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Substituted 2,4-diamino-1,3,5-triazines as herbicides

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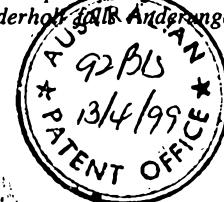
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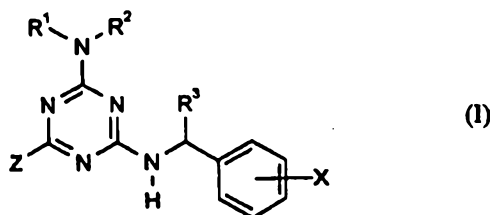
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<p>(21) Internationales Aktenzeichen: PCT/EP97/05317</p> <p>(22) Internationales Anmeldedatum: 29. September 1997 (29.09.97)</p> <p>(30) Prioritätsdaten: 196 41 692.2 10. Oktober 1996 (10.10.96) DE</p> <p>(71) Anmelder (für alle Bestimmungsstaaten ausser US): BAYER AKTIENGESELLSCHAFT [DE/DE]; D-51368 Leverkusen (DE). NIHON BAYER AGROCHEM K.K. [JP/JP]; 10-8, Takanawa 4-chome, Minato-ku, Tokyo 108 (JP).</p> <p>(72) Erfinder; und</p> <p>(75) Erfinder/Anmelder (nur für US): RIEBEL, Hans-Jochem [DE/DE]; In der Beek 92, D-42113 Wuppertal (DE). LEHR, Stefan [DE/DE]; Am Benthal 54, D-51381 Leverkusen (DE). STELZER, Uwe [DE/DE]; Adolf-Kolping-Strasse 22a, D-51399 Burscheid (DE). WATANABE, Yukiyoishi [JP/JP]; 2-8-24, Hanagaki-cho, Oyama-shi, Tochigi 323 (JP). DOLLINGER, Markus [DE/DE]; Burscheider Strasse 154b, D-51381 Leverkusen (DE). SEISHI, Ito [JP/JP]; 2-12-3, Johtoh, Oyama-shi, Tochigi 323 (JP). GOTO, Toshio [JP/JP]; 214-18, Koganei, Kokubunji-machi, Shimotsuga-gun, Tochigi 329-04 (JP). YANAGI, Akihiko [JP/JP]; 214-1, Oaza-Oyama, Oyama-shi, Tochigi 323 (JP).</p>		<p>(74) Gemeinsamer Vertreter: BAYER AKTIENGESELLSCHAFT; D-51368 Leverkusen (DE).</p> <p>(81) Bestimmungsstaaten: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO Patent (GH, KE, LS, MW, SD, SZ, UG, ZW), eurasisches Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), europäisches Patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).</p> <p>Veröffentlicht Mit internationalem Recherchenbericht. Vor Ablauf der für Änderungen der Ansprüche zugelassenen Frist. Veröffentlichung wird wiederholt für Änderungen eintreffen.</p> <p>→ I70, Seshi</p>	



(54) Title: SUBSTITUTED 2,4-DIAMINO-1,3,5-TRIAZINES AS HERBICIDES

(54) Bezeichnung: SUBSTITUIERTE 2,4-DIAMINO-1,3,5-TRIAZINE ALS HERBIZIDE



(57) Abstract

The invention relates to novel substituted 2,4-diamino-1,3,5-triazines of formula (I), (in which R¹, R², R³, X and Z have the meaning cited in the description), methods and new intermediate products for their production and their use as herbicides.

(57) Zusammenfassung

Die Erfindung betrifft neue substituierte 2,4-Diamino-1,3,5-triazine der Formel (I), (worin R¹, R², R³, X und Z die in der Beschreibung angegebenen Bedeutungen haben), Verfahren und neue Zwischenprodukte zu ihrer Herstellung und ihre Verwendung als Herbizide.

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SUBSTITUTED 2,4-DIAMINO-1,3,5-TRIAZINES AS HERBICIDES

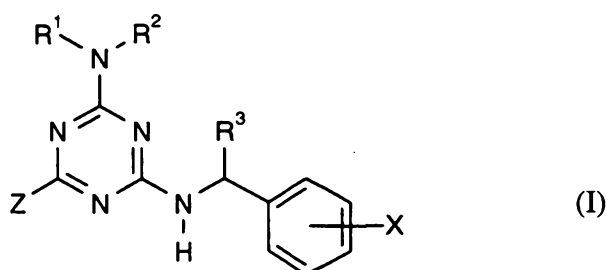
The invention relates to novel substituted 2,4-diamino-1,3,5-triazines, to processes and to novel intermediates for their preparation and to their use as herbicides.

5

A number of substituted 2,4-diamino-triazines is already known from the (patent) literature (cf. US 3816419, US 3932167, EP 191496, EP 273328, EP 411153 / WO 90/09378, JP 62294669 - cited in Chem. Abstracts 109: 129062v). However, these compounds have hitherto not attained any particular importance.

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This invention, accordingly, provides the novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I)



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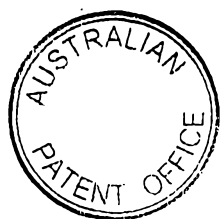
in which

R¹ represents hydrogen or optionally hydroxyl-, cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

20

R² represents hydrogen, represents formyl, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkylcarbonyl, alkoxy carbonyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl-, halogeno-C₁-C₄-alkyl-, C₁-C₄-alkoxy, halogeno-C₁-C₄-alkoxy- or C₁-C₄-alkoxy-carbonyl-substituted phenylcarbonyl, naphthylcarbonyl, phenylsulphonyl or naphthylsulphonyl,

25



R³ represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

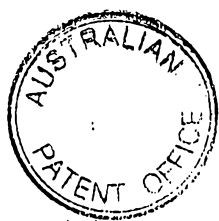
5 X represents a substituent from the following group:

hydroxyl, cyano, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, 10 alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy- or C₁-C₄-halogenoalkoxy-substituted phenyl or phenoxy, and

15 Z represents hydrogen, hydroxyl, halogen, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl-, C₁-C₄-alkoxy-carbonyl-, C₁-C₄-alkylthio-, C₁-C₄-alkylsulphinyl- or C₁-C₄-alkylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon 20 atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, or represents optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

25 but excluding the compounds

2-amino-4-methoxy-6-[1-(3-chlorophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-methoxy-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-trifluoromethyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-nitrophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-chloro-phenyl)- 30



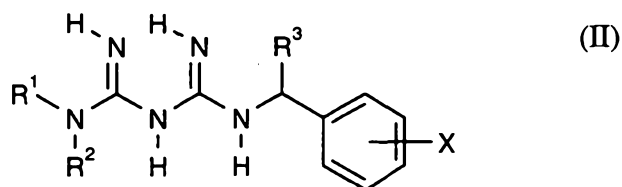
ethylamino]-1,3,5-triazine and 2-amino-4-chloro-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine

(which are already known from JP 62294669).

