

AUSTRALIA

PATENTS ACT 1990

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):

690465

Nihon Nohyaku Co., Ltd.

of

1-2-5, Nihonbashi, Chuo-ku, Tokyo, Japan

[54] Invention Title:

Pyrazole derivatives when used to desiccate or defoliate crops
~~A composition for regulating plant growth and a method for application thereof~~

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

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Basic Convention Application(s) Details:

[31] Application Number	[33] Country	Code	[32] Date of Application
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DATED this SIXTH day of AUGUST 1996

Keith Collison

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



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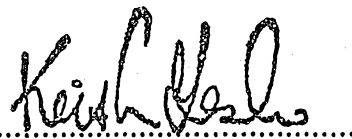
AUSTRALIA
PATENTS ACT 1990
NOTICE OF ENTITLEMENT

We, Nihon Nohyaku Co., Ltd., the applicant/Nominated Person 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 by assignment.

The Nominated Person is entitled to claim priority from the basic application listed on the patent request because the Nominated Person made the basic application.

DATED this SIXTH day of AUGUST 1996



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

(DCC ref: 1839471)

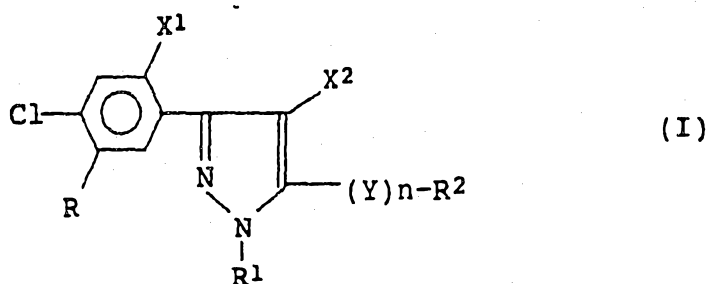


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(12) PATENT ABRIDGMENT (11) Document No. AU-B-61911/96
 (19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 690465

- (54) Title
 PYRAZOLE DERIVATIVES WHEN USED TO DESSICATE OR DEFOLIATE CROPS AND A METHOD FOR APPLICATION THEREOF
 International Patent Classification(s)
 (51)⁶ A01N 043/56
 (21) Application No. : 61911/96 (22) Application Date : 06.08.96
 (30) Priority Data
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 7-227418 12.08.95 JP JAPAN
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 (56) Prior Art Documents
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 (57) Claim

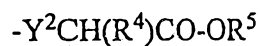
1. A composition which comprises as an active ingredient(s) at least one 3-substituted pyrazole derivative represented by the general formula (I):



wherein R is



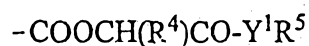
(wherein R³ is a (C₁₋₆)alkyl group, a halo(C₁₋₆)alkyl group, a (C₂₋₆)alkenyl group, a (C₂₋₆)alkynyl group, and Y¹ is -O- or -S-),



(11) AU-B-61911/96
(10) 690465

-2-

(wherein R^4 is a hydrogen atom or a (C_{1-6}) alkyl group, R^5 is a hydrogen atom, a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group, and Y^2 is -O-, -S- or -NH-),



(wherein R^4 , R^5 and Y^1 are as defined above), or



(wherein R^6 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group), R^1 is a (C_{1-6}) alkyl group, R^2 is a hydrogen atom, a (C_{1-6}) alkyl group or a halo (C_{1-6}) alkyl group, X^1 and X^2 , which may be the same or different, are halogen atoms, Y is -O-, -S-, -SO-, -SO₂- or -NH-, and n is an integer of 0 or 1, together with a carrier or diluent; when used for desiccation and/or defoliation of crops.

AUSTRALIA
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COMPLETE SPECIFICATION

NAME OF APPLICANT(S):

Nihon Nohyaku Co., Ltd.

ADDRESS FOR SERVICE:

DAVIES COLLISON CAVE

Patent Attorneys

1 Little Collins Street, Melbourne, 3000.

INVENTION TITLE:

Pyrazole derivatives when used to desiccate or defoliate crops and a method for application thereof

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



BACKGROUND OF THE INVENTION

Field of the Invention

The present invention relates to a composition for regulating plant growth and a method for applying
5 the same.

