alkylsulfonyl or C_{1-8}alkylsulfonyloxy; aryl, aryloxy, aryl$S(O)_p$, arylC$_{1-8}$alkyl, arylC$_{1-8}$alkoxy, arylC$_{1-8}$alkylS(O)$_p$, arylsulphonyloxy, each of which may in turn be substituted by 1 to 3 substituents selected from halogen, C$_{1-8}$alkyl, C$_{1-8}$haloalkyl, C$_{1-8}$alkoxy, C$_{1-8}$haloalkoxy, nitro, cyano, C$_{1-8}$alkylthio, C$_{2-8}$acyl; amino, substituted amino or together represent =O, =S, =NH, =NOR$_{12}$ or =CR$_{13}$R$_{14}$; provided that when one of X and Y is hydrogen the other one is not **hydrogen, chlorine, fluorine, methyl or carbamoyl; or**

X and R together may form a bridge having the formula -C(O)-O-, -C(O)-S or -C(O)-NR$_2$- wherein the carbonyl is attached to A;

P is 0, 1 or 2;

X$_1$, X$_2$ and X$_3$ are independently hydrogen, hydroxy, C$_{1-8}$alkoxy, C$_{1-8}$alkylthio, hydroxyC$_{1-8}$alkyl or hydroxybenzyl whereby at least one of X$_1$, X$_2$ and X$_3$ is other than hydrogen; or

X$_3$ is hydrogen and X$_1$ and X$_2$ together form a 4- or 5-membered bridge comprising elements selected from -O(CH$_2$)$_{n'}$-O-, -OC(O)(CH$_2$)$_m$O- and -S(CH$_2$)$_{n'}$S-;

R$_{12}$ is hydrogen or C$_{1-8}$alkyl;

R$_{13}$ and R$_{14}$ are independently hydrogen, C$_{1-8}$alkyl or halogen;

m is 1 or 2;

n is 0, 1 or 2; and

n' is 2 or 3;

with the proviso that when R is carboxyl in free ester or salt form and X and Y together are =O one of rings A and B contains a hetero atom.

2. A compound of formula (I) according to Claim 1 wherein

   R is a carboxyl group which may be in the form of the free acid or in ester or salt form, a carbamoyl group or a mono- or di-substituted carbamoyl group; or

   X and R together form a bridge having the formula -C(O)-O-, wherein the carbonyl is attached to A; and

   Y_1, Y_2 and Y_3 are attached to carbon atoms and are independently hydrogen, C_{1-8}alkyl, C_{1-8}alkoxy, halogen, C_{1-8}alkylthio, arylthio or arylC_{1-8}alkoxy whereby the aryl is optionally substituted by a halogen, C_{2-8}alkenyloxy or C_{2-8}alkynyloxy.

3. A compound of formula (I) according to Claims 1 to 2 wherein

   X and Y each is independently hydrogen, hydroxy, cyano, C_{1-8}alkoxy, C_{2-8}acyloxy, halogen, C_{1-8}alkylthio, C_{1-4}alkoxycarbonyloxy, aryl or arylthio optionally substituted by one or more halogen, C_{1-4}alkoxy or C_{1-4}haloalkoxy or together represent =O or =NH; and

   R_1 and R_3 each is independently halogen, C_{1-8}alkoxy, C_{1-8}alkyl, C_{1-8}haloalkyl, C_{1-8}haloalkoxy, aryloxy or arylC_{1-8}alkoxy whereby the aryl is optionally substituted by halogen or C_{1-4}alkyl, C_{2-8}alkynyloxy or C_{2-8}alkenyloxy.

4. A compound of formula (I) according to Claims 1 to 3 wherein A is pyridyl, phenyl, pyridyl-N-oxide or thienyl.

5. A compound of formula (I) according to Claims 1-4 wherein

   W_1 and W_4 are N;

   W_2 is N or CH; and

   W_3 is CR_3.

6. A compound of formula (I) according to Claim 1 wherein

   ring A is phenyl or pyridyl;

   R is a carboxyl group in the form of a free acid or salt; carbamoyl; $COOR_5''$ wherein R_5'' is C_{1-5}alkyl or C_{2-5}alkenyl; or $CONR_7''R_8''$ wherein

   R_7'' is C_{1-12}alkyl, amino, C_{1-4}alkylamino, anilino, haloanilino, benzyl, halobenzyl, C_{1-4}alkylbenzyl, C_{1-4}alkoxybenzyl, phenyl halophenyl, C_{1-4}alkylphenyl or C_{1-4}alkoxyphenyl;

R_8'' is hydrogen or C_{1-4}alkyl;

Y_1, Y_2 and Y_3 are independently hydrogen or halogen

W_1 and W_4 are N;

W_2 is CH;

W_3 is CR_3 wherein R_3 is C_{1-5}alkoxy;

R_1 is C_{1-5}alkoxy;

X is hydroxyl or C_{1-4}alkoxycarbonyloxy or taken with Y is =0;

Y is hydrogen or taken with Y is =0; or

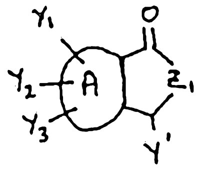
X and R together form a bridge having the formula -C(0)0- wherein the carbonyl is attached to A, and Y is hydrogen or C_{2-8}acyloxy.

