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2,4-diamino-1,3,5-triazine, method for the production and use of the same as herbicides and plant growth regulators

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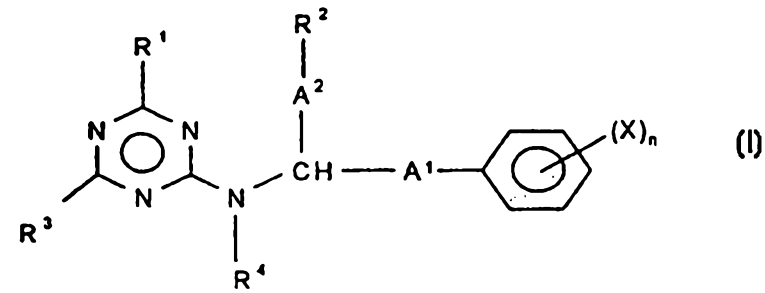
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(71) Applicant(s)
Aventis CropScience GmbH

(72) Inventor(s)
Wolfgang Giencke; Klemens Minn; Lothar Willms; Thomas Auler; Hermann Bieringer; Christopher Rosinger

(74) Agent/Attorney
WATERMARK PATENT and TRADEMARK ATTORNEYS, Locked Bag 5, HAWTHORN VIC 3122

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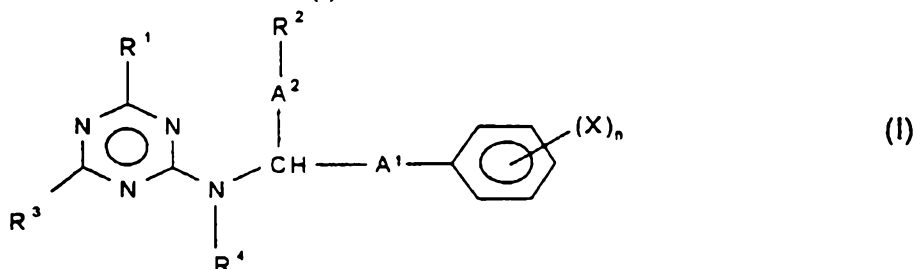
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| <p>(51) Internationale Patentklassifikation ⁶ : C07D 251/18, 407/12, 413/12, 417/12, 403/12, A01N 43/68, C07C 279/26</p> | <p>A1</p> | <p>(11) Internationale Veröffentlichungsnummer: WO 99/65882</p> <p>(43) Internationales Veröffentlichungsdatum: 23. Dezember 1999 (23.12.99)</p> |
| <p>(21) Internationales Aktenzeichen: PCT/EP99/03817</p> <p>(22) Internationales Anmeldedatum: 2. Juni 1999 (02.06.99)</p> <p>(30) Prioritätsdaten: 198 26 670.7 16. Juni 1998 (16.06.98) DE</p> <p>Aventis Cropscience GmbH (71) Anmelder: HOECHST SCHERING AGREVO GMBH [DE/DE]; Mirrastrasse 54, D-13509 Berlin (DE). Bruningstrasse 55 50 D-65929 Frankfurt Germany</p> <p>(72) Erfinder: GIENCKE, Wolfgang; Am Steinberg 45, D-65719 Hofheim (DE). MINN, Klemens; Rossertstrasse 61, D-65795 Hattersheim (DE). WILLMS, Lothar; Königsteiner Strasse 50, D-65719 Hofheim (DE). AULER, Thomas; Kastanienweg 7, D-65451 Kelsterbach (DE). BIERINGER, Hermann; Eichenweg 26, D-65817 Epp- stein (DE). ROSINGER, Christopher; Am Hochfeld 33, D-65719 Hofheim (DE).</p> | | <p>(81) Bestimmungsstaaten: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA, ARIPO Patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), eurasisches Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), europäisches Patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).</p> <p>Veröffentlicht Mit internationalem Recherchenbericht.</p>  |
| <p>(54) Title: 2,4-DIAMINO-1,3,5-TRIAZINE, METHOD FOR THE PRODUCTION AND USE OF THE SAME AS HERBICIDES AND PLANT GROWTH REGULATORS</p> | | |
| <p>(54) Bezeichnung: 2,4-DIAMINO-1,3,5-TRIAZINE, VERFAHREN ZUR HERSTELLUNG UND VERWENDUNG ALS HERBIZIDE UND PFLANZENWACHSTUMSREGULATOREN</p> | | |
| <div style="text-align: center;">  <p>(I)</p> </div> | | |
| <p>(57) Abstract</p> <p>The invention relates to compounds having formula (I), wherein R¹, R², R³, R⁴, A¹, A², X and n have the meanings defined in Claim 1. Said compounds and the salts thereof are suitable as herbicides and plant growth regulators. Said compounds (I) can be produced according to the method disclosed in Claim 7, in part, by means of novel intermediate products, e.g. of formula (III) and (V).</p> <p>(57) Zusammenfassung</p> <p>Verbindungen der Formel (I) und deren Salze, worin R¹, R², R³, R⁴, A¹, A², X und n wie in Anspruch 1 definiert sind, eignen sich als Herbizide und Pflanzenwachstumsregulatoren. Die Verbindungen der Formel (I) lassen sich nach Verfahren gemäß Anspruch 7 über zum Teil neue Zwischenprodukte, z.B. der Formel (III) und (V) herstellen.</p> | | |

98/M 214 WO

Abstract of the disclosure AGR 98/M 214

2,4-Diamino-1,3,5-triazines, their preparation, and their use as herbicides
5 and plant growth regulators

Compounds of the formula (I) and salts thereof



where

10 R¹, R², R³, R⁴, A¹, A², X and n are as defined in claim 1 are suitable as herbicides and plant growth regulators. The compounds (I) can be prepared by processes as claimed in claim 7 via intermediates, some of which novel, for example via intermediates of the formulae (III) and (V).

**2,4-DIAMINO-1,3,5-TRIAZINES, THEIR PREPARATION, AND THEIR USE AS
HERBICIDES AND PLANT GROWTH REGULATORS**

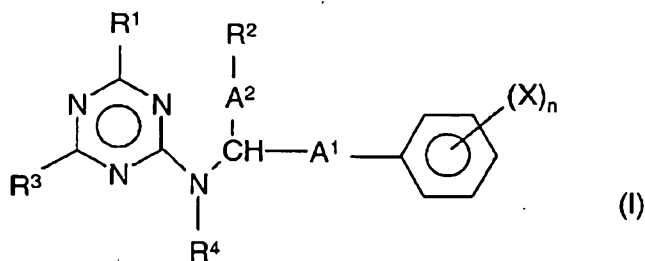
The invention is in the technical field of the crop protection agents, such as
5 herbicides and plant growth regulators, in particular of the herbicides for the
selective control of harmful plants in crops of useful plants.

It is known that 2-amino-4-(N-phenylalkylamino)-1,3,5-triazines which are
substituted in the 6-position and which may be further substituted have herbicidal
and plant-growth-regulating properties; cf. WO 97/08156 and the literature cited
10 therein, cf. WO 98/15537 and the literature cited therein; cf. WO 97/00254 and
the literature cited therein; and cf. WO 98/15538 and the literature cited therein.

When the known active substances are used, some of them have
disadvantages, be it an insufficient herbicidal action against harmful plants, too
narrow a spectrum of harmful plants which can be controlled by an active
15 substance, or too little selectivity in crops of useful plants. Other active
substances cannot be produced economically on an industrial scale because their
precursors and reagents are difficult to obtain, or their chemical stability
properties are insufficient.

It is an embodiment of the invention to provide alternative active
20 substances of the type of the 2,4-diamino-1,3,5-triazines which, if appropriate,
can be employed advantageously as herbicides or plant growth regulators.

The present invention relates to compounds of the formula (I) and salts
thereof



in which

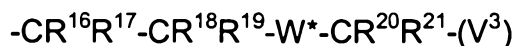
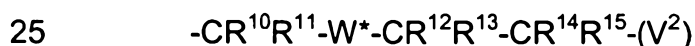
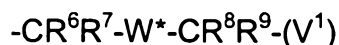
- R¹ is aryl which is unsubstituted or substituted and, inclusive of substituents, preferably has 6 to 30 carbon atoms, or is (C₃-C₉)cycloalkyl which is unsubstituted or substituted and which, inclusive of substituents, preferably has 3 to 30 carbon atoms, or heterocyclyl which is substituted or unsubstituted and which, inclusive of substituents, preferably has 2 to 30 carbon atoms, or (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl, each of the last-mentioned 3 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, nitro, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₂-C₄)alkenyloxy, (C₂-C₄)haloalkenyloxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfinyl, (C₁-C₄)haloalkylsulfonyl and (C₃-C₉)cycloalkyl which is unsubstituted or substituted, and phenyl which is unsubstituted or substituted, and heterocyclyl which is unsubstituted or substituted, and radicals of the formulae R'-C(=Z')-, R'-C(=Z')-Z-, R'-Z-C(=Z')-, R'R''N-C(=Z')-, R'-Z-C(=Z')-O-, R'R''N-C(=Z')-Z-, R'-Z-C(=Z')-NR''- and R'R''N-C(=Z')-NR'''- in which R', R'' and R''' in each case independently of one another are (C₁-C₆)alkyl, aryl, aryl-(C₁-C₆)alkyl, (C₃-C₉)cycloalkyl or (C₃-C₉)cycloalkyl-(C₁-C₆)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted, and in which Z and Z' independently of one another are in each case an oxygen or sulfur atom, and which, inclusive of substituents, preferably has 1 to 30 carbon atoms,
- R² is (C₃-C₉)cycloalkyl which is unsubstituted or substituted, (C₄-C₉)cycloalkenyl which is unsubstituted or substituted, heterocyclyl which is unsubstituted or substituted, or phenyl, which is unsubstituted or substituted, R², inclusive of substituents, preferably having up to 30 carbon atoms, or
- R³ is hydrogen, (C₁-C₆)alkyl, aryl or (C₃-C₉)cycloalkyl, each of the last-mentioned 3 radicals being unsubstituted or substituted, or a radical of the formula -N(B¹-D¹)(B²-D²) or -NR'-N(B¹-D¹)(B²-D²) in which B¹, B², D¹ and D² are in each case as defined below and R' = hydrogen,

(C₁-C₆)alkyl or [(C₁-C₄)alkyl]carbonyl, R³, inclusive of substituents, preferably having up to 20 carbon atoms,

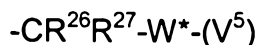
5 R⁴ is a radical of the formula -B³-D³, B³ and D³ being as defined below and R⁴, inclusive of substituents, preferably having up to 20 carbon atoms,

10 A¹ is straight-chain alkylene having 1 to 5 carbon atoms or straight-chain alkenylene or alkynylene, each of which has 2 to 5 carbon atoms, each of the three last-mentioned divalent radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, nitro, cyano, thiocyanato and radicals of the formula -B⁴-D⁴, B⁴ and D⁴ being as defined below,

15 A² is a direct bond or straight-chain alkylene having 1 to 4 carbon atoms or straight-chain alkenylene or alkynylene, each of which has 2 to 5 carbon atoms, each of the three last-mentioned divalent radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, nitro, cyano, thiocyanato and radicals of the formula -B⁵-D⁵ or a divalent radical of the formula V¹, V², V³, V⁴ or V⁵,



30



35 each of the radicals R⁶ to R²⁷ in each case independently of one another being hydrogen, halogen, nitro, cyano, thiocyanato or a radical of the formula -B⁶-D⁶,

W* is in each case an oxygen atom, a sulfur atom or a group of the formula N(B⁷-D⁷) and

B⁵, B⁶, B⁷, D⁵, D⁶ and D⁷ are as defined below,

- B¹, B², B³ and B⁷ in each case independently of one another are a direct bond or a divalent group of the formulae -C(=Z*)-, -C(=Z*)-Z**-, -C(=Z*)-NH- or -C(=Z*)-NR*-, Z* being an oxygen or sulfur atom, Z** an oxygen or sulfur atom and R* (C₁-C₆)alkyl, aryl, aryl-(C₁-C₆)alkyl, (C₃-C₉)cycloalkyl or (C₃-C₉)cycloalkyl-(C₁-C₆)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted and, inclusive of the substituents, preferably having up to 20 carbon atoms,
- B⁴, B⁵ and B⁶ in each case independently of one another are a direct bond or a divalent group of the formulae -O-, -S(O)_p-, -S(O)_p-O-, -O-S(O)_p-, -CO-, -O-CO-, -CO-O-, -S-CO-, -CO-S-, -S-CS-, -CS-S-, -O-CO-O-, -NR⁰-, -O-NR⁰-, -NR⁰-O-, -NR⁰-CO-, -CO-NR⁰-, -O-CO-NR⁰- or -NR⁰-CO-O-, p being the integer 0, 1 or 2 and R⁰ being hydrogen, (C₁-C₆)alkyl, aryl, aryl-(C₁-C₆)alkyl, (C₃-C₉)cycloalkyl or (C₃-C₉)cycloalkyl-(C₁-C₆)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted and, inclusive of substituents, preferably having up to 20 carbon atoms,
- D¹, D², D³, D⁴, D⁵ and D⁶ in each case independently of one another are hydrogen, (C₁-C₆)alkyl, aryl, aryl-(C₁-C₆)alkyl, (C₃-C₉)cycloalkyl or (C₃-C₉)cycloalkyl-(C₁-C₆)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted and, inclusive of substituents, preferably having up to 20 carbon atoms,
- or in each case two radicals D⁵ of two groups -B⁵-D⁵ which are bonded to a carbon atom are linked to each other and form an alkylene group having 2 to 4 carbon atoms, this alkylene group being unsubstituted or substituted by one or more radicals selected from the group consisting of (C₁-C₄)alkyl and (C₁-C₄)alkoxy,
- (X)_n is n substituents X, where the X in each case independently of one another are halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, aminocarbonyl or (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, mono(C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, [(C₁-C₆)alkyl]carbonyl, [(C₁-C₆)alkoxy]carbonyl, mono(C₁-C₆)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, N-(C₁-C₆)alkanoylamino or N-(C₁-C₄)alkanoyl-N-(C₁-C₄)alkylamino,
- each of the last-mentioned 13 radicals being unsubstituted or

substituted, preferably unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, (C₃-C₉)cycloalkylamino, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocycliloxy, heterocyclylthio and heterocyclylamino, each of the last-mentioned 8 radicals being unsubstituted or having one or more substituents selected from the group consisting of halogen, nitro, cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl and (C₁-C₄)alkoxycarbonyl, or is (C₃-C₉)cycloalkyl, (C₃-C₉)cycloalkoxy, (C₃-C₉)cycloalkylamino, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocycliloxy, heterocyclylthio or heterocyclylamino, each of the last-mentioned 11 radicals being unsubstituted or substituted, preferably unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl and di(C₁-C₄)alkylaminocarbonyl, or two adjacent radicals X together are a fused cycle which has 4 to 6 ring atoms and is carbocyclic or which contains hetero ring atoms selected from the group consisting of O, S and N and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and oxo,

n is 0, 1, 3, 4 or 5, preferably 0, 1, 2, 3 or 4, in particular 1 or 2, and

heterocyclyl in the abovementioned radicals independently of one another is in each case a heterocyclic radical having 3 to 7 ring atoms and 1 to 3 hetero atoms selected from the group consisting of N, O and S, where

- 5 a) the total of the carbon atoms in the radicals A^1 and A^2-R^2 amounts to at least 6 carbon atoms or
- b) the total of the carbon atoms in the radicals A^1 and A^2-R^2 amounts to 5 carbon atoms and A^1 is a group of the formula $-CH_2-$ or $-CH_2CH_2-$ and R^1 is (C_1-C_4) alkyl, (C_1-C_4) haloalkyl, (C_2-C_6) haloalkenyl or
- 10 (C_3-C_9) cycloalkyl which is unsubstituted or substituted.

Unless specified in greater details, divalent radicals, for example, $B^1 = -C(=Z^*)-Z^{**}-$, are defined such that, in the composite groups, for example $-B^1-D^1$, that bond of the divalent radical is linked to the group D^1 which

15 appears on the right-hand side in the formula for the divalent radical, i.e. $-B^1-D^1$ is a group of the formula $-C(=Z^*)-Z^{**}-D^1$; a similar definition applies to analogous divalent radicals.

The compounds of the formula (I) can form salts when a basic group such

20 as, for example, amino or alkylamino undergoes an addition reaction with a suitable inorganic or organic acid, such as, for example, HCl, HBr, H_2SO_4 or HNO_3 , but also oxalic acid or sulfonic acids. Suitable substituents which are present in deprotonated form such as, for example, sulfonic acids or

25 carboxylic acids, can form internal salts with those groups which are capable of undergoing protonation themselves, such as amino groups. Also, salts can be formed by replacing, in the case of suitable substituents such as, for example, sulfonic acids or carboxylic acids, the hydrogen by an agriculturally suitable cation. Examples of such salts are metal salts, in

30 particular alkali metal salts or alkaline earth metal salts, in particular sodium salts and potassium salts, or else ammonium salts, salts with organic amines, or quaternary ammonium salts.

In formula (I) and in all subsequent formulae, the radicals alkyl, alkoxy, haloalkyl, haloalkoxy, alkylamino and alkylthio and the corresponding

35 unsaturated and/or substituted radicals may be straight-chain or branched in the carbon skeleton in each case. Unless otherwise specified, the lower carbon skeletons, for example those having 1 to 6 carbon atoms, or in the case of unsaturated groups, those having 2 to 6 carbon atoms, are preferred for these radicals. Alkyl radicals, also in the composite meanings

such as alkoxy, haloalkyl and the like, are, for example methyl, ethyl, n- or i-propyl, n-, i-, t- or 2-butyl, pentyls, hexyls, such as n-hexyl, i-hexyl and 1,3-dimethylbutyl, heptyls such as n-heptyl, 1-methylhexyl and 1,4-dimethylpentyl; alkenyl and alkynyl radicals have the meanings of the

5 unsaturated radicals which are possible and which correspond to the alkyl radicals; for example, alkenyl is allyl, 1-methylprop-2-en-1-yl, 2-methylprop-2-en-1-yl, but-2-en-1-yl, but-3-en-1-yl, 1-methylbut-3-en-1-yl and 1-methylbut-2-en-1-yl; alkynyl is, for example, propargyl, but-2-yl-1-yl, but-3-yl-1-yl, 1-methylbut-3-yl-1-yl.

10

Cycloalkyl is a carbocyclic saturated ring system having preferably 3-8 carbon atoms, for example cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl. Substituted cycloalkyl encompasses cyclic systems with substituents, the substituents being bonded to the cycloalkyl radical via a

15 double bond, for example an alkylidene group such as methylidene. Substituted cycloalkyl also encompasses polycyclic aliphatic systems such as, for example, bicyclo[1.1.0]butan-1-yl, bicyclo[1.1.0]butan-2-yl, bicyclo[2.1.0]pentan-1-yl, bicyclo[2.1.0]pentan-2-yl, bicyclo[2.1.0]pentan-5-yl, adamantan-1-yl and adamantan-2-yl.

20

Cycloalkenyl is a carbocyclic non-aromatic partially unsaturated ring system having preferably 4-8 carbon atoms, for example 1-cyclobutenyl, 2-cyclobutenyl, 1-cyclopentenyl, 2-cyclopentenyl, 3-cyclopentenyl, or 1-cyclohexenyl, 2-cyclohexenyl, 3-cyclohexenyl, 1,3-cyclohexadienyl or 1,4-cyclohexadienyl. The explanations given for substituted cycloalkyl

25 apply analogously to substituted cycloalkenyl.

30

Halogen is, for example, fluorine, chlorine, bromine or iodine. Haloalkyl, -alkenyl and -alkynyl are alkyl, alkenyl or alkynyl which are partially or fully substituted by halogen, preferably by fluorine, chlorine and/or bromine, in particular by fluorine or chlorine, for example monohaloalkyl, perhaloalkyl, CF_3 , CHF_2 , CH_2F , CF_3CF_2 , CH_2FCHCl , CCl_3 , CHCl_2 , $\text{CH}_2\text{CH}_2\text{Cl}$; haloalkoxy is, for example, OCF_3 , OCHF_2 , OCH_2F , $\text{CF}_3\text{CF}_2\text{O}$, OCH_2CF_3 and $\text{OCH}_2\text{CH}_2\text{Cl}$; this applies analogously to haloalkenyl and other halogen-substituted radicals.

35

Aryl is a mono-, bi- or polycyclic aromatic system, for example phenyl, naphthyl, tetrahydronaphthyl, indenyl, indanyl, pentalenyl, fluorenyl and similar, preferably phenyl.