5

The novel 2,4-diamino-1,3,5-triazines of the general formula (I) are obtained when

(a) substituted biguanides of the general formula (II),



10

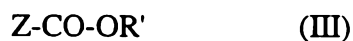
in which

R¹, R², R³ and X are each as defined above

15

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)



20

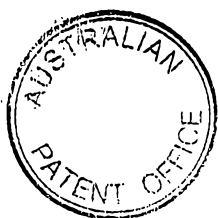
in which

Z is as defined above and

25

R' represents alkyl,

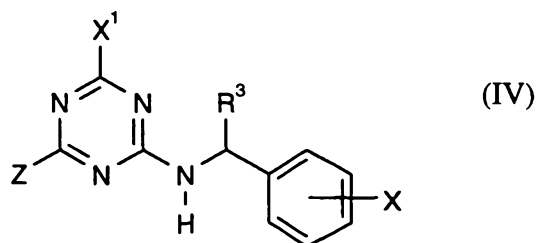
if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,



or when

(b) substituted aminotriazines of the general formula (IV)

5



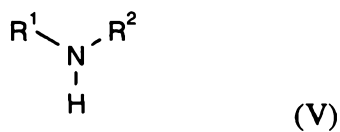
in which

10 R^3 , X and Z are each as defined above and

X^1 represents halogen or alkoxy

are reacted with nitrogen compounds of the general formula (V)

15



in which

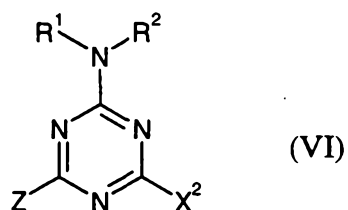
20 R^1 and R^2 are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

25 or when



(c) substituted aminotriazines of the general formula (VI),



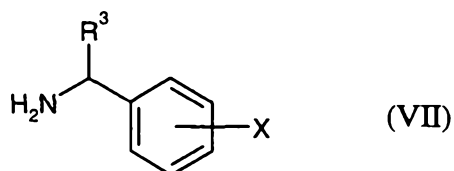
5 in which

R¹, R² and Z are each as defined above and

X² represents halogen or alkoxy

10

are reacted with amino compounds of the general formula (VII),



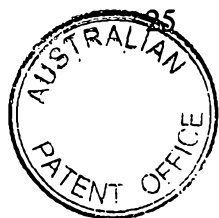
15 in which

R³ and X are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence
20 of a diluent,

or when

(d) to prepare compounds of the formula (I), except for those where R² = H,
2,4-diamino-1,3,5-triazines of the general formula (Ia)



in which

5 R^1, R^3, X and Z are each as defined above

are reacted with alkylating, acylating or sulphonylating agents of the general formula (VIII)

$$10 \qquad \qquad \qquad \mathbf{Y-R}^2 \qquad \qquad \qquad \text{(VIII)}$$

in which

R^2 is as defined above - except for hydrogen - and

15

Y represents halogen, alkoxy, alkoxysulphonyloxy or acyloxy,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

20

and, if appropriate, further conversions within the scope of the above definition of substituents are carried out by customary methods on the compounds of the general formula (I) obtained by the processes described under (a), (b), (c) or (d).

25 The novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I) have strong and selective herbicidal activity.



The compounds of the general formula (I) according to the invention contain at least one asymmetrically substituted carbon atom and can therefore be present in different enantiomeric (R- and S-configured forms) or diastereomeric forms. The invention relates both to the different possible individual enantiomeric or stereoisomeric forms
5 of the compounds of the general formula (I), and to the mixtures of these isomeric compounds.

In the definitions, the hydrocarbon chains, such as alkyl - also in combination with heteroatoms, such as in alkoxy or alkylthio - are in each case straight-chain or
10 branched.

Halogen generally represents fluorine, chlorine, bromine or iodine, preferably represents fluorine, chlorine or bromine, and in particular represents fluorine or chlorine.

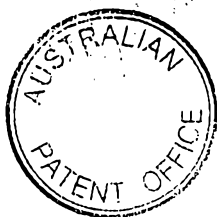
15

The invention preferably provides compounds of the formula (I) in which

R¹ represents hydrogen or represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl having 1 to 4 carbon atoms,
20

R² represents hydrogen, represents formyl, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl, alkylcarbonyl, alkoxy-carbonyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-,
25 fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or ethoxycarbonyl-substituted phenylcarbonyl or phenylsulphonyl,

30 R³ represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl having 1 to 4 carbon atoms or represents optionally



cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cycloalkyl having 3 to 6 carbon atoms,

X represents a substituent from the group below:

5

hydroxyl, cyano, nitro, fluorine, chlorine, bromine, iodine, in each case optionally hydroxyl-, cyano-, fluorine- or chlorine-substituted alkyl or alkoxy having in each case 1 to 4 carbon atoms, in each case optionally fluorine- or chlorine-substituted alkylcarbonyl, alkoxy carbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, iodine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and

10

15

Z represents hydrogen, hydroxyl, fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted alkyl, alkoxy, alkylcarbonyl, alkoxy carbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, represents in each case optionally fluorine-, chlorine- or bromine-substituted alkenyl or alkynyl having in each case 2 to 4 carbon atoms, or represents optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cycloalkyl having 3 to 6 carbon atoms,

20

25

but excluding the compounds

30

2-amino-4-methoxy-6-[1-(3-chlorophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-methoxy-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-trifluoromethyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-



nitrophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-chloro-phenyl)-ethylamino]-1,3,5-triazine and 2-amino-4-chloro-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine

5 (which are already known from JP 62294669).

The invention in particular relates to compounds of the formula (I) in which

10 R¹ represents hydrogen or represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl,

15 R² represents hydrogen, represents formyl, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl or ethylsulphonyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl-, ethyl-, trifluoromethyl-, methoxy-, ethoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or ethoxycarbonyl-substituted phenylcarbonyl or phenylsulphonyl,

20 R³ represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,

25 X represents a substituent from the group below:

30 hydroxyl, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl-, cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylthio, ethylthio, methylsulphinyl,



ethylsulphinyl, methylsulphonyl or ethylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy or trifluoromethoxy-substituted phenyl or phenoxy, and

5
Z represents hydrogen, hydroxyl, fluorine, chlorine, bromine, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, acetyl, propionyl, methoxycarbonyl ethoxycarbonyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl or ethylsulphonyl, or represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl,

15 but excluding the compounds

2-amino-4-methoxy-6-[1-(3-chlorophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-methoxy-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-trifluoromethyl-phenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-nitrophenyl)-ethylamino]-1,3,5-triazine, 2-amino-4-chloro-6-[1-(3-chloro-phenyl)-ethylamino]-1,3,5-triazine and 2-amino-4-chloro-6-[1-(3-methyl-phenyl)-ethylamino]-1,3,5-triazine

25 (which are already known from JP 62294669).

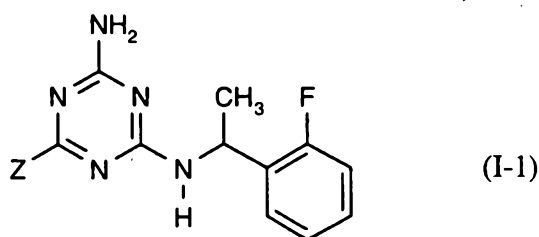
The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and also, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can



be combined with each other at will, i.e. including combinations between the abovementioned preferred ranges.

Examples of the compounds of the formula (I) according to the invention are listed in the groups below. The general formulae here represent in each case the R enantiomers, the S enantiomers and the racemates.