7. A herbicidal composition comprising an herbicidally effective amount of a compound of formula (I) according to Claims 1-6 in association with agriculturally acceptable diluents.

8. A method for combatting weeds which comprises applying thereto or to a locus thereof an herbicidally effective amount of a compound of formula (I) according to Claims 1-6.

9. A process for preparing a compound of formula (I) according to Claim 1 comprising

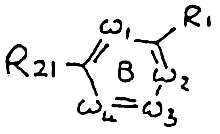
a) when X and R combine to form a bridging group as defined in claim 1 and Y is hydrogen, cyano, arylthio, arylsulfinyl or arylsulfonyl, reacting a compound of formula II



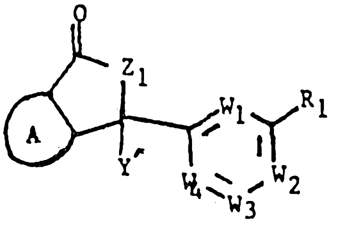
(II)

wherein ring A, Y_1, Y_2 and Y_3 are as defined in claim 1, Y' represents hydrogen, cyano, arylthio, arylsulfinyl or arylsulfonyl and Z_1 represents oxygen, sulfur or NR_2 wherein R_2

is as defined in claim 1 except for hydrogen with a compound of formula III


(III)

wherein W_1, W_2, W_3, W_4 and R_1 are as defined in claim 1 and R_{21} represents methylsulfonyl or halogen to obtain the corresponding compound of formula Ip


(Ip)

b) treating a compound of formula Ip wherein Y′ represents cyano or arylsulfonyl and Z_1 represents oxygen and the other symbols are as defined in claim 1;

(i) by hydrolysis to give a corresponding compound of formula I wherein R and X form a bridge and Y is hydroxy or a compound of formula I wherein X and Y together form =O;

(ii) with an amino to give a corresponding compound of formula I wherein R is an optionally substituted carbamoyl group and X and Y together form =O;

(iii) with a group

$$MOR_{22}$$

wherein M is an alkali metal and R_{22} is hydrogen or C_{1-8}alkyl, to give a corresponding compound wherein R and X form a bridge and Y is hydroxy or C_{1-8}alkoxy;

c) hydrolyzing a compound of formula Ip wherein Y′ represents hydrogen, Z_1 represents oxygen and the other symbols are as defined in claim 1 to give a compound of formula I wherein R is a carboxyl group optionally in salt form, X is hydrogen and Y is hydroxy;

d) ring opening a compound of formula Ip wherein Y′ represents hydroxy, Z_1 represents oxygen and the other symbols are as defined in claim 1 to give a compound of formula I wherein R is a carboxyl group optionally in salt form and X and Y together are =0;

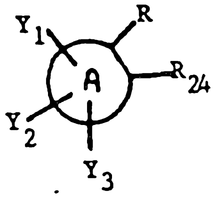
e) esterifying a compound of formula I wherein R is a carboxyl group optionally in salt form and X and Y are =0 and the other symbols are as defined in claim 1 to give the corresponding compound wherein R is a carboxyl group in ester form;

f) halogenating a compound of formula Ip wherein Y′ represents hydroxy, Z_1 is as defined in part a) and the other symbols are as defined in claim 1 to give a compound of formula I wherein X and R together form a bridging group and Y′ is halogen;

g) reacting a compound of formula Ip wherein Z_1 is oxygen, Y′ is halogen and the other symbols are as defined in claim 1 with a group R_2NH_2 and a group HOR_{23} wherein R_{23} represents C_{1-8}alkyl, C_{2-8}acyl or aryl and R_2 is as defined in claim 1 to give the corresponding compound wherein Z_1 is NR_2 and Y′ is C_{1-8}alkoxy, aryloxy or C_{2-8}acyloxy;

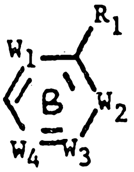
h) oxidizing a compound of formula Ip wherein Y′ represents hydrogen, Z_1 is as defined in part a) and the other symbols are as defined in claim 1 to give the corresponding compound wherein Y′ represents hydroxy;

i) reacting a compound of formula IV



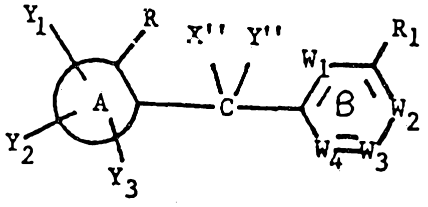
(IV)

with a compound of formula V



(V)

to produce a compound of formula Iq



(Iq)

