606496

COMMONWEALTH of AUSTRALIA
Patents Act 1952

APPLICATION FOR A STANDARD PATENT

I/We

Schering Aktiengesellschaft

of

170-178 Mullerstrasse, 1000 Berlin 65, Federal Republic of Germany

hereby apply for the grant of a Standard Patent for an invention entitled:

Benfuresate mixtures

which is described in the accompanying complete specification.

Details of basic application(s):-

Number	Convention Country	Date
88/01676	United Kingdom	26 January 1988
88/01677	United Kingdom	26 January 1988
88/01678	United Kingdom	26 January 1988
88/01679	United Kingdom	26 January 1988
88/01680	United Kingdom	26 January 1988
88/01681	United Kingdom	26 January 1988
88/01682	United Kingdom	26 January 1988
88/01683	United Kingdom	26 January 1988

The address for service is care of DAVIES & COLLISON, Patent Attorneys, of 1 Little Collins Street, Melbourne, in the State of Victoria, Commonwealth of Australia.

DATED this NINETEENTH day of JANUARY 1989

To: THE COMMISSIONER OF PATENTS

Keith L Davies

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

M005945 19/01/89

Davies & Collison, Melbourne

APPLICATION ACCEPTED AND AMENDMENTS ALLOWED 8 · 11 · 90

COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

DECLARATION IN SUPPORT OF CONVENTION OR NON-CONVENTION APPLICATION FOR A PATENT

In support of the Application made for a patent for an invention

Insert title of invention

entitled : BENFURESATE MIXTURES

Insert full name(s) and address(es) of declarant(s) being the applicant(s) or person(s) authorized to sign on behalf of an applicant company.

Dr. Karl-Albrecht Kumm and Dr. Heinz-Eberhard Freund

of ~~We~~ SCHERING AKTIENGESELLSCHAFT of
170/178 Müllerstrasse,
D-1000 Berlin 65,
Federal Republic of Germany

do solemnly and sincerely declare as follows :-

Cross out whichever of paragraphs 1(a) or 1(b) does not apply

1(a) relates to application made by individual(s)
1(b) relates to application made by company; insert name of applicant company.

1. (a) ~~I am / We are the applicant for the patent~~

or (b) I am authorized by

SCHERING AKTIENGESELLSCHAFT

the applicant..... for the patent to make this declaration on ~~its~~ / ~~their~~ behalf.

Cross out whichever of paragraphs 2(a) or 2(b) does not apply

2(a) relates to application made by inventor(s)
2(b) relates to application made by company(s) or person(s) who are not inventor(s), insert full name(s) and address(es) of inventors

2. (a) ~~I am / We are the actual inventor..... of the invention~~

or (b)

Richard Tudor REES of Speerweg 8,
1000 Berlin 28, Federal Republic of Germany

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

State manner in which applicant(s) derive title from inventor(s)

(See overleaf)

Cross out paragraphs 3 and 4 for non-convention applications. For convention applications, insert basic country(s) followed by date(s) and basic applicant(s).

3. The basic application~~S~~..... as defined by Section 141 of the Act ~~was~~ / were made

in Great Britain on the 26 January 1988 (8)

by Schering Agrochemicals Limited

in ..... on the .....

by .....

in ..... on the .....

by .....

4 The basic application~~S~~..... referred to in paragraph 3 of this Declaration ~~was~~ / were the first application~~S~~..... made in a Convention country in respect of the invention the subject of the application

Insert place and date of signature.

Declared at Berlin this 7th day of February, 1990

Signature of declarant(s) (no attestation required)

SCHERING AKTIENGESELLSCHAFT

ppa. ..... i.V. .....

(Dr. Kumm) (Dr. Freund)

Note Initial all alterations.

...and the facts upon which the applicant is entitled to make the application are as follows:-

By benefit of the inventor's employment with the applicant company, the applicant is a person who would, if a patent were granted on an application made by the actual inventor, be entitled to have the patent assigned to it. The basic applications were filed in the name of the applicant's British subsidiary and that British subsidiary consents to the present priority claim.