Group 1



Here, Z has, for example, the meanings given below:

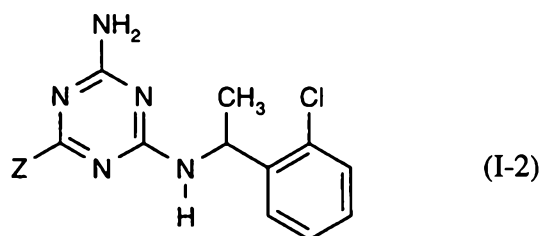
Hydrogen, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, chlorofluoromethyl, chlorobromomethyl, chlorodifluoromethyl, fluorodichloromethyl, bromodifluoromethyl, trichloromethyl, 1-fluoro-ethyl, 2-fluoro-ethyl, 1-chloro-ethyl, 2-chloro-ethyl, 1-chloro-1-fluoro-ethyl, 1-fluoro-propyl, 2-fluoro-propyl, 3-fluoro-propyl, 1-fluoro-1-methyl-ethyl, 2-fluoro-1-methyl-ethyl, 1-chloro-1-methyl-ethyl, 1-fluoro-1-methyl-propyl, 1-chloro-1-ethyl-propyl, 1-fluoro-1-ethyl-propyl, 1-chloro-1-ethyl-propyl, 1-fluoro-2-methyl-propyl, 1-chloro-2-methyl-propyl, 1-chloro-propyl, 2-chloro-propyl, 3-chloro-propyl, 1-chloro-1-methyl-ethyl, 2-chloro-1-methyl-ethyl, 1,1-difluoro-ethyl, 1,2-difluoro-ethyl, 1,1-dichloro-ethyl, 2,2,2-trifluoro-ethyl, 1,2,2,2-tetrafluoro-ethyl, perfluoroethyl, 1,1-difluoro-propyl, 1,1-dichloro-propyl, perfluoropropyl, 1-fluoro-butyl, 1-chloro-butyl, perfluoropentyl, perfluorohexyl, 1-hydroxyl-ethyl, acetyl, 1,1-bis-acetyl-methyl, 1-acetyl-1-methoxycarbonyl-methyl, 1-acetyl-1-ethoxycarbonyl-methyl, methoxymethyl, 1,1-dimethoxy-methyl, 1-methoxy-ethyl, 2-methoxy-ethyl, 1,1-dimethoxy-ethyl, ethoxymethyl, 1-ethoxyethyl, 2-ethoxy-



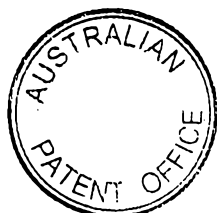
ethyl, 2-methoxy-1-methyl-ethyl, 2-methoxy-1-ethyl-ethyl, 2-ethoxy-1-methyl-ethyl, 2-ethoxy-1-ethyl-ethyl, methylthiomethyl, ethylthiomethyl, 1-methylthio-ethyl, 2-methylthioethyl, 1-ethylthio-ethyl, 2-ethylthioethyl, methylsulphinylmethyl, ethylsulphinylmethyl, methylsulphonylmethyl, ethylsulphonylmethyl, methoxy, 5 ethoxy, n- or i- propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl, fluoromethoxy, difluoromethoxy, trifluoromethoxy, fluoroethoxy, difluoroethoxy, trifluoroethoxy, difluoromethylthio, trifluoromethylthio, vinyl, 1-chloro-vinyl, 2-chloro-vinyl, 1-fluoro-vinyl, 2-fluoro-vinyl, 1-bromo-vinyl, 2-bromo-vinyl, 1,2-dichloro-vinyl, 1,2-dibromo-vinyl, 1,2-10 difluoro-vinyl, 2,2-dichloro-vinyl, 2,2-difluoro-vinyl, 2,2-dibromo-vinyl, 1-chloro-2-fluoro-vinyl, 2-bromo-2-chloro-vinyl, trichlorovinyl, allyl, 2-chloro-allyl, 3-chloro-allyl, 3,3-dichloro-allyl, 1-propenyl, isopropenyl, 1-chloro-2-propenyl, 1-fluoro-2-propenyl, 1-bromo-2-propenyl, 1,2-dichloro-1-propenyl, 1,2-dibromo-1-propenyl, 1,2-difluoro-1-propenyl, 1,1-dichloro-2-propenyl, 1,1-dibromo-2-propenyl, 1,1-15 difluoro-2-propenyl, 1,1,3,3,3-pentafluoro-2-propenyl, 2-buten-1-yl, 2-buten-2-yl, 3-chloro-2-butenyl, 3-bromo-2-butenyl, 3,3,3-trifluoro-2-butenyl, ethinyl, 2-chloro-ethinyl, 2-bromo-ethinyl, 1-propinyl, 2-propinyl, 3,3,3-trifluoro-1-propinyl.

Group 2

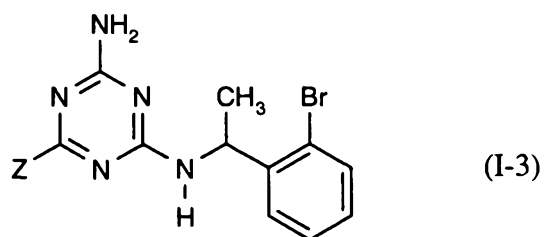
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Here, Z has, for example, the meanings given above in group 1.

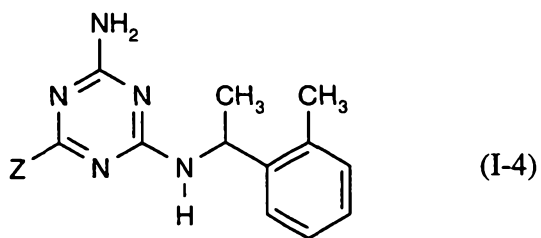


Group 3



5 Here, Z has, for example, the meanings given above in group 1.

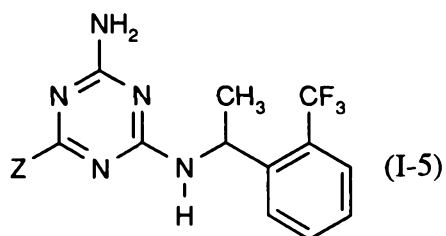
Group 4



10

Here, Z has, for example, the meanings given above in group 1.

Group 5

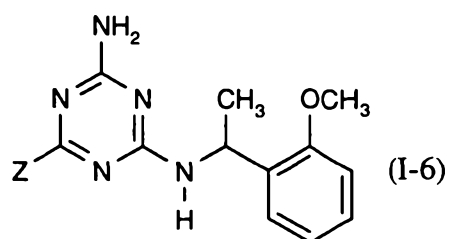


15

Here, Z has, for example, the meanings given above in group 1.

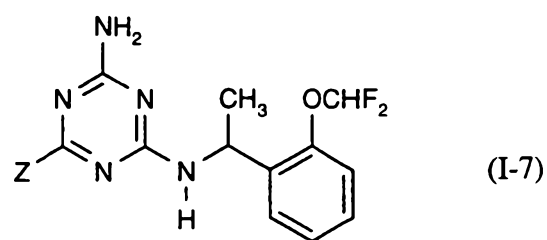


Group 6



5 Here, Z has, for example, the meanings given above in group 1.

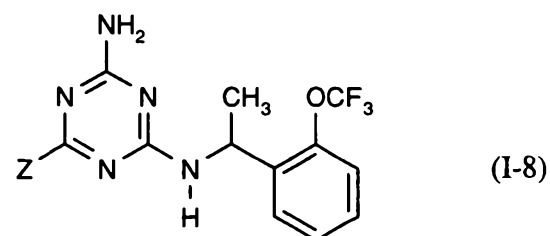
Group 7



10

Here, Z has, for example, the meanings given above in group 1.

Group 8

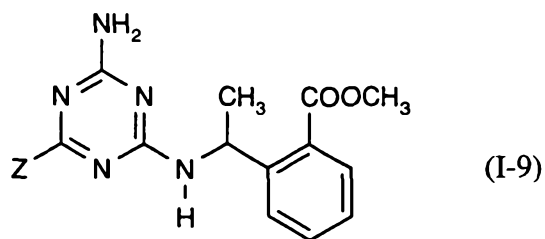


15

Here, Z has, for example, the meanings given above in group 1.

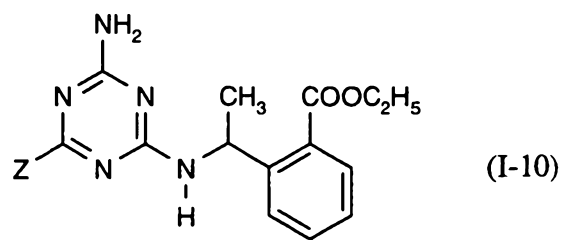


Group 9



5 Here, Z has, for example, the meanings given above in group 1.

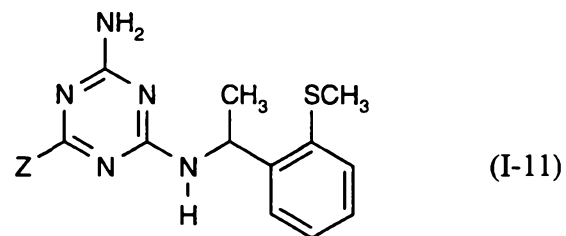
Group 10



10

Here, Z has, for example, the meanings given above in group 1.

Group 11

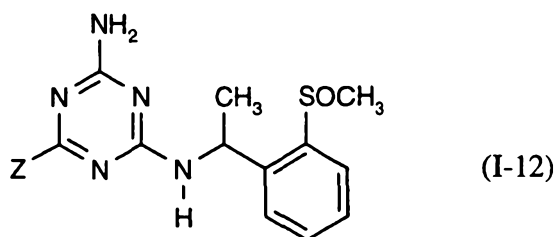


15

Here, Z has, for example, the meanings given above in group 1.

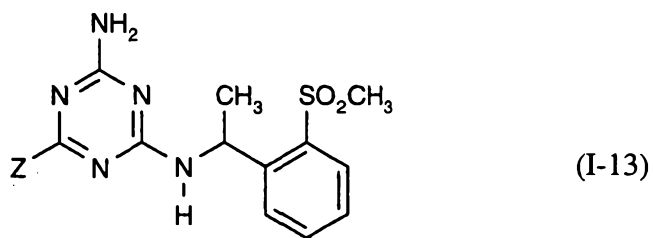


Group 12



5 Here, Z has, for example, the meanings given above in group 1.

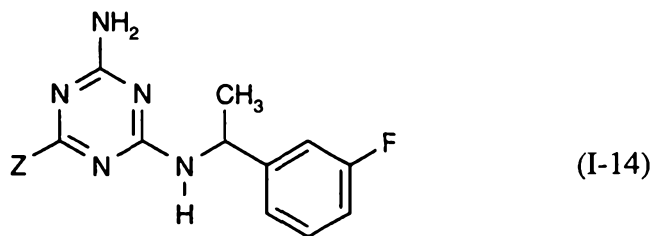
Group 13



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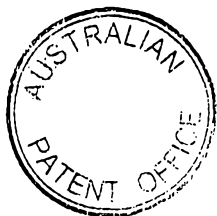
Here, Z has, for example, the meanings given above in group 1.

Group 14

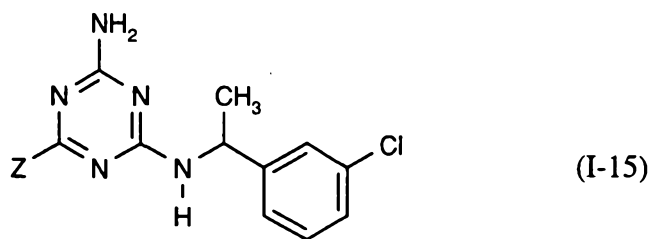


15

Here, Z has, for example, the meanings given above in group 1.

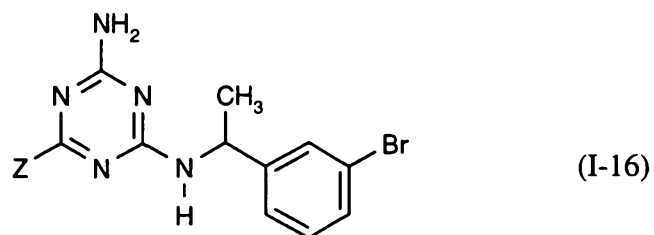


Group 15



5 Here, Z has, for example, the meanings given above in group 1.

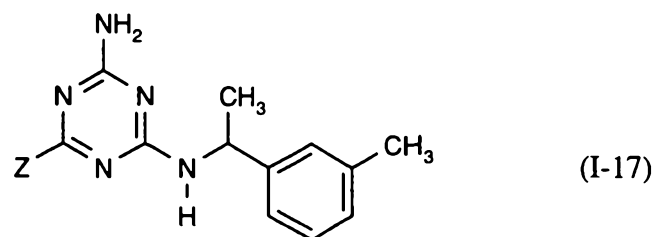
Group 16



10

Here, Z has, for example, the meanings given above in group 1.

Group 17

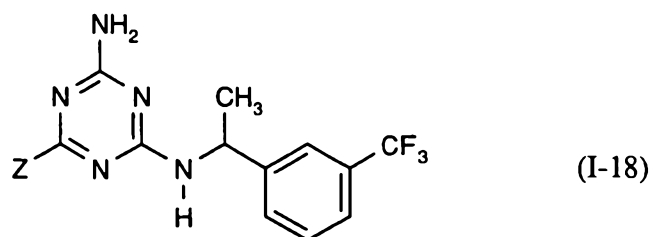


15

Here, Z has, for example, the meanings given above in group 1.



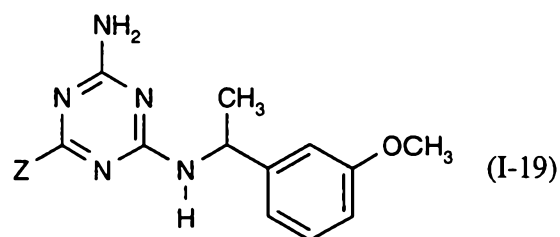
Group 18



Here, Z has, for example, the meanings given above in group 1.

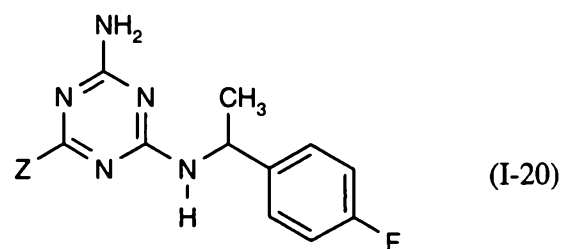
5

Group 19



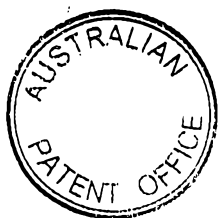
10 Here, Z has, for example, the meanings given above in group 1.

Group 20

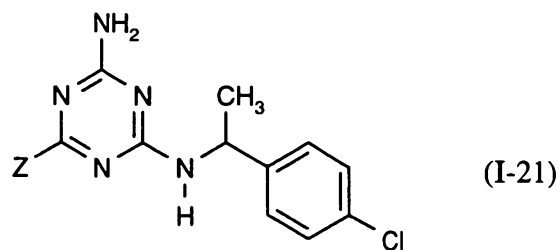


15

Here, Z has, for example, the meanings given above in group 1.

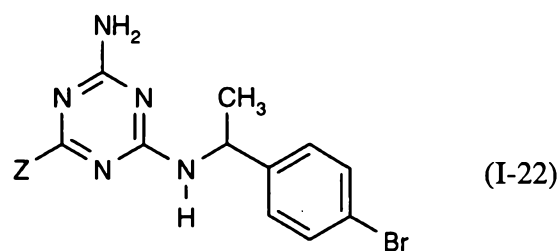


Group 21



5 Here, Z has, for example, the meanings given above in group 1.

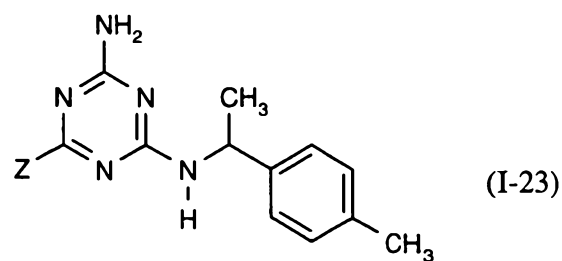
Group 22



10

Here, Z has, for example, the meanings given above in group 1.

Group 23

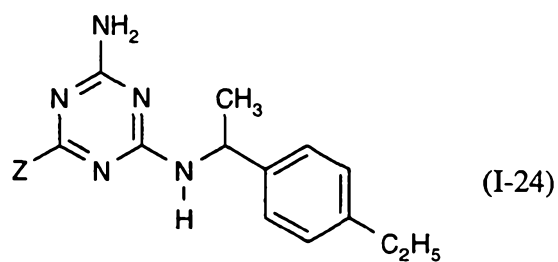


15

Here, Z has, for example, the meanings given above in group 1.

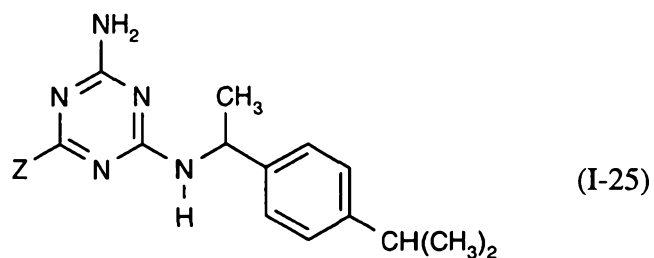


Group 24



5 Here, Z has, for example, the meanings given above in group 1.

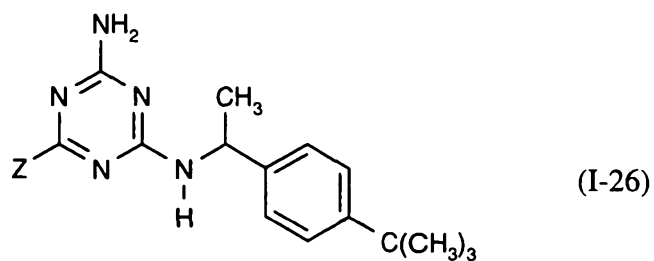
Group 25



10

Here, Z has, for example, the meanings given above in group 1.

Group 26

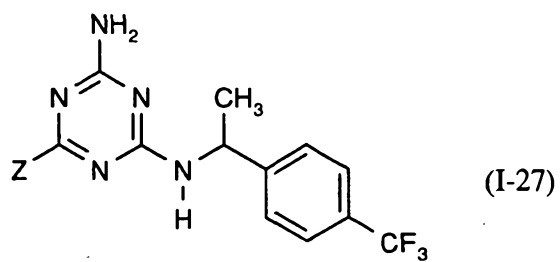


15

Here, Z has, for example, the meanings given above in group 1.

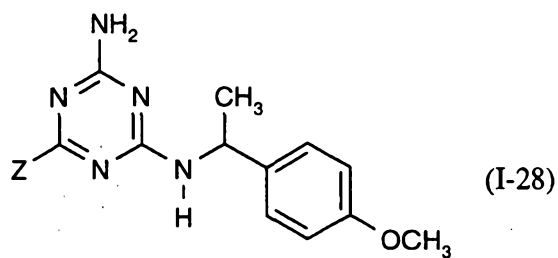


Group 27



5 Here, Z has, for example, the meanings given above in group 1.

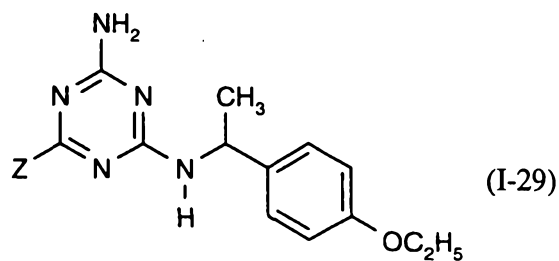
Group 28



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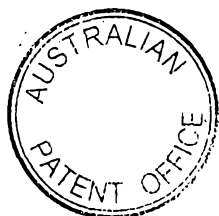
Here, Z has, for example, the meanings given above in group 1.

Group 29

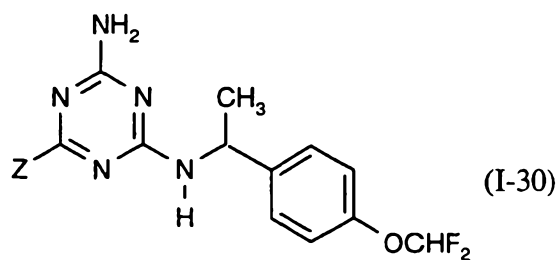


15

Here, Z has, for example, the meanings given above in group 1.

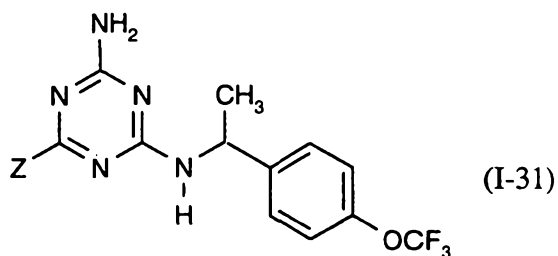


Group 30



5 Here, Z has, for example, the meanings given above in group 1.

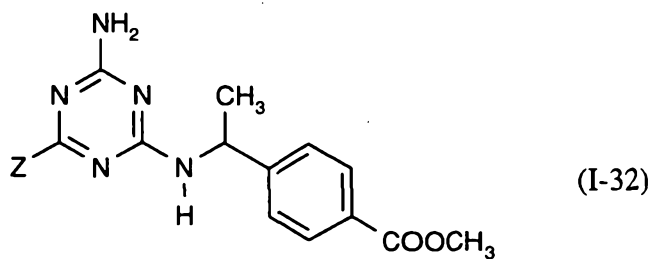
Group 31



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Here, Z has, for example, the meanings given above in group 1.

Group 32

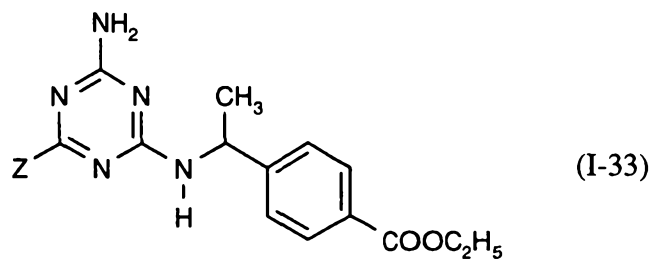


15

Here, Z has, for example, the meanings given above in group 1.

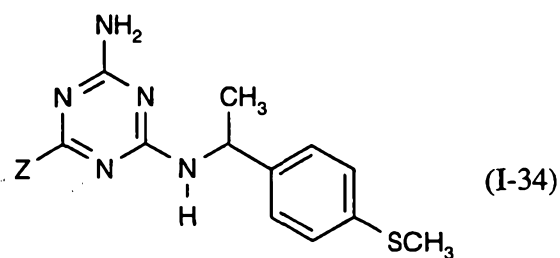


Group 33



5 Here, Z has, for example, the meanings given above in group 1.

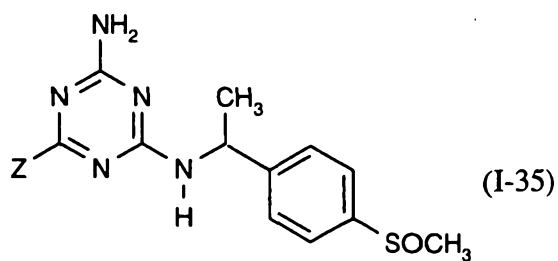
Group 34



10

Here, Z has, for example, the meanings given above in group 1.

Group 35

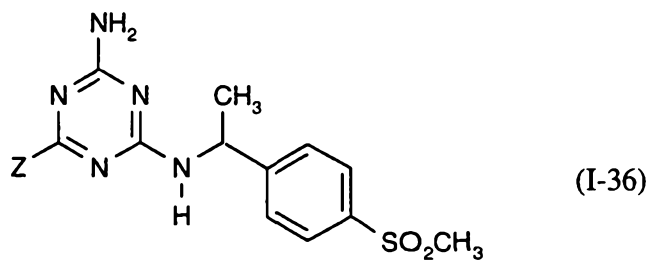


15

Here, Z has, for example, the meanings given above in group 1.

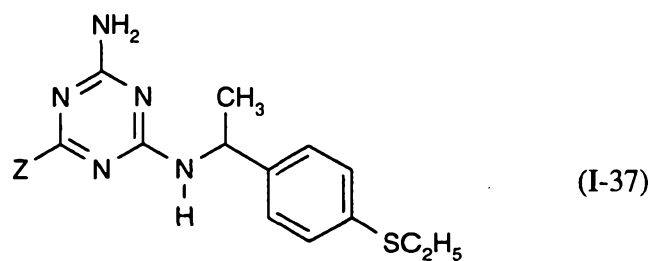


Group 36



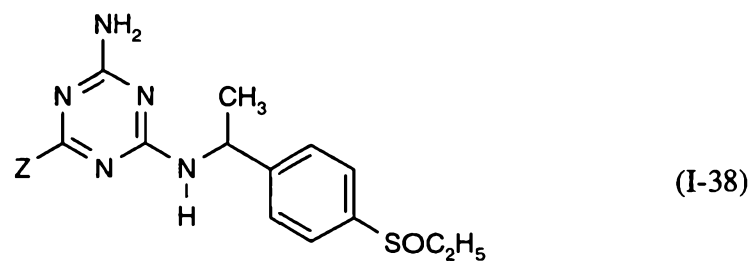
5 Here, Z has, for example, the meanings given above in group 1.

Group 37



10 Here, Z has, for example, the meanings given above in group 1.

Group 38

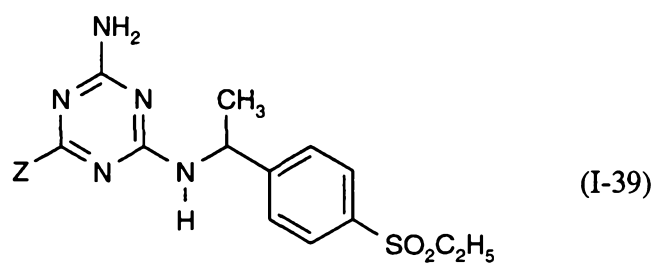


15

Here, Z has, for example, the meanings given above in group 1.

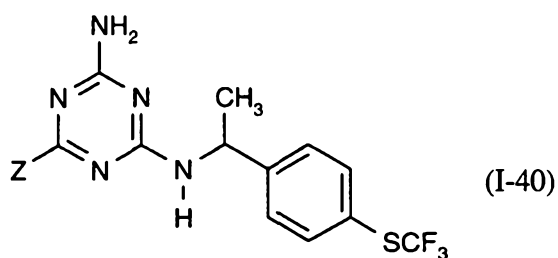


Group 39



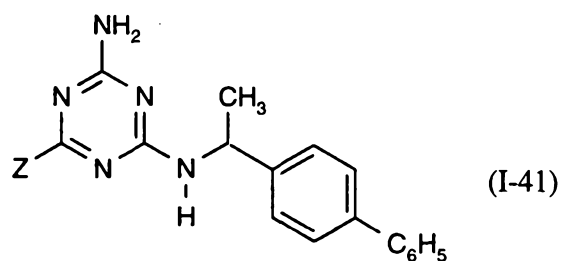
- 5 Here, Z has, for example, the meanings given above in group 1.

Group 40



- 10 Here, Z has, for example, the meanings given above in group 1.

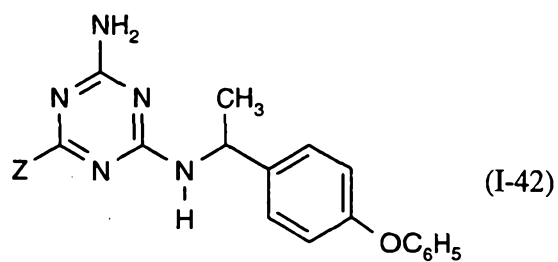
Group 41



- 15 Here, Z has, for example, the meanings given above in group 1.

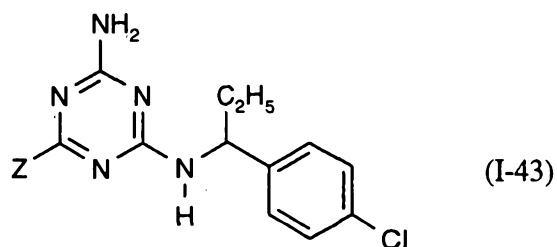


Group 42



5 Here, Z has, for example, the meanings given above in group 1.

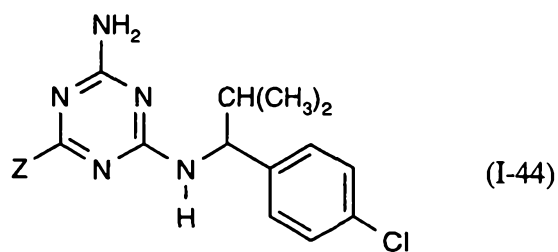
Group 43



10

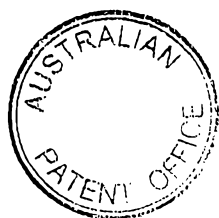
Here, Z has, for example, the meanings given above in group 1.

Group 44

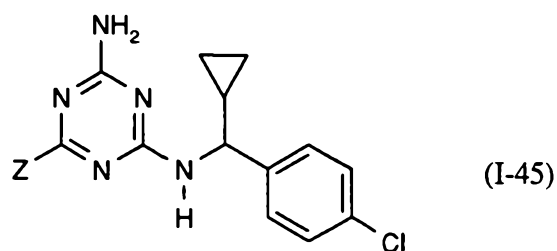


15

Here, Z has, for example, the meanings given above in group 1.

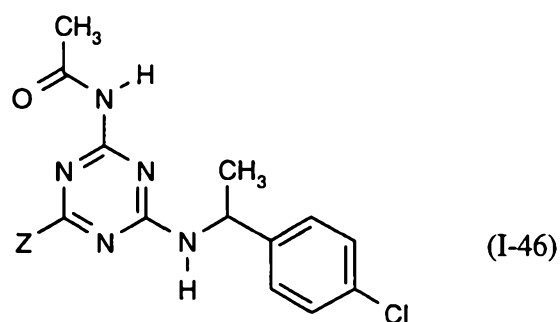


Group 45



5 Here, Z has, for example, the meanings given above in group 1.

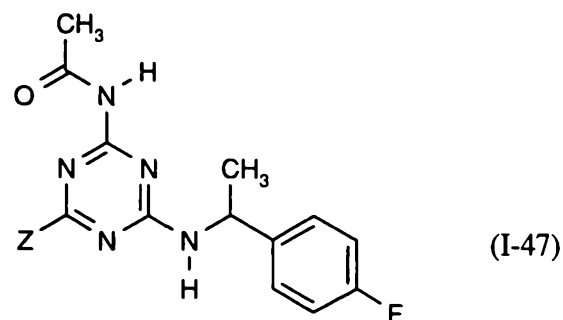
Group 46



10

Here, Z has, for example, the meanings given above in group 1.

Group 47

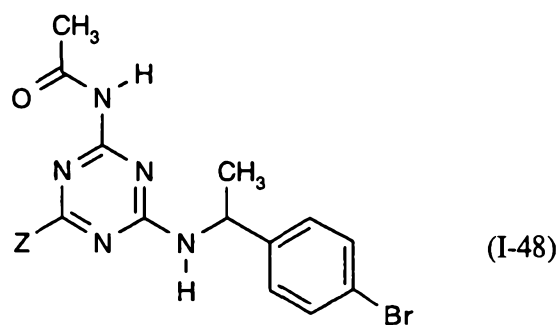


15

Here, Z has, for example, the meanings given above in group 1.

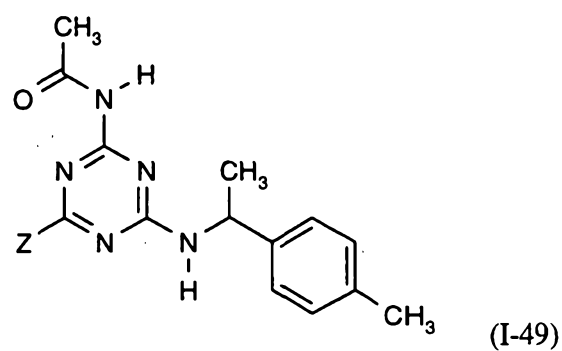


Group 48



5 Here, Z has, for example, the meanings given above in group 1.

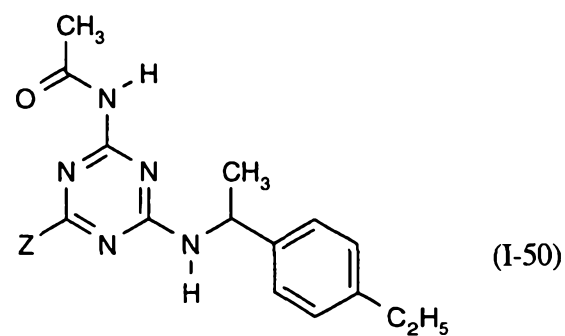
Group 49



10

Here, Z has, for example, the meanings given above in group 1.

Group 50

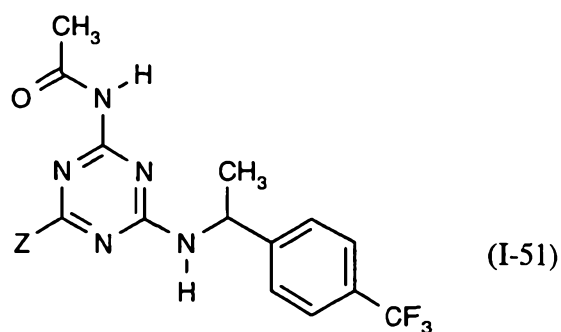


15



Here, Z has, for example, the meanings given above in group 1.

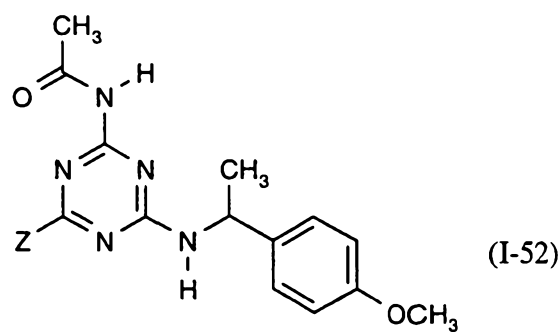
Group 51



Here, Z has, for example, the meanings given above in group 1.

Group 52

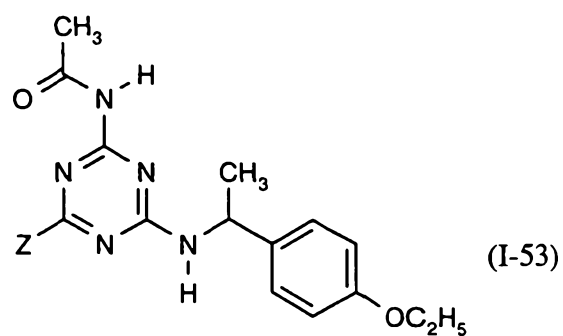
10



Here, Z has, for example, the meanings given above in group 1.

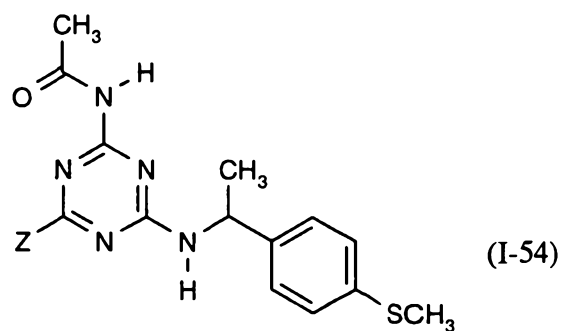


Group 53



5 Here, Z has, for example, the meanings given above in group 1.

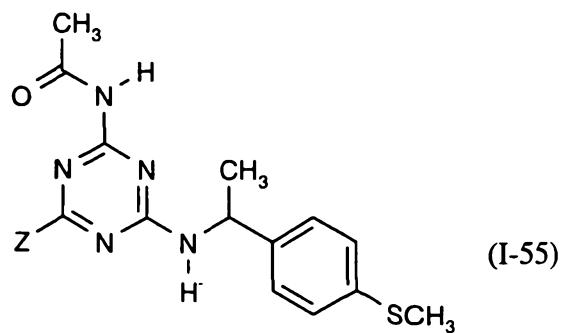
Group 54



10

Here, Z has, for example, the meanings given above in group 1.

Group 55

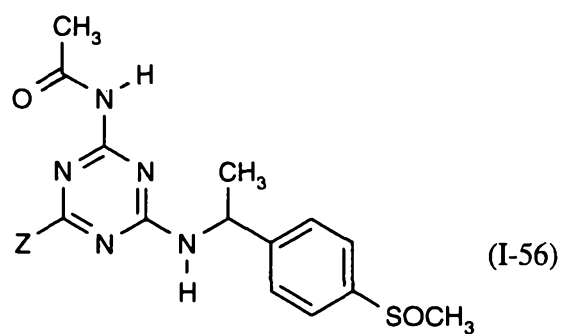


15



Here, Z has, for example, the meanings given above in group 1.

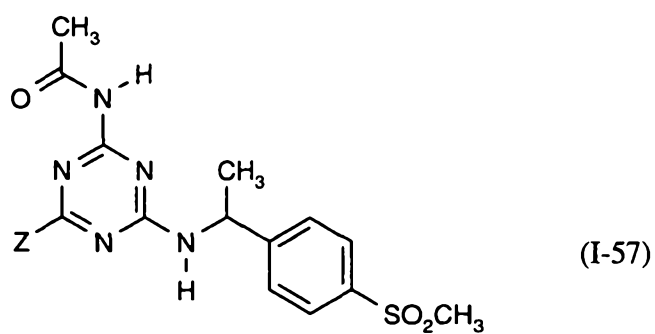
Group 56



Here, Z has, for example, the meanings given above in group 1.

Group 57

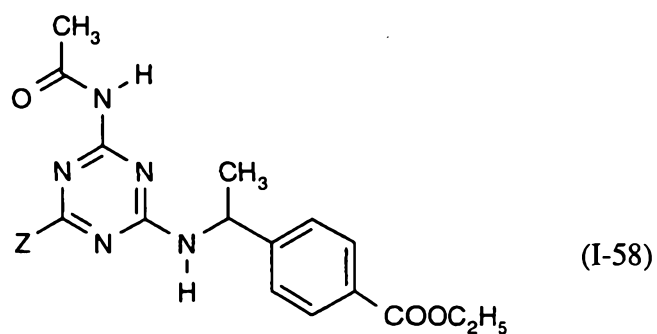
10



Here, Z has, for example, the meanings given above in group 1.

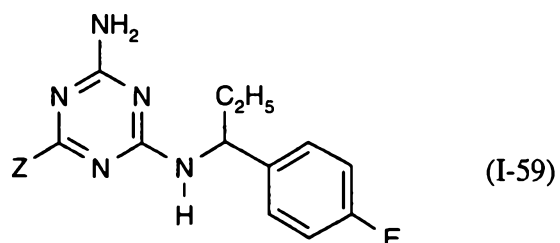


Group 58



5 Here, Z has, for example, the meanings given above in group 1.

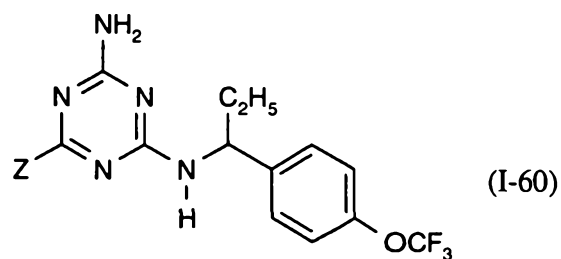
Group 59



10

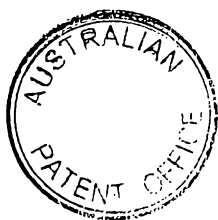
Here, Z has, for example, the meanings given above in group 1.

Group 60

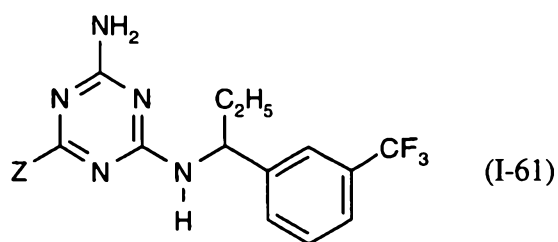


15

Here, Z has, for example, the meanings given above in group 1.

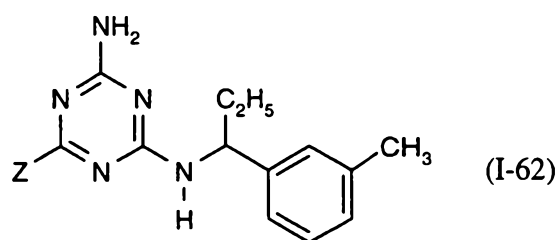


Group 61



5 Here, Z has, for example, the meanings given above in group 1.

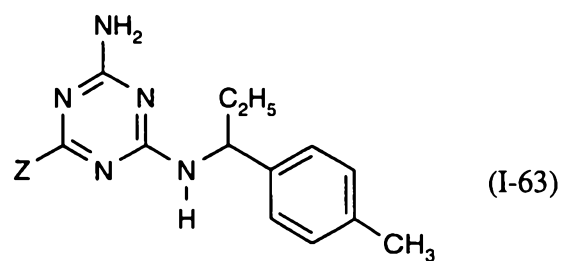
Group 62



10

Here, Z has, for example, the meanings given above in group 1.

Group 63

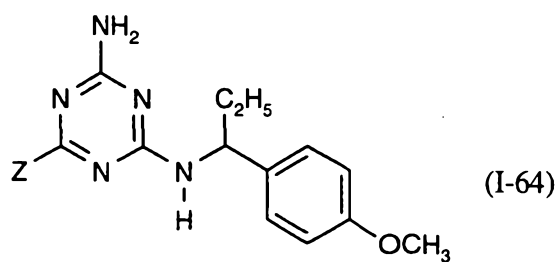


15

Here, Z has, for example, the meanings given above in group 1.

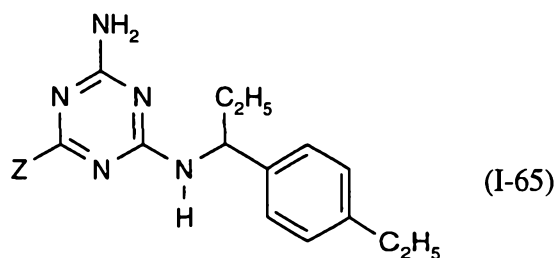


Group 64



5 Here, Z has, for example, the meanings given above in group 1.

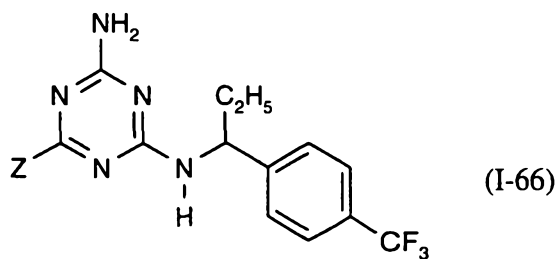
Group 65



10

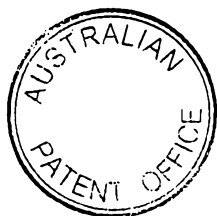
Here, