



AFRICAN REGIONAL INDUSTRIAL PROPERTY
ORGANIZATION (ARIPO)

(11)

A

<p>(21) Application Number: AP/P/87/00074</p> <p>(22) Filing Date: 18.12.87</p> <p>(24) Date of Grant & Publication: 10.02.90</p>	<p>(73) Applicant(s): Schering Aktiengesellschaft Berlin-Wedding, Mullerstrasse 170-178, D-1000 BERLIN 65</p>
<p>(30) Priority Data:</p> <p>(33) Country: DE</p> <p>(31) Number: P 3643 654.2</p> <p>(32) Date: 18.12.86</p>	<p>(72) Inventor(s): Dr. Reinhart Rusch Wildkanzelweg 29, D-1000 Berlin 28, West Germany</p>
<p>(84) Designated States: SD, ZW</p>	<p>(74) Representative: George Seirlis & Associates P.O. Box 3568 HARARE Zimbabwe</p>

(51) International Patent Classification Int. Cl.⁴ A01N 47/36

(54) Title: Composition for the Defoliation of plants 1

(57) Abstract:

The invention relates to a new composition for defoliation of plants with synergistic activity, containing a mixture of 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea and 1-dodecylazacycloheptan-2-one or a mixture of 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea, 1-dodecylazacycloheptan-2-one and 3-(3,4-dichlorophenyl)-1,1-dimethylurea and its use especially for the defoliation of cotton plant.

A P68

(56) Documents cited: Herbicide Handbook- Weed Society of America; Plant Regulators-Hawson Chemical Technology Review no.14 (NDC)

FI Plant growth regulators 2 Herbicide Actinonide-Int. J. Plant Growth Reg.

END OF ORIGINAL



This invention relates to a new composition for defoliation of plants having synergistic activity which contains as the active ingredients a mixture of 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea and 1-dodecylazacycloheptan-2-one or a mixture of 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea, 1-dodecylazacycloheptan-2-one and 3-(3,4-dichlorophenyl)-1,1-dimethylurea.

The composition consists essentially of two and/or three components that mutually affect each other when used together and display a biological activity which is greater than the sum of the activities used alone and shows an effect which can be described as synergistic. This synergistic activity introduces in the present case the increased formation of separating tissue in plants and thus leads to a controlled removal of the leaf stalk and leaves of the treated plants.

1-Phenyl-3-(1,2,3-thiadiazol-5-yl)urea is already known as a plant defoliant (DE-OS 25 06 690).

1-Dodecylazacycloheptan-2-one is also known and have been described, inter alia, as agents for enhancing the penetration of pesticides and nutrients into plants. (EP 77 078 and EP 160 111). However, its use as a



defoliant or as a synergist for defoliants is not known.

3-(3,4-Dichlorophenyl)-1,1-dimethyl urea is generally known, under the common name diuron, as a herbicide

5 (USP 2 655 445).

Also mixtures with 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea for the defoliation of plants which show a synergistic activity, are already known (DE-OS 26 46 712 and

10 DE-OS 32 22 622).

However, there still exists to an ever increasing extent a considerable requirement for further materials in this area with increased activity and with it the possibility
15 of lower amounts of active material and especially an increasing demand for corresponding protection of the environment.

With the substances known up until now, clear progress has
20 been made. However, it is not always satisfactory, especially when for acceptable activity at lower temperatures, higher rates of use are required, or for an acceptable activity also, the high rates of use are no longer allowed.

25



The object of the present invention is therefore to provide a composition for defoliation of plants having synergistic activity which does not have the drawbacks of the known substances.

5

This object can be solved according to invention by a composition which is characterised by comprising a mixture of the components

A) 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea and

10 B) 1-dodecylazacycloheptan-2-one

or

A) 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea,

B) 1-dodecylazacycloheptan-2-one and

C) 3-(3,4-dichlorophenyl)-1,1-dimethylurea.

15

Surprisingly, the composition of the invention shows a defoliation activity that is often much greater than the sum of the activities of the single components when used alone, which is not predictable from the present state of

20 knowledge.