A heterocyclic radical or ring (heterocyclyl) can be saturated, unsaturated or heteroaromatic; it preferably contains one or more, in particular 1,2 or 3, hetero atoms in the heterocyclic ring, preferably selected from the group consisting of nitrogen, oxygen and sulfur; it is preferably an aliphatic

5 heterocyclyl radical having 3 to 7 ring atoms or a heteroaromatic radical having 5 or 6 ring atoms. The heterocyclic radical can be, for example, a heteroaromatic radical or ring (heteroaryl) such as, for example, a mono-, bi- or polycyclic aromatic system in which at least one ring contains one or more hetero atoms, for example pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl,

10 triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, furyl, pyrrolyl, pyrazolyl, imidazolyl and triazolyl, or it is a partially or fully hydrogenated radical such as oxiranyl, oxetanyl, oxolanyl (= tetrahydrofuryl), oxanyl, pyrrolidyl, piperidyl, piperazinyl, dioxolanyl, oxazoliny, isoxazoliny, oxazolidiny, isoxazolidiny and morpholiny. Suitable substituents for a

15 substituted heterocyclic radical are those mentioned further below, and in addition also oxo. The oxo group may also occur on those hetero ring atoms which can exist at various oxidation stages, for example in the case of nitrogen and sulfur.

20 Substituted radicals such as a substituted alkyl, alkenyl, alkynyl, aryl, phenyl, benzyl, heterocyclyl and heteroaryl radical are, for example, a substituted radical which is derived from the unsubstituted skeleton, the substituents having, for example, one or more, preferably 1, 2 or 3, radicals selected from the group consisting of halogen, alkoxy, haloalkoxy, alkylthio,

25 hydroxyl, amino, nitro, carboxyl, cyano, azido, alkoxy-carbonyl, alkyl-carbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, substituted amino such as acylamino, mono- and dialkylamino, and alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl and, in the case of cyclic radicals, also alkyl and haloalkyl; the term "substituted

30 radicals" such as substituted alkyl and the like include, in addition to the abovementioned saturated hydrocarbon-containing radicals, corresponding unsaturated aliphatic and aromatic radicals as substituents, such as optionally substituted alkenyl, alkynyl, alkenyloxy, alkynyloxy, phenyl, phenoxy and the like. In the case of substituted cyclic radicals with aliphatic

35 moieties in the ring, the definition also encompasses cyclic systems with those substituents which are bonded to the ring by means of a double bond, for example by means of an alkylidene group such as methylidene or ethylidene.

In the case of radicals which have carbon atoms, those having 1 to 4

carbon atoms, in particular 1 or 2 carbon atoms, are preferred. Preferred substituents are, as a rule, those selected from the group consisting of halogen, for example fluorine and chlorine, (C₁-C₄)alkyl, preferably methyl or ethyl, (C₁-C₄)haloalkyl, preferably trifluoromethyl, (C₁-C₄)alkoxy, preferably methoxy or ethoxy, (C₁-C₄)haloalkoxy, nitro and cyano. Especially preferred are the substituents methyl, methoxy and chlorine.

Mono- or disubstituted amino is a chemically stable radical selected from the group consisting of substituted amino radicals which are n- substituted, for example, by one, or two, identical or different radicals selected from the group consisting of alkyl, alkoxy, acyl and aryl; preferably monoalkylamino, dialkylamino, acylamino, arylamino, N-alkyl-N-arylamino and N-heterocycles; alkyl radicals having 1 to 4 carbon atoms are preferred; aryl is preferably phenyl or substituted phenyl; acyl is covered by the definition given further below, preferably (C₁-C₄)alkanoyl. This applies analogously to substituted hydroxylamino or hydrazino.

Optionally substituted phenyl is preferably phenyl which is unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, by identical or different radicals selected from the group consisting of halogen, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy and nitro, for example o-, m- and p-tolyl, dimethylphenyls, 2-, 3- and 4-chlorophenyl, 2-, 3- and 4-trifluoro- and -trichlorophenyl, 2,4-, 3,5-, 2,5- and 2,3-dichlorophenyl, o-, m- and p-methoxyphenyl.

An acyl radical is the radical of an organic acid, for example the radical of a carboxylic acid and radicals of acids derived therefrom, such as thiocarboxylic acid, optionally n-substituted iminocarboxylic acids or the radical of carbonic monoesters, optionally n-substituted carbamic acid, sulfonic acids, sulfinic acids, phosphonic acids, phosphinic acids. Acyl is, for example, formyl, alkylcarbonyl such as [(C₁-C₄)alkyl]carbonyl, phenylcarbonyl, alkyloxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, alkylsulfonyl, alkylsulfinyl, N-alkyl-1-iminoalkyl and other radicals of organic acids. The radicals may be further substituted in each case in the alkyl or phenyl moiety, for example in the alkyl moiety by one or more radicals selected from the group consisting of halogen, alkoxy, phenyl and phenoxy; examples of substituents in the phenyl moiety are those substituents which have already been mentioned further above in general terms for substituted phenyl.

The invention furthermore relates to all stereoisomers encompassed by formula (I) and mixtures of these. Such compounds of the formula (I) contain one or more asymmetric carbon atoms or else double bonds, which are not indicated specifically in the formulae (I). The formula (I) encompasses all stereoisomers which are possible and which are defined by their specific spatial form, such as enantiomers, diastereomers, Z- and E-isomers, and they can be obtained from stereoisomer mixtures by customary methods or else prepared by means of stereoselective reactions in combination with the use of stereochemically pure starting materials.

Compounds of the abovementioned formula (I) according to the invention or their salts which are of particular interest, mainly because of their more potent herbicidal action, better selectivity and/or because they are easier to prepare are those in which individual radicals have one of the preferred meanings which have already been mentioned above or are mentioned hereinbelow, or in particular those in which one or more of the preferred meanings which have already been mentioned or which are mentioned hereinbelow are combined with each other.

R¹ is preferably phenyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylamino-carbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl and which, inclusive of substituents, has 6 to 30 carbon atoms, preferably 6 to 20 carbon atoms, in particular 6 to 15 carbon atoms.

R¹ is preferably also (C₃-C₉)cycloalkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and di(C₁-C₄)alkylamino and which, inclusive of substituents, has 3 to 30 carbon atoms, preferably 3 to 20 carbon atoms, in particular 3 to 15

carbon atoms.

- 5 R¹ is preferably also heterocyclyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, 10 mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl and which, inclusive of substituents, has 2 to 30 carbon atoms, preferably 2 to 20 carbon atoms, in particular 2 to 15 carbon atoms.
- 15 Here and also in other radicals, heterocyclyl is preferably a heterocyclic radical having 3 to 7, in particular 3 to 6, ring atoms and a hetero atom selected from the group consisting of N, O and S, for example pyridyl, thienyl, furyl, pyrrolyl, oxiranyl, oxetanyl, oxolanyl (= tetrahydrofuryl), oxanyl, pyrrolidyl, piperidyl, or is a heterocyclic 20 radical having two or three hetero atoms selected from the group consisting of pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, piperazinyl, dioxolanyl, oxazolanyl, isoxazolanyl, oxazolidinyl, isoxazolidinyl, morpholinyl.
- 25 R¹ is preferably also (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl, each of the last-mentioned 3 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, cyano, nitro, thiocyanato, (C₁-C₄)alkoxy, 30 (C₁-C₄)haloalkoxy, (C₂-C₄)alkenyloxy, (C₂-C₄)haloalkenyloxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfinyl, (C₁-C₄)haloalkylsulfonyl and (C₃-C₆)cycloalkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, 35 hydroxyl, amino, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and di(C₁-C₄)alkylamino, and phenyl and heterocyclyl, each of the two last-mentioned radicals being unsubstituted or substituted by one or

more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl, and radicals of the formulae R'-C(=Z')-, R'-C(=Z')-Z-, R'-Z-C(=Z')-, R'R''N-C(=Z')-, R'-Z-C(=Z')-O-, R'R''N-C(=Z')-Z-, R'-Z-C(=Z')-NR''- and R'R''N-C(=Z')-NR'''- in which R', R'' and R''' in each case independently of one another are (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, (C₃-C₆)cycloalkyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, and in which Z and Z' independently of one another are in each case an oxygen or sulfur atom, and which, inclusive of substituents, preferably has 1 to 20 carbon atoms, in particular 1 to 15 carbon atoms,

25 R¹ is preferably (C₁-C₄)alkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfonyl, (C₃-C₉)cycloalkyl which is unsubstituted or substituted, and phenyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, amino, mono- and di[(C₁-C₄)alkyl]amino, (C₁-C₄)alkanoylamino, benzoylamino, nitro, cyano, [(C₁-C₄)alkyl]carbonyl, formyl, carbamoyl, mono- and di-[(C₁-C₄)alkyl]aminocarbonyl and (C₁-C₄)alkylsulfonyl and heterocyclyl having 3 to 6 ring atoms and 1 to 3 hetero ring atoms selected from the group consisting of nitrogen, oxygen and sulfur, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and oxo, or phenyl which is

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylamino-carbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl and which, inclusive of substituents, has 2 to 30 carbon atoms, preferably 2 to 20 carbon atoms, in particular 2 to 15 carbon atoms.

R¹ is furthermore by way of preference (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, benzyl or [(C₃-C₆)cycloalkyl]-(C₁-C₂)alkyl, in particular (C₁-C₄)alkyl, (C₁-C₄)haloalkyl or [(C₃-C₆)cycloalkyl]methyl, preferably -CH₃, -CH₂F, -CHF₂, -CF₃, -CH₂Cl, -CHCl₂, -CCl₃, -CH₂Br, -CHBr₂, -CH₂CH₃, -CH₂CH₂F, -CF₂CHF₂, -CH₂CH₂Cl, -CH₂CH₂Br -CH(CH₃)₂, -CF(CH₃)₂, -C(CH₃)₂Cl, -CH₂CH₂CH₂F, -CH₂CH₂CH₂Cl or cyclopropylmethyl.

The following meanings of R² are of particular interest, independently of the radicals R¹, R³, R⁴, A¹, A² and (X)_n and preferably in combination with preferred meanings of one or more of these radicals:

R² is preferably (C₃-C₉)cycloalkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of the radicals A), B), C) and D), where

Group A) is composed of the radicals halogen, hydroxyl, amino, nitro, formyl, carboxyl, aminocarbonyl, sulfo, cyano, thiocyanato and oxo,

Group B) is composed of the radicals (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, mono(C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₃-C₉)cycloalkyl, (C₄-C₉)cycloalkenyl, (C₁-C₆)alkylidene, (C₄-C₉)cycloalkylidene, radicals of the formulae R'-C(=Z')-, R'-C(=Z')-Z-, R'-Z-C(=Z')-, R'R''N-C(=Z')-, R'-Z-C(=Z')-O-, R'R''N-C(=Z')-Z-, R'-Z-C(=Z')-NR''- and R'R''N-C(=Z')-NR'''- where R', R'' and R''' in each case

independently of one another are (C₁-C₆)alkyl, phenyl, phenyl-(C₁-C₆)alkyl, (C₃-C₉)cycloalkyl or (C₃-C₉)cycloalkyl-(C₁-C₆)alkyl and where Z and Z' independently of one another are in each case an oxygen or sulfur atom,

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Group C)

is composed of radicals as shown for group B), but each radical being substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, (C₄-C₉)cycloalkylene, (C₄-C₉)cycloalkylidene, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocyclyloxy, heterocyclylthio and heterocyclylamino,

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each of the last-mentioned 21 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, nitro, cyano, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl and (C₁-C₄)alkoxycarbonyl and, in the case of cyclic radicals, also (C₁-C₄)alkyl, (C₁-C₄)haloalkyl and (C₁-C₆)alkylidene,

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Group D)

and in the case of cyclic radicals also (C₁-C₆)alkyl, (C₁-C₆)haloalkyl and (C₁-C₆)alkylidene, and is composed of divalent or trivalent aliphatic bridges having 1 to 6, preferably 1 to 4, carbon atoms which, in the case of divalent bridges, connect two and in the case of trivalent bridges three carbon atoms of the cyclic skeleton and the radical R² thus represents the radical of a bicycle or tricycle, each of the bridges being unsubstituted or substituted by one or more substituents selected from the group consisting of

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halogen, nitro, cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl, (C₁-C₄)alkoxycarbonyl and oxo,

- 5 and where R², inclusive of substituents, preferably has 3 to 20 carbon atoms, in particular 3 to 15 carbon atoms. Preferred (C₃-C₉)cycloalkyl radicals are cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, in particular cyclopropyl, cyclobutyl or cyclopentyl.
- 10 R² is preferably also (C₄-C₉)cycloalkenyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of the radicals A), B), C) and D) as they are defined as radicals for R² = (C₃-C₉)cycloalkyl, and, inclusive of substituents, preferably has 4 to 20 carbon atoms, in particular 4 to 15 carbon
- 15 atoms.
Preferred as (C₄-C₉)cycloalkenyl radicals are 1-cyclobutenyl, 2-cyclobutenyl, 1-cyclopentenyl, 2-cyclopentenyl and 3-cyclopentenyl.
- 20 R² is preferably also heterocyclyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of the radicals A), B), C) and D) as they are defined as radicals for R² = (C₃-C₉)cycloalkyl.
- 25 Heterocyclyl in this context is preferably a heterocyclic radical having 3 to 6 from amongst the group consisting of pyridyl, thienyl, furyl, pyrrolyl, oxiranyl, 2-oxetanyl, 3-oxetanyl, oxolanyl (= tetrahydrofuryl), pyrrolidyl, piperidyl, in particular oxiranyl, 2-oxetanyl, 3-oxetanyl or oxolanyl, or is a heterocyclic radical having two or three hetero
- 30 atoms, for example pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, piperazinyl, dioxolanyl, oxazoliny, isoxazoliny, oxazolidiny, isoxazolidiny or morpholinyl.
- 35 R² is preferably also phenyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of the radicals A), B) and C) as they are defined as radicals for R² = (C₃-C₉)cycloalkyl.

R^2 , inclusive of substituents, preferably has up to 20 carbon atoms, in particular up to 15 carbon atoms, very especially up to 10 carbon atoms.

- 5 R^2 is preferably (C₃-C₉)cycloalkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of the radicals A), B), C) and D), where
- Group A) is composed of the radicals halogen, hydroxyl, nitro, formyl, aminocarbonyl, cyano and thiocyanato,
- 10 Group B) is composed of the radicals (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, (C₃-C₆)cycloalkyl, (C₄-C₆)cycloalkenyl, (C₁-C₄)alkylidene,
- 15 (C₄-C₆)cycloalkylidene, radicals of the formulae R'-C(=Z')-, R'-C(=Z')-Z-, R'-Z-C(=Z')-, R'R''N-C(=Z')-, R'-Z-C(=Z')-O-, R'R''N-C(=Z')-Z-, R'-Z-C(=Z')-NR''- and R'R''N-C(=Z')-NR'''- where R', R'' and R''' in each case independently of one another are (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl and where Z and Z' independently of one another are in each case an oxygen or sulfur atom,
- 20 Group C) is composed of radicals as shown in Group B), but each radical is substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl,
- 30 [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocyclyloxy, heterocyclylthio and
- 35 heterocyclylamino,
- where each of the last-mentioned 8 radicals is unsubstituted or has one or more substituents selected from the group consisting of halogen, nitro, cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy,

(C₁-C₄)alkylthio, (C₁-C₄)haloalkyl,
 (C₁-C₄)haloalkoxy, (C₁-C₄)alkylcarbonyl and
 (C₁-C₄)alkoxycarbonyl, and

5 Group D) is composed of divalent aliphatic bridges which
 connect two carbon atoms of the cyclic skeleton, the
 radical R² thus representing the radical of a bicycle, for
 example bicyclo[1.1.0]butan-1-yl, bicyclo[1.1.0]butan-
 2-yl, bicyclo[2.1.0]pentan-1-yl, bicyclo[2.1.0]pentan-2-yl
 10 or bicyclo[2.1.0]pentan-5-yl, where each of the bridges
 is unsubstituted or substituted by one or more
 substituents selected from the group consisting of
 halogen, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio,
 (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy, (C₁-C₄)alkyl-
 carbonyl, (C₁-C₄)alkoxycarbonyl and oxo.

15 R² is especially preferably (C₃-C₉)cycloalkyl which is unsubstituted or
 substituted by one or more radicals selected from the group
 consisting of halogen, hydroxyl, cyano, thiocyanato, (C₁-C₄)alkyl,
 (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio,
 20 (C₁-C₄)haloalkylthio, (C₁-C₄)alkylidene, mono(C₁-C₄)alkylamino and
 di(C₁-C₄)alkylamino
 or heterocyclyl or phenyl, each of the last-mentioned two radicals
 being unsubstituted or substituted by one or more radicals selected
 from the group consisting of halogen, hydroxyl, amino, nitro, formyl,
 25 carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl,
 (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio,
 (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino,
 (C₃-C₆)cycloalkyl, heterocyclyl having 3 to 6 ring atoms,
 [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl,
 30 mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl,
 (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl.

The following meanings of R³ are of particular interest, independently of the
 radicals R¹, R², R⁴, A¹, A² and (X)_n and preferably in combination with
 35 preferred meanings of one or more of these radicals:

R³ is, for example, hydrogen, (C₁-C₄)alkyl which is unsubstituted or
 substituted by one or more radicals selected from the group
 consisting of halogen, hydroxyl, amino, cyano, thiocyanato,

(C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and di(C₁-C₄)alkylamino, or phenyl or (C₃-C₆)cycloalkyl, each of the last-mentioned 2 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl, or a radical of the formula N(B¹-D¹)(B²-D²) where B¹, B², D¹ and D² are as already defined or preferably as defined further below, in particular amino.

The following meanings of R⁴ are of particular interest, independently of the radicals R¹ to R³, A¹, A² and (X)_n and preferably in combination with preferred meanings of one or more of these radicals:

- 20 R⁴ is, for example, a radical of the formula -B³-D³ where B³ and D³ are preferably as defined further below.
- R⁴ is preferably hydrogen, (C₁-C₄)alkyl, phenyl or (C₃-C₆)cycloalkyl, each of the 3 last-mentioned radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, or formyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl or di(C₁-C₄)alkylaminocarbonyl; in particular hydrogen, methyl, ethyl, n-propyl or isopropyl; especially preferably hydrogen.

The following meanings of A^1 are of particular interest, independently of the radicals R^1 to R^4 , A^2 and $(X)_n$ and preferably in combination with preferred meanings of one or more of these radicals:

- 5 A^1 is straight-chain alkylene having 1 to 5 carbon atoms or straight-chain alkenylene or alkynylene, each of which has 2 to 5 carbon atoms, each of the three last-mentioned divalent radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, nitro, cyano, thiocyanato and a
 10 radical of the formula $-B^4-D^4$,
 B^4 is a direct bond or a divalent group of the formulae $-O-$, $-SO_2-$, $-CO-$, $-O-CO-$, $-NR^O-$, $-NR^O-CO-$, $-CO-NR^O-$, $-O-CO-NR^O-$ or $-NR^O-CO-O-$,

where

- R^O and D^4 independently of one another are in each case hydrogen,
 15 (C_1-C_4) alkyl, phenyl, phenyl- (C_1-C_4) alkyl, (C_3-C_6) cycloalkyl or (C_3-C_6) cycloalkyl- (C_1-C_4) alkyl, each of the last-mentioned 5 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C_1-C_4) alkoxy,
 20 (C_1-C_4) haloalkoxy, (C_1-C_4) alkylthio, (C_1-C_4) haloalkylthio, mono- (C_1-C_4) alkylamino, di- (C_1-C_4) alkylamino, (C_3-C_9) cycloalkyl, $[(C_1-C_4)$ alkyl]carbonyl, $[(C_1-C_4)$ alkoxy]carbonyl, aminocarbonyl, mono- (C_1-C_4) alkylaminocarbonyl, di- (C_1-C_4) alkylaminocarbonyl, (C_1-C_4) alkylsulfonyl, (C_1-C_4) haloalkylsulfonyl and in the case of
 25 cyclic radicals also (C_1-C_4) alkyl and (C_1-C_4) haloalkyl.

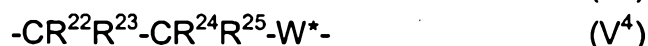
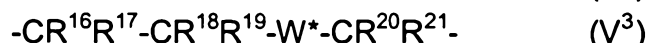
- A^1 is preferably a radical of the formula
 $-CH_2-$, $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$ or
 $-CH_2CH_2CH_2CH_2CH_2-$ which is unsubstituted. Also preferred is one
 30 of the above radicals which is substituted by one or else more than one of the abovementioned radicals $-B^4-D^4$. A^1 is especially preferably a radical of the formula $-CH_2CH_2-$ or $-CH_2CH_2CH_2-$ which is unsubstituted or substituted by one or two radicals of the formula hydroxyl, (C_1-C_4) alkyl or (C_1-C_4) alkoxy.

