

PATENT SPECIFICATION

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(54) 1,2,3-THIADIAZOLE DERIVATIVES

(71) We, SCHERING AKTIENGESSELLSCHAFT, a Body Corporate organised according to the laws of Germany, of Berlin and Bergkamen, Germany, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

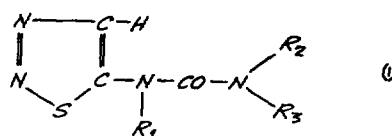
The present invention is concerned with new substituted carbamoylamino-1,2,3-thiadiazoles, plant-growth regulating preparations containing these compounds as active substances, their use as plant growth-regulating agents, and also a process for the manufacture of these compounds.

As a growth-inhibiting substance especially maleic acid hydrazide (1,2-dihydropyridazine-3,6-dione) has become known as an active substance which inhibits the growth principally of grasses for long periods (cf. British Patent Specifications Nos. 693,912 and 693,960). However, its use has shown that it has the disadvantage that its activity is insufficient when applied at low concentrations.

The present invention is therefore based essentially on the problem of developing a growth regulator for plants which has a good activity when applied at low concentrations.

It has now been found that this problem is solved by using one or more of the compounds of the present invention of the general formula I, as defined below.

The present invention provides a compound of the general formula I



in which

R₁ represents a hydrogen atom or an alkyl group containing 1 to 3 carbon atoms, and

R₂ represents a hydrogen atom or an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, and

R₃ represents an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, a cycloaliphatic hydrocarbon group which may be substituted by at least one alkyl group, or an aromatic hydrocarbon group which may be substituted by at least one substituent selected from alkyl groups, halogen atoms, alkylmercapto groups, alkoxy groups, trifluoromethyl group and a nitro group, or

R₂ and R₃ together with the adjacent nitrogen atom represent a morpholino, piperidino or pyrrolidino group.

Among the compounds of the general formula I there are especially suitable as plant growth-regulating agents those compounds in which the symbol R₁ represents a hydrogen atom or a methyl or ethyl group, and the symbol R₂ represents a hydrogen atom or an alkyl group containing 1 to 4 carbon atoms, for example methyl or ethyl, and the symbol R₃ represents an alkyl group containing 1 to 4 carbon atoms, for example methyl or ethyl, a cycloaliphatic hydrocarbon group which may be substituted by a methyl group, for example cyclopentyl, cyclohexyl or methyl-cyclohexyl, or a phenyl group which may be substituted by one or more substituents selected from chlorine atoms, methyl groups, methoxy

groups, trifluoromethyl groups and a nitro group, or the symbols R₂ and R₃ may represent together with the adjacent nitrogen atom a morpholino, piperidino or pyrrolidino group.

The compounds of the present invention are excellently suited for regulating plant growth, and particularly for retarding vegetative growth, for example, by shortening the internodes. This leads to many advantages, *inter alia*, for example, reducing the need to cut the grass on lawns, inducing resistance to frost, mist, oversalting and drought, and also prolonging the harvest period and improving ripeness.

The use of these compounds results in still further advantageous effects, for example an increase in the formation of growths of side shoots from low-lying buds, and also the prevention of flowering, especially in grasses, for example *Avena* and *Cyperus* species. The compounds of the present invention can be used, for example, in fruit and vine cultivation, in ornamental plant cultivation, in the cultivation of vegetables, in agriculture and in the care of the countryside.

The amounts required for the desired regulation of plant growth generally amount to 0.3 to 10 kilograms of active substance per hectare; when two or more compounds of the general formula I are used the range of 0.3 to 10 kilograms refers to the total amount applied of these compounds.

The type and manner of the growth-regulating action is, however, dependent on the time of treatment and not ultimately on the nature of the plants. Against weeds in the young state or at the commencement of sprouting the inhibiting effect can be such as to bring about, for example, the total inhibition of the development of brushwood including wasteland flora. The chemical compounds of the invention can also be used whenever it is necessary not to destroy a flora completely, but to keep it in a low vegetative state of growth.

The present invention accordingly also provides a plant growth-regulating preparation which comprises a compound of the general formula I, in admixture or conjunction with a suitable carrier. The preparations may of course contain one or more compounds of the general formula I.

The present invention further provides a method of regulating plant growth, wherein a plant is treated with a compound of the general formula I.

The present invention further provides a method of regulating plant growth, wherein seeds are treated with a compound of the general formula I.

The compounds of the present invention can be used either alone, or in admixture with one another or with other active substances. If desired, other plant protecting agents or pest combating agents, for example fungicides, nematocides or other agents, may be added depending on the purpose desired. It is also possible, for example, to add fertilizers.

Depending on the effect desired other substances may also be added, including, for example, non-phytotoxic additions, which are capable of bringing about a synergistic increase in activity with herbicides, for example wetting agents, emulsifiers, solvents and oily additions.

Advantageously, the active substances of the present invention or mixtures thereof are used in the form of plant growth-regulating preparations, for example powders, spraying or dusting agents, granules, solutions, emulsions or suspensions, with the addition of liquid and/or solid vehicles or diluents, and, if desired, of surface-active agents, for example wetting agents, adhesives, emulsifiers and/or dispersing agents.

Suitable liquid vehicles are, for example, water, aliphatic hydrocarbons, aromatic hydrocarbons, for example benzene, toluene and xylene, cyclohexanone and isophorone, and also mineral oil fractions.

As solid vehicles there are suitable mineral earths, for example tonsil, silica gel, talcum, kaolin, attaclay, limestone and silicic acid, and vegetable products, for example flours.

As surface-active substances there may be mentioned, for example, calcium lignin sulphonate, polyoxyethylene-octyl-phenol ethers, naphthalene sulphonic acids and salts thereof, phenol sulphonic acids and salts thereof, formaldehyde condensates, fatty alcohol sulphates and substituted benzene sulphonic acids and salts thereof.

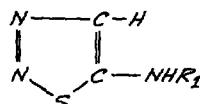
The total amount of the active compound or compounds of the general formula I in the various plant growth-regulating preparations may vary within wide limits. The preparations may contain, for example, approximately 20 to 80 per cent by weight of active compound(s), approximately 80 to 20 per cent by weight of

liquid or solid vehicle, and also, if desired, up to 20 per cent by weight of surface-active agent(s).

The application of the preparations may be carried out in the usual manner, for example, with water as carrier in spray liquors in an amount of 100 to 1,000 litres per hectare. For the total destruction of weeds it may in some circumstances be necessary to apply the spray liquors in amounts exceeding 1,000 litres per hectare. It is also possible to use the preparations in the so-called "ultra-low-volume-method", and they may also be applied in the form of so-called micro-granules.

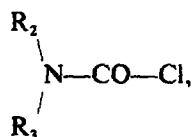
The compounds of the present invention can be made by processes known *per se*, for example by the reaction of appropriate amino-compounds with carbamoyl halides, chloroformic acid esters or isocyanates, or with phosgene and subsequent reaction of the resulting isocyanate or carbamoyl halide with an appropriate amine to form the desired products. The new compounds may thus be manufactured, for example, by the following processes which are also included within the scope of the present invention.

The present invention accordingly further provides a process for the manufacture of a compound of the general formula I, wherein a compound of the general formula



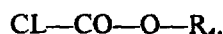
in which R^1 has the meaning given above, is reacted

a) with a carbamoyl chloride of the general formula

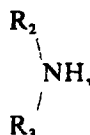


in which R_2 and R_3 have the meanings given above, in the presence of an acid-binding agent, advantageously an inorganic or tertiary organic base, for example sodium carbonate or triethylamine, or

b) with a chloroformic acid ester of the general formula

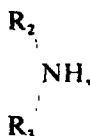


in which R_4 represents an alkyl group containing 1 to 3 carbon atoms, for example a methyl or ethyl group, in the presence of an acid-binding agent, for example triethylamine, and the resulting compound is reacted with an amine of the general formula



in which R_2 and R_3 have the meanings given above, or

c) with phosgene in the presence of an acid-binding agent, for example N,N-dimethylaniline, to form the corresponding isocyanate or carbamoyl chloride which is then reacted with an amine of the general formula



in which R_2 and R_3 have the meanings given above, or

d) when R_2 represents a hydrogen atom with an isocyanate of the general formula



in which R_3 has the meaning given above, in the presence of a catalyst, advantageously an organic base, for example triethylamine.

Example 1 below illustrates the manufacture of compounds of the present invention, taking 5-(N-phenyl-carbamoylamino)-1,2,3-thiadiazole as an example.

In a manner analogous to that described in Example 1 the following compounds can be obtained.

Compounds of the present invention.	Physical constants.
5-(N-4'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 256° (with decomposition)
5-(N-Cyclohexyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 215° (with decomposition)
5-(N-3'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 244° (with decomposition)
5-(N-4'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 228° (with decomposition)
5-(N-3'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 208° (with decomposition)
5-(N-3',4'-Dichlorophenylcarbamoylamino)-1,2,3-thiadiazole	M.p.: 236° (with decomposition)
5-(N-Methyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 174° (with decomposition)
5-(N,N-Dimethyl-carbamoylamino)-1,2,3-thiadiazole	M.p.: 222° (with decomposition)

They are crystalline substances which are very sparingly soluble in, for example, aliphatic and aromatic hydrocarbons and in water, and dissolve well, depending on the substituents present, in polar organic solvents, for example acetone, cyclohexanone, isophorone, dimethyl sulphoxide and dimethylformamide.

The starting materials used for the manufacture of the compounds of the present invention are known or can be made by methods known *per se*.

The following Examples illustrate the invention. As stated above Example 1 illustrates the manufacture of a compound of the present invention, and Examples 2 to 7 illustrate the plant growth-regulating action of the compounds of the present invention and also possible ways in which they can be used.

Example 1.

5-(N-Phenyl-carbamoylamino)-1,2,3-thiadiazole

10.1 grams (0.1 mole) of 5-amino-1,2,3-thiadiazole, 75 ml of tetrahydrofuran and 11.5 ml (0.106 mole) of phenyl isocyanate were mixed together. There was also added 0.1 ml of triethylamine as catalyst. After allowing the mixture to stand overnight the first crystals precipitated. The solvent was removed by evaporation *in vacuo*, and the residue was recrystallized from isopropanol.

Melting point: 217°C (with decomposition).

Yield: 16.9 grams=76.7% of the theoretical yield.

Example 2.

In a greenhouse experiment kidney bean plants (*Phaseolus vulgaris*) in pots were treated in a series of tests with preparations containing the compounds of the

present invention listed in the following Table, the preparations being used in such amounts that 5 kilograms of active substance were applied per hectare. For this purpose the active substances were in each case formulated as spray powders of 20% strength, and sprayed over the plants in the form of an aqueous suspension using 500 litres of spray liquor per hectare.

The growth-regulating action was determined three weeks after treatment by measuring the lengths of the internodes. The measurements were made in relation to those of untreated control plants, and calculated as a percentage retardation of growth.

Compound of the present invention in the preparation	Percentage retardation of growth Kidney beans
5-(N-Cyclohexyl-carbamoylamino)- 1,2,3-thiadiazole	75
5-(N-3'-Chlorophenyl-carbamoylamino)- 1,2,3-thiadiazole	74
5-(N-4'-Methylphenyl-carbamoylamino)- 1,2,3-thiadiazole	74
Untreated	0

Example 3.

In a greenhouse experiment potted kidney bean plants (*Phaseolus vulgaris*) and ground-nut plants (*Arachi Hypogaea*) at the two- to three-leaf stage were treated in a series of tests with preparations containing different amounts of the compound of the present invention named in the following Table, the preparations being used in such amounts that 0.3 kg, 1 kg and 3 kg of active substance were applied per hectare. For this purpose the active substance was formulated as a spray powder of 20% strength, and sprayed over the plants in the form of an aqueous suspension using 500 litres of spray liquor per hectare.

The growth-regulating action was determined two weeks after treatment by measuring the lengths of the internodes. The measurements were made in relation to those of untreated control plants, and calculated as a percentage retardation of growth.

Compound of the present invention in the preparation	Active substance kg/ha	Percentage retardation of growth	
		Kidney beans	Ground-nut
5-(N-Phenyl- carbamoylamino)- 1,2,3-thiadiazole	0.3	76	53
	1	80	62
	3	85	62
Untreated		0	0

Example 4.

In a greenhouse experiment potted oats (*Avena sativa*) at the two-leaf stage were treated in a series of tests with preparations containing different amounts of the compound of the present invention named in the following Table, the preparations being used in such amounts that 1 kilogram and 3 kilograms of active substance were applied per hectare. For this purpose the active substance as a spray powder of 20% strength was sprayed over the plants in the form of an aqueous suspension using 500 litres of spray liquor per hectare.

The growth-regulating action was determined two weeks after the treatment by counting the side shoots from low lying buds that had formed in the interval on each of 100 plants.

Compound of the present invention in the preparation	Active substance kg/ha	Number of side shoots on 100 plants Oats
5-(N-Phenyl-carbamoylamino)-1,2,3-thiadiazole	1 3	113 252
Untreated	0	0

Example 5.

In a greenhouse experiment the plants mentioned in the following Table were treated before the emergent stage in a series of tests with preparations containing different amounts of the compound of the present invention named in the Table, the preparations being used in such amounts that 0.3 kg, 1 kg and 3 kg of active substance were applied per hectare. For this purpose the active substance as a spray powder of 20% strength was sprayed over the plants in the form of an aqueous solution using 500 litres of spray liquor per hectare.

Three weeks after the treatment the dose-dependent retardation of growth was determined by measuring the lengths of the internodes. The measurements were made in relation to those of untreated control plants, and calculated as a percentage retardation of growth.

Compound of the present invention in the preparation	Active substance kg/ha	Percentage retardation of growth		
		Kidney bean	Soya bean	Ground-nut
5-(N-Phenyl-carbamoyl-amino)-1,2,3-thiadiazole	0.3 1 3	70 86 92	53 76 79	3 60 71
Untreated		0	0	0

Example 6.

To prepare a further greenhouse test a dense turf-like crop of German Weidel grass (*Lolium perenne*) in clay trays was cut short, and then treated in a series of experiments with preparations containing different amounts of the compounds named in the following Table, the preparations being used in such amounts that 1 kg and 3 kg of the active substance were applied per hectare. The active substance was sprayed over the crop in the form of an aqueous suspension using 500 litres of spray liquor per hectare.

Four weeks after the treatment the heights to which the grasses had grown were measured, and the plant damage caused by the active substances used was evaluated. The results obtained clearly show that the growth-inhibiting effect of the compound of the present invention was markedly superior to that of the agent used for comparison.

Compound of the present invention in the preparation	Active substance kg/ha	Average height of growth of the grass in cm.	Damage (burning)
5-(N-Phenyl-carbamoylamino)-1,2,3-thiadiazole	1 3	5 2	medium very strong
<i>Agent for comparison in the preparation</i>			
Maleic acid hydrazide	1 3	10 8	none medium
Untreated		14	

Example 7.

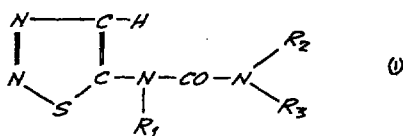
In a series of greenhouse experiments the compound of the present invention, 5-(N-phenyl-carbamoylamino)-1,2,3-thiadiazole, was applied in an amount of 3 kg of active substance (in the form of an aqueous suspension using 500 litres per hectare) before and after the emergence of the following species of weeds:

Setaria faberi, *Digitaria sanguinalis*, *setaria italica*,
Echinochloa crus galli, *Lolium perenne*, *Sorghum halepense*,
Cyperus esculentus, *Cynodon dactylon*, *Agropyron repens*,
Alopecurus sp., *Avena sp.*, *Chrysanthemum sp.*, *Galium sp.*,
Centaurea sp., *Lamium sp.* and *Senecio sp.*

With these treatments under the conditions mentioned the development of the aforesaid important species of waste-land weeds was completely inhibited.

WHAT WE CLAIM IS:—

1. A compound of the general formula I



in which

R_1 represents a hydrogen atom or an alkyl group containing 1 to 3 carbon atoms, and

R_2 represents a hydrogen atom or an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, and

R_3 represents an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, a cycloaliphatic hydrocarbon group which may be substituted by at least one alkyl group, or an aromatic hydrocarbon group which may be substituted by at least one substituent selected from alkyl groups, halogen atoms, alkylmercapto groups, alkoxy groups, a trifluoromethyl groups and a nitro group, or

R_2 and R_3 together with the adjacent nitrogen atom represent a morpholino, piperidino or pyrrolidino group.

2. A compound as claimed in claim 1, wherein R_1 represents a methyl or ethyl group.

3. A compound as claimed in claim 1 or 2, wherein R_2 represents an alkyl group containing 1 to 4 carbon atoms.

4. A compound as claimed in claims 3, wherein R_2 represents a methyl or ethyl group.

5. A compound as claimed in any one of claims 1 to 4, wherein R_3 represents an alkyl group containing 1 to 4 carbon atoms, a cycloaliphatic hydrocarbon group which may be substituted by a methyl group, or a phenyl group which may be substituted by at least one substituent selected from chlorine atoms, methyl groups, methoxy groups, trifluoromethyl groups and a nitro group.

6. A compound as claimed in claim 5, wherein R_3 represents a methyl or ethyl group or a cyclopentyl, cyclohexyl or methyl-cyclohexyl group.

7. 5-(N-Phenyl-carbamoylamino)-1,2,3-thiadiazole.

8. 5-(N-4'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

9. 5-(N-Cyclohexyl-carbamoylamino)-1,2,3-thiadiazole.

10. 5-(N-3'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

11. 5-(N-4'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole.

12. 5-(N-3'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole.

13. 5-(N-3',4'-Dichlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

14. 5-(N-Methyl-carbamoylamino)-1,2,3-thiadiazole.

15. 5-(N,N-Dimethyl-carbamoylamino)-1,2,3-thiadiazole.

16. A plant growth-regulating preparation which comprises a compound of the general formula I given in claim 1, in which R_1 , R_2 and R_3 have the meanings given in claim 1, in admixture or conjunction with a suitable carrier.

17. A plant growth-regulating preparation which comprises a compound as claimed in any one of claims 2 to 6, in admixture or conjunction with a suitable carrier.

Example 7.

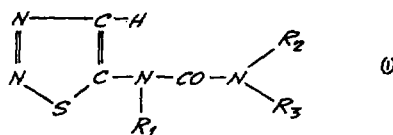
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Setaria faberi, *Digitaria sanguinalis*, *setaria italica*,
Echinochloa crus galli, *Lolium perenne*, *Sorghum halepense*,
Cyperus esculentus, *Cynodon dactylon*, *Agropyron repens*,
Alopecurus sp., *Avena sp.*, *Chrysanthemum sp.*, *Galium sp.*,
Centaurea sp., *Lamium sp.* and *Senecio sp.*

With these treatments under the conditions mentioned the development of the aforesaid important species of waste-land weeds was completely inhibited.

WHAT WE CLAIM IS:—

1. A compound of the general formula I



in which

R₁ represents a hydrogen atom or an alkyl group containing 1 to 3 carbon atoms, and

R₂ represents a hydrogen atom or an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, and

R₃ represents an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, a cycloaliphatic hydrocarbon group which may be substituted by at least one alkyl group, or an aromatic hydrocarbon group which may be substituted by at least one substituent selected from alkyl groups, halogen atoms, alkylmercapto groups, alkoxy groups, a trifluoromethyl groups and a nitro group, or

R₂ and R₃ together with the adjacent nitrogen atom represent a morpholino, piperidino or pyrrolidino group.

2. A compound as claimed in claim 1, wherein R₁ represents a methyl or ethyl group.

3. A compound as claimed in claim 1 or 2, wherein R₂ represents an alkyl group containing 1 to 4 carbon atoms.

4. A compound as claimed in claims 3, wherein R₂ represents a methyl or ethyl group.

5. A compound as claimed in any one of claims 1 to 4, wherein R₃ represents an alkyl group containing 1 to 4 carbon atoms, a cycloaliphatic hydrocarbon group which may be substituted by a methyl group, or a phenyl group which may be substituted by at least one substituent selected from chlorine atoms, methyl groups, methoxy groups, trifluoromethyl groups and a nitro group.

6. A compound as claimed in claim 5, wherein R₃ represents a methyl or ethyl group or a cyclopentyl, cyclohexyl or methyl-cyclohexyl group.

7. 5-(N-Phenyl-carbamoylamino)-1,2,3-thiadiazole.

8. 5-(N-4'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

9. 5-(N-Cyclohexyl-carbamoylamino)-1,2,3-thiadiazole.

10. 5-(N-3'-Chlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

11. 5-(N-4'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole.

12. 5-(N-3'-Methylphenyl-carbamoylamino)-1,2,3-thiadiazole.

13. 5-(N-3',4'-Dichlorophenyl-carbamoylamino)-1,2,3-thiadiazole.

14. 5-(N-Methyl-carbamoylamino)-1,2,3-thiadiazole.

15. 5-(N,N-Dimethyl-carbamoylamino)-1,2,3-thiadiazole.

16. A plant growth-regulating preparation which comprises a compound of the general formula I given in claim 1, in which R₁, R₂ and R₃ have the meanings given in claim 1, in admixture or conjunction with a suitable carrier.

17. A plant growth-regulating preparation which comprises a compound as claimed in any one of claims 2 to 6, in admixture or conjunction with a suitable carrier.



18. A plant growth-regulating preparation which comprises the compound claimed in any one of claims 7 to 15, in admixture or conjunction with a suitable carrier.

19. A preparation as claimed in any one of claims 16 to 18, which is in the form of a powder, a spraying or dusting agent, granules, a solution, an emulsion or a suspension.

20. A preparation as claimed in any one of claims 16 to 19, containing a single compound of the general formula I in an amount of approximately 20 to 80% by weight.

21. A preparation as claimed in any one of claims 16 to 19, containing two or more compounds of the general formula I in a total amount of approximately 20 to 80% by weight.

22. A preparation as claimed in any one of claims 16 to 21, containing a single surface-active agent in an amount of up to 20% by weight.

23. A preparation as claimed in any one of claims 16 to 21, containing two or more surface-active agents in a total amount of up to 20% by weight.

24. Any one of the plant growth-regulating preparations as claimed in claim 16 and substantially as described in Examples 2 to 7 herein.

25. A method of regulating plant growth, wherein a plant is treated with a compound of the general formula I given in claim 1, in which R_1 , R_2 and R_3 have the meanings given in claim 1.

26. A method as claimed in claim 25, wherein the plant is treated with a compound as claimed in any one of claims 2 to 6.

27. A method as claimed in claim 25, wherein the plant is treated with the compound claimed in any one of claims 7 to 15.

28. A method as claimed in claim 25, wherein the plant is treated with a preparation as claimed in any one of claims 16 to 24.

29. A method as claimed in any one of claims 25 to 28, wherein a single compound of the general formula I is used in an amount of 0.3 to 10 kilograms per hectare.

30. A method as claimed in any one of claims 25 to 28, wherein two or more compounds of the general formula I are used in a total amount of 0.3 to 10 kilograms per hectare.

31. A method as claimed in claim 25, conducted substantially as described in any one of Examples 2 to 7 herein.

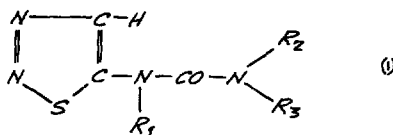
32. A method of regulating plant growth, wherein seeds are treated with a compound of the general formula I given in claim 1, in which R_1 , R_2 and R_3 have the meanings given in claim 1.

33. A method as claimed in claim 32, wherein the seeds are treated with a compound as claimed in any one of claims 2 to 6.

34. A method as claimed in claim 32, wherein the seeds are treated with the compound claimed in any one of claims 7 to 15.

35. A method as claimed in claim 32, wherein the seeds are treated with a preparation as claimed in any one of claims 16 to 24.

36. A process for the manufacture of a compound of the general formula I



in which

R_1 represents a hydrogen atom or an alkyl group containing 1 to 3 carbon atoms, and

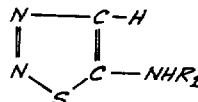
R_2 represents a hydrogen atom or an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, and

R_3 represents an alkyl group which may be interrupted by at least one hetero atom selected from oxygen and sulphur atoms, a cycloaliphatic hydrocarbon group which may be substituted by at least one alkyl group, or an aromatic hydrocarbon group which may be substituted by at least one substituent selected from alkyl groups, halogen atoms, alkylmercapto groups, alkoxy groups, a trifluoromethyl groups and a nitro group, or

R_2 and R_3 together with the adjacent nitrogen atom represent a morpholino, piperidino or pyrrolidino group,



wherein a compound of the general formula



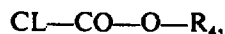
in which R_1 has the meaning given above, is reacted

a) with a carbamoyl chloride of the general formula

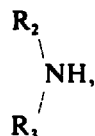


in which R_2 and R_3 have the meanings given above, in the presence of an acid-binding agent, or

b) with a chloroformic acid ester of the general formula

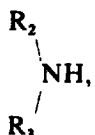


in which R_4 represents an alkyl group containing 1 to 3 carbon atoms, in the presence of an acid-binding agent and the resulting compound is reacted with an amine of the general formula



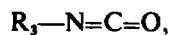
in which R_2 and R_3 have the meanings given above, or

c) with phosgene in the presence of an acid-binding agent to form the corresponding isocyanate or carbamoyl chloride which is then reacted with an amine of the general formula



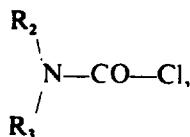
in which R_2 and R_3 have the meanings given above, or

d) when R_2 represents a hydrogen atom, with an isocyanate of the general formula



in which R_3 has the meaning given above, in the presence of a catalyst.

37. A process as claimed in claim 36, wherein the reaction with the carbamoyl chloride of the general formula



is carried out in the presence of an inorganic or tertiary organic base as the acid-binding agent.

38. A process as claimed in claim 37, wherein the acid binding agent is sodium carbonate or triethylamine.

39. A process as claimed in claim 36, wherein the reaction with the



chloroformic acid ester is carried out in the presence of triethylamine as the acid-binding agent.

40. A process as claimed in claim 36, wherein the reaction with phosgene is carried out in the presence of N,N-dimethylaniline as the acid-binding agent.

5 41. A process as claimed in claim 36, wherein the catalyst is an organic base. 5

42. A process as claimed in claim 41, wherein the organic base is triethylamine.

43. A process as claimed in claim 36, conducted substantially as described in Example 1 herein.

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