wherein ring A, R, R_1, W_1, W_2, W_3, W_4, Y_1, Y_2 and Y_3 are as defined in claim 1 and X" and Y" are hydrogen and R_{24} is C_{1-8}alkyl,

j) mono- or di-halogenating a compound of formula Iq wherein X" and Y" are hydrogen and the other symbols are as defined in part i) to produce the corresponding compound of formula Iq wherein one or both of X" and Y" are halogen;

k) oxidizing a compound of formula Iq wherein X" and Y" are both hydrogen or X" is halogen and Y" is hydrogen and the other symbols are as defined in claim 1 to produce the corresponding compound wherein X" and Y" together represent =O or one represents hydrogen and the other represents hydroxy;

l) alkylating a compound of formula Iq wherein X" represents hydrogen and Y" represents hydrogen and the other symbols are as defined in claim 1 to produce the corresponding compound wherein X" represents C_{1-8}alkyl and Y" represents hydrogen;

m) introducing a C_{1-8}alkoxy or C_{1-8}alkylthio group into a compound of formula Iq wherein X" represents halogen, Y" represents hydrogen and the other symbols are as defined in claim 1 to produce the corresponding compound wherein X" represents C_{1-8}alkoxy or C_{1-8}alkylthio and Y" represents hydrogen;

n) acylating a compound of formula Iq wherein X'' represents hydroxy, Y represents hydrogen and the other symbols are as defined in claim 1 to produce the corresponding compound wherein X'' represents acyloxy and Y'' represents hydrogen;

o) reacting a compound of formula Ip wherein Z_1 is oxygen, Y' is hydrogen and the other symbols are as defined in claim 1 with a group R_7NH_2 wherein R_7 is (a) hydrogen, halogen; (b) alkyl, alkenyl, alkynyl alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, alkylS(O)$_p$, alkenylS(O)$_p$ or alkynylS(O)$_p$, alkylS(O)$_p$alkyl, alkenylS(O)$_p$alkyl, alkynylS(O)$_p$alkyl, each of which may in turn be substituted by 1 to 6 halogen atoms and each of which may be attached to the adjacent nitrogen atom via alkyl; (c) acyl, acylalkyl, acyloxy, acyloxyalkyl; (d) cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocycloalkyl, heterocycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, arylS(O)$_p$, aralkylS(O)$_p$ or arylS(O)$_p$alkyl, each of which is unsubstituted or may be substituted by 1 to 3 substituents selected from (i) halogen; (ii) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, alkylS(O)$_p$, alkenylS(O)$_p$, or alkynylS(O)$_p$, alkylS(O)$_p$alkyl, alkenylS(O)$_p$alkyl or alkynylS(O)$_p$alkyl, each of which may in turn be substituted by 1 to 6 halogen atoms; and (iii) nitro, cyano, acyl, amino, substituted amino, aminosulfonyl, aminoalkyl or substituted aminoalkyl; (e) amino, substituted amino, amido, substituted amido, aminosulfonyl, cyano, nitro, or $-(CHR_4')_{n'''}-C(O)Y_4'$, wherein Y_4' is hydrogen, lower alkyl, lower alkoxy or hydroxy and n''' is 0, 1, 2 or 3 and p is 0, 1 or 2 and R_4' is as defined for Y_1; to give a compound of formula I wherein R is monosubstituted carbamoyl, X is hydrogen and Y is hydroxy;

p) sulfonylating, carbamoylating, acylating or carbalkoxylating a compound of formula Ip wherein Z_1 is oxygen, Y' is hydroxy and the other symbols are as defined in claim 1 to produce the corresponding compound of formula I wherein R and X form a -C(O)-O- bridge and Y represents sulfonyloxy, carbamoyloxy, C_{2-8}acyloxy or C_{1-8}alkoxycarbonyloxy;

q) reacting a compound of formula Ip wherein Z_1 is oxygen, Y' is halogen and the other symbols are as defined in claim 1 with a group R_7R_8NH wherein R_7 is as defined in part o) and R_8 is as defined for R_7 to give a compound of formula I wherein R is disubstituted carbamoyl, and X and Z together represent =O;

and recovering any compound wherein R is a carboxyl or thiocarboxyl group in free form or in ester form and any compound wherein R is carboxyl in free form or in salt form.

10. Compounds of formula (I), methods for their manufacture or herbicidal compositions or methods involving them, substantially as hereinbefore described with reference to the Examples.

~~11. The steps, features, compositions and compounds disclosed herein or referred to or indicated in the specification and/or claims of this application, individually or collectively, and any and all combinations of any two or more of said steps or features.~~

DATED this FIFTH day of JUNE 1991

Sandoz Ltd.

by DAVIES & COLLISON
Patent Attorneys for the applicant(s)

133-0676

Substituted Phthalides and Heterocyclic Phthalides and derivatives thereof.

Abstract of the Disclosure

Substituted phthalides and heterocyclic phthalides and derivatives thereof which are useful as herbicides.