The state of the art is considerably improved by the provision of the compositions of the invention.

25 This is demonstrated particularly in comparison with

AP000068



common defoliants, such as S,S,S-tributyl phospho-
trithioate or sodium chlorate, where addition of
1-dodecylazacycloheptan-2-one gives no increase in
activity as is observed with the composition of the
5 invention.

The composition of the invention is particularly valuable
under climatic conditions where a single component alone
does not produce clear defoliation.

10

The synergistic activity of the mixture of the invention
is displayed when it contains to each part by weight of
component A, 1 to 100 parts by weight of component B and 0
to 10 parts by weight of component C, but these limiting
15 values can also be exceeded by larger or smaller amounts.

Optimal increase in activity is exhibited by the mixtures
of the invention that contain for each part by weight of
defoliant substance A, 1 to 50 parts by weight of
20 component B and 0 to 5 parts by weight of component C.
However, the proportion by weight depends on the
sensitivity and resistivity of the plants, the time of
use, the climatic conditions and the soil conditions.

25 The composition of the invention is suitable for the



defoliation, and with it the facilitating of harvesting, of many plants, especially cotton.

The rates of use are as a rule in the range 1 to 10,000
5 grams of the mixture (components A, B and C) per hectare, especially 100 to 2000 grams of mixture per hectare.

The composition can be applied in customary fashion, for example with water as the carrier in spray mixture volumes
10 of approximately 100 to 2000 l/ha. The composition can be applied using low-volume or ultra-low-volume techniques.

The composition of the invention can, if desired, be used in mixture with other active ingredients, for example
15 defoliants, plant protection or pesticide materials depending on the desired object.

An increase in the activity and the speed of activity can also be obtained for example through use of additives
20 which will increase the activity, such as for example, solvents, surfactants and oils. These can lead to a further reduction of the rates of use of the actual active ingredients.

25 The mixtures of the invention can suitably be used, for



example, as powders, dusts, granules, solutions, emulsions or suspensions, with the addition of liquid and/or solid carriers and/or diluents and, optionally, binding, wetting, emulsifying and/or dispersing adjuvants.

5

Suitable liquid carriers are, for example aliphatic and aromatic hydrocarbons, as well as cyclohexanone, isophorone, dimethyl sulphoxide, dimethylformamide and other mineral-oil fractions and plant oils.

10

Suitable solid carriers include mineral earths, e.g. bentonite, silica gel, talcum, kaolin, attapulgite, limestone, silicic acid and plant products, e.g. flours.

15

As surface-active agents there can be used for example calcium lignosulphonate, polyoxyethylenealkylphenyl ether, naphthalenesulphonic acids and their salts, phenolsulphonic acids and their salts, formaldehyde condensates, fatty alcohol sulphates, as well as

20

substituted benzenesulphonic acids and their salts.

The content of the mixture (components A, B and C) in the various preparations can vary within wide limits. For example, the compositions can contain about 5 to 95 percent by weight of components A, B and C, and about 95

25



800009A

to 5 percent by weight liquid or solid carriers, as well as, optionally up to 30 percent by weight of surfactant.

Formulations can be prepared, for example, from the following ingredients.

- a) 95 percent by weight components A and B or A, B and C
5 percent by weight of a surfactant based on the
polyoxyethylene derivative of sorbitan acid
- b) 35 percent by weight components A and B
40 percent by weight colloidal silicic acid
10 percent by weight kaolin
10 percent by weight calcium lignosulphonate
2 percent by weight ammonium chloride
2 percent by weight of a surfactant based on
polyoxyethylene derivatives
1 percent by weight of a surfactant based on
dialkylnaphthalensulphonate
- c) 5 percent by weight components A and B
80 percent by weight bentonite
10 percent by weight calcium lignosulphonate
5 percent by weight of a surfactant based on
fatty acid condensation products



d) 72 percent by weight components A, B and C
28 percent by weight of a surfactant based on
ethoxylated tert alkylamine

5 e) 55 percent by weight components A, B and C
38 percent by weight colloidal silicic acid
5 percent by weight calcium lignosulphonate
2 percent by weight of a surfactant based on
polyoxyethylene derivatives

10

With unfavourable conditions for the defoliation,
glasshouse tests are the basis for the following examples
which as a general rule are carried out on cotton plants
with 4 to 8 true leaves. The composition is applied in the
15 form of suspensions or emulsions at a rate of 200 litres
of water per hectare.