(12) PATENT ABRIDGMENT (11) Document No. AU-B-28602/89
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 606496

(54) Title
BENFURESATE MIXTURES

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(71) Applicant(s)
SCHERING AKTIENGESELLSCHAFT

(72) Inventor(s)
RICHARD TUDOR REES

(74) Attorney or Agent
DAVIES & COLLISON, 1 Little Collins Street, MELBOURNE VIC 3000

(57) Claim

1. A herbicidal composition comprising a synergistic mixture of

a) a benfuresate and

b) a herbicide selected from

(i) bensulfuron-methyl,
(ii) pyrazosulfuron-ethyl,
(iii) pyrazoxyfen,
(iv) benzophenap,
(v) pyrazolate,
(vi) esprocarb,
(vii) bromobutide,
(viii) furyloxyfen,
(ix) pretilachlor,
(x) cinmethylin and
(xi) mefenacet.

.../2

2. A method of controlling weeds which comprises applying to the weeds or their locus, either together or in sequence, a synergistic quantity of

a) benfuresate and

b) a herbicide selected from

(i) bensulfuron-methyl,
(ii) pyrazosulfuron-ethyl,
(iii) pyrazoxyfen,
(iv) benzophenap,
(v) pyrazolate,
(vi) esprocarb,
(vii) bromobutide,
(viii) furyloxyfen,
(ix) pretilachlor,
(x) cinmethylin and
(xi) mefenacet.

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COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

COMPLETE SPECIFICATION

NAME & ADDRESS
OF APPLICANT:

Schering Aktiengesellschaft
170-178 Mullerstrasse
1000 Berlin 65
Federal Republic of Germany

NAME(S) OF INVENTOR(S):

Richard Tudor REES

ADDRESS FOR SERVICE:

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

COMPLETE SPECIFICATION FOR THE INVENTION ENTITLED:

Benfuresate mixtures

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

This invention relates to herbicidal compositions and to a method of selectively controlling weeds in crops.

The present invention provides a herbicidal composition comprising

a) benfuresate and

b) at least one herbicide selected from

(i) bensulfuron-methyl,

(ii) pyrazosulfuron-ethyl,

(iii) pyrazoxyfen,

(iv) benzophenap,

(v) pyrazolate,

(vi) esprocarb,

(vii) bromobutide,

(viii) furyloxyfen,

(ix) pretilachlor,

(x) cinmethylin and

(xi) mefenacet.

Benfuresate is the common name for the herbicide having the chemical name 2,3-dihydro-3,3-dimethylbenzofuran-5-yl ethanesulphonate.

Of the component (b),

(i) bensulfuron-methyl is the common name for methyl α-[3-(4,6-dimethoxypyrimidin-2-yl)ureidosulphamoyl]-o-toluate;

(ii) pyrazosulfuron-ethyl is the common name for ethyl 5-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulphamoyl)-1-methylpyrazole-4-carboxylate;

(iii) pyrazoxyfen is the common name for 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yloxy]acetophenone;

(iv) benzophenap is the common name for 2-[4-(2,4-dichloro-m-toluoyl)-1,3-dimethylpyrazol-5-yloxy]-4'-methylacetophenone;

(v) pyrazolate is the common name for 4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yl p-toluenesulphonate;

(vi) esprocarb is the common name for S-benzyl 1,2-dimethylpropyl(ethyl)thiocarbamate;

(vii) bromobutide is the common name for 2-bromo-3,3-dimethyl-N-(1-methyl-1-phenylethyl)butaneamide;

(viii) furyloxyfen is the common name for 5-(2-chloro-α,α,α-trifluoro-p-tolyloxy)-2-nitrophenyl tetrahydro-3-furyl ether;

(ix) pretilachlor is the common name for 2-chloro-N-(2,6-diethyl-N-2-propoxyethyl)acetanilide;

(x) cinmethylin is the common name for rel-(1R,2S,4S)-1,4-epoxy-p-menth-2-yl 2-methylbenzyl ether; and

(xi) mefenacet is the common name for 2-(2-benzothiazolyloxy)-N-methyl-N-phenylacetamide.

The invention also provides a method of controlling weeds which comprises applying to their weeds or their locus, components (a) and (b), either together or in sequence.

The invention is generally applicable in controlling weeds in a variety of growing crops but especially in rice and particularly paddy rice. However the mixtures may be applied to other crops, especially plantation crops, such as orchards, and cotton.

It has been found that unexpected advantages may be obtained by using component (a) in conjunction with component (b). Thus the mixtures give better weed control than the individual components and a synergistic response is often observed.

The weight ratio of component (a) to component (b) can vary over wide ranges. Suitable ranges of (a) to (b) are as follows with the preferred ranges in brackets. Suitable rates of application of (b) are also given with preferred

rates in brackets.

Component (b)	Ratio ranges (a:b)		Rate of application of (b) (kg/ha)
(i)	100:1 to 1:1	(25:1 to 5:1)	0.01-0.2
(ii)	2:1 to 1:5	(1:1 to 1:3)	0.5-3
(iii)	2:1 to 1:100	(1:1 to 1:10)	0.5-3
(iv)	2:1 to 1:50	(1:1 to 1:3)	0.5-3
(v)	10:1 to 1:30	(1:1 to 1:100)	0.5-3
(vi)	2:1 to 1:100	(1:1 to 1:10)	0.5-3
(vii)	5:1 to 1:5	(3:1 to 1:3)	0.5-3
(viii)	2:1 to 1:100	(1:1 to 1:80)	0.2-2
(ix)	2:1 to 1:100	(1:1 to 1:10	0.5-4
(x)	2:1 to 1:100	(1:1 to 1:10)	0.01-3
(xi)	2:1 to 1:100	(1:1 to 1:10)	0.5-5

A wide variety of grassy and broad-leaved weeds may be controlled by the mixtures of the invention. The invention is particularly suitable for controlling the notoriously difficult Cyperus species, e.g. C. esculentus, C. serotinus, C. iria and C. difformis. Other weeds that can be controlled include Echinochloa crus-galli, Eleocharis kuroguwai, Scirpus spp., e.g. Scirpus juncoides, and Sagittaria spp.

If desired the compositions may include other suitable herbicides, e.g. to broaden the spectrum. Examples of such herbicides include, phenoxyalkanoic acids, e.g. mecoprop, MCPA and MCPB, triazines, e.g. simetryn and dimethametrym, acetanilides, e.g. butachlor, thiocarbamates, e.g. molinate and thiobencarb, and bentazone.

The mixture of active herbicides can be applied by conventional manner for herbicides and usually by a spray treatment.

In addition to tank mixing immediately prior to use the compositions containing (a) and (b) may be formulated into more concentrated primary compositions which are usually

diluted with water just before use. Such compositions usually comprise one or more surface active agents. Examples of such compositions are as follows.

It can be a dispersible solution which comprises the active ingredients dissolved in a water-miscible solvent with the addition of a dispersing agent. Alternatively it can comprise the ingredients in the form of a finely ground powder in association with a dispersing agent and intimately mixed with water to give a paste or cream which can if desired be added to an emulsion of oil in water to give a dispersion of active ingredients in an aqueous oil emulsion.

An emulsifiable concentrate comprises the active ingredient dissolved in a water-immiscible solvent which is formed into an emulsion with water in the presence of an emulsifying agent.

A granular solid comprises the active ingredients associated with powder diluents such as kaolin, which mixture is granulated by known methods. Alternatively it comprises the active ingredients adsorbed or adsorbed on a pre-granular diluent, for example Fuller's earth, attapulgite or limestone grit.

A dispersible or wettable powder usually comprises the active ingredients in admixture with a suitable surfactant and an inert powder diluent such as china clay.

Another suitable concentrate is a flowable suspension concentrate which is formed by grinding the active ingredients with water, a wetting agent and a suspending agent.

In some circumstances it may be desirable to combine two types of formulation e.g. one of the components is present in an emulsifiable concentrate and the second component is dispersed as a powder in this concentrate.

The above herbicidal formulations are to be regarded as part of the invention. The total concentration of the

active components in a composition for direct application to the crop by conventional ground methods is preferably within the range of 0.02 to 1 per cent by weight, of the composition, but more concentrated compositions containing, for example up to 20 per cent may be desirable.

In a primary composition the total amount of active compound can vary widely, for example, from 5 to 95 per cent by weight.

The invention is illustrated in the following Examples, which describe experiments in which a synergistic effect was observed.

Example 1

Seeds or vegetative plant root material of various seed species, were sown or transplanted into pots. Aqueous suspensions of benfuresate (BE), herbicides (i) to (vi) and mixtures thereof, at various concentrations, were applied to the plants at the leaf stage indicated. Plants were then kept under controlled environment conditions suitable for maintaining plant growth. Three weeks after application, control of the weeds was assessed. To indicate the existence of synergism between the active components the results were treated in the manner described by Colby S.R., "Calculating Synergistic and Antagonistic Responses of Herbicide Combinations" in Weeds 1967 15, 20-22). In this method the "expected" percent control, E, of the combination is given by the equation.

$$E = X+Y - \frac{XY}{100}$$

in which

X = the percentage of control with substance A at a given rate (p),

Y = the percentage of control with substance B at a given rate (q), and

E = expected control by A+B at a rate p+q.

If the observed control of the mixture is greater than E the results indicate synergism. Synergism was exhibited as follows.

(i) BENSULFURON METHYL (BM)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	0	
	3.0	12	
BM	0.03	0	
	0.1	0	
	0.3	0	
	1.0	0	
BE+BM	1.0+1.0	29	0
	3.0+0.03	34	12
	3.0+0.1	34	12
	3.0+0.3	34	12
	3.0+1.0	44	12

b) Cyperus difformis (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	23	
	3.0	65	
BM	0.3	72	
	1.0	88	
BE+BM	1.0+0.3	85	78
	1.0+1.0	100	91
	3.0+0.3	92	90
	3.0+1.0	100	96

c) Sagittaria spp. (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	15	
	3.0	17	
BM	0.03	33	
	0.1	45	
BE+BM	1.0+0.1	67	53
	3.0+0.03	67	44
	3.0+0.1	75	54

(ii) PYRAZOSULFURON-ETHYL (PE)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	0	
	3.0	25	
PE	0.01	0	
	0.03	0	
BE+PE	1.0+0.03	10	0
	3.0+0.01	40	25
	3.0+0.03	50	25

b) Scirpus juncoides (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	10	80	
PE	0.03	45	
BE+PE	10 + 0.03	100	89

c) Sagittaria spp. (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	1.0	0	
	3.0	15	
	10.0	40	
PE	0.01	0	
	0.03	5	
BE+PE	1.0+0.03	20	5
	3.0+0.01	20	15
	3.0+0.03	30	19
	10.0+0.01	75	40
	10.0+0.03	93	43

(iii) PYRAZOXYFEN (PF)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	0.3	5	
	1.0	15	
	3.0	60	
PF	10	0	
	30	0	
BE+PF	0.3+10	45	33.5
	0.3+30	80	71.5
	1.0+10	54	40.5
	1.0+30	90	74.5
	3.0+10	100	72
	3.0+30	100	88

b) Cyperus difformis (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	Expected (E)
BE	0.3	15	
	1.0	30	
PF	10.0	70	
BE+PF	0.3+10.0	85	74.5
	1.0+10.0	95	79

(iv) BENZOPHENAP (BP)

Cyperus serotinus (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	Expected (E)
BE	1.0	20	
BP	30.0	35	
BE+BP	1.0+30.0	70	48

(v) PYRAZOLATE (PL)

a) Scirpus juncoides (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	Expected (E)
BE	0.3	3	
	1.0	20	
PL	3.0	8	
	10.0	60	
BE+PL	0.3 + 3.0	30	11
	0.3 + 10.0	65	61
	1.0 + 3.0	50	26
	1.0 + 10.0	75	68

b) Eleocharis acicularis (sprayed when 1 cm high)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	1.0	55	
	3.0	80	
PL	1.0	0	
	3.0	0	
	10.0	20	
BE+PL	1.0 + 1.0	60	55
	1.0 + 3.0	68	55
	1.0 + 10.0	83	64
	3.0 + 1.0	84	80
	3.0 + 3.0	85	80
	3.0 + 10.0	93	84

c) Cyperus iria (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	0.3	65	
PL	0.3	23	
BE+PL	0.3+0.3	100	73

d) Monochoria vaginalis (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	0.3	0	
	1.0	3	
PL	3.0	30	
	10.0	33	
BE+PL	0.3 + 3.0	33	30
	0.3 + 10.0	40	33
	1.0 + 3.0	38	31
	1.0 + 10.0	55	35

e) Scirpus juncoides. (Assessment carried out 24 days after planting)

Treatment	Rate (kg/ha)	% control Observed	% control Expected (E)
BE	0.063	14	
	0.125	35	
	0.25	45	
	0.5	51	
	1.0	76	
	2.0	80	
	4.0	94	
PL	1.0	72	
	2.0	76	
	4.0	88	
	6.0	95	
BE+PL	0.063 + 1.0	78	76
	0.063 + 2.0	90	80
	0.063 + 4.0	96	90
	0.063 + 6.0	100	96
	0.125 + 1.0	84	82
	0.125 + 2.0	98	92
	0.125 + 4.0	98	92
	0.125 + 6.0	100	97
	0.25 + 1.0	88	85
	0.25 + 2.0	94	87
	0.25 + 4.0	100	94
	0.25 + 6.0	100	98
	0.5 + 1.0	89	87
	0.5 + 2.0	95	88
	0.5 + 4.0	100	94
	0.5 + 6.0	100	98

Treatment	Rate (kg/ha)	% control Observed	Expected (E)
BE+PL	1.0 + 1.0	92	93
	1.0 + 2.0	99	94
	1.0 + 4.0	100	97
	1.0 + 6.0	100	99
	2.0 + 1.0	100	94
	2.0 + 2.0	100	94
	2.0 + 4.0	100	98
	2.0 + 6.0	100	99
	4.0 + 1.0	100	98
	4.0 + 2.0	100	98
	4.0 + 4.0	100	99
	4.0 + 6.0	100	99

(vi) ESPROCARB (ES)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control Observed	Expected (E)
BE	3.0	25	
ES	10.0	5	
	30.0	5	
BE+ES	3.0+10.0	60	29
	3.0+30.0	80	29

b) Echinochloa colonum (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	0.3	0	
	1.0	40	
	3.0	75	
ES	10.0	0	
	30.0	10	
BE+ES	0.3+10.0	15	0
	0.3+30.0	20	19
	1.0+30.0	55	46
	3.0+30.0	85	70

c) Cyperus iria (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control Observed	% control Expected (E)
BE	0.3	5	
	1.0	20	
ES	10.0	0	
	30.0	0	
BE+ES	0.3+10.0	30	5
	0.3+30.0	25	5
	1.0+10.0	65	20
	1.0+30.0	65	20

(vii) BROMOBUTIDE (BB)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	0	
	3.0	25	
BB	1.0	0	
	3.0	25	
BE+BB	1.0+1.0	5	0
	1.0+3.0	30	25
	3.0+1.0	30	25
	3.0+3.0	83	44

b) Echinochloa colonum (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	1.0	5	
	3.0	90	
BB	1.0	5	
	3.0	10	
BE+BB	1.0+1.0	90	8
	1.0+3.0	99	15
	3.0+1.0	98	91
	3.0+3.0	98	91

(viii) FURYLOXYFEN (FL)

Sagittaria spp. (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	0.3	0	
FL	20.0	70	
BE+FL	0.3+20.0	82	70

(ix) PRETILACHLOR (PR)

a) Echinochloa crus-galli (sprayed at leaf stage 1)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	0.3	0	
	1.0	10	
	3.0	93	
PR	3.0	70	
BE+PR	0.3+3.0	98	70
	1.0+3.0	98	73
	3.0+3.0	100	98

b) Cyperus difformis (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	0.3	5	
	1.0	30	
PR	3.0	50	
	10.0	88	
BE+PR	0.3+3.0	60	52
	0.3+10.0	75	67
	1.0+3.0	88	65
	1.0+10.0	85	75

(x) CINMETHYLIN (CM)

Monochoria vaginalis (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	0.3	0	
	1.0	0	
	3.0	0	
CM	3.0	45	
	10.0	60	
BE+CM	0.3+3.0	48	45
	0.3+10.0	75	60
	1.0+3.0	55	45
	1.0+10.0	79	60
	3.0+3.0	58	45
	3.0+10.0	85	60

(xi) MEFENACET (MF)

Scirpus juncoides (sprayed at leaf stage 1-2)

Treatment	Rate (ppm)	% control	
		Observed	Expected (E)
BE	0.3	0	
MF	3.0	60	
	10.0	70	
BE+MF	0.3+3.0	70	60
	0.3+10.0	82.5	70

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:-

1. A herbicidal composition comprising a synergistic mixture of

a) a benfuresate and

b) a herbicide selected from

(i) bensulfuron-methyl,
(ii) pyrazosulfuron-ethyl,
(iii) pyrazoxyfen,
(iv) benzophenap,
(v) pyrazolate,
(vi) esprocarb,
(vii) bromobutide,
(viii) furyloxyfen,
(ix) pretilachlor,
(x) cinmethylin and
(xi) mefenacet.

2. A method of controlling weeds which comprises applying to the weeds or their locus, either together or in sequence, a synergistic quantity of

a) benfuresate and

b) a herbicide selected from

(i) bensulfuron-methyl,
(ii) pyrazosulfuron-ethyl,
(iii) pyrazoxyfen,
(iv) benzophenap,
(v) pyrazolate,
(vi) esprocarb,
(vii) bromobutide,
(viii) furyloxyfen,
(ix) pretilachlor,
(x) cinmethylin and
(xi) mefenacet.

3. Herbicidal compositions or methods, substantially as hereinbefore described with reference to the examples.

4. 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 NINETEENTH day of JANUARY 1989

Schering Aktiengesellschaft

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