Related Art

The 3-substituted phenylpyrazole derivative represented by the general formula (I) shown hereinafter which is used in the present invention is a compound
10 described in Japanese Patent Unexamined Publication Nos. 3-163063 and 4-211065. As a foliage applied herbicide, said derivative has an excellent herbicidal activity against all of herbaceous weeds which are harmful to upland farming. Particularly when applied for wheat
15 (barley, oats or rye) cropping, said derivative exhibits a marked herbicidal effect on typical weeds such as cleavers (Galium aparine), chickweed (Stellaria media), birdseye speedwell (Veronica persica), sentless chamomile (Matricaria inodora), purple deadnettle
20 (Lamium purpureum), henbit (Lamium amplexicaule), shepherd's purse (Capsella bursa-pastoris), marsh yellowcress (Rorippa islandica), sticky chickweed (Cerastium viscosum), common lambsquarters (Chenopodium album), tufted knotweed (Polygonum longisetum),

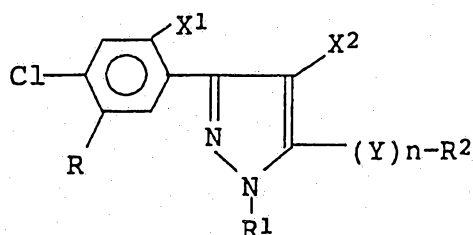
prostrate knotweed (Polygonum aviculare), etc.

SUMMARY OF THE INVENTION

There is desired the development of a novel plant growth regulator (e.g. desiccant or defoliant) used for facilitating harvesting of root vegetables (e.g. potato), fiber crops (e.g. cotton), oil crops (e.g. soybean and sunflower) and cereals (e.g. rice). The present inventors earnestly investigated for developing a novel composition for regulating plant growth, and consequently found that a 3-substituted pyrazole derivative, a compound well known as a herbicide is useful for preparing a composition for regulating plant growth, such as a desiccant or defoliant for root vegetables (e.g. potato), fiber crops (e.g. cotton), oil crops (e.g. soybean and sunflower) and cereals (e.g. rice), whereby the present invention has been accomplished.

DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

The composition for regulating plant growth of the present invention is characterized by containing as an active ingredient(s) at least one 3-substituted pyrazole derivative represented by the general formula (I):

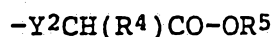


(I)

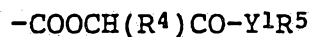
wherein R is



(wherein R^3 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group, and Y^1 is -O- or -S-),



- 5 (wherein R^4 is a hydrogen atom or a (C_{1-6}) alkyl group, R^5 is a hydrogen atom, a (C_{1-6}) alkyl group, a halo- (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) -alkynyl group, and Y^2 is -O-, -S- or -NH-),



(wherein R^4 , R^5 and Y^1 are as defined above), or



- 10 (wherein R^6 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group), R^1 is a (C_{1-6}) alkyl group, R^2 is a hydrogen atom, a (C_{1-6}) alkyl group or a halo (C_{1-6}) alkyl group, X^1 and X^2 , which may be the same or different, are halogen atoms, Y
15 is -O-, -S-, -SO-, -SO₂- or -NH-, and n is an integer of 0 or 1.



- 3a -

According to a first aspect of the present invention there is provided a composition which comprises as an active ingredient(s) at least one 3-substituted pyrazole derivative of formula (I) as defined above when used for desiccation and/or defoliation of crops.

- 5 According to a second aspect of the present invention there is provided a method for desiccation and/or defoliation of crops which comprises applying a composition comprising as an active ingredient(s) at least one 3-substituted pyrazole derivative represented by the general formula (I) as defined above together with a carrier or diluent, wherein the active ingredient(s) is applied in an amount from 5g to 500g per hectare.

10

15



~~for applying said composition for regulating plant growth.~~

In the definition of the substituents of the 3-substituted pyrazole derivative of the general formula (I), the term "(C₁₋₆)alkyl group" means a linear or branched alkyl group of 1 to 6 carbon atoms, such as methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, n-hexyl or the like. The prefix "halo" is used for expressing that a group contains one or more halogen atoms selected from chlorine, fluorine, bromine and iodine atoms. The term "halo(C₁₋₆)alkyl group" means a substituted and linear or branched alkyl group of 1 to 6 carbon atoms having as the substituent(s) one or more halogen atoms which may be the same or different and are selected from the group consisting of chlorine atom, fluorine atom, bromine atom and iodine atom. The terms "(C₂₋₆)alkenyl group" and "(C₂₋₆)alkynyl group" mean linear or branched alkenyl and alkynyl, respectively, groups of 2 to 6 carbon atoms.

Typical compounds as the 3-substituted phenyl-pyrazole derivative(s) of the general formula (I), i.e., the active ingredient(s) used in the present invention are listed in Table 1 but they are not intended in any way to limit the scope of the present invention.



General formula (I)

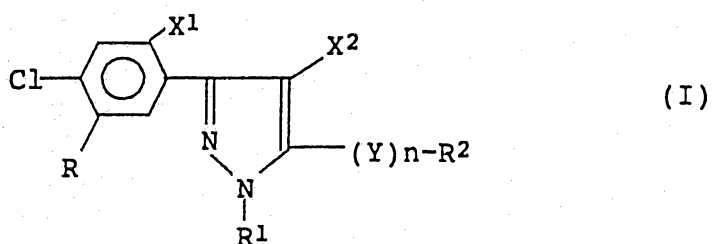


Table 1 ($R^1=CH_3$)

	R	R ²	X ¹	X ²	(Y) _n	Physical property
1	OCH ₂ CH=CH ₂	CH ₃	Cl	Cl	S	nD 1.6131 (25.3°C)
2	OCH ₂ CH=CH ₂	CHF ₂	Cl	Cl	O	nD 1.5536 (28.4°C)
3	OCH ₂ CH=CH ₂	CHF ₂	F	Cl	O	m.p. 63.7-64.1°C
4	SCH ₂ CH=CH ₂	CH ₃	Cl	Cl	S	paste
5	SCH ₂ CH=CH ₂	CHF ₂	Cl	Cl	O	m.p. 52.0-55.0°C
6	SCH ₂ CH=CH ₂	CHF ₂	F	Cl	O	nD 1.5670 (17.9°C)
7	OCH ₂ C≡CH	CH ₃	Cl	Cl	S	m.p. 71.5°C
8	OCH ₂ C≡CH	CHF ₂	Cl	Cl	O	m.p. 84.0°C
9	OCH ₂ C≡CH	CHF ₂	F	Cl	O	m.p. 98.0-98.1°C
10	SCH ₂ C≡CH	CH ₃	Cl	Cl	S	m.p. 94.5°C
11	SCH ₂ C≡CH	CHF ₂	Cl	Cl	O	m.p. 127-129°C
12	SCH ₂ C≡CH	CHF ₂	F	Cl	O	m.p. 82.8°C
13	OCH ₂ COOCH ₃	CH ₃	Cl	Cl	S	m.p. 126.2°C
14	OCH ₂ COOCH ₃	CHF ₂	Cl	Cl	O	m.p. 119.8°C
15	OCH ₂ COOCH ₃	CHF ₂	Cl	Br	O	m.p. 133.8°C
16	OCH ₂ COOCH ₃	CHF ₂	F	Cl	O	m.p. 122.8-123.1°C

- Cont'd -

Table 1 (Cont'd)