35

The following meanings of A^2 are of particular interest, independently of the radicals R^1 to R^4 , A^1 and $(X)_n$ and preferably in combination with preferred meanings of one or more of these radicals:

A² is preferably

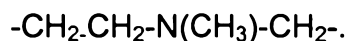
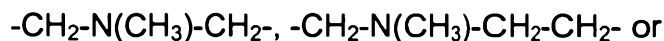
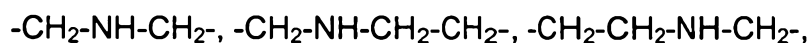
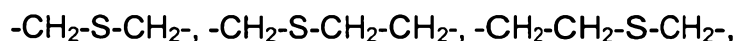
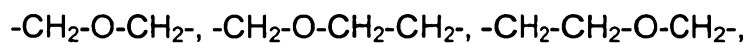
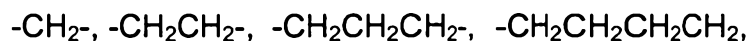
a direct bond or a group of the formula -CH₂-, -CH₂CH₂-,
-CH₂CH₂CH₂- or -CH₂CH₂CH₂CH₂-, each of the 4 last-mentioned
divalent radicals being unsubstituted or substituted by one or more
radicals selected from the group consisting of halogen, nitro, cyano,
thiocyanato and radicals of the formula -B⁵-D⁵-, or a divalent radical
of the formula V¹, V², V³, V⁴ or V⁵,



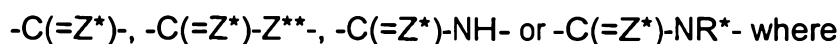
where each of the radicals R⁶ to R²⁷ in each case independently of
one another is hydrogen, halogen, nitro, cyano, thiocyanato or a
radical of the formula -B⁶-D⁶,

W* is in each case oxygen, sulfur or a group of the formula N(B⁷-D⁷) and
B⁵, B⁶, B⁷, D⁵, D⁶ and D⁷ are as defined below,

A² is especially preferably a direct bond or a group of the formula



B¹, B², B³ and B⁷ are preferably in each case independently of one another
a direct bond or a divalent group of the formulae



Z* = oxygen or sulfur, Z** = oxygen or sulfur and R* = (C₁-C₄)alkyl,
phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-
(C₁-C₄)alkyl, where each of the 5 last-mentioned radicals is

unsubstituted or substituted, preferably unsubstituted or substituted
by one or more radicals selected from the group consisting of
halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano,
thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio,
(C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino,
(C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl,

aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl,
 di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl,
 (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also
 (C₁-C₄)alkyl and (C₁-C₄)haloalkyl;

5

it is furthermore preferred for B¹, B², B³ and B⁷ independently of one
 another to be a direct bond or a divalent group of the formulae
 -C(=Z*)-, -C(=Z*)-Z**-, -C(=Z*)-NH- or -C(=Z*)-NR*-, where Z* = O
 or S, Z** = O or S and R* = (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl,
 10 (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, where each of the
 5 last-mentioned radicals is unsubstituted or substituted by one or
 more radicals selected from the group consisting of halogen,
 hydroxyl, amino, formyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy,
 (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino,
 15 (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl,
 aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl,
 di(C₁-C₄)alkylaminocarbonyl and in the case of cyclic radicals also
 (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, in particular R* = (C₁-C₄)alkyl or
 (C₃-C₆)cycloalkyl or in particular R* = phenyl or phenyl-(C₁-C₄)alkyl,
 20 where each of the two last-mentioned radicals is unsubstituted in the
 phenyl moiety or substituted by one or more radicals selected from
 the group consisting of halogen, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl,
 (C₁-C₄)alkoxy or (C₁-C₄)haloalkoxy.

25 B⁴, B⁵ and B⁶ are preferably in each case independently of one another
 a direct bond or a
 divalent group of the formulae -O-, -S(O)_p-, -S(O)_p-O-, -O-S(O)_p-,
 -CO-, -O-CO-, -CO-O-, -S-CO-, -CO-S-, -S-CS-, -CS-S-, -O-CO-O-,
 -NR⁰-, -O-NR⁰-, -NR⁰-O-, -NR⁰-CO-, -CO-NR⁰-, -O-CO-NR⁰- or
 30 -NR⁰-CO-O-, where p is the integer 0, 1 or 2 and R⁰ = hydrogen,
 (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or
 (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, each of the 5 last-mentioned radicals
 being unsubstituted or substituted by one or more radicals selected
 from the group consisting of halogen, hydroxyl, amino, nitro, formyl,
 35 carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkoxy,
 (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio,
 mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl,
 [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl,
 mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl,

- (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, and in particular R^O = hydrogen, (C₁-C₄)alkyl or (C₃-C₆)cycloalkyl or in particular R^O = phenyl or phenyl-(C₁-C₄)alkyl, each of the two last-mentioned radicals being unsubstituted in the phenyl moiety or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy or (C₁-C₄)haloalkoxy.
- 5
- 10 It is furthermore preferred that B⁴, B⁵ and B⁶ independently of one another are a direct bond or a divalent group of the formulae -O-, -S(O)_p-, -CO-, -O-CO-, -CO-O-, -S-CO-, -CO-S-, -NR^O-, -NR^O-CO-, -CO-NR^O-, -O-CO-NR^O- or -NR^O-CO-O-, p being the integer 0, 1 or 2, in particular 0 or 2, and R^O having the abovementioned meaning, very especially H or (C₁-C₄)alkyl.
- 15

- D¹, D², D³, D⁴, D⁵ and D⁶ independently of one another preferably are hydrogen, (C₁-C₆)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₆)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted, preferably unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl.
- 20
- 25
- 30

- It is furthermore preferred that D¹, D², D³, D⁴, D⁵ and D⁶ independently of one another are (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, formyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio,
- 35

mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, and are, in particular,

(C₁-C₄)alkyl or (C₃-C₆)cycloalkyl or phenyl or phenyl-(C₁-C₄)alkyl, each of the two last-mentioned radicals being unsubstituted in the phenyl moiety or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy or (C₁-C₄)haloalkoxy.

The following meanings of (X)_n are of particular interest, independently of the radicals R¹ to R⁴, A¹ and A² and preferably in combination with preferred meanings of one or more of these radicals:

(X)_n is n substituents X, where the X preferably in each case independently of one another are halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, aminocarbonyl or (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, N-(C₁-C₆)alkanoylamino or N-(C₁-C₄)alkanoyl-N-(C₁-C₄)alkylamino, each of the last-mentioned 13 radicals being unsubstituted or substituted, preferably unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkylamino, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocyclyloxy, heterocyclylthio and heterocyclylamino, each of the last-mentioned 8 radicals being unsubstituted or having one or more substituents selected from the group consisting of halogen, nitro, cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkyl,

(C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl and
 (C₁-C₄)alkoxycarbonyl,
 or (C₃-C₉)cycloalkyl, phenyl, phenoxy, phenylthio, phenylcarbonyl,
 heterocyclyl, heterocyclyloxy, heterocyclylthio or heterocyclylamino,
 5 each of the last-mentioned 9 radicals being unsubstituted or
 substituted, preferably unsubstituted or substituted by one or
 more radicals selected from the group consisting of halogen,
 hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato,
 (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy,
 10 (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio,
 mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino,
 (C₃-C₆)cycloalkyl, [(C₁-C₄)alkyl]carbonyl,
 [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl,
 mono(C₁-C₄)alkylaminocarbonyl and
 15 di(C₁-C₄)alkylaminocarbonyl,
 or two adjacent radicals X together are a fused cycle which has 4 to 6 ring
 atoms and is carbocyclic or contains hetero ring atoms selected from the
 group consisting of O, S and N and which is unsubstituted or substituted by
 one or more radicals selected from the group consisting of halogen,
 20 (C₁-C₄)alkyl and oxo.

n is preferably 0, 1, 2 or 3, in particular 1 or 2.

(X)_n is preferably furthermore n substituents X, where the X in each case
 25 independently of one another are halogen, hydroxyl, amino, nitro,
 formyl, carboxyl, cyano, thiocyanato, (C₁-C₄)alkyl, cyano-
 (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylamino,
 di-[(C₁-C₄)alkyl]amino, halo-(C₁-C₄)alkyl, hydroxy-(C₁-C₄)alkyl,
 (C₁-C₄)alkoxy-(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy-(C₁-C₄)alkyl,
 30 (C₁-C₄)alkylthio, halo-(C₁-C₄)alkylthio, (C₂-C₆)alkenyl,
 halo-(C₂-C₆)alkenyl, (C₂-C₆)alkynyl, halo-(C₂-C₆)alkynyl,
 (C₁-C₄)alkylamino-(C₁-C₄)alkyl, di-[(C₁-C₄)alkyl]-amino-(C₁-C₄)alkyl,
 (C₃-C₆)cycloalkylamino-(C₁-C₄)alkyl, (C₃-C₉)cycloalkyl, heterocyclyl-
 (C₁-C₄)alkyl having 3 to 9 ring members, the cyclic groups in the
 35 last-mentioned 3 radicals being unsubstituted or substituted by one
 or more radicals, preferably up to three radicals, selected from the
 group consisting of (C₁-C₄)alkyl, halogen and cyano, or
 phenyl, phenoxy, phenylcarbonyl, phenylcarbonyl-(C₁-C₄)alkyl,
 (C₁-C₄)alkoxycarbonyl-(C₁-C₄)alkyl, (C₁-C₄)alkylaminocarbonyl-

(C₁-C₄)alkyl, (C₁-C₄)alkylcarbonyl, (C₁-C₄)alkoxycarbonyl, aminocarbonyl, (C₁-C₄)alkylaminocarbonyl, phenoxy-(C₁-C₄)alkyl, phenyl-(C₁-C₄)alkyl, heterocyclyl, heterocyclamino, heterocyclyloxy, heterocyclylthio or one of the last-mentioned 16 radicals which is substituted in the acyclic moiety or, preferably, in the cyclic moiety by one or more radicals selected from the group consisting of halogen, nitro, cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl, (C₁-C₄)alkoxycarbonyl, (C₁-C₄)alkoxy, heterocyclyl in the radicals containing in each case 3 to 9 ring atoms and 1 to 3 hetero ring atoms selected from the group consisting of N, O and S, or two adjacent radicals X together are a fused cycle which has 4 to 6 ring atoms and is carbocyclic or contains hetero ring atoms selected from the group consisting of O, S and N and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and oxo.

(X)_n is especially preferably n substituents X, where X in each case independently of one another is halogen, OH, NO₂, CN, SCN (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₄)alkylcarbonyl or (C₁-C₄)alkyloxycarbonyl, the last-mentioned four radicals being unsubstituted or substituted by halogen or (C₁-C₄)alkoxy, and very especially preferably n substituents X, where X in each case independently of one another is halogen, hydroxyl, (C₁-C₄)alkyl or (C₁-C₄)alkoxy.

Independently of one another, heterocyclyl in the radicals mentioned above or further below is preferably a heterocyclic radical having 3 to 7 ring atoms and 1 to 3 hetero atoms selected from the group consisting of N, O and S, preferably a heteroaromatic radical selected from the group consisting of pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, furyl, pyrrolyl, pyrazolyl, imidazolyl and triazolyl or a partially or fully hydrogenated heterocyclic radical selected from the group consisting of oxiranyl, oxetanyl, oxolanyl (= tetrahydrofuryl), oxanyl, pyrrolidyl, piperidyl, piperazinyl, dioxolanyl, oxazolanyl, isoxazolanyl, oxazolidinyl, isoxazolidinyl and morpholinyl.

Heterocyclyl is especially preferably a heterocyclic radical having 3 to 6 ring atoms and one (1) heteroatom selected from the group consisting of N,

O and S, in particular a heteroaromatic radical having 5 or 6 ring atoms or a saturated or partially unsaturated heterocyclic (not heteroaromatic) radical having 3 to 6 ring atoms.

- 5 Moreover, heterocyclyl is preferably a heterocyclic radical having 5 or 6 ring atoms and 2 or 3 heteroatoms selected from the group consisting of N, O and S, in particular pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, pyrazolyl, imidazolyl, triazolyl or piperazinyl, dioxolanyl, oxazolynyl, isoxazolynyl, oxazolidinyl, isoxazolidinyl
10 or morpholinyl.

Preferably, the number of the carbon atoms of the total of the carbon atoms of the two radicals A^1 and A^2-R^2 is

- a) at least 6 carbon atoms, in particular 6 to 20 carbon atoms, very
15 especially 6 to 12 carbon atoms, or
b) 5 carbon atoms, in which case A^1 = a group of the formula $-CH_2-$ or $-CH_2CH_2-$ and R^1 = (C_1-C_4) alkyl, (C_1-C_4) haloalkyl, (C_2-C_6) haloalkenyl or (C_3-C_9) cycloalkyl
which is unsubstituted or substituted, preferably,
20 R^1 = (C_1-C_4) alkyl, (C_1-C_4) haloalkyl or (C_3-C_6) cycloalkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of (C_1-C_4) alkyl and (C_1-C_4) alkyl.

- 25 In particular, the total number of the carbon atoms of the radicals A^1 and A^2-R^2 together is one of the abovementioned alternative a).

The composite group $-A^2-R^2$ is preferably cyclopropyl (hereinbelow also "c-Pr"), CH_2-c-Pr , $-(CH_2)_2-c-Pr$, cyclobutyl (hereinbelow also "c-Bu"),
30 CH_2-c-Bu ; $(CH_2)_2-c-Bu$, oxiranyl, oxiranyl methyl or 2-(oxiranyl)-eth-1-yl.

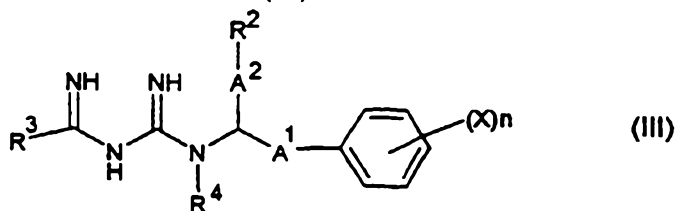
The present invention also relates to processes for the preparation of the compounds of the formula (I) or their salts, which comprises

- 35 a) reacting a compound of the formula (II)



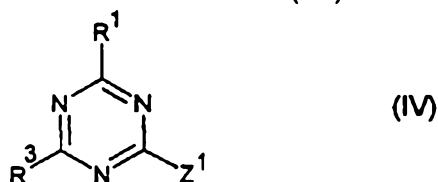
where Fu is a functional group selected from the group consisting of

carboxylic ester, carboxylic orthoester, carboxylic acid chloride, carboxamide, carboxylic anhydride and trichloromethyl with a compound of the formula (III) or an acid addition salt thereof

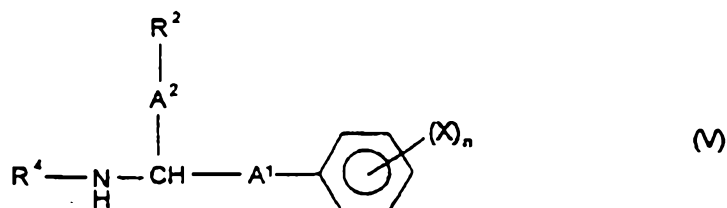


5 or

b) reacting a compound of the formula (IV)



10 where Z¹ is an exchangeable radical or leaving group, for example chlorine, trichloromethyl, (C₁-C₄)alkylsulfonyl and unsubstituted or substituted phenyl-(C₁-C₄)alkylsulfonyl or (C₁-C₄)alkylphenylsulfonyl with a suitable amine of the formula (V) or an acid addition salt thereof



15 where, in formulae (II), (III), (IV) and (V), the radicals R¹, R², R³, R⁴, A¹, A² and X and n are as defined in formula (I).

The compounds of the formulae (II) and (III) are preferably reacted with base catalysis in an inert organic solvent such as, for example, tetrahydrofuran (THF), dioxane, acetonitrile, dimethylformamide (DMF), methanol and ethanol, at temperatures between -10°C and the boiling point of the solvent, preferably at 20°C to 60°C; if acid addition salts of the formula (III) are used, they are, as a rule, liberated in situ with the aid of a base. Suitable bases or basic catalysts are alkali metal hydroxides, alkali metal hydrides, alkali metal carbonates, alkali metal alkoxides, alkaline earth metal hydroxides, alkaline earth metal hydrides, alkaline earth metal carbonates or organic bases such as triethylamine or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). The base in question is employed, for example, in the range of 0.1 to 3 mol equivalents based on

the compound of the formula (III). The compound of the formula (II) may be employed, for example, in equimolar amounts or in an excess of up to 2 mol equivalents relative to the compound of the formula (III). The principles of the processes in question are known from the literature (compare:

- 5 Comprehensive Heterocyclic Chemistry, A.R. Katritzky, C.W. Rees, Pergamon Press, Oxford, New York, 1984, Vol.3; Part 2B; ISBN 0-08-030703-5, p.290).

The compounds of the formulae (IV) and (V) are preferably reacted with
10 base catalysis in an inert organic solvent such as, for example, THF, dioxane, acetonitrile, DMF, methanol and ethanol, at temperatures between -10°C and the boiling point of the solvent or solvent mixture in question, preferably at 20°C to 60°C; if the compound (V) is used as an acid addition salt, it is, if appropriate, liberated in situ using a base. Suitable
15 bases or basic catalysts are alkali metal hydroxides, alkali metal hydrides, alkali metal carbonates, alkali metal alkoxides, alkaline earth metal hydroxides, alkaline earth metal hydrides, alkaline earth metal carbonates or organic bases such as triethylamine or
1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). The base in question is
20 employed, as a rule, in the range of 1 to 3 mol equivalents based on the compound of the formula (IV). The compound of the formula (IV) can be employed, for example, in equimolar amounts relative to the compound of the formula (V) or in an excess of up to 2 mol equivalents. The principles of the processes in question are known from the literature (cf. Comprehensive
25 Heterocyclic Chemistry, A.R. Katritzky, C.W. Rees, Pergamon Press, Oxford, New York, 1984, Vol.3; Part 2B; ISBN 0-08-030703-5, p.482).

The starting materials of the formulae (II), (III), (IV) and (V) are either commercially available or can be prepared by, or analogously to,
30 processes known from the literature. Some of the compounds of the formulae (III) and (V) are novel and also subject of the invention. Also, the compounds can be prepared, for example, by one of the processes described hereinbelow.

35 The compound of the formula (IV) or a direct precursor thereof can be prepared, for example, as follows:

1. The reaction of a compound of the formula (II) with an amidinothiourea derivative of the formula (VI)

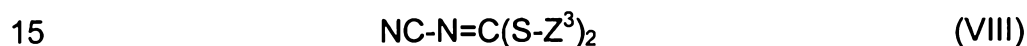


where Z^2 is (C₁-C₄)alkyl or phenyl-(C₁-C₄)alkyl and R^3 is as defined in formula (I) affords compounds of the formula (IV) in which $\text{Z}^1 = -\text{SZ}^2$.

2. The reaction of an amidine of the formula (VII) or of an acid addition salt thereof



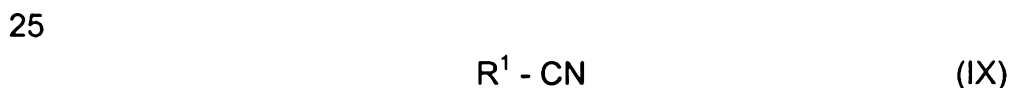
where R^1 is as defined in formula (I) with an N-cyanodithioiminocarbonate of the formula (VIII)



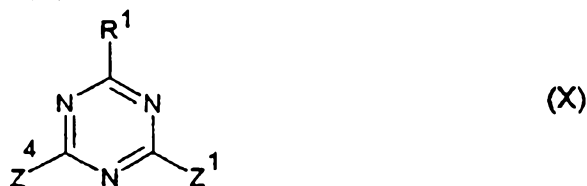
in which Z^3 is (C₁-C₄)alkyl or phenyl-(C₁-C₄)alkyl affords compounds of the formula (IV) where $\text{Z}^1 = -\text{S}-\text{Z}^3$.

3. The reaction of an alkali metal dicyanamide with a carboxylic acid derivative of the abovementioned formula (II) affords compounds of the formula (IV) where $\text{Z}^1 = \text{NH}_2$.

4. The reaction of trichloroacetonitrile with a nitrile of the formula (IX)



where R^1 is as defined in formula (I) affords, initially, compounds of the formula (X)



where Z^1 and Z^4 are each CCl_3 , and these, when subsequently reacted with compounds of the formula $\text{H}-\text{R}^3$ (R^3 as in formula (I)), lead to compounds of the formula (IV) where $\text{Z}^1 = \text{CCl}_3$.

The carboxylic acid derivatives of the formula (II) are reacted with the amidinothiourea derivatives of the formula (VI) in an organic solvent such as, for example, acetone, THF, dioxane, acetonitrile, DMF, methanol, ethanol, at temperatures of -10°C to the boiling point of the solvent, preferably at 0°C to 20°C , preferably with base catalysis. However, the reaction may also be carried out in water or aqueous solvent mixtures with one or more of the abovementioned organic solvents. If (VI) is employed as an acid addition salt, it may be liberated, if appropriate, in situ using a base. Suitable bases or basic catalysts are alkali metal hydroxides, alkali metal hydrides, alkali metal carbonates, alkali metal alkoxides, alkaline earth metal hydroxides, alkaline earth metal hydrides, alkaline earth metal carbonates or organic bases such as triethylamine or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). The base in question is employed, for example, in the range of 1 to 3 mol equivalents based on the compound of the formula (VI). Compounds of the formulae (II) and (VI) can be employed, for example, in equimolar amounts or in an excess of up to 2 mol equivalents of the compound of the formula (II). The principles of the processes in question are known from the literature (cf. H. Eilingsfeld, H. Scheuermann, Chem. Ber.; 1967, 100, 1874), the corresponding intermediates of the formula (IV) are novel.

The amidines of the formula (VII) are reacted with the N-cyanodithioiminocarbonates of the formula (VIII) in an inert organic solvent such as, for example, acetonitrile, DMF, dimethylacetamide(DMA), N-methylpyrrolidone (NMP), methanol and ethanol, at temperatures from -10°C to the boiling point of the solvent, preferably at 20°C to 80°C , preferably with base catalysis. If (VII) is employed as an acid addition salt, it may be liberated, if appropriate, in situ using a base. Suitable bases or basic catalysts are alkali metal hydroxides, alkali metal hydrides, alkali metal carbonates, alkali metal alkoxides, alkaline earth metal hydroxides, alkaline earth metal hydrides, alkaline earth metal carbonates or organic bases such as triethylamine or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). The base in question is employed, for example, in the range of 1 to 3 mol equivalents based on the compound of the formula (VIII), compounds of the formulae (VII) and (VIII) can be employed, as a rule, in equimolar amounts or with an excess of 2 mol equivalents of the compound of the formula (VII). The principles of the processes in question are known from the literature (cf. T.A. Riley, W.J. Henney, N.K. Dalley, B.E. Wilson, R.K. Robins; J. Heterocyclic Chem.; 1986, 23 (6), 1706-1714), the

corresponding intermediates of the formula (IV) are novel.

5 Intermediates of the formula (X) where Z^1 = chlorine can be prepared by reacting alkali metal dicyanamide with a carboxylic acid derivative of the formula (II), in which case Fu is preferably the functional group carboxylic acid chloride or carboxamide. The reactants are reacted for example with acid catalysis in an inert organic solvent such as, for example, toluene, chlorobenzene, chlorinated hydrocarbons at temperatures between -10°C and the boiling point of the solvent, preferably at 20°C to 80°C , it being possible for the intermediates which form to be chlorinated in situ using a suitable chlorinating reagent such as, for example, phosphorus oxychloride. Suitable acids are, for example, hydrohalic acids such as HCl or else Lewis acids such as, for example AlCl_3 or BF_3 (cf. US-A-5095113, Du Pont).

15 Intermediates of the formula (X) where Z^1, Z^4 = trihalomethyl can be prepared by reacting the corresponding trihaloacetonitriles with a carbonitrile of the formula (IX). The reactants are reacted, for example, with acid catalysis in an inert organic solvent such as, for example, toluene, chlorobenzene, chlorinated hydrocarbons at temperatures between -40°C and the boiling point of the solvent, preferably at -10°C to 30°C . Examples of suitable acids are hydrohalic acids such as HCl or else Lewis acids such as, for example, AlCl_3 or BF_3 (cf. EP-A-130939, Ciba Geigy).

25 Intermediates of the formula (IV) where Z^1 = $(\text{C}_1\text{-C}_4)$ alkylmercapto or unsubstituted phenyl- $(\text{C}_1\text{-C}_4)$ alkylmercapto can be converted with a suitable chlorinating reagent such as, for example, elemental chlorine or phosphorus oxychloride in an inert organic solvent such as, for example, toluene, chlorobenzene, chlorinated hydrocarbons or others at temperatures between -40°C and the boiling point of the solvent, preferably at 20°C to 80°C , to give more reactive chlorotriazines of the formula (IV) where Z^1 = Cl (cf. J.K. Chakrabarti, D.E. Tupper; Tetrahedron 1975, 31(16), 1879-1882).

35 Intermediates of the formula (IV) where Z^1 = $(\text{C}_1\text{-C}_4)$ alkylmercapto or unsubstituted or substituted phenyl- $(\text{C}_1\text{-C}_4)$ alkylmercapto or $(\text{C}_1\text{-C}_4)$ alkylphenylthio can be oxidized with a suitable oxidizing reagent such as, for example, m-chloroperbenzoic acid, hydrogen peroxide, potassium peroxomonosulfate in a suitable solvent such as, for example,

chlorinated hydrocarbons, acetic acid, water, alcohols, acetone or mixtures of these at temperatures between 0°C and the boiling point of the solvent, preferably 20°C to 80°C (cf. T.A. Riley, W.J. Henney, N.K. Dalley, B.E. Wilson, R.K. Robins; J. Heterocyclic Chem.; 1986, 23 (6), 1706-1714).

5

Acids which are suitable for preparing the acid addition salts of the compounds of the formula (I) are the following: hydrohalic acids such as hydrochloric acid or hydrobromic acid, furthermore phosphoric acid, nitric acid, sulfuric acid, mono- or bifunctional carboxylic acids and hydroxycarboxylic acids such as acetic acid, maleic acid, succinic acid, fumaric acid, tartaric acid, citric acid, salicylic acid, sorbic acid or lactic acid, and also sulfonic acids such as p-toluenesulfonic acid or 1,5-naphthalenedisulfonic acid. The acid addition compounds of the formula (I) can be obtained in a simple manner by the customary salt formation methods, for example by dissolving a compound of the formula (I) in a suitable organic solvent such as, for example, methanol, acetone, methylene chloride or benzene, and adding the acid at temperatures from 0 to 100°C, and they can be isolated in the known manner, for example by filtration, and, if appropriate, purified by washing with an inert organic solvent.

The base addition salts of the compounds of the formula (I) are preferably prepared in inert polar solvents such as, for example, water, methanol or acetone at temperatures from 0 to 100°C. Examples of bases which are suitable for the preparation of the salts according to the invention are alkali metal carbonates such as potassium carbonate, alkali metal hydroxides and alkaline earth metal hydroxides, for example NaOH or KOH, alkali metal hydrides and alkaline earth metal hydrides, for example NaH, alkali metal alkoxides and alkaline earth metal alkoxides, for example sodium methoxide, potassium tert-butoxide, or ammonia or ethanolamine. Quarternary ammonium salts can be prepared, for example, by double decomposition or condensation with quarternary ammonium salts of the formula $[NRR'R''R''']^+X^-$ where R, R', R'' and R''' independently of one another are (C₁-C₄)alkyl, phenyl or benzyl and X⁻ is an anion, for example Cl⁻ or OH⁻.

Solvents termed "inert solvents" in the above process variants are to be understood as meaning in each case solvents which are inert under the reaction conditions in question, but which need not be inert under any

reaction conditions.

- 5 The compounds of the formula (I) according to the invention and their salts, all termed hereinbelow as compounds of the formula (I) (according to the invention), have an excellent herbicidal activity against a broad range of economically important monocotyledonous and dicotyledonous harmful plants. The active substances also act efficiently on perennial weeds which produce shoots from rhizomes, root stocks or other perennial organs and which are difficult to control. In this context, it does not matter whether the substances are applied pre-planting, pre-emergence or post-emergence. Specifically, examples may be mentioned of some representatives of the monocotyledonous and dicotyledonous weed flora which can be controlled by the compounds according to the invention, without the enumeration being a restriction to certain species.
- 10
- 15 Examples of weed species on which the active substance acts efficiently are, from amongst the monocotyledons, Avena, Lolium, Alopecurus, Phalaris, Echinochloa, Digitaria, Setaria and also Cyperus species from the annual sector and from amongst the perennial species Agropyron, Cynodon, Imperata and Sorghum, and also perennial Cyperus species.
- 20 In the case of the dicotyledonous weed species, the range of action extends to species such as, for example, Galium, Viola, Veronica, Lamium, Stellaria, Amaranthus, Sinapis, Ipomoea, Matricaria, Abutilon and Sida from amongst the annuals, and Convolvulus, Cirsium, Rumex and Artemisia in the case of the perennial weeds.
- 25 The active substances according to the invention likewise effect outstanding control of weeds which occur under the specific conditions of rice growing, such as, for example, Sagittaria, Alisma, Eleocharis, Scirpus and Cyperus.
- 30 If the compounds according to the invention are applied to the soil surface before germination, then the weed seedlings are either prevented completely from emerging, or the weeds grow until they have reached the cotyledon stage but then their growth stops, and, eventually, after three to four weeks have elapsed, they die completely.
- 35 If the active substances are applied post-emergence to the green parts of the plants, growth likewise stops drastically a very short time after the treatment and the weed plants remain at the growth stage of the point of time of application, or they die completely after a certain time, so that in this

manner competition by the weeds, which is harmful to the crop plants, is eliminated at a very early point in time and in a sustained manner.

5 Even though the compounds according to the invention have an excellent herbicidal activity against monocotyledonous and dicotyledonous weeds, crop plants of economically important crops, such as, for example, wheat, barley, rye, rice, maize, sugar beet, cotton and soya, are damaged not at all, or only to a negligible extent. For these reasons, the present compounds are highly suitable for selectively controlling undesired plant
10 growth in plantings for agricultural use, inclusive of ornamental plantings.

In addition, the substances according to the invention have excellent growth-regulating properties in crop plants. They engage in the plant metabolism in a regulating manner and can thus be employed for the
15 targeted control of plant constituents and for facilitating harvesting, such as, for example, by provoking desiccation and stunted growth. Furthermore, they are also suitable for generally regulating and inhibiting undesired vegetative growth, without simultaneously destroying the plants. Inhibition of vegetative growth plays an important role in many
20 monocotyledonous and dicotyledonous crops because lodging can be reduced hereby, or prevented completely.

Due to their herbicidal and plant-growth regulatory properties, the active substances can also be employed for controlling harmful plants in crops of
25 known genetically modified plants, or genetically modified plants yet to be developed. As a rule, the transgenic plants are distinguished by particular advantageous properties, for example by resistances to certain pesticides, mainly certain herbicides, resistances to plant diseases or pathogens of plant diseases, such as certain insects or microorganisms such as fungi,
30 bacteria or viruses. Other particular properties relate, for example, to the harvested material with regard to quantity, quality, storage properties, composition and specific constituents. Thus, transgenic plants are known where the starch content is increased or the starch quality is altered or those where the harvested material has a different fatty acid spectrum.

35 The compounds of the formula (I) according to the invention or their salts are preferably employed in economically important transgenic crops of useful plants and ornamentals, for example cereals such as wheat, barley, rye, oats, sorghum and millet, rice, cassava and maize, or else crops of

sugar beet, cotton, soya, oil seed rape, potatoes, tomatoes, peas and other vegetables.

The compounds of the formula (I) can preferably be employed as herbicides in crops of useful plants which are resistant to the phytotoxic effects of the herbicides or have been rendered thus by means of genetic engineering.

Traditional ways of generating novel plants which have modified characteristics in comparison with existing plants consist, for example, in traditional breeding methods and the generation of mutants. However, it is also possible to generate novel plants with altered characteristics with the aid of genetic engineering methods (see, for example, EP-A-0221044, EP-A-0131624). For example, several cases have been described of

- genetic engineering modifications of crop plants with the purpose of modifying the starch synthesized in the plants (for example WO 92/11376, WO 92/14827, WO 91/19806),
- transgenic crop plants which are resistant to certain herbicides of the glufosinate type (cf., for example, EP-A-0242236, EP-A-242246) or the glyphosate type (WO 92/00377) or the sulfonylurea type (EP-A-0257993, US-A-5013659),
- transgenic crop plants, for example cotton, which are capable of producing *Bacillus thuringiensis* toxins (Bt toxins) which make the plants resistant to specific pests (EP-A-0142924, EP-A-0193259),
- transgenic crop plants whose fatty acid spectrum is modified (WO 91/13972).

A large number of techniques in molecular biology by means of which novel transgenic plants with altered characteristics can be generated are known in principle; see, for example, Sambrook et al., 1989, *Molecular Cloning, A Laboratory Manual*, 2nd Ed., Cold Spring Harbor Laboratory Press, Cold Spring Harbor, NY; or Winnacker "Gene und Klone" [Genes and Clones], VCH Weinheim 2nd Edition 1996, or Christou, "Trends in Plant Science" 1 (1996) 423-431).

In order to perform such genetic engineering manipulations, nucleic acid molecules may be introduced into plasmids which allow mutagenesis or a sequence change by means of recombination of DNA sequences. It is possible, for example, with the aid of the abovementioned standard methods to perform base exchanges, to remove subsequences or to add

natural or synthetic sequences. To connect the DNA fragments to each other, adaptors or linkers may be attached to the fragments.

5 For example, plant cells with a reduced activity of a gene product can be generated by expressing at least one corresponding antisense RNA, a sense RNA to achieve a cosuppressory effect or by expressing at least one ribozyme of suitable construction which specifically cleaves transcripts of the abovementioned gene product.

10 To this end it is possible to make use of, on the one hand, DNA molecules which encompass the entire coding sequence of a gene product inclusive of any flanking sequences which may be present, on the other hand DNA molecules which only encompass parts of the coding sequence, but these parts must be long enough in order to effect, in the cells, an antisense
15 effect. Use may also be made of DNA sequences which show a high degree of homology to the coding sequences of a gene product, but which are not completely identical.

20 When nucleic acid molecules are expressed in plants, the protein which has been synthesized may be located in any desired compartment of the plant cell. However, to achieve localization in a particular compartment, it is possible, for example, to link the coding region with DNA sequences which guarantee localization in a particular compartment. Such sequences are known to the skilled worker (see, for example, Braun et al., EMBO J. 11
25 (1992), 3219-3227; Wolter et al., Proc. Natl. Acad. Sci. USA 85 (1988), 846-850; Sonnewald et al., Plant J. 1 (1991), 95-106).

30 The transgenic plant cells may be regenerated by known techniques to give complete plants. In principle, the transgenic plants can be plants of any desired plant species, that is to say monocotyledonous and also dicotyledonous plants.

35 This allows transgenic plants to be obtained which exhibit altered characteristics by means of overexpression, suppression or inhibition of homologous (= natural) genes or gene sequences or by means of expression of heterologous (= foreign) genes or gene sequences.

The compounds (I) according to the invention can preferably be employed in transgenic crops which are resistant to herbicides from the group of the

sulfonylureas, glufosinate-ammonium or glyphosate-isopropylammonium and analogous active substances.

When the active substances according to the invention are used in
5 transgenic crops, effects other than the herbicidal effects to be observed in
other crops are frequently found which are specific for application in the
particular transgenic crop, for example an altered or specifically widened
weed spectrum which can be controlled, altered application rates which
may be employed for application, preferably good combining ability with the
10 herbicides to which the transgenic crop is resistant, and an effect on growth
and yield of the transgenic crop plants.

The invention therefore also relates to the use of the compounds (I)
according to the invention as herbicides for controlling harmful plants in
15 transgenic crop plants.

The use according to the invention for controlling harmful plants or for
regulating the growth of plants also includes the case where the active
substance of the formula (I) or a salt thereof is only formed in the plant or
20 the soil from a precursor ("prodrug") after its application to the plant.

The compounds according to the invention can be employed in the
conventional preparations as wettable powders, emulsifiable concentrates,
sprayable solutions, dusts or granules. The invention therefore also relates
25 to herbicidal and plant-growth-regulating compositions which comprise
compounds of the formula (I).

The compounds of the formula (I) can be formulated in various ways,
depending on the prevailing biological and/or chemico-physical
30 parameters. Examples of possible formulations which are suitable are:
wetable powders (WP), water-soluble powders (SP), water-soluble con-
centrates, emulsifiable concentrates (EC), emulsions (EW) such as oil-in-
water and water-in-oil emulsions, sprayable solutions, suspension
concentrates (SC), dispersions on an oil or water basis, solutions which are
35 miscible with oil, capsule suspensions (CS), dusts (DP), seed-dressing
products, granules for broadcasting and soil application, granules (GR) in
the form of microgranules, spray granules, coated granules and adsorption
granules, water-dispersible granules (WG), water-soluble granules (SG),
ULV formulations, microcapsules and waxes.

These individual formulation types are known in principle and described, for example, in: Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hauser Verlag, Munich, 4th Edition 1986; Wade
5 van Valkenburg, "Pesticide Formulations", Marcel Dekker, N.Y., 1973; K. Martens, "Spray Drying Handbook", 3rd Ed. 1979, G. Goodwin Ltd. London.

The necessary formulation auxiliaries such as inert materials, surfactants,
10 solvents and other additives are also known and described, for example, in: Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Darland Books, Caldwell N.J.; H.v. Olphen, "Introduction to Clay Colloid Chemistry", 2nd Ed., J. Wiley & Sons, N.Y.; C. Marsden, "Solvents Guide", 2nd Ed., Interscience, N.Y. 1963; McCutcheon's "Detergents and
15 Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte" [Surface-active ethylene oxide adducts], Wiss. Verlagsgesell., Stuttgart 1976; Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C.
20 Hauser Verlag, Munich, 4th Ed. 1986.

Based on these formulations, it is also possible to prepare combinations with other pesticidally active substances such as, for example, insecticides, acaricides, herbicides, fungicides, and with safeners, fertilizers and/or
25 growth regulators, for example in the form of a readymix or a tank mix.

Wettable powders are preparations which are uniformly dispersible in water and which, besides the active substance, also comprise ionic and/or nonionic surfactants (wettters, dispersants), for example, polyoxyethylated
30 alkylphenols, polyoxyethylated fatty alcohols, polyoxyethylated fatty amines, fatty alcohol polyglycol ether sulfates, alkanesulfonates or alkylbenzenesulfonates, sodium lignosulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutyl-naphthalenesulfonate or else sodium oleoylmethyltaurate, in
35 addition to a diluent or inert substance. To prepare the wettable powders, the herbicidal active substances are, for example, ground finely in conventional apparatuses such as hammer mills, blower mills and air-jet mills and mixed with the formulation auxiliaries, either concomitantly or thereafter.

Emulsifiable concentrates are prepared, for example, by dissolving the active substance in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or else higher-boiling aromatics or hydrocarbons or mixtures of these, with addition of one or more ionic and/or nonionic surfactants (emulsifiers). Emulsifiers which can be used are, for example: calcium salts of alkylarylsulfonic acids, such as calcium dodecylbenzenesulfonate or nonionic emulsifiers, such as fatty acid polyglycol esters, alkylaryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide/ethylene oxide condensates, alkyl polyethers, sorbitan esters such as sorbitan fatty acid esters or polyoxyethylene sorbitan esters such as polyoxyethylene sorbitan fatty acid esters.

Dusts are obtained by grinding the active substance with finely divided solid substances, for example talc or natural clays, such as kaolin, bentonite or pyrophyllite, or diatomaceous earth.

Suspension concentrates may be water- or oil-based. They can be prepared, for example, by wet grinding by means of commercially available bead mills, if appropriate with addition of surfactants, as they have already been mentioned above for example in the case of the other formulation types.

Emulsions, for example oil-in-water emulsions (EW), can be prepared for example by means of stirrers, colloid mills and/or static mixtures using aqueous organic solvents and, if appropriate, surfactants as they have already been mentioned above for example in the case of the other formulation types.

Granules can be prepared either by spraying the active substance onto adsorptive, granulated inert material or by applying active substance concentrates onto the surface of carriers such as sand, kaolinites or of granulated inert material, by means of binders, for example polyvinyl alcohol, sodium polyacrylate or alternatively mineral oils. Suitable active substances can also be granulated in the manner which is conventional for the production of fertilizer granules, if desired in a mixture with fertilizers.

Water-dispersible granules are prepared, as a rule, by the customary processes such as spray-drying, fluidized-bed granulation, disk granulation,

mixing in high-speed mixers and extrusion without solid inert material. To prepare disk, fluidized-bed, extruder and spray granules, see, for example, processes in "Spray-Drying Handbook" 3rd ed. 1979, G. Goodwin Ltd., London; J.E. Browning, "Agglomeration", Chemical and Engineering 1967, pages 147 et seq.; "Perry's Chemical Engineer's Handbook", 5th Ed., McGraw-Hill, New York 1973, p. 8-57.

For further details on the formulation of crop protection products, see, for example, G.C. Klingman, "Weed Control as a Science", John Wiley and Sons, Inc., New York, 1961, pages 81-96 and J.D. Freyer, S.A. Evans, "Weed Control Handbook", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pages 101-103.

As a rule, the agrochemical preparations comprise 0.1 to 99% by weight, in particular 0.1 to 95% by weight, of active substance of the formula (I). The active substance concentration in wettable powders is, for example, approximately 10 to 90% by weight, the remainder to 100% by weight being composed of customary formulation components. In the case of emulsifiable concentrates, the active substance concentration can amount to approximately 1 to 90, preferably 5 to 80, % by weight. Formulations in the form of dusts usually comprise 1 to 30% by weight of active substance, preferably in most cases 5 to 20% by weight of active substance, while sprayable solutions comprise approximately 0.05 to 80, preferably 2 to 50, % by weight of active substance. In the case of water-dispersible granules, the active substance content depends partly on whether the active compound is in liquid or solid form and on which granulation auxiliaries, fillers and the like are being used. The water-dispersible granules, for example, comprise between 1 and 95% by weight of active substance, preferably between 10 and 80% by weight.

In addition, the active substance formulations mentioned comprise, if appropriate, the adhesives, wetters, dispersants, emulsifiers, penetrants, preservatives, antifreeze agents, solvents, fillers, carriers, colorants, antifoams, evaporation inhibitors, pH regulators and viscosity regulators which are conventional in each case.

Active substances which can be employed as components in mixed formulations or in a tank mix, together with the active substances according to the invention, are, for example, known active substances as they are

described in, for example, Weed Research 26, 441-445 (1986), or "The Pesticide Manual", 10th edition, The British Crop Protection Council and the Royal Soc. of Chemistry, 1994 and the literature cited therein.

- Herbicides which are known from the literature and which may be
- 5 combined with the compounds of the formula (I) are, for example, the following active substances (note: the compounds are either designated by the "common name" of the International Organization for Standardization (ISO) or by the chemical name, if appropriate together with a customary code number):
- 10 acetochlor; acifluorfen; aclonifen; AKH 7088, i.e. [[[1-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]-2-methoxyethylidene]amino]oxy]acetic acid and its methyl ester; alachlor; alloxymid; ametryn; amidosulfuron; amitrol; AMS, i.e. ammonium sulfamate; anilofos; asulam; atrazine; azimsulfurone (DPX-A8947);
- 15 aziprotryn; barban; BAS 516 H, i.e. 5-fluoro-2-phenyl-4H-3,1-benzoxazin-4-one; benazolin; benfluralin; benfuresate; bensulfuron-methyl; bensulide; bentazone; benzofenap; benzofluror; benzoylprop-ethyl; benzthiazuron; bialaphos; bifenox; bromacil; bromobutide; bromofenoxim; bromoxynil; bromuron; buminafos; busoxinone; butachlor; butamifos; butenachlor;
- 20 buthidazole; butralin; butylate; cafenstrole (CH-900); carbetamide; cafentrazone (ICI-A0051); CDAA, i.e. 2-chloro-N,N-di-2-propenyl-acetamide; CDEC, i.e. 2-chloroallyl diethyldithiocarbamate; chlomethoxyfen; chloramben; chlorazifop-butyl, chlormesulon (ICI-A0051); chlorbromuron; chlorbufam; chlorfenac; chlorflurecol-methyl; chloridazon;
- 25 chlorimuron ethyl; chlornitrofen; chlorotoluron; chloroxuron; chlorpropham; chlorsulfuron; chlorthal-dimethyl; chlorthiamid; cinmethylin; cinosulfuron; clethodim; clodinafop and its ester derivatives (for example clodinafop-propargyl); clomazone; clomeprop; cloproxydim; clopyralid; cumyluron (JC 940); cyanazine; cycloate; cyclosulfamuron (AC 104); cycloxydim;
- 30 cycluron; cyhalofop and its ester derivatives (for example butyl ester, DEH-112); cyperquat; cyprazine; cyprazole; daimuron; 2,4-DB; dalapon; desmedipham; desmetryn; di-allate; dicamba; dichlobenil; dichlorprop; diclofop and its esters such as diclofop-methyl; diethatyl; difenoxuron; difenzoquat; diflufenican; dimefuron; dimethachlor; dimethametryn;
- 35 dimethenamid (SAN-582H); dimethazone, clomazon; dimethipin; dimetra-sulfuron, dinitramine; dinoseb; dinoterb; diphenamid; dipropetryn; diquat; dithiopyr; diuron; DNOC; eglinazine-ethyl; EL 77, i.e. 5-cyano-1-(1,1-dimethylethyl)-N-methyl-1H-pyrazole-4-carboxamide; endotal; EPTC; esprocarb; ethalfluralin; ethametsulfuron-methyl;

ethidimuron; ethiozin; ethofumesate; F5231, i.e. N-[2-chloro-4-fluoro-5-[4-(3-fluoropropyl)-4,5-dihydro-5-oxo-1H-tetrazol-1-yl]-phenyl]ethane-sulfonamide; ethoxyfen and its esters (for example ethyl ester, HN-252); etobenzanid (HW 52); fenoprop; fenoxan, fenoxaprop and fenoxaprop-P and their esters, for example fenoxaprop-P-ethyl and fenoxaprop-ethyl; 5 fenoxydim; fenuron; flamprop-methyl; flazasulfuron; fluazifop and fluazifop-P and their esters, for example fluazifop-butyl and fluazifop-P-butyl; fluchloralin; flumetsulam; flumeturon; flumiclorac and its esters (for example pentyl ester, S-23031); flumioxazin (S-482); flumipropyn; flupoxam (KNW-10 739); fluorodifen; fluoroglycofen-ethyl; flupropacil (UBIC-4243); fluridone; flurochloridone; fluroxypyr; flurtamone; fomesafen; fosamine; furyloxyfen; glufosinate; glyphosate; halosafen; halosulfuron and its esters (for example methyl ester, NC-319); haloxyfop and its esters; haloxyfop-P (= R-haloxyfop) and its esters; hexazinone; imazamethabenz-methyl; imazapyr; 15 imazaquin and salts such as the ammonium salt; imazethamethapyr; imazethapyr; imazosulfuron; ioxynil; isocarbamid; isopropalin; isoproturon; isouron; isoxaben; isoxapyrifop; karbutilate; lactofen; lenacil; linuron; MCPA; MCPB; mecoprop; mefenacet; mefluidid; metamitron; metazachlor; methabenzthiazuron; metham; methazole; methoxyphenone; methyl-20 dymron; metabenzuron, methobenzuron; metobromuron; metolachlor; metosulam (XRD 511); metoxuron; metribuzin; metsulfuron-methyl; MH; molinate; monalide; monocarbamide dihydrogensulfate; monolinuron; monuron; MT 128, i.e. 6-chloro-N-(3-chloro-2-propenyl)-5-methyl-N-phenyl-3-pyridazinamine; MT 5950, i.e. N-[3-chloro-4-(1-25 methylethyl)phenyl]-2-methylpentanamide; naproanilide; napropamide; naptalam; NC 310, i.e. 4-(2,4-dichlorobenzoyl)-1-methyl-5-benzyloxy-pyrazol; neburon; nicosulfuron; nipyracllophen; nitralin; nitrofen; nitrofluorfen; norflurazon; orbencarb; oryzalin; oxadiargyl (RP-020630); oxadiazon; oxyfluorfen; paraquat; pebulate; pendimethalin; perfluidone; 30 phenisopham; phenmedipham; picloram; piperophos; piributicarb; pirifenop-butyl; pretilachlor; primisulfuron-methyl; procyazine; prodiamine; profluralin; proglinazine-ethyl; prometon; prometryn; propachlor; propanil; propaquizafop and its esters; propazine; propham; propisochlor; propyzamide; prosulfalin; prosulfocarb; prosulfuron (CGA-152005); 35 prynachlor; pyrazolate; pyrazon; pyrazosulfuron-ethyl; pyrazoxyfen; pyridate; pyrithiobac (KIH-2031); pyroxofop and its esters (for example propargyl ester); quinclorac; quinmerac; quinofofop and its ester derivatives, quizalofop and quizalofop-P and their ester derivatives, for example quizalofop-ethyl; quizalofop-P-tefuryl and -ethyl; renniduron; rimsulfuron

(DPX-E 9636); S 275, i.e. 2-[4-chloro-2-fluoro-5-(2-propynyloxy)phenyl]-4,5,6,7-tetrahydro-2H-indazole; secbumeton; sethoxydim; siduron; simazine; simetryn; SN 106279, i.e. 2-[[7-[2-chloro-4-(trifluoromethyl)-phenoxy]-2-naphthalenyl]oxy]propanoic acid and its methyl ester;

5 sulfentrazon (FMC-97285, F-6285); sulfazuron; sulfometuron-methyl; sulfosate (ICI-A0224); TCA; tebutam (GCP-5544); tebuthiuron; terbacil; terbucarb; terbuchlor; terbumeton; terbuthylazine; terbutryn; TFH 450, i.e. N,N-diethyl-3-[(2-ethyl-6-methylphenyl)sulfonyl]-1H-1,2,4-

10 triazol-1-carboxamide; thenylchlor (NSK-850); thiazafluron; thizopyr (Mon-13200); thidiazimin (SN-24085); thifensulfuron-methyl; thiobencarb; tiocarbazil; tralkoxydim; tri-allate; triasulfuron; triazofenamide; tribenuron-methyl; triclopyr; tridiphane; trietazine; trifluralin; triflusulfuron and esters (for example methyl ester, DPX-66037); trimeturon; tsitodef; vernolate; WL 110547, i.e. 5-phenoxy-1-[3-(trifluoromethyl)phenyl]-1H-

15 tetrazole; UBH-509; D-489; LS 82-556; KPP-300; NC-324; NC-330; KH-218; DPX-N8189; SC-0774; DOWCO-535; DK-8910; V-53482; PP-600; MBH-001; KIH-9201; ET-751; KIH-6127 and KIH-2023.

For use, the formulations which are present in commercially available form are, if appropriate, diluted in the customary manner, for example using

20 water in the case of wettable powders, emulsifiable concentrates, dispersions and water-dispersible granules. Preparations in the form of dusts, soil granules, granules for broadcasting and sprayable solutions are conventionally not diluted further with other inert substances prior to use.

25 The application rate required of the compounds of the formula (I) varies with the external conditions such as, inter alia, temperature, humidity and the nature of the herbicide used. It may vary within wide limits, for example between 0.001 and 10.0 kg/ha or more of active substance, but it is

30 preferably between 0.005 and 5 kg/ha.

Quantities (also percentages) in the following examples are weight-based, unless otherwise specified.

A. Chemical Examples

Example A1

5 2-Amino-4-(1-fluoro-1-methylethyl)-6-(3-phenyl-1-cyclobutyl-1-propylamino)-1,3,5-triazine (see Table 4, Example 4-2)

A solution prepared from 0.32 g (0.014 mol) of sodium and 10 ml of methanol is added to 1.90 g (0.00613 mol) of 3-phenyl-1-cyclobutyl-1-(biguanidino)propane hydrochloride in 30 ml of methanol and 2 g of
10 molecular sieve 3 Å (Ångström). Then, 1.10 g (0.0092 mol) of methyl 1-fluoro-1-methylpropionate are added dropwise and the mixture is stirred first for 2 hours at 25°C and then for 4 hours at 65°C. The reaction mixture is filtered, the filtrate is concentrated and the residue is taken up in ethyl acetate. The mixture is washed with water and dried with sodium sulfate.
15 The dessicant is filtered off with suction and the solvent is evaporated in vacuo. After purification by column chromatography (eluant: ethyl acetate), 1.66 g (79% of theory) of 2-amino-4-(1-fluoro-1-methylethyl)-6-(3-phenyl-1-cyclobutyl-1-propylamino)-1,3,5-triazine are obtained.

20 Example A2

2-Amino-4-(1-fluoro-1-methylethyl)-6-(1-phenyl-4-cyclopropyl-4-butylamino)-1,3,5-triazine (see Example 22-12, Table 22)

1.52 g (0.008 mol) of 2-amino-4-chloro-6-(1-fluoro-1-methylethyl)-1,3,5-
25 triazine and 1.64 g (0.012 mol) of potassium carbonate are introduced into 30 ml of acetonitrile. 1.50 g (0.008 mol) of 4-phenyl-1-cyclopropyl-1-butylamine, dissolved in 10 ml of acetonitrile, are added dropwise to this solution. The mixture is refluxed for three hours. The solid components are then filtered off with suction and the filtrate is evaporated on a rotary
30 evaporator. The residue is purified by column chromatography (eluant: methyl acetate). This gives 2.36 g (86% of theory) of 2-amino-4-(1-fluoro-1-methylethyl)-6-(1-phenyl-4-cyclopropyl-4-butylamino)-1,3,5-triazine.

Example A3

35 2-Amino-4-(1-fluoro-1-methylethyl)-6-[3-(3,5-dimethylphenyl)-1-cyclopropyl-1-propylamino]-1,3,5-triazine (see Table 9, Ex. 9-17)

A methoxide solution prepared from 1.2 g (0.05 mol) of sodium and 100 ml of methanol is added to 8.1 g (0.025 mol) of 3-(3,5-dimethylphenyl)-1-cyclopropyl-1-(1-biguanidino)propane hydrochloride in 50 ml of methanol

and 7 g of ground molecular sieve 3 . Then, 5.4 g (0.045 mol) of methyl 1-fluoro-1-methylpropionate are added and the mixture is stirred for 2 hours at 25°C and then for 4 hours at 65°C. The reaction mixture is filtered, the filtrate is concentrated and the residue is taken up in ethyl acetate. The mixture is washed with water and dried with sodium sulfate. The dessicant is filtered off and the solvent is evaporated in vacuo. After purification by column chromatography (eluant: ethyl acetate), 7.4 g (83% of theory) of 2-amino-4-(1-fluoro-1-fluoro-1-methylethyl)-6-[3-(3,5-dimethyl)-1-cyclopropyl-1-propylamino]-1,3,5-triazine are obtained.

10

Example A4

2-Amino-6-methyl-4-[3-(3-methylphenyl)-1-cyclobutyl-1-propylamino]-1,3,5-triazine (see Table 4, Ex. 4-29)

15 2.2 g (0.015 mol) of 2-amino-4-chloro-6-methyl-1,3,5-triazine and 4.1 g (0.03 mol) of K_2CO_3 are introduced into 50 ml of acetonitrile. 2.5 g (0.015 mol) of 3-(3-methylphenyl)-1-cyclobutyl-1-propylamine, dissolved in 20 ml of acetonitrile, are added dropwise to this solution. The mixture is then refluxed for 3 hours. The solid constituents are subsequently filtered off with suction and the filtrate is evaporated on a rotary evaporator. The residue is purified by means of column chromatography (eluant: ethyl acetate). This gives 4.3 g (92% of theory) of 2-amino-6-methyl-4-[3-(3-methylphenyl)-1-cyclobutyl-1-propylamino]-1,3,5-triazine.

20

25 Example A5

2-Amino-4-(1-fluoro-1-methylethyl)-6-[4-(3,5-dimethylphenyl)-1-cyclopropyl-1-butylamino]-1,3,5-triazine (see Table 22, Ex. 22-28)

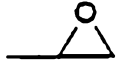
A methoxide solution prepared from 1.2 g (0.05 mol) of sodium and 100 ml of methanol is added to 8.4 g (0.025 mol) of 4-(3,5-dimethylphenyl)-1-cyclopropyl-1-(1-biguanidino)butane hydrochloride in 50 ml of methanol and 7 g of ground molecular sieve 3Å. 5.4 g (0.045 mol) of methyl 1-fluoro-1-methyl-propionate are then added and the mixture is stirred for 2 hours at 25°C and then for 4 hours at 65°C. The reaction mixture is filtered, the filtrate is concentrated and the residue is taken up in ethyl acetate. The mixture is washed with water and dried with sodium sulfate. The dessicant is filtered off and the solvent is evaporated in vacuo. After purification by column chromatography (eluant: ethyl acetate), 7.7 g (83% of theory) of 2-amino-4-(1-fluoro-1-fluoro-1-methylethyl)-6-[3-(3,5-dimethyl)-1-cyclopropyl-

30
35

1-butylamino]-1,3,5-triazine are obtained.

The compounds described in Tables 1 to 44 below are obtained by or analogously to the above Examples A1 to A5 or by the methods described

5 further above in general terms. The abbreviations in the tables denote:

| | | | | |
|----|------------------|---|-------------------------------------------------------------------------------------|----------------------------------------------------------------------|
| | Me | = | methyl | |
| | Et | = | ethyl | |
| | Pr | = | propyl | |
| 10 | i-Pr | = | isopropyl | |
| | c-Pr | = | cyclopropyl | |
| | c-Bu | = | cyclobutyl | |
| | t-Bu | = | tertiary-butyl | |
| | c-Hexyl | = | cyclohexyl | |
| 15 | A1 | = | (CH ₂) ₁ | = -CH ₂ - |
| | A2 | = | (CH ₂) ₂ | = -CH ₂ CH ₂ - |
| | A3 | = | (CH ₂) ₃ | = -CH ₂ CH ₂ CH ₂ - |
| | A4 | = | (CH ₂) ₄ | = -CH ₂ CH ₂ CH ₂ CH ₂ - |
| | Ac | = | COCH ₃ | = acetyl |
| 20 | Ox | = |  | = oxiranyl |
| | Ph | = | phenyl | |
| | (X) _n | = | "-" means n = 0 | |

25 The Tables 1 to 41 which follow relate to formula (1)

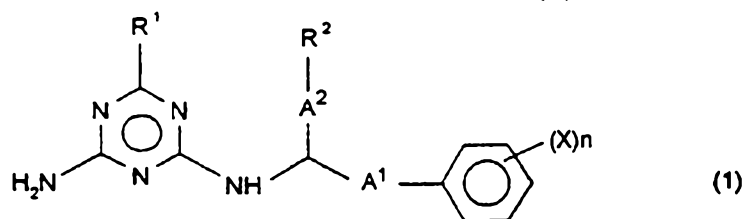


Table 1

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-----|-----------------------|---------------------------------|----------------|------------------|---------------|
| 1-1 | CH ₂ -i-Pr | CH ₂ -c-Pr | A1 | - | oil |
| 1-2 | CFMe ₂ | CH ₂ -c-Pr | A1 | - | oil |
| 1-3 | i-Pr | CH ₂ -c-Pr | A1 | - | oil |
| 1-4 | i-Pr | CH ₂ -c-Bu | A1 | - | |
| 1-5 | CFMe ₂ | CH ₂ -c-Bu | A1 | - | |

| | | | | | |
|------|-------------------|---------------------------------------|----|------|--|
| 1-6 | Me | CH ₂ -c-Bu | A1 | - | |
| 1-7 | CFMe ₂ | CH ₂ -c-Bu | A1 | 3-Me | |
| 1-8 | CFMe ₂ | CH ₂ CH ₂ -c-Pr | A1 | - | |
| 1-9 | i-Pr | CH ₂ CH ₂ -c-Pr | A1 | | |
| 1-10 | CFMe ₂ | CH ₂ CH ₂ -c-Bu | A1 | | |
| 1-11 | i-Pr | CH ₂ CH ₂ -c-Bu | A1 | | |

Table 2

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|--------------------|---------------------------------|----------------------|------------------|---------------|
| 2-1 | c-Pr | 2,2-Cl ₂ -c-Pr | A2 | 3-Cl, 5-F | |
| 2-2 | CFMe ₂ | " | " | 3-Me | |
| 2-3 | CClMe ₂ | " | " | 3-Me | |
| 2-4 | CFMe ₂ | " | " | 3-Cl | |
| 2-5 | i-Pr | " | " | 3-Cl | |
| 2-6 | CFMe ₂ | " | " | 3-F | |
| 2-7 | CHF ₂ | " | " | 3-F | |
| 2-8 | CFMe ₂ | " | " | 3-OMe | |
| 2-9 | CClMe ₂ | " | " | 3-OMe | |
| 2-10 | CFMe ₂ | " | CH ₂ CHMe | - | |
| 2-11 | CClMe ₂ | " | CH ₂ CHMe | - | |

5

Table 3

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-----|-------------------|---------------------------------|----------------|------------------|---------------|
| 3-1 | CFMe ₂ | 2-OMe-c-Pr | A2 | - | |
| 3-2 | CFMe ₂ | 2-OEt-c-Pr | A2 | - | |
| 3-3 | CF ₃ | 2,2-(OMe) ₂ -c-Pr | A2 | - | |
| 3-4 | CH ₂ F | 2,2-(OEt) ₂ -c-Pr | A2 | - | |