The evaluation of the experiment is carried out by
counting the number of discharged leaves after the
20 application and by estimation of the percentage of the
total number of leaves. For each experimental member there
is always present in each single experiment the same
number of plants and leaves. From experiment to experiment
the number of leaves for each experimental member did not
25 vary and lay between 20 and 32 leaves.



8200009A

The following reports of the experiment contain data about the components A, B and C, rates of use, as well as the calculation of the percentage rate of defoliation. Next to the percentage rate of defoliation obtained is given, in brackets, the value that would be expected for additive activity as calculated by the method of S R Colby (S R Colby "Calculating Synergistic and Antagonistic Responses of Herbicide Combinations". Weeds 15/1 (1967) pages 20 to 22).

The calculation was carried out according to the following equation:

$$E = X + Y + Z - \frac{(XY + XZ + YZ)}{100} + \frac{XYZ}{10000}$$

in which X = percentage defoliation with substance A at p kg/ha

Y = percentage defoliation with substance B at q kg/ha

Z = percentage defoliation with substance C at r kg/ha

E = the expected defoliation by additive activity of the substances A + B + C at p + q + r kg/ha.

If the observed value is higher than that value E calculated according to Colby, the combination has synergistic activity.



Example 1

Young cotton plants in the 5 to 6 leaf stage were treated with the active ingredients given below (repeated 4 times). The volume of water used was 200 l/ha.

5

After 2 weeks the percentage of discharged leaves was ascertained. The results are given in the following table.

			Rate	Defoliation	E
10	Components		in	(%)	(according
	of invention		g/ha		to Colby)
<hr/>					
	1-Phenyl-3-(1,2,3-	A	20	10	
15	thiadiazol-5-yl)-		40	24	
	urea		80	40	
	1-dodecylaza-	B	240	0	
	cycloheptan-2-one		500	0	
20			1000	0	
			2000	0	
		A + B	40 + 500	90	(24)

25



Example 2

Young cotton plants in the 5 to 6 leaf stage were treated as in Example 1 and evaluated after 20 days at 15 to 17°C.

5	Components of invention		Rate in g/ha	Defoliation (%)	E (according to Colby)
10	1-Phenyl-3-(1,2,3- thiadiazol-5-yl)- urea	A	20 40 80	0 0 10	
15	1-dodecylaza- cycloheptan-2-one	B	500 1000	0 0	
		A + B	20 + 500 40 + 500	70 100	(0) (0)

20

25



Example 3

Young cotton plants in the 5 to 6 leaf stage were treated as in Example 2 and evaluated after 20 days at unfavorable temperatures (mainly between 15 and 20°C).

5

Components of invention		Rate in g/ha	Defoliation (%)	E (according to Colby)
----------------------------	--	--------------------	--------------------	------------------------------

10

1-Phenyl-3-(1,2,3-
thiadiazol-5-yl)-
urea

A

50

10

100

14

15

1-dodecylaza-
cycloheptan-2-one

B

50

0

100

0

A + B

50 + 50

38

(0)

20

25



Example 4

Young cotton plants in the 5 to 6 leaf stage were treated as in Example 2 and evaluated after 13 days at unfavorable temperatures (mainly between 13 and 20°C).

5

			Rate	Defoliation	E
Components			in	(%)	(according
of invention			g/ha		to Colby)
<hr/>					
10	1-Phenyl-3-(1,2,3-	A	30	0	
	thiadiazol-5-yl)-		60	0	
	urea				
	1-dodecylaza-	B	500	0	
15	cycloheptan-2-one		2000	0	
		A + B	30 + 500	85	(0)
		A + B	60 + 500	100	(0)

Comparison

20	S,S,S-tributylphos-	D	350	65	
	phosphorothioate		700	78	
		B+D	500+350	62	(65)
			500+700	76	(78)
	Sodium chlorate	E	1000	5	
25		B+E	500+1000	5	(5)



Example 5

Young cotton plants in the 5 to 6 leaf stage were treated with the active ingredients given below (repeated 4 times). The volume of water used was 200 l/ha.

5

After 2 weeks at 15 to 17°C the percentage of discharged leaves was ascertained. The results are given in the following table.

		Rate	Defoliation	E
10	Components	in	(%)	(according
	of invention	g/ha		to Colby)
	1-Phenyl-3-(1,2,3-	A	25	0
	thiadiazol-5-yl)-		50	10
15	urea		100	14
	1-dodecylaza-	B	25	0
	cycloheptan-2-one		50	0
			100	0
	3-(3,4-dichlorophenyl)-	C	25	0
20	1,1-dimethylurea		50	0
			100	0
	A+B+C	25+50+25	86	(0)

Comparison

25	A+C	50 + 50	71	(10)
----	-----	---------	----	------



Example 6

Young cotton plants in the 6 to 7 leaf stage were treated as in Example 5 and evaluated after 3 weeks at unfavorable temperatures (mainly between 14 and 20°C).

5

Components of invention		Rate in g/ha	Defoliation (%)	E (according to Colby)
10	1-Phenyl-3-(1,2,3-thiadiazol-5-yl)- urea	A 9	0	
		20	0	
		100	7	
	1-dodecylaza- cycloheptan-2-one	B 85	0	
		500	0	
15	3-(3,4-dichlorophenyl)- 1,1-dimethylurea	C 2	0	
		20	0	
		100	0	
	A+B+C	9+85+2	82	(0)

Comparison

20	A+C	20 + 20	64	(0)
----	-----	---------	----	-----

Example 7

Young cotton plants in the 6 leaf stage were treated with the active ingredients given below (repeated 4 times). The volume of spray used was 200 l/ha. A few days after

25

application of the active ingredients, and maintaining at unfavorable temperatures (mainly between 12 and 20°C), the percentage of discharged leaves was ascertained.

			Rate in g/ha	Defoliation (%)	E (according to Colby)
5	Components of invention				
	1-Phenyl-3-(1,2,3- thiadiazol-5-yl)-	A	25	0	
			50	0	
10	urea		100	10	
	1-dodecylaza-	B	50	0	
	cycloheptan-2-one		100	0	
			500	0	
	3-(3,4-dichlorophenyl)-	C	5	0	
15	1,1-dimethylurea		10	0	
			12.5	0	
			50	0	
			300	0	
		A+B+C	25+50+5	24	(0)
20		A+B+C	50+100+10	48	(0)
		A+B+C	25+200+12.5	68	(0)
	<u>Comparison</u>				
		A+C	50 + 50	19	(0)

25




CLAIMS

1. Composition for defoliation of plants which is
characterised by comprising a mixture of the components
5 A) 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea and
B) 1-dodecylazacycloheptan-2-one
or
A) 1-phenyl-3-(1,2,3-thiadiazol-5-yl)urea,
B) 1-dodecylazacycloheptan-2-one and
10 C) 3-(3,4-dichlorophenyl)-1,1-dimethylurea.
2. Composition according to claim 1, characterised in
that it comprises for each part by weight of component
A, 1 to 100 parts by weight of component B and 0 to 10
15 parts by weight of component C.
3. Composition according to claims 1 to 2 characterised
in that it comprises for each part by weight of
component A, 1 to 50 parts by weight of component B
20 and 0 to 5 parts by weight of component C.
4. Process for the preparation of compositions for
defoliation of plants characterised by mixing a
mixture of the components according to claims 1 to 3
25 with carriers and or other adjuvants.



5



15

25