	R	R ²	X ¹	X ²	(Y)n	Physical property
17	OCH ₂ COOC ₂ H ₅	CH ₃	Cl	Cl	S	m.p. 106.5°C
18	OCH ₂ COOC ₂ H ₅	CHF ₂	Cl	Cl	O	m.p. 102.3°C
19	OCH ₂ COOC ₂ H ₅	CHF ₂	F	Cl	O	m.p. 127.6°C
20	OCH ₂ COOC ₃ H ₇ -n	CHF ₂	Cl	Cl	O	m.p. 89.7°C
21	OCH ₂ COOC ₃ H ₇ -n	CHF ₂	F	Cl	O	m.p. 97.6-97.8°C
22	OCH ₂ COOC ₃ H ₇ -i	CHF ₂	Cl	Cl	O	m.p. 106.0°C
23	OCH ₂ COOC ₃ H ₇ -i	CHF ₂	F	Cl	O	m.p. 120.3-120.5°C
24	OCH ₂ COOCH ₂ CH=CH ₂	CHF ₂	Cl	Cl	O	m.p. 84.7°C
25	OCH ₂ COOCH ₂ CH=CH ₂	CHF ₂	F	Cl	O	m.p. 89.2-89.4°C
26	OCH ₂ COOCH ₂ C≡CH	CHF ₂	Cl	Cl	O	m.p. 119.6°C
27	OCH ₂ COOCH ₂ C≡CH	CHF ₂	F	Cl	O	m.p. 99.0°C
28	OCH(CH ₃)COOH	CH ₃	Cl	Cl	S	m.p. 191-194°C
29	OCH(CH ₃)COOCH ₃	CH ₃	Cl	Cl	S	m.p. 90-93°C
30	OCH(CH ₃)COOCH ₃	CHF ₂	F	Cl	O	m.p. 95.6°C
31	OCH(CH ₃)COOC ₂ H ₅	CH ₃	Cl	Cl	S	nD 1.5763 (28.8°C)
32	OCH(CH ₃)COOC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5238 (25.7°C)
33	OCH(CH ₃)COOC ₂ H ₅	CHF ₂	Cl	Br	O	nD 1.5396 (20.8°C)
34	OCH(CH ₃)COOC ₂ H ₅	CHF ₂	F	Cl	O	m.p. 67.0-67.2°C
35	OCH(CH ₃)COOC ₃ H ₇ -i	CH ₃	Cl	Cl	S	m.p. 87-90°C
36	SCH(CH ₃)COOCH ₃	CHF ₂	Cl	Cl	O	nD 1.5654 (19.8°C)
37	SCH(CH ₃)COOCH ₃	CHF ₂	F	Cl	O	nD 1.5494 (25.0°C)

- Cont'd -

Table 1 (Cont'd)

	R	R ²	X ¹	X ²	(Y)n	Physical property
38	SCH(CH ₃)COOC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5565 (28.0°C)
39	SCH(CH ₃)COOC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5328 (18.0°C)
40	NHCH(CH ₃)COOCH ₃	CH ₃	Cl	Cl	S	m.p. 144.2°C
41	NHCH(CH ₃)COOC ₂ H ₅	CH ₃	Cl	Cl	S	paste
42	NHCH(CH ₃)COOC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5371 (23.4°C)
43	NHCH(CH ₃)COOC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5264 (26.6°C)
44	COOCH ₂ COOCH ₃	CHF ₂	Cl	Cl	O	m.p. 74.4°C
45	COOCH ₂ COOCH ₃	CHF ₂	F	Cl	O	nD 1.5350 (27.3°C)
46	COOCH ₂ COSCH ₃	CHF ₂	Cl	Cl	O	
47	COOCH ₂ COSCH ₃	CHF ₂	F	Cl	O	
48	COOCH ₂ COOC ₂ H ₅	CHF ₂	Cl	Cl	O	m.p. 57.2°C
49	COOCH ₂ COOC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5362 (23.4°C)
50	COOCH ₂ COSC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5763 (20.7°C)
51	COOCH ₂ COSC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5536 (27.3°C)
52	COOCH ₂ COOC ₃ H ₇ -i	CHF ₂	Cl	Cl	O	nD 1.5289 (24.0°C)
53	COOCH ₂ COOC ₃ H ₇ -i	CHF ₂	F	Cl	O	
54	COOCH ₂ COSC ₃ H ₇ -i	CHF ₂	Cl	Cl	O	nD 1.5684 (20.2°C)
55	COOCH ₂ COSC ₃ H ₇ -i	CHF ₂	F	Cl	O	
56	COOCH ₂ COOCH ₂ CH=CH ₂	CHF ₂	Cl	Cl	O	m.p. 45.4°C
57	COOCH ₂ COOCH ₂ CH=CH ₂	CHF ₂	F	Cl	O	
58	COOCH ₂ COOCH ₂ C≡CH	CHF ₂	Cl	Cl	O	m.p. 79.3°C

- Cont'd -

Table 1 (Cont'd)

	R	R ²	X ¹	X ²	(Y)n	Physical property
59	COOCH ₂ COOCH ₂ C≡CH	CHF ₂	F	Cl	O	
60	COOCH(CH ₃)COOCH ₃	CHF ₂	Cl	Cl	O	nD 1.5370 (25.7°C)
61	COOCH(CH ₃)COOCH ₃	CHF ₂	F	Cl	O	nD 1.5314 (23.0°C)
62	COOCH(CH ₃)COOC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5672 (26.0°C)
63	COOCH(CH ₃)COOC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5212 (14.1°C)
64	COOCH ₂ C≡CH	CHF ₂	Cl	Cl	O	m.p. 78.5°C
65	COOCH ₃	CHF ₂	Cl	Cl	O	m.p. 63.9°C
66	COOCH ₃	CHF ₂	F	Cl	O	nD 1.5430 (17.0°C)
67	COOC ₂ H ₅	CH ₃	Cl	Cl	O	nD 1.6029 (20.1°C)
68	COOC ₂ H ₅	CHF ₂	Cl	Cl	O	nD 1.5446 (26.8°C)
69	COOC ₂ H ₅	CHF ₂	F	Cl	O	nD 1.5320 (21.0°C)
70	OCH ₂ CH=CH ₂	CHF ₂	Cl	Cl	NH	m.p. 80.6°C
71	OCH ₂ C≡CH	CHF ₂	Cl	Cl	NH	m.p. 118.9°C
72	OCH ₂ COOCH ₃	i-C ₃ H ₇	Cl	Cl	-	paste
73	OCH ₂ CH=CH ₂	i-C ₃ H ₇	Cl	Cl	-	paste
74	OCH ₂ C≡CH	i-C ₃ H ₇	Cl	Cl	-	paste
75	SCH ₂ COOCH ₃	t-C ₄ H ₉	Cl	Cl	-	paste
76	OCH ₂ CH=CH ₂	CH ₂ Br	Cl	Cl	-	paste

The composition for regulating plant growth of the present invention can be applied in the form of an emulsifiable concentrate, wettable powder, aqueous suspension or the like prepared according to an ordinary manner for preparation of agrochemicals by blending one or more active ingredients selected from 3-substituted phenylpyrazole derivatives of the general formula (I) with one or more materials selected from the group consisting of suitable solid carriers and liquid carriers, and optionally adjuvants, etc., in a proportion properly chosen in the range of 0.1 to 90 parts by weight per 100 parts by weight of the composition.

The composition for regulating plant growth of the present invention can be used as a desiccant or defoliant for, for example, root vegetables (e.g. potato), fiber crops (e.g. cotton), oil crops (e.g. soybean and sunflower) and cereals (e.g. rice), but these examples of use are not intended in any way to limit the scope of the present invention.

The composition for regulating plant growth of the present invention may contain other active ingredients for regulating plant growth for the purpose of, for example, reducing the dosage. Examples of the other active ingredients are given below.

When used as a desiccant, the composition may be incorporated with, for example, quaternary ammonium salts such as 1,1'-dimethyl-4,4'-bipyridinium (Common name: Paraquat), 9,10-dihydro-8a,10a-diazoniaphenan-

threne (Common name: Diquat), etc.; organophosphorus compounds such as N-(phosphoromethyl)glycine (Common name: Glyphosate), N-(phosphoromethyl)glycine trimethylsulfonium salt (Common name: Glyphosate Trimecium), 2-chloroethylphosphonic acid (Common name: Ethephon), etc.; inorganic compounds such as sodium chlorate (NaClO_3), magnesium chlorate ($\text{Mg}(\text{ClO}_3) \cdot 6\text{H}_2\text{O}$), ammonia, lime nitrogen ($\text{Ca}(\text{NCN})/\text{CaCN}_2$), etc.; aliphatic compounds such as sodium monochloroacetate (Common name: Chloroacetic Acid), sodium trichloroacetate (Common name: TCA), hexachloroacetone, etc.; phenolic compounds such as 2-sec-butyl-4,6-dinitrophenol (Common name: Dinoseb), pentachlorophenol (Common name: PCP) and its salts, etc.; triazine type compounds such as N ethyl-N isopropyl-6-methylthio-1,3,5-triazine-2,4-diamine (Common name: Ametryn), etc.; arsenic acid type compounds such as arsenic acid, etc.; machine oil; and 7-oxabicyclo[2,2,1]heptane-2,3-dicarboxylic acid (Common name: Endothal) and its amine salt, sodium salt or potassium salt.

When used as a defoliant, the composition may be incorporated with, for example, organophosphorus compounds such as Ethephon, S,S,S-tributylphosphorotrithioate, S,S,S-tributylphosphorotrithioite, etc.; inorganic compounds such as lime nitrogen, sodium chlorate, ammonium nitrate, ammonium thiocyanate, zinc chloride, sodium hypochlorite, etc.; arsenic acid type

compounds such as methylarsonic acid and its salts,
etc.; aliphatic compounds such as Chloroacetic Acid,
etc.; Endothal; 1H-1,2,4-triazol-3-ylamine (Common
name: Amitrole); and thioureas. The above-exemplified
5 compounds are not intended in any way to limit the scope
of the present invention.

Typical examples, test examples and the like
of the present invention are described below as embodi-
ments of the present invention but they should not be
10 construed as limiting the scope of the invention.

In the examples, parts are all by weight.

Example 1

	Compound No. 19	0.4 part
	Solvesso 200	57.6 parts
15	Polyoxyethylene lauryl ether (HLB 10.0)	40.0 parts
	SP-3005X	2.0 parts

An emulsifiable concentrate was prepared by
mixing uniformly the above ingredients to effect
20 dissolution.

Examples 2 to 7

Compositions for regulating plant growth were
prepared according to each recipe shown in Table 2, in
the same manner as in Example 1.

Table 2

Composition	2	3	4	5	6	7
Compound No. 19	0.2	0.4	0.4	0.4	1.0	2.5
Solvesso 200 (mfd. by Exxon Chemical Co., Ltd.)	76.8	57.6	56.6	55.6	76.0	77.5
POE Lauryl ether (HLB 14.0)	20.0					
FOE Styrylphenyl ether (HLB 15.5)		40.0				
POE (10 mols) Nonylphenyl ether			40.0			
POE (12 mols) Nonylphenyl ether				40.0		
POE Fatty acid ester (HLB 9.5)					20.0	
N-Methyl-2- pyrrolidone						10.0
SP-3005X (mfd. by TOHO KAGAKU K.K.)	3.0	2.0	3.0	4.0	3.0	10.0
	100.0	100.0	100.0	100.0	100.0	100.0

Test Example 1

Desiccant effect on potato

Potato tubers (Solanum tuberosum, cultivar: May Queen) were trans-planted at a 0.4 m interval in a row of 1.0 m width. When the growth stage reached the beginning of yellowing, the stems and leaves were uniformly treated with a predetermined dosage of each of the composition for regulating plant growth of the present invention described in Example 1 and reference agents in a spray volume of 1000 liters/ha.

Seven days and 14 days after the treatment,

the desiccant effect on the stems and leaves was visually judged according to the criterion shown below.

In addition, 14 days after the treatment, the tubers were dug out and the degree of browning of the vascular bundle was judged in terms of an index according to the criterion shown below.

Criterion for judging the desiccant effect:

Efficacy	Withered area of stems and leaves (%)
1	0 - 49
2	50 - 69
3	70 - 89
4	90 - 99
5	100

Criterion (indexes) for judging the browning of vascular bundle:

10	0 : No browning of vascular bundle
	1 : Slight browning of vascular bundle near the base
	2 : Browning of less than 1/3 of vascular bundle
	3 : Browning of 1/3 to 2/3 of vascular bundle
15	4 : Browning of the whole vascular bundle

Table 3 shows the results of investigating the desiccant effect and Table 4 the results of judging the

browning of the vascular bundle.

Table 3 Dessicant effect

	Test agent	Dosage (gAI/ha)	Leaves		Stems	
			After 7 days	After 14 days	After 7 days	After 14 days
Inven- tion	Example 1	10	4	5	3	4
		20	5	5	4	5
		40	5	5	4	5
Refer- ence	Diquat	900	5	5	3	4
	Lime nitrogen	15kg/10a	4	5	1	4

Note: As lime nitrogen, a commercial one containing 50% calcium cyanamide was used.
AI denotes an active ingredient.

Table 4 Browing of vascular bundle

	Test agent	Dosage (gAI/ha)	Percentage of browning of vascular bundle (%)				
			Index of browning of vascular bundle				
			0	1	2	3	4
Inven- tion	Example 1	10	100	0	0	0	0
		20	75	25	0	0	0
		40	70	30	0	0	0
Refer- ence	Diquat	900	0	56	44	0	0
	Lime nitrogen	15kg/10a	75	25	0	0	0

Test Example 2

Seeds of cotton (Gossypium hirsutum, cultivar: Acala) were sown at 0.4-m intervals and grown. At the time of the dehiscence of cotton boll, the leaves were
5 uniformly treated with a predetermined dosage of each of the composition for regulating plant growth of the present invention described in Example 1 and reference agents in a volume rate of 250 liters/ha.