Table 4

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|------------------------------------------------|---------------------------------|----------------|---------------------|---------------|
| 4-1 | i-Pr | c-Bu | A2 | - | oil |
| 4-2 | CFMe ₂ | c-Bu | A2 | - | oil |
| 4-3 | Me | c-Bu | A2 | - | oil |
| 4-4 | Et | c-Bu | A2 | - | |
| 4-5 | Pr | c-Bu | A2 | - | |
| 4-6 | Bu | c-Bu | A2 | - | |
| 4-7 | Ph | c-Bu | A2 | - | |
| 4-8 | CH ₂ -C ₆ H ₅ | c-Bu | A2 | - | |
| 4-9 | c-Pr | c-Bu | A2 | - | |
| 4-10 | i-Pr | c-Bu | A2 | 3-Cl | oil |
| 4-11 | CFMe ₂ | c-Bu | A2 | 3-Cl | oil |
| 4-12 | CF ₃ | c-Bu | A2 | 3-Cl | |
| 4-13 | CF ₃ | c-Bu | A2 | - | |
| 4-14 | i-Pr | c-Bu | A2 | 3-Me | oil |
| 4-15 | CFMe ₂ | c-Bu | A2 | 3-Me | oil |
| 4-16 | CF ₃ | c-Bu | A2 | 3-Me | |
| 4-17 | CCl ₃ | c-Bu | A2 | 3-Me | |
| 4-18 | Me | c-Bu | A2 | 2-Me | |
| 4-19 | Et | c-Bu | A2 | 2-Me | |
| 4-20 | CH ₂ -i-Pr | c-Bu | A2 | 2-Me | |
| 4-21 | C ₆ H ₅ | c-Bu | A2 | 2,4-Cl ₂ | |
| 4-22 | CH ₂ -Ph | c-Bu | A2 | 4-NO ₂ | |
| 4-23 | i-Pr | c-Bu | A2 | 3-OMe | oil |
| 4-24 | CFMe ₂ | c-Bu | A2 | 3-OMe | oil |
| 4-25 | CFMe ₂ | c-Bu | A2 | 2-Me | oil |
| 4-26 | i-Pr | c-Bu | A2 | 2-Me | oil |
| 4-27 | i-Pr | c-Bu | A2 | 3-F | oil |
| 4-28 | CFMe ₂ | c-Bu | A2 | 3-F | oil |
| 4-29 | Me | c-Bu | A2 | 3-Me | oil |


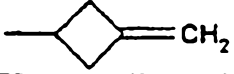



Table 5

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-----|-----------------------------------|---------------------------------|----------------|------------------|---------------|
| 5-1 | CFMe ₂ | 2,2,3,3-F ₄ -c-Bu | A2 | - | |
| 5-2 | CHFMe | " | A2 | - | |
| 5-3 | CF(CF ₃) ₂ | " | A2 | - | |
| 5-4 | CClMe ₂ | " | A2 | - | |
| 5-5 | i-Pr | " | A2 | - | |

5 Table 6

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|-------------------------------------------------------------|----------------|---------------------|---------------|
| 6-1 | CFMe ₂ | 3-OH-c-Bu | A2 | - | |
| 6-2 | i-Pr | " | A2 | - | |
| 6-3 | CFMe ₂ | " | A2 | 3-Me | |
| 6-4 | CF ₃ | " | A2 | 3-Me | |
| 6-5 | Et | " | A2 | 3,5-Me ₂ | |
| 6-6 | Et | " | A2 | 3,5-Me ₂ | |
| 6-7 | CFMe ₂ | 3-Ac-c-Bu | A2 | - | |
| 6-8 | CFMe ₂ | 3-OCH ₃ - C ₆ H ₄ -c-Bu | A2 | - | |
| 6-9 | Me | 3,3-F ₂ -c-Bu | A2 | - | |
| 6-10 | Pr | " | A2 | - | |
| 6-11 | CFMe ₂ | " | A2 | - | |
| 6-12 | Et | " | A2 | - | |
| 6-13 | CF ₃ | " | A2 | - | |
| 6-14 | CH ₂ F | 3-Me-c-Bu | A2 | - | |
| 6-15 | CF ₃ | 3-Me-c-Bu | A2 | - | |

Table 7

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-----|--------------------|-----------------------------------------------------------------------------------|----------------|------------------|---------------|
| 7-1 | CFMe ₂ |  | A2 | - | |
| 7-2 | i-Pr |  | A2 | - | |
| 7-3 | CF ₃ |  | A2 | - | |
| 7-4 | CH ₂ F |  | A2 | - | |
| 7-5 | CClMe ₂ |  | A2 | - | |

5 Table 8

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-----|-------------------|---------------------------------|----------------|------------------|---------------|
| 8-1 | i-Pr | c-Pentyl | A2 | - | oil |
| 8-2 | CFMe ₂ | c-Pentyl | A2 | - | oil |

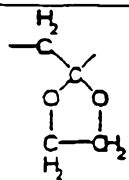
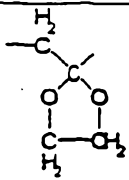
Table 9

10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-----------------------|---------------------------------|----------------|-------------------|---------------|
| 9-1 | CH ₂ -i-Pr | c-Pr | A2 | - | oil |
| 9-2 | Et | c-Pr | A2 | - | oil |
| 9-3 | Me | c-Pr | A2 | - | oil |
| 9-4 | CMe ₂ C N | c-Pr | A2 | - | oil |
| 9-5 | CFMe ₂ | c-Pr | A2 | 3-F | oil |
| 9-6 | CFMe ₂ | c-Pr | A2 | 3-CF ₃ | oil |
| 9-7 | i-Pr | c-Pr | A2 | 3-Cl | oil |
| 9-8 | CFMe ₂ | c-Pr | A2 | 3-Cl | oil |
| 9-9 | i-Pr | c-Pr | A2 | - | " |
| 9-10 | CFMe ₂ | c-Pr | A2 | - | " |
| 9-11 | i-Pr | c-Pr | A2 | 3-CF ₃ | " |
| 9-12 | i-Pr | c-Pr | A2 | 3-Me | " |
| 9-13 | i-Pr | c-Pr | A2 | 3-OMe | " |

| | | | | | |
|------|-----------------------------------|------|-----------------------|---------------------|---|
| 9-14 | CFMe ₂ | c-Pr | A2 | 3-OMe | " |
| 9-15 | CH ₂ -i-Pr | c-Pr | A2 | 3-Me | " |
| 9-16 | CFMe ₂ | c-Pr | A2 | 3-Me | " |
| 9-17 | CFMe ₂ | c-Pr | A2 | 3,5-Me ₂ | " |
| 9-18 | i-Pr | c-Pr | A2 | 3,5-Me ₂ | " |
| 9-19 | C ₆ H ₅ | c-Pr | A2 | - | " |
| 9-20 | CFMe ₂ | c-Pr | -CH ₂ -CO- | - | " |
| 9-21 | i-Pr | c-Pr | -CH ₂ -CO- | - | " |
| 9-22 | CF(CF ₃) ₂ | c-Pr | -CH ₂ -CO- | - | " |

Table 10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|----------------------------------|---------------------------------|-------------------------------------------------------------------------------------|---------------------|---------------|
| 10-1 | CFMe ₂ | 2,2-Me ₂ -c-Pr | A2 | - | oil |
| 10-2 | i-Pr | " | A2 | - | |
| 10-3 | CFMe ₂ | " | A2 | 3-Cl | |
| 10-4 | C(F)(OMe)-CF ₃ | " | A2 | 3-Cl | |
| 10-5 | CH ₃ | " | A2 | 2,3-Cl ₂ | |
| 10-6 | CFMe ₂ | " | A2 | 3,5-F ₂ | |
| 10-7 | CFMe ₂ | " | A2 | 3-F | |
| 10-8 | i-Pr | " | A2 | 3-F | |
| 10-9 | CFMe ₂ | " | A2 | 3-OMe | |
| 10-10 | CF ₃ | " | A2 | 3-OMe | |
| 10-11 | CFMe ₂ | " | A2 | 3-Me | |
| 10-12 | CH ₂ CHF ₂ | " | A2 | 3-Me | |
| 10-13 | CFMe ₂ | " |  | - | |
| 10-14 | CF ₃ | " |  | - | |

| | | | | | |
|-------|--------------------|---|----------------------------|---|--|
| 10-15 | CHF ₂ | " | CH ₂ - CHMe- | - | |
| 10-16 | CClMe ₂ | " | CH ₂ - CHMe- | - | |

Table 11

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|-----------------------------------|---------------------------------|-------------------------|--------------------|---------------|
| 11-1 | CFMe ₂ | 2,2-F ₂ -c-Pr | A2 | - | |
| 11-2 | CH ₃ | " | A2 | - | |
| 11-3 | CFMe ₂ | " | A2 | 3-Cl | |
| 11-4 | i-Pr | " | A2 | 3-Cl | |
| 11-5 | CFMe ₂ | " | A2 | 3-F | |
| 11-6 | CF(CF ₃) ₂ | " | A2 | 3-F | |
| 11-7 | CFMe ₂ | " | A2 | 3-OMe | |
| 11-8 | CH ₂ -i-Pr | " | A2 | 3-OMe | |
| 11-9 | CFMe ₂ | " | A2 | 3-CF ₃ | |
| 11-10 | CFMe ₂ | " | A2 | 3-CCl ₃ | |
| 11-11 | CFMe ₂ | " | -CH ₂ CHOH- | - | |
| 11-12 | C(OMe)Me ₂ | " | -CH ₂ CHOH- | - | |
| 11-13 | CClMe ₂ | " | -CH ₂ CHOAc- | - | |
| 11-14 | Me | " | -CH ₂ CHOAc- | - | |

5

Table 12

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|----------------------------------|---------------------------------|----------------|------------------|---------------|
| 12-1 | CFMe ₂ | 2,2-Br ₂ -c-Pr | A2 | - | |
| 12-2 | CF ₂ CHF ₂ | 2,2-Br ₂ -c-Pr | A2 | - | |

Table 13

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|------------------------------------------------|---------------------------------|----------------|------------------|---------------|
| 13-1 | Me | c-Hexyl | A2 | - | |
| 13-2 | CH ₂ F | " | A2 | - | |
| 13-3 | CF ₃ | " | A2 | 3-OH | |
| 13-4 | CCl ₃ | " | A2 | 3-OEt | |
| 13-5 | CHFMe | " | A2 | 3-OPh | |
| 13-6 | c-Pr | " | A2 | - | |
| 13-7 | CH ₂ -C ₆ H ₅ | " | A2 | - | |

5 Table 14

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|-------------------|---------------------------------|----------------|------------------|---------------|
| 14-1 | Me | Ox | A2 | - | |
| 14-2 | Et | Ox | A2 | - | |
| 14-3 | Pr | Ox | A2 | - | |
| 14-4 | i-Pr | Ox | A2 | - | |
| 14-5 | CFMe ₂ | Ox | A2 | - | |
| 14-6 | CF ₃ | Ox | A2 | 3-Cl | |
| 14-7 | CFMe ₂ | Ox | A2 | 3-Cl | |
| 14-8 | i-Pr | Ox | A2 | 3-Cl | |
| 14-9 | CFMe ₂ | Ox | A2 | 3-OMe | |
| 14-10 | i-Pr | Ox | A2 | 3-OMe | |
| 14-11 | CFMe ₂ | Ox | A2 | 3-F | |
| 14-12 | i-Pr | Ox | A2 | 3-F | |

Table 15

10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|----------------|---------------------------------|----------------|------------------|---------------|
| 15-1 | CFMe | 1-Me-Ox | A2 | - | |
| 15-2 | i-Pr | 1-Me-Ox | A2 | - | |
| 15-3 | Me | 1-Me-Ox | A2 | - | |

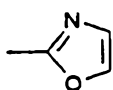
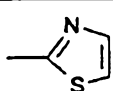
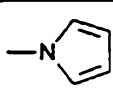
| | | | | | |
|------|------|---------|----|-------------------|--|
| 15-4 | c-Pr | 1-Me-Ox | A2 | - | |
| 15-5 | Ox | 1-Me-Ox | A2 | 2-NO ₂ | |

Table 16

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-----------------------------------------------------|---------------------------------|----------------|------------------|---------------|
| 16-1 | n-Pr | 1,2-Me ₂ -Ox | A2 | 3-OH | |
| 16-2 | 3,5-Cl ₂ -C ₆ H ₃ | 1,2-Me ₂ -Ox | A2 | 4-OH | |
| 16-3 | c-Pr | 2-Me-Ox | A2 | 5-OEt | |
| 16-4 | CH ₂ -4-Cl-C ₆ H ₄ | 2-Me-Ox | A2 | 5-SMe | |

5

Table 17

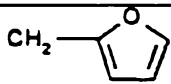

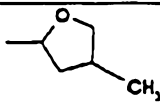
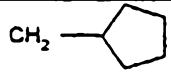
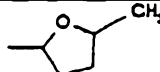
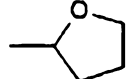
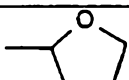
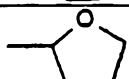
| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|----------------------------------|-------------------------------------------------------------------------------------|----------------|------------------|---------------|
| 17-1 | CFMe ₂ |  | A2 | - | |
| 17-2 | CF ₂ CHF ₂ |  | A2 | - | |
| 17-3 | CH ₂ Ph |  | A2 | - | |

10

Table 18

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 18-1 | CFMe ₂ | 3-Furyl | A2 | - | oil |
| 18-2 | i-Pr | 3-Furyl | A2 | - | oil |
| 18-3 | CFMe ₂ | C ₆ H ₅ | A2 | - | oil |
| 18-4 | i-Pr | C ₆ H ₅ | A2 | - | oil |

Table 19

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-----------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------|----------------|------------------|---------------|
| 19-1 | CH ₂ -  |  | A2 | 2-OH | |
| 19-2 | CH ₂ -c-Pr |  | " | - | |
| 19-3 | CH ₂ -  |  | " | - | |
| 19-4 | C(H)(CH ₃)- C ₂ H ₅ |  | " | - | |
| 19-5 | CFMe ₂ |  | " | - | oil |
| 19-6 | i-Pr |  | " | - | oil |

5 Table 20


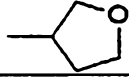
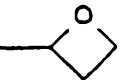
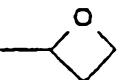
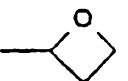
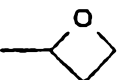
| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|-------------------------------------------------------------------------------------|----------------|------------------|---------------|
| 20-1 | CFMe ₂ |  | A2 | - | oil |
| 20-2 | i-Pr |  | A2 | - | oil |

Table 21

10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|-------------------------------------------------------------------------------------|----------------|------------------|---------------|
| 21-1 | Me |  | A2 | - | |
| 21-2 | CF ₃ |  | A2 | - | |
| 21-3 | CHFMe |  | A2 | - | |
| 21-4 | CFMe ₂ |  | A2 | - | |

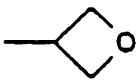
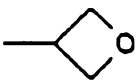
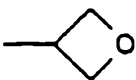



| | | | | | |
|------|---------------------------------|-----------------------------------------------------------------------------------|----|---|--|
| 21-5 | CClMe ₂ |  | A2 | - | |
| 21-6 | CFMe ₂ |  | A2 | - | |
| 21-7 | CF ₂ Cl ₃ |  | A2 | - | |

Table 22

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|----------------------------------|---------------------------------|----------------|---------------------|---------------|
| 22-1 | CHClMe | c-Pr | A3 | - | |
| 22-2 | CHClMe | c-Pr | " | - | |
| 22-3 | CHFMe | c-Pr | " | - | oil |
| 22-4 | CF ₂ CF ₃ | c-Pr | " | - | |
| 22-5 | CF ₂ CHF ₂ | c-Pr | " | 3-NO ₂ | |
| 22-6 | CF ₃ | c-Pr | " | 2,4-Cl ₂ | oil |
| 22-7 | CCl ₃ | c-Pr | " | - | |
| 22-8 | Me | c-Pr | " | - | oil |
| 22-9 | Et | c-Pr | " | - | oil |
| 22-10 | Pr | c-Pr | " | - | |
| 22-11 | i-Pr | c-Pr | " | - | oil |
| 22-12 | CFMe ₂ | c-Pr | " | - | oil |
| 22-13 | C ₆ H ₅ | c-Pr | " | - | |
| 22-14 | CFMe ₂ | c-Pr | " | 2-Cl | |
| 22-15 | i-Pr | c-Pr | " | 2-Cl | |
| 22-16 | CFMe ₂ | c-Pr | " | 2,4-Cl ₂ | |
| 22-17 | i-Pr | c-Pr | " | 2,4-Cl ₂ | |
| 22-18 | CFMe ₂ | c-Pr | " | 3-Cl | oil |
| 22-19 | i-Pr | c-Pr | " | 3-Cl | |
| 22-20 | i-Pr | c-Pr | " | 3,5-Cl ₂ | |
| 22-21 | CFMe ₂ | c-Pr | " | 3,5-Cl ₂ | |
| 22-23 | CFMe ₂ | c-Pr | " | 2-F | |
| 22-24 | CFMe ₂ | c-Pr | " | 3-F | oil |

| | | | | | |
|-------|-------------------|------|---|---------------------|-----|
| 22-25 | i-Pr | c-Pr | " | 3-F | oil |
| 22-26 | i-Pr | c-Pr | " | 3-Me | oil |
| 22-27 | CFMe ₂ | c-Pr | " | 3-Me | oil |
| 22-28 | CFMe ₂ | c-Pr | " | 3,5-Me ₂ | oil |
| 22-29 | i-Pr | c-Pr | " | 3-OMe | oil |
| 22-30 | CFMe ₂ | c-Pr | " | 3-OMe | oil |
| 22-31 | CF ₃ | c-Pr | " | - | oil |

Table 23

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|--------------------|------------------------------------------------------------------------------------|----------------|------------------|---------------|
| 23-1 | CFMe ₂ |  | A3 | - | |
| 23-2 | CClMe ₂ |  | A3 | - | |
| 23-3 | CHFMe |  | A3 | - | |

5

Table 24

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|-------------------|---------------------------------|----------------|---------------------|---------------|
| 24-1 | CFMe ₂ | 2,2-F ₂ -c-Pr | A3 | - | |
| 24-2 | i-Pr | " | " | - | |
| 24-3 | CFMe ₂ | " | " | 3-Cl | |
| 24-4 | CFMe ₂ | " | " | 3,5-Cl ₂ | |
| 24-5 | CFMe ₂ | " | " | 3-Me | |
| 24-6 | CFMe ₂ | " | " | 3-Br | |
| 24-7 | CFMe ₂ | " | " | 3-F | |
| 24-8 | CFMe ₂ | " | " | 3,5-F ₂ | |
| 24-9 | CFMe ₂ | " | " | 3-OMe | |
| 24-10 | CFMe ₂ | " | " | 3-OH | |

Table 25

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 25-1 | CFMe ₂ | 2,2-Cl ₂ -c-Pr | A3 | - | |
| 25-2 | CFMe ₂ | 2,2-Cl ₂ -c-Pr | A3 | 3-Cl | |

5 Table 26

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 26-1 | CFMe ₂ | 2,2-Me ₂ -c-Pr | A3 | - | |
| 26-2 | CHMe ₂ | " | A3 | - | |
| 26-3 | CFMe ₂ | " | A3 | 3-F | |
| 26-4 | CFMe ₂ | " | A3 | 3-Me | |
| 26-5 | CFMe ₂ | " | A3 | 3-OMe | |
| 26-6 | CFMe ₂ | " | A3 | 3-Cl | |

Table 27

10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|--------------------------------------------------|---------------------------------|----------------|------------------|---------------|
| 27-1 | Me | 2,2,3,3-F ₄ -c-Bu | A3 | - | |
| 27-2 | (CH ₂) ₄ -CH ₃ | " | A3 | - | |
| 27-3 | CFMe ₂ | " | A3 | - | |

Table 28

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-----------------------|---------------------------------|----------------|------------------|---------------|
| 28-1 | Me | c-Bu | A3 | - | |
| 28-2 | Et | c-Bu | " | - | |
| 28-3 | Pr | c-Bu | " | - | |
| 28-4 | i-Pr | c-Bu | " | - | oil |
| 28-5 | i-Bu | c-Bu | " | - | oil |
| 28-6 | CH ₂ -i-Pr | c-Bu | " | - | |
| 28-7 | CF ₃ | c-Bu | " | - | |

| | | | | | |
|-------|----------------------------------|------|---------------------------------------|-------------------|-----|
| 28-8 | CH ₂ F | c-Bu | " | - | |
| 28-9 | CF ₂ CHF ₂ | c-Bu | " | - | |
| 28-10 | CFMe ₂ | c-Bu | " | - | oil |
| 28-11 | i-Pr | c-Bu | " | 4-NO ₂ | |
| 28-12 | CFMe ₂ | c-Bu | " | 2-CF ₃ | |
| 28-13 | i-Pr | c-Bu | " | 3-Cl | oil |
| 28-14 | CFMe ₂ | c-Bu | " | 3-Cl | oil |
| 28-15 | i-Pr | c-Bu | " | 3-CF ₃ | |
| 28-16 | CFMe ₂ | c-Bu | " | 3-CF ₃ | |
| 28-17 | i-Pr | c-Bu | " | 3-Me | oil |
| 28-18 | CFMe ₂ | c-Bu | " | 3-Me | oil |
| 28-18 | i-Pr | c-Bu | " | 3-F | |
| 28-19 | CFMe ₂ | c-Bu | " | 3-F | |
| 28-20 | i-Pr | c-Bu | " | 3-OMe | oil |
| 28-21 | CFMe ₂ | c-Bu | " | 3-OMe | oil |
| 28-22 | CFMe ₂ | c-Bu | -CH ₂ CHNMe ₂ - | - | |
| 28-23 | CFMe ₂ | c-Bu | -CH ₂ CHNMe ₂ - | - | |

Table 29

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|-------------------|---------------------------------|----------------|---------------------|---------------|
| 29-1 | CFMe ₂ | Ox | A3 | - | |
| 29-2 | i-Pr | Ox | A3 | - | |
| 29-3 | CFMe ₂ | Ox | A3 | 3-Cl | |
| 29-4 | c-Pr | Ox | A3 | 3-Cl | |
| 29-5 | CFMe ₂ | Ox | A3 | 3,5-Cl ₂ | |
| 29-6 | CFMe ₂ | Ox | A3 | 3-F | |
| 29-7 | CFMe ₂ | Ox | A3 | 3-Me | |
| 29-8 | CFMe ₂ | Ox | A3 | 3-OMe | |
| 29-9 | CFMe ₂ | Ox | A3 | 3-F | |
| 29-10 | CFMe ₂ | Ox | A3 | 3,5-F ₂ | |

Table 30

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 30-1 | i-Pr | c-Pr | A4 | - | oil |
| 30-2 | CFMe ₂ | c-Pr | A4 | - | oil |
| 30-3 | CFMe ₂ | 2,2-Cl ₂ -c-Pr | A4 | - | |
| 30-4 | CF ₃ | 2,2-F ₂ -c-Pr | A4 | - | |

5 Table 31

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 31-1 | CFMe ₂ | c-Bu | A4 | - | oil |
| 31-2 | CF ₃ | c-Bu | A4 | - | |
| 31-3 | i-Pr | c-Bu | A4 | - | oil |

Table 32

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|-------------------|---------------------------------|--------------------------|------------------|---------------|
| 32-1 | i-Pr | CH ₂ -c-Pr | A2 | - | oil |
| 32-2 | CFMe ₂ | CH ₂ -c-Pr | " | - | oil |
| 32-3 | i-Pr | CH ₂ -c-Pr | " | 3-Br | |
| 32-4 | CFMe ₂ | CH ₂ -c-Pr | " | 3-Br | |
| 32-5 | i-Pr | CH ₂ -c-Pr | " | 3-Cl | oil |
| 32-6 | CFMe ₂ | CH ₂ -c-Pr | " | 3-Cl | oil |
| 32-7 | i-Pr | CH ₂ -c-Pr | " | 3-F | |
| 32-8 | CFMe ₂ | CH ₂ -c-Pr | " | 3-F | |
| 32-9 | i-Pr | CH ₂ -c-Pr | " | 3-Me | oil |
| 32-10 | CFMe ₂ | CH ₂ -c-Pr | " | 3-Me | oil |
| 32-11 | i-Pr | CH ₂ -c-Pr | " | 3-OMe | oil |
| 32-12 | CFMe ₂ | CH ₂ -c-Pr | " | 3-OMe | oil |
| 32-13 | CFMe ₂ | CH ₂ -c-Pr | -CH ₂ -CHOMe- | - | |
| 32-14 | CF ₃ | CH ₂ -c-Pr | -CH ₂ -CHOEt- | - | |
| 32-15 | CH ₂ F | CH ₂ -c-Pr | -CH ₂ -CHOAc- | - | |
| 32-16 | CHF ₂ | CH ₂ -c-Pr | -CH ₂ -CHOMe- | - | |

| | | | | | |
|-------|--------------------|-----------------------|----------------------------------|---------------------|--|
| 32-17 | CHF ₂ | CH ₂ -c-Pr | -CH ₂ - CH(OCOEt)- | - | |
| 32-18 | CFMe ₂ | CH ₂ -c-Pr | -CH ₂ -CHSMe- | 2-Cl | |
| 32-19 | CClMe ₂ | CH ₂ -c-Pr | -CH ₂ -CHSEt- | 2,5-Cl ₂ | |

Table 33

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|--------------------------------------------------------|-------------------------------------------------|----------------|------------------|---------------|
| 33-1 | 3,5-Cl ₂ - C ₆ H ₃ | CH ₂ -(2,2-F ₂ -c- Pr) | A2 | - | |
| 33-2 | CFMe ₂ | " | " | - | oil |
| 33-3 | i-Pr | " | " | - | oil |
| 33-4 | Et | " | " | - | |
| 33-5 | CFMe ₂ | " | " | 3-Cl | |
| 33-6 | i-Pr | " | " | 3-Cl | |
| 33-7 | CFMe ₂ | " | " | 3-OMe | |
| 33-8 | CFMe ₂ | " | " | 3-Me | |
| 33-9 | CFMe ₂ | " | " | 3-F | |
| 33-10 | CFMe ₂ | " | " | 3-I | |
| 33-12 | CFMe ₂ | " | " | 3-Br | |
| 33-13 | CFMe ₂ | " | " | 3-Cl, 5-F | |

5

Table 34

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-----------------------------------|--------------------------------------------------|----------------|------------------|---------------|
| 34-1 | CFMe ₂ | CH ₂ -(2,2-Cl ₂ -c- Pr) | A2 | | |
| 34-2 | i-Pr | " | " | | |
| 34-3 | CFMe ₂ | " | " | 3-F | |
| 34-4 | CF(CF ₃) ₂ | " | " | 3-F | |
| 34-5 | CFMe ₂ | " | " | 3-Cl | |
| 34-6 | CClMe ₂ | " | " | 3-Cl | |
| 34-7 | CFMe ₂ | " | " | 3-Me | |
| 34-8 | Me | " | " | 3-Me | |

Table 35

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|--------------------|---------------------------------|----------------|------------------|---------------|
| 35-1 | CFMe ₂ | CH ₂ -c-Bu | A2 | - | |
| 35-2 | i-Pr | CH ₂ -c-Bu | " | - | |
| 35-3 | CH ₃ | CH ₂ -c-Bu | " | - | |
| 35-4 | CF ₃ | CH ₂ -c-Bu | " | - | |
| 35-5 | CClMe ₂ | CH ₂ -c-Bu | " | - | |
| 35-6 | CHFMe | CH ₂ -c-Bu | " | - | |

5 Table 36

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|----------------------------------|---------------------------------|----------------|------------------|---------------|
| 36-1 | CF ₂ CF ₃ | -CHOH-c-Pr | A2 | - | |
| 36-2 | CF ₂ CHF ₂ | -CHOH-c-Pr | " | - | |
| 36-3 | CFCl ₂ | -CHOH-c-Pr | " | - | |
| 36-4 | CFMe ₂ | -CHOH-c-Pr | " | - | |
| 36-5 | CFMe ₂ | -CHOH-c-Pr | " | 3-Cl | |
| 36-7 | i-Pr | -CHOH-c-Bu | " | - | |
| 36-8 | CFMe ₂ | -CHOH-c-Bu | " | - | |
| 36-9 | Me | -CHOMe-c-Pr | " | - | |
| 36-10 | CF ₃ | -CHOMe-c-Bu | " | - | |

Table 37

10

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 37-1 | CF ₃ | CH ₂ -Ox | A2 | - | |
| 37-2 | CFMe ₂ | " | " | - | |
| 37-3 | i-Pr | " | " | - | |

Table 38

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|------------------|---------------|
| 38-1 | CH ₂ F | | A2 | - | |
| 38-2 | CHF ₂ | | A2 | - | |
| 38-3 | CClF ₂ | | A2 | - | |
| 38-4 | CFMe ₂ | | A2 | - | |
| 38-5 | i-Pr | | A2 | - | |
| 38-6 | CFMe ₂ | | A2 | -- | |
| 38-7 | CFMe ₂ | | A2 | - | |
| 38-8 | i-Pr | | A2 | - | |


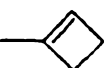
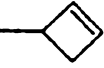
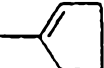
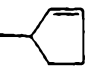

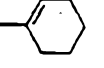

5 Table 39

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|---------------------------|---------------------------------|----------------|--------------------|---------------|
| 39-1 | C(F)(OMe)-CF ₃ | | A2 | 4-CN | |
| 39-2 | CF(OEt)CF ₃ | | A2 | 3-OCH ₃ | |
| 39-3 | CFMe ₂ | | A2 | 3-Cl | |
| 39-4 | CFMe ₂ | | A2 | - | |
| 39-5 | Et | | A2 | - | |

Table 40

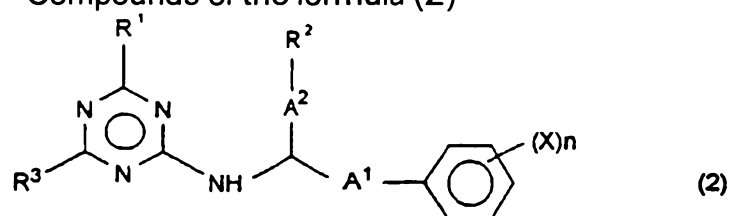
| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|------|-------------------|---------------------------------|----------------|-------------------|---------------|
| 40-1 | CFMe ₂ | CH ₂ -Ox | A3 | 3-Cl | |
| 40-2 | CFMe ₂ | CH ₂ -Ox | A3 | 3-Me | |
| 40-3 | CFMe ₂ | CH ₂ -Ox | A3 | 3-CF ₃ | |
| 40-4 | CFMe ₂ | CH ₂ -Ox | A3 | 3-F | |

5 Table 41

| No. | R ¹ | -A ² -R ² | A ¹ | (X) _n | Physical data |
|-------|--------------------|-------------------------------------------------------------------------------------------------------------------------|----------------|---------------------|---------------|
| 41-1 | CHMeEt | CH ₂ -CH ₂ -Ox | A2 | 3,5-F ₂ | |
| 41-2 | CFMe ₂ | CH ₂ -CH ₂ -Ox | A2 | - | |
| 41-3 | CFMe ₂ | (CH ₂) ₂ -c-Pr | A2 | - | |
| 41-4 | c-Pr | (CH ₂) ₂ -c-Pr | A2 | 2,4-Br ₂ | |
| 41-5 | CH ₃ | CH ₂ CHOH-c-Bu | A2 | - | |
| 41-6 | CH ₂ Cl | CH ₂ CHOH-c-Bu | A2 | - | |
| 41-7 | CH ₂ F | CH ₂ CHOHCH ₂  | A2 | - | |
| 41-8 | CFMe ₂ | CH ₂ CH ₂ -c-Bu | A2 | - | |
| 41-9 | CFMe ₂ |  | A2 | - | |
| 41-10 | CFMe ₂ |  | A2 | - | |
| 41-11 | CFMe ₂ |  | A2 | - | |
| 41-12 | CFMe ₂ |  | A2 | - | |
| 41-13 | CFMe ₂ |  | A2 | - | |
| 41-14 | CFMe ₂ |  | A2 | - | |
| 41-15 | CFMe ₂ |  | A2 | - | |

| | | | | | |
|-------|-------------------|--|----|---|--|
| 41-16 | CFMe ₂ | | A2 | - | |
| 41-17 | CFMe ₂ | | A2 | - | |
| 41-18 | CFMe ₂ | | A2 | - | |

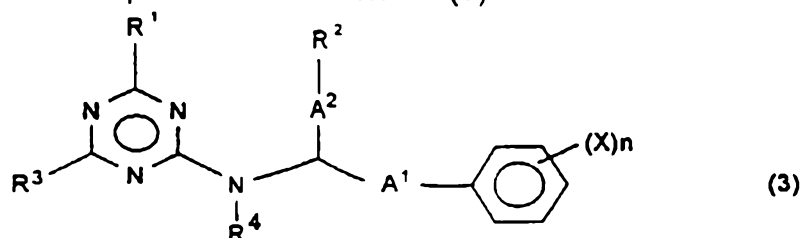
Table 42: Compounds of the formula (2)



5

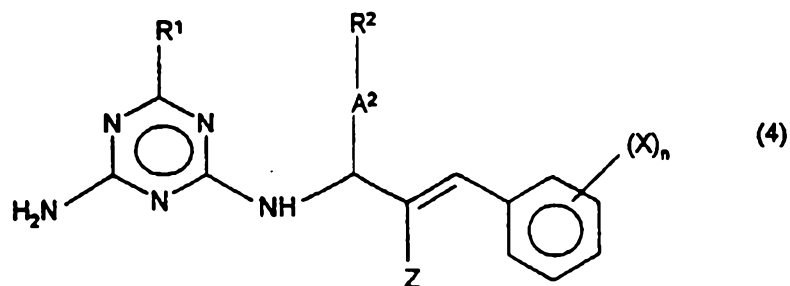
| No. | R ¹ | -A ² -R ² | R ³ | A ¹ | (X) _n | Physical data |
|-------|-----------------------|---------------------------------|------------------|----------------|---------------------|---------------|
| 42-1 | Me | Ox | Me | A2 | - | |
| 42-2 | CFMe ₂ | c-Pr | Et | A2 | 2,4-Cl ₂ | |
| 42-3 | CFMe ₂ | c-Pr | i-Pr | A2 | - | |
| 42-4 | i-Pr | c-Bu | NH-Me | A2 | - | |
| 42-5 | i-Pr | c-Bu | NH-Et | A2 | - | |
| 42-6 | Me | CH ₂ -c-Bu | NMe ₂ | A3 | 4-Cn | |
| 42-7 | Et | CH ₂ -c-Bu | NEt ₂ | A3 | 4-Et | |
| 42-8 | Me | c-Bu | H | A3 | 4-i-Pr | |
| 42-9 | Et | c-Bu | H | A3 | 4-c-Pr | |
| 42-10 | CFMe ₂ | CH ₂ -c-Pr | NHAc | A2 | - | |
| 42-11 | CClMe ₂ | CH ₂ -c-Pr | NHCOEt | A2 | - | |
| 42-12 | CH ₂ -c-Pr | CH ₂ -c-Bu | NHCOP h | A2 | - | |

Table 43: Compounds of the formula (3)



| No. | R ¹ | -A ² -R ² | R ³ | R ⁴ | A ¹ | (X) _n | Physical data |
|------|-----------------------|---------------------------------|-----------------|----------------|----------------|------------------|---------------|
| 43-1 | CH(OMe)Me | c-Pentyl | NH ₂ | NHAc | A2 | - | |
| 43-2 | CH(OEt)Me | c-Pentyl | NH ₂ | NHCHO | A2 | - | |
| 43-3 | CMe ₂ CN | c-Bu | NH ₂ | NHCOEt | A2 | - | |
| 43-4 | CMe ₂ -SMe | c-Bu | NH ₂ | Et | A2 | - | |
| 43-5 | CFMe ₂ | c-Bu | NH ₂ | Me | A2 | - | |
| 43-6 | CHFMe | c-Pr | NH ₂ | n-Pr | A3 | - | |
| 43-7 | CHCIME | c-Pr | NH ₂ | n-Bu | A3 | - | |

Table 44: Compounds of the formula (4)



5

| No. | R ¹ | A ² -R ² | X _n | Z | Physical data |
|-------|--------------------|--------------------------------|-------------------|----|---------------|
| 44-1 | CFMe ₂ | c-Pr | - | H | oil |
| 44-2 | i-Pr | c-Pr | - | H | oil |
| 44-3 | Me | c-Pr | - | H | |
| 44-4 | CFMe ₂ | c-Pr | 3-Cl | H | |
| 44-5 | i-Pr | c-Pr | 3-Cl | H | |
| 44-6 | CFMe ₂ | c-Pr | 3-CH ₃ | H | |
| 44-7 | CFMe ₂ | c-Pr | 3-CH ₃ | H | |
| 44-8 | CHFMe | c-Pr | - | Br | |
| 44-9 | CFMe ₂ | c-Pr | - | Br | |
| 44-10 | i-Pr | c-Pr | - | Br | |
| 44-11 | CFMe ₂ | c-Pr | - | Cl | |
| 44-12 | i-Pr | c-Pr | - | Cl | |
| 44-13 | CHFCH ₃ | c-Pr | - | Cl | |
| 44-14 | CFMe ₂ | c-Bu | - | H | |

| | | | | | |
|-------|--------------------|------|---|----|--|
| 44-15 | i-Pr | c-Bu | - | H | |
| 44-16 | CFMe ₂ | i-Bu | - | Cl | |
| 44-17 | CFMe ₂ | c-Bu | - | Br | |
| 44-18 | CHFCH ₃ | t-Bu | - | Cl | |
| 44-19 | CHFCH ₃ | t-Bu | - | Br | |
| 44-20 | CFMe ₂ | c-Pr | - | Me | |
| 44-21 | CH ₃ | c-Pr | - | Me | |
| 44-22 | CFMe ₂ | c-Bu | - | Me | |

NMR data for individual examples:

Example 4-2:

- 5 ¹H NMR (DMSO-d₆): δ = 1.5 (s, 3H), 1.6 (s, 3H), 1.5 - 2.0 (m), 2.4 - 2.6 (m), 4.0 (m, 1H), 7.2 (m, 5H)

Example 4-28:

- 10 ¹H NMR (CDCl₃): 1.6 (s, 3H), 1.7 (s, 3H), 1.5 - 1.9 (m), 2.4 (m, 2H), 2.6 - 2.7 (m, 2H), 4.1 (m, 1H), 4.1 (m, 1H), 6.8 - 7.0 (m, 3H), 7.2 (m, 1H)

Example 18-1:

- 15 ¹H NMR (DMSO-d₆): δ = 1.5 (s, 3H), 1.6 (s, 3H), 1.7 - 2.1 (m, 2H), 2.5 - 2.6 (m, 2H), 5.0 (m, 1H), 7.2 - 7.7 (m, 8H)

Example 20-1:

- 20 ¹H NMR (CDCl₃): δ = 1.5 (s, 3H), 1.6 (s, 3H), 1.6 - 2.4 (m, 5H), 2.5 - 2.8 (m, 2H), 3.6 - 3.9 (m, 4H), 4.2 m (1H), 7.2 m (5H)

Example 22-3:

- ¹H NMR (DMSO-d₆): δ = 0.1 (m, 1H), 0.3 (m, 2H), 0.4 (m, 1H), 0.9 (m, 1H), 1.5 (s, 3H), 1.6 (s, 3H), 3.5 m (1H), 7.1 - 7.3 (m, 5H)

Example 22-25:

- 25 ¹H NMR (CDCl₃): δ = 0.2 - 0.6 (m, 4H), 0.8 (m, 1H), 1.2 (d, 6H), 1.6 - 1.8 (m, 4H), 2.5 - 2.7 (m, 2H), 3.5 m (1H), 6.9 (m, 3H), 7.2 m (1H)

Example 28-10:

- 30 ¹H NMR (DMSO-d₆): δ = 1.5 (s, 3H), 1.6 (s, 3H), 1.5 - 1.9 (m), 2.6 (m), 4.0 (m, 1H), (m, 1H), 7.1 - 7.3 m (5H)

Example 30-2:

^1H NMR (CDCl_3): $\delta = 0.2 - 0.6$ m (4H), 0.8 - 1.0 (m, 3H), 1.4 m (2H), 1.5 (s, 3H), 1.7 (s, 3H), 2.6 (t, 2H), 3.5 (m, 1H), 7.1 - 7.3 (m, 5H)

5

Example 32-12:

^1H NMR (DMSO-d_6): $\delta = 0.1$ (m, 2H), 0.4 (m, 2H), 0.7 m (1H), 1.2 (d, 6H), 1.4 (m, 3H), 1.8 (m, 2H), 2.5 - 2.7 m (2H), 3.7 (m, 3H), 4.0 (m, 1H), 6.7 (m, 3H), 7.2 m (1H)

10

Example 33-3:

^1H NMR (DMSO-d_6): $\delta = 1.1$ (d, 6H), 1.5 - 1.9 (m), 2.5 - 2.7 (m), 4.1 (m, 1H), 7.1 - 7.3 (m, 5H)

Example 44-1:

15

^1H NMR (DMSO): $\delta = 0.2 - 0.6$ (4H), 1.0 (m, 1H), 1.5 (m, 3H), 1.6 (m, 3H), 4.1 (m, 1H), 6.3 (dd, 1H), 6.5 (d, 1H), 7.2 - 7.4 (m, 5H)

B. Formulation examples

20

a) A dust is obtained by mixing 10 parts by weight of a compound of the formula (I) and 90 parts by weight of talc as inert material and grinding the mixture in a hammer mill.

25

b) A wettable powder which is readily dispersible in water is obtained by mixing 25 parts by weight of a compound of the formula (I), 64 parts by weight of kaolin-containing quartz as inert material, 10 parts by weight of potassium lignosulfonate and 1 part by weight of sodium oleoylmethyltaurinate as wetter and dispersant and grinding the mixture in a pinned-disk mill.

30

c) A dispersion concentrate which is readily dispersible in water is obtained by mixing 20 parts by weight of a compound of the formula (I) with 6 parts by weight of alkylphenol polyglycol ether ($\text{\textcircled{R}}\text{Triton X 207}$), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range for example approx. 255 to above 277°C) and grinding the mixture in a ball mill to a fineness of below 5 microns.

35

d) An emulsifiable concentrate is obtained from 15 parts by weight of a

compound of the formula (I), 75 parts by weight of cyclohexanone as solvent and 10 parts by weight of oxethylated nonylphenol as emulsifier.

- 5 e) Water-dispersible granules are obtained by mixing
 75 parts by weight of a compound of the formula (I),
 10 " " of calcium ligno-sulfonate,
 5 " " of sodium laurylsulfate,
 3 " " of polyvinyl alcohol and
 10 7 " " of kaolin,
 grinding the mixture in a pinned disk mill and granulating the powder
 in a fluidized bed by spraying on water as granulation liquid.
- f) Alternatively, water-dispersible granules are obtained by
 15 homogenizing and precomminuting, on a colloid mill,
 25 parts by weight of a compound of the formula (I),
 5 " " of sodium 2,2'-dinaphthylmethane-6,6'-
 disulfonate,
 2 " " of sodium oleoylmethyltaurinate,
 20 1 part by weight of polyvinyl alcohol,
 17 parts by weight of calcium carbonate and
 50 " " of water,
 subsequently grinding the mixture on a bead mill and atomizing and
 drying the resulting suspension in a spray tower by means of a
 25 single-substance nozzle.

C. Biological examples

1. Pre-emergence effect on weeds

30 Seeds or rhizome pieces of monocotyledonous and dicotyledonous weeds
 plants are placed in sandy loam soil in plastic pots and covered with soil.
 The compounds according to the invention which are formulated in the
 form of wettable powders or emulsion concentrates are then applied to the
 35 surface of the soil cover in the form of an aqueous suspension or emulsion
 at an application rate of 600 to 800 l of water/ha (converted), in various
 dosages.

After the treatment, the pots are placed in the greenhouse and kept under

good growth conditions for the weeds. After the test plants have emerged, the damage to the plants or the negative effect on the emergence is scored visually after a test period of 3 to 4 weeks by comparison with untreated controls. As shown by the test results, the compounds according to the invention have a good herbicidal pre-emergence action against a broad range of grass weeds and dicotyledonous weeds. For example, Example Nos. 4-1, 4-2, 4-3, 4-10, 4-11, 4-14, 4-15, 4-23, 4-24, 4-25, 4-26, 4-27, 4-28, 4-29, 8-1, 8-2, 9-1, 9-2, 9-3, 9-4, 9-5, 9-6, 9-7, 9-8, 9-9, 9-10, 9-11, 9-12, 9-13, 9-14, 9-15, 9-16, 9-17, 9-18, 9-19, 10-1, 18-1, 18-2, 18-3, 18-4, 19-5, 19-6, 20-1, 20-2, 22-3, 22-6, 22-8, 22-9, 22-11, 22-12, 22-18, 22-24, 22-25, 22-26, 22-27, 22-28, 22-29, 22-30, 33-31, 28-4, 28-5, 28-10, 28-13, 28-14, 28-17, 28-18, 28-20, 28-21, 30-1, 30-2, 31-1, 31-2, 31-3, 32-1, 32-2, 32-5, 32-6, 32-9, 32-10, 32-11, 32-12, 33-2, 33-4, 44-1 and 44-2 (see Tables 1 to 44) show a very good herbicidal action in the test against harmful plants such as *Stellaria media*, *Lolium multiflorum*, *Amaranthus retroflexus*, *Sinapis alba*, *Avena sativa* and *Setaria viridis* when applied pre-emergence at an application rate of 1 kg or less of active substance per hectare.

2. Post-emergence effect on weeds

Seeds or rhizome pieces of monocotyledonous and dicotyledonous weeds are placed in sandy loam soil in plastic pots, covered with soil and grown in the greenhouse under good growth conditions. Three weeks after sowing, the test plants are treated in the three-leaf stage. The compounds according to the invention which are formulated as wettable powders or as emulsion concentrates are sprayed in various dosages on the green parts of the plants at an application rate of 600 to 800 l of water/ha (converted). After the test plants have remained in the greenhouse for about 3 to 4 weeks under ideal growth conditions, the effect of the preparations is scored visually by comparison with untreated controls. The agents according to the invention also have a good herbicidal post-emergence action against a broad range of economically important grass weeds and dicotyledonous weeds. For example, Example Nos. 4-1, 4-2, 4-3, 4-10, 4-11, 4-14, 4-15, 4-23, 4-24, 4-25, 4-26, 4-27, 4-28, 4-29, 8-1, 8-2, 9-1, 9-2, 9-3, 9-4, 9-5, 9-6, 9-7, 9-8, 9-9, 9-10, 9-11, 9-12, 9-13, 9-14, 9-15, 9-16, 9-17, 9-18, 9-19, 10-1, 18-1, 18-2, 18-3, 18-4, 19-5, 19-6, 20-1, 20-2, 22-3, 22-6, 22-8, 22-9, 22-11, 22-12, 22-18, 22-24, 22-25, 22-26, 22-27, 22-28, 22-29, 22-30, 33-31, 28-4, 28-5, 28-10, 28-13, 28-14, 28-17, 28-18, 28-20,

28-21, 30-1, 30-2, 31-1, 31-2, 31-3, 32-1, 32-2, 32-5, 32-6, 32-9, 32-10, 32-11, 32-12, 33-2, 33-4, 44-1 and 44-2 (see Tables 1 to 43) show, in the test, a very good herbicidal action against harmful plants such as *Sinapis alba*, *Echinochloa crus-galli*, *Lolium multiflorum*, *Stellaria media*, *Cyperus*
5 *iria*, *Amaranthus retroflexus*, *Setaria viridis* and *Avena sativa* when applied post-emergence at an application rate of 1 kg and less of active substance per hectare.

3. Effect on harmful plants in rice

10

Transplanted and seeded rice and typical broad-leaved and monocotyledonous rice weeds are grown in the greenhouse to the three-leaf stage (*Echinochloa crus-galli* 1.5 leaf) under paddy rice conditions (depth of the water: 2 - 3 cm) in sealed plastic pots. They are then treated
15 with the compounds according to the invention. To this end, the formulated active substances are suspended, dissolved or emulsified in water and applied in various dosages by pouring into the water with which the test plants are flooded. After this treatment, the test plants are placed in the greenhouse under ideal growth conditions and kept thus over the entire
20 experimental period.

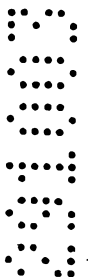
Approximately three weeks after application, the test is evaluated by means of visually scoring the damage to the plants by comparison with untreated controls. The compounds according to the invention have a very good herbicidal action against harmful plants. For example, the compounds of
25 Example Nos. 4-1, 4-2, 4-14, 4-15, 4-23, 4-24, 9-4, 9-5, 9-9, 9-7 and 9-10 (see Tables 1 to 44) show, in the test, a very good herbicidal action against harmful plants which are typical for rice cultivation, such as, for example, *Cyperus monti*, *Echinochloa crus-galli* and *Sagittaria pygmaea*.

30 4 Tolerance by crop plants

In further greenhouse experiments, seeds of a substantial number of crop plants and weeds are placed in sandy loam soil and covered with soil. Some of the pots are treated immediately as described in Section 1, and
35 the remaining pots are placed in a greenhouse until the plants have developed two to three true leaves and then sprayed with various dosages of the substances of the formula (I) according to the invention, as described in Section 2. Visual scoring four to five weeks after the application and after the plants have been in the greenhouse reveal that the compounds

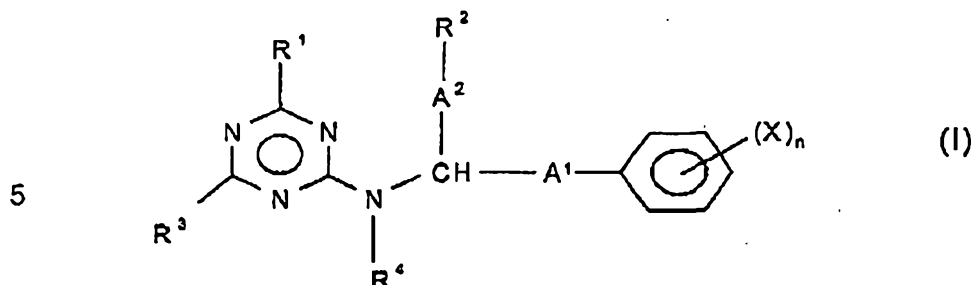
according to the invention do not inflict any damage on dicotyledonous crops such as, for example, soya, cotton, oilseed rape, sugar beet and potatoes when used pre-and post-emergence, even when high dosages of active substance are used. Moreover, some substances also leave Gramineae crops such as, for example, barley, wheat, rye, sorghum, maize or rice unharmed. Some of the compounds of the formula (I) have a high selectivity and are therefore suitable for controlling undesired plant growth in agricultural crops.

Comprises/comprising and grammatical variations thereof when used in this specification are to be taken to specify the presence of stated features, integers, steps or components or groups thereof, but do not preclude the presence or addition of one or more other features, integers, steps, components or groups thereof.



THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. Compounds of the formula (I) or salts thereof,



in which

10

R^1 is phenyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxy, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylamino-carbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl,

or

is (C₃-C₉)cycloalkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxy, amino, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and di(C₁-C₄)alkylamino,

or

15

is heterocyclyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxy, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl,

mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylamino-carbonyl,
(C₁-C₄)alkylsulfonyl und (C₁-C₄)haloalkylsulfonyl,

5 or

is (C₁-C₄)alkyl, (C₂-C₆)alkenyl oder (C₂-C₆)alkinyl,
each of the last-mentioned 3 radicals is unsubstituted or substituted by one or
more radicals selected from the group consisting of halogen, hydroxy, cyano,
nitro, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₂-C₄)alkenyloxy,
(C₂-C₄)haloalkenyloxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl,
(C₁-C₄)haloalkylsulfinyl, (C₁-C₄)haloalkylsulfonyl and
(C₃-C₆)cycloalkyl, which is unsubstituted or substituted by one or more radicals
selected from the group consisting of halogen, hydroxy, amino, cyano,
thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy,
(C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and
di(C₁-C₄)alkylamino, and phenyl and heterocyclyl, each of the last-mentioned
two radicals is unsubstituted or substituted by one or more radicals selected
from the group consisting of halogen, Hydroxy, Amino, Nitro, Formyl, Carboxy,
Sulfonyl, Cyano, Thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy,
(C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio,
mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl,
[(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl,
mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylamino-carbonyl,
(C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl, and radicals of the formulae
R'-C(=Z')-, R'-C(=Z')-Z-, R'-Z-C(=Z')-, R'R''N-C(=Z')-, R'-Z-C(=Z')-O-, R'R''N-
C(=Z')-Z-, R'-Z-C(=Z')-NR'''- and R'R''N-C(=Z')-NR'''-,

In wlich R', R'' and R''' in each case independently of one another are
(C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or
(C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, each of the last-mentioned 5 radicals are
unsubstituted or substituted by one or more radicals selected from the
group consisting of halogen, hydroxy, amino, nitro, formyl, cyano
thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino,
di(C₁-C₄)alkylamino, (C₂-C₄)alkenyl, (C₂-C₄)alkinyl, (C₃-C₆)cycloalkyl and
in case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, and in

which Z and Z' in each case independently of one another are an oxygen or sulfur atom,

- 5 A¹ is straight-chain alkylene of the formula CH₂CH₂ and
 A²-R² is cyclopropyl-methyl, 2-cyclopropyl-ethyl, cyclobutyl, cyclobutyl-methyl or 2-cyclobutyl-ethyl, or
 A¹ is straight-chain alkylene of the formula CH₂CH₂CH₂ and
 A²-R² is cyclopropyl, cyclopropyl-methyl, 2-cyclopropyl-ethyl, cyclobutyl, cyclobutyl-methyl or 2-cyclobutyl-ethyl, and
 R³ is hydrogen, (C₁-C₄)alkyl, which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxy, amino, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino and di(C₁-C₄)alkylamino, or is phenyl or (C₃-C₆)cycloalkyl, each of the last-mentioned 2 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfonyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylamino-carbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl and (C₁-C₄)haloalkylsulfonyl, or
 a radical of the formula N(B¹-D¹)(B²-D²),
 R⁴ is a radical of the formula -B³-D³,
 B¹, B² and B³ independently of one another are a direct bond or a divalent group of the formulae -C(=Z*)-, -C(=Z*)-Z**-, -C(=Z*)-NH- or -C(=Z*)-NR*-, where Z* = O or S, Z** = O or S and R* = (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₉)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl,

aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl;

5

D¹, D² and D³ independently of one another are hydrogen, (C₁-C₈)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₈)alkyl, each of the 5 last-mentioned radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, sulfo, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)haloalkylsulfonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl,

(X)_n is n substituents X, where the X independently of one another are halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, aminocarbonyl or (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, N-(C₁-C₆)alkanoylamino or N-(C₁-C₄)alkanoyl-N-(C₁-C₄)alkylamino,

each of the last-mentioned 13 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, cyano, thiocyanato, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkylamino, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocyclyloxy, heterocyclylthio and heterocyclylamino,

each of the last-mentioned 8 radicals being unsubstituted or having one or more substituents selected from the group consisting of halogen, nitro,

- 5 cyano, (C₁-C₄)alkyl, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkyl, (C₁-C₄)haloalkoxy, formyl, (C₁-C₄)alkylcarbonyl and (C₁-C₄)alkoxycarbonyl,
- or (C₃-C₉)cycloalkyl, phenyl, phenoxy, phenylthio, phenylcarbonyl, heterocyclyl, heterocyclyloxy, heterocyclylthio or heterocyclylamino,

each of the last-mentioned 9 radicals being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, nitro, formyl, carboxyl, cyano, thiocyanato, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, (C₁-C₄)haloalkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₈)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl and di(C₁-C₄)alkylaminocarbonyl,

or two adjacent radicals X together are a fused cycle which has 4 to 6 ring atoms and is carbocyclic or contains hetero ring atoms selected from the group consisting of O, S and N and which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and oxo, and

n is 0, 1, 2 or 3 and

heterocyclyl in the afore-mentioned radicals is in each case independently of one another a heterocyclic radical having 3 to 7 ring atoms and 1 to 3 hetero atoms selected from the group consisting of N, O and S.

2. Compound as claimed in claim 1, wherein
- R¹ is preferably (C₁-C₄)alkyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfonyl, (C₃-C₉)cycloalkyl which is unsubstituted or substituted, and phenyl which is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, amino, mono- and di[(C₁-C₄)alkyl]amino, (C₁-C₄)alkanoylamino, benzoylamino, nitro, cyano, [(C₁-C₄)alkyl]carbonyl, formyl, carbamoyl, mono- and di-[(C₁-C₄)alkyl]aminocarbonyl and (C₁-C₄)alkylsulfonyl and heterocyclyl having 3

5 to 6 ring atoms and 1 to 3 hetero ring atoms selected from the group consisting of nitrogen, oxygen and sulfur, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl and oxo, which, inclusive of substituents, has 2 to 30 carbon atoms.

3. Compound as claimed in claim 1 or 2, wherein

A¹ is straight-chain alkylene of the formula CH₂CH₂ and

A²-R² is cyclopropyl-methyl, cyclobutyl or cyclobutyl-methyl.

4. Compound as claimed in claim 1 or 2, wherein

A¹ is straight-chain alkylene of the formula CH₂CH₂CH₂ and

A²-R² is cyclopropyl, cyclopropyl-methyl, cyclobutyl or cyclobutyl-methyl.

5. Compound as claimed in any one of claims 1 to 4, wherein

B¹, B² and B³ in each case independently of one another are a direct bond or a

divalent group of the formulae

-C(=Z*)-, -C(=Z*)-Z**-, -C(=Z*)-NH- or -C(=Z*)-NR*-, where Z* = O or S, Z** = O or S and R* = (C₁-C₄)alkyl, phenyl, phenyl-(C₁-C₄)alkyl, (C₃-C₆)cycloalkyl or (C₃-C₆)cycloalkyl-(C₁-C₄)alkyl, where each of the 5 last-mentioned radicals is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, hydroxyl, amino, formyl, (C₁-C₄)alkoxy, (C₁-C₄)haloalkoxy, (C₁-C₄)alkylthio, mono(C₁-C₄)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkyl, [(C₁-C₄)alkyl]carbonyl, [(C₁-C₄)alkoxy]carbonyl, aminocarbonyl, mono(C₁-C₄)alkylaminocarbonyl, di(C₁-C₄)alkylaminocarbonyl and in the case of cyclic radicals also (C₁-C₄)alkyl and (C₁-C₄)haloalkyl,

D¹, D² and D³ independently of one another are

(C₁-C₄)alkyl or (C₃-C₆)cycloalkyl or phenyl or phenyl-(C₁-C₄)alkyl, each of the two last-mentioned radicals being unsubstituted in the phenyl moiety or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy or (C₁-C₄)haloalkoxy.

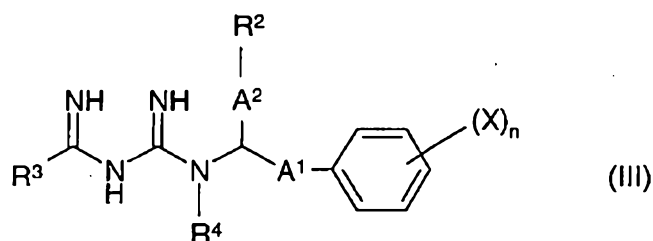
6. Compound as claimed in any one of claims 1 to 5, wherein $(X)_n$ are n substituents X where X in each case independently of one another is halogen, OH, NO_2 , CN, SCN, $(\text{C}_1\text{-C}_6)$ alkyl, $(\text{C}_1\text{-C}_6)$ alkoxy, $(\text{C}_1\text{-C}_4)$ alkylcarbonyl or $(\text{C}_1\text{-C}_4)$ alkyloxycarbonyl, the last-mentioned four radicals being unsubstituted or substituted by halogen or $(\text{C}_1\text{-C}_4)$ alkoxy.

7. A process for the preparation of a compound of the formula (I) or a salt thereof as claimed in any one of claims 1 to 6, which comprises

a) reacting a compound of the formula (II)



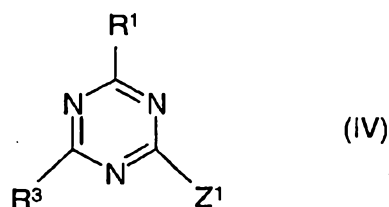
10 where Fu is a functional group selected from the group consisting of carboxylic ester, carboxylic orthoester, carboxylic acid chloride, carboxamide, carboxylic anhydride and trichloromethyl with a biguanidide of the formula (III) or an acid addition salt thereof



15

or

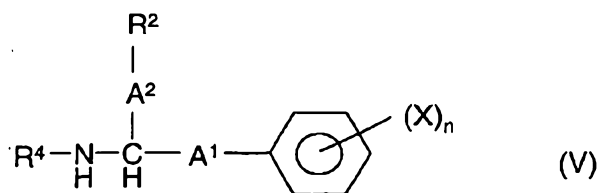
b) reacting a compound of the formula (IV)



20

where Z^1 is an exchangeable radical or leaving group with a suitable amine of the formula (V) or an acid addition salt thereof

80



5 where, in the formulae (II), (III), (IV) and (V), the radicals R^1 , R^2 , R^3 , R^4 , A^1 , A^2 and X and n are as defined in formula (I).

8. A herbicidal or plant-growth-regulating composition, which comprises one or more compounds of the formula (I) or salts thereof as claimed in any one of claims 1 to 6 and formulation auxiliaries conventionally used in crop protection.

9. A method of controlling harmful plants or of regulating the growth of plants,
10 wherein an effective amount of one or more compounds of the formula (I) or salts thereof as claimed in any one of claims 1 to 6 is applied to the plants, the seeds of the plants or the area under cultivation.

10. The use of a compound of the formula (I) or a salt thereof as claimed in any one of claims 1 to 6 as herbicides or plant growth regulators.

15 11. The use as claimed in claim 10, wherein the compounds of the formula (I) or the salts thereof are employed for controlling harmful plants or for regulating the growth in crops of useful plants or ornamentals.

12. The use as claimed in claim 11, wherein the crop plants are transgenic crop plants.

20 13. Compounds of the formula (III) or (V) as defined in claim 7.

14. A compound of the formula I or a salt thereof substantially as hereinbefore described with reference to the examples.

DATED this 29th day of October 2003

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