Five, 10, 15 and 20 days after the treatment,
10 the desiccant effect on the leaves was visually judged in the range of 0 (the same result as in the case of no treatment) to 100 (complete withering). As to the defoliating effect, the defoliation rate was calculated 15 and 20 days after the treatment by the equation shown
15 below.

In addition, 25 days after the treatment, the phytotoxicity to lint (harvest) was investigated and then judged according to the criterion shown below.

Defoliation rate:

$$\text{Defoliation rate (\%)} = \frac{\text{Number of fallen leaves}}{\text{Total number of examined leaves}} \times 100$$

20 Criterion for judging the phytotoxicity to lint:

+ : phytotoxicity was shown

- : no phytotoxicity was shown

Table 5 shows the results of investigating the leave-withering effect and Table 6 the results of investigating the defoliating effect and the results of investigating the phytotoxicity to lint.

Table 5

	Test agent	Dosage (gAI/ha)	desiccant effect on leaves			
			After 5 days	After 10 days	After 15 days	After 25 days
Invention	Example 1	5	80	90	93	100
		10	80	90	95	100
		20	90	95	97	100
Reference	Diquat	1000	90	95	98	100

Table 6

	Test agent	Dosage (gAI/ha)	defoliating effect on leaves		Phytotoxicity (lint)
			After 15 days	After 25 days	After 25 days
Invention	Example 1	5	30	70	-
		10	30	70	-
		20	40	75	-
Reference	Diquat	1000	8	10	-

- 16a -

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.

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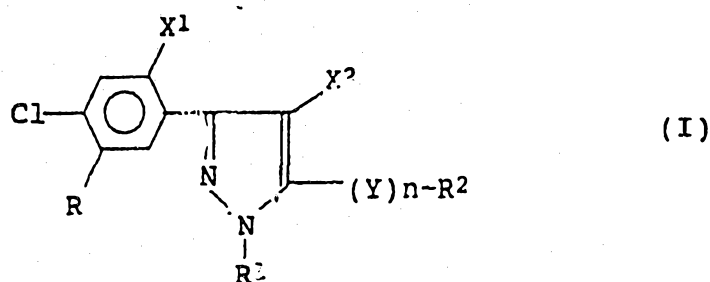
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- 17 -

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A composition which comprises as an active ingredient(s) at least one 3-substituted pyrazole derivative represented by the general formula (I):

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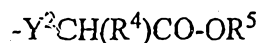


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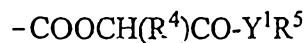
wherein R is



- 15 (wherein R^3 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group, and Y^1 is -O- or -S-),



- 20 (wherein R^4 is a hydrogen atom or a (C_{1-6}) alkyl group, R^5 is a hydrogen atom, a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group, and Y^2 is -O-, -S- or -NH-),



25

(wherein R^4 , R^5 and Y^1 are as defined above), or



- 30 (wherein R^6 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group), R^1 is a (C_{1-6}) alkyl group, R^2 is a hydrogen atom, a (C_{1-6}) alkyl group or

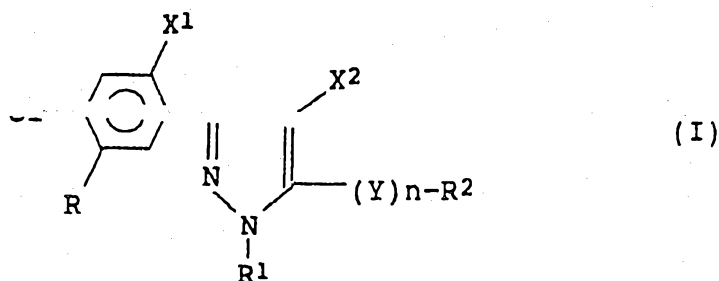


- 18 -

a halo(C₁₋₆)alkyl group, X¹ and X², which may be the same or different, are halogen atoms, Y is -O-, -S-, -SO-, -SO₂- or -NH-, and n is an integer of 0 or 1, together with a carrier or diluent; when used for desiccation and/or defoliation of crops.

5 2. A composition according to claim 1, wherein the 3-substituted pyrazole derivative represented by the general formula (I) is ethyl 2-chloro-5-(4-chloro-5-difluoromethoxy-1-methyl-1H-pyrazol-3-yl)-4-fluorophenoxyacetate.

3. A method for desiccating and/or defoliating crops which comprises applying a
10 composition comprising as an active ingredient(s) at least one 3-substituted pyrazole derivative represented by the general formula (I):



[wherein R is

20

-Y¹R³

(wherein R³ is a (C₁₋₆)alkyl group, a halo(C₁₋₆)alkyl group, a (C₂₋₆)alkenyl group or a (C₂₋₆)alkynyl group, and Y¹ is -O- or -S-),

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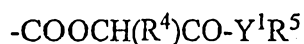
-Y²CH(R⁴)CO-OR⁵

(wherein R⁴ is a hydrogen atom or a (C₁₋₆)alkyl group, R⁵ is a hydrogen atom, a (C₁₋₆)alkyl group, a halo(C₁₋₆)alkyl group, a (C₂₋₆)alkenyl group or a (C₂₋₆)alkynyl group, and Y² is

30 -O-, -S- or -NH-),



- 19 -



(wherein R^4 , R^5 and Y^1 are as defined above), or



5

(wherein R^6 is a (C_{1-6}) alkyl group, a halo (C_{1-6}) alkyl group, a (C_{2-6}) alkenyl group or a (C_{2-6}) alkynyl group), R^1 is a (C_{1-6}) alkyl group, R^2 is a hydrogen atom, a (C_{1-6}) alkyl group or a halo (C_{1-6}) alkyl group, X^1 and X^2 , which may be the same or different, are halogen atoms, Y is -O-, -S-, -SO-, -SO₂- or -NH-, and n is an integer of 0 or 1], together with a carrier or

10 diluent, wherein the active ingredient(s) is applied in an amount from 5 g to 500 g per hectare.

4. A method according to claim 3, wherein the 3-substituted pyrazole derivative represented by the general formula (I) is ethyl 2-chloro-5-(4-chloro-5-difluoromethoxy-1-
15 methyl-1H-pyrazol-3-yl)-4-fluorophenoxyacetate.

5. A method according to claim 3 or claim 4, wherein the crops are root vegetable, fiber, oil or cereal.

20 6. A method according to claim 5, wherein the crops are potato, cotton, soybean, sunflower or rice.

7. Methods for desiccating or defoliating crops substantially as hereinbefore described with reference to the Examples.

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DATED this 4th day of December, 1997

Nihon Nohyaku Co., Ltd.

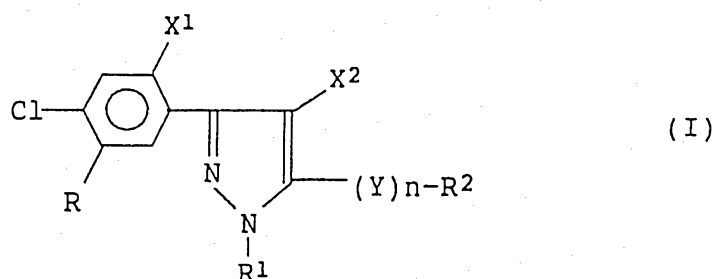
By DAVIES COLLISON CAVE

30 Patent Attorneys for the Applicant



ABSTRACT OF THE DISCLOSURE

A composition for regulating plant growth which comprises as an active ingredient(s) at least one 3-substituted phenylpyrazole derivative represented by the general formula (I):



[wherein R is $-Y^1R^3$, $-Y^2CH(R^4)CO-OR^5$, $-COOCH(R^4)CO-Y^1R^5$ or $-COOR^6$ (wherein R^3 = alkyl, haloalkyl, alkenyl or alkynyl, R^4 = H or alkyl, R^5 = H, alkyl, haloalkyl, alkenyl or alkynyl, R^6 = alkyl, haloalkyl, alkenyl or alkynyl, Y^1 = $-O-$ or $-S-$, and Y^2 is $-O-$, $-S-$ or $-NH-$), R^1 is a lower alkyl group, R^2 is H, a lower alkyl group or a lower haloalkyl group, each of X^1 and X^2 is a halogen atom, Y is $-O-$, $-S-$, $-SO-$, $-SO_2-$ or $-NH-$, and n is 0 or 1], and acts as a desiccant or defoliant for root vegetables (e.g. potato), fiber crops (e.g. cotton), oil crops (e.g. soybean and sunflower) and cereals (e.g. rice); and a method for applying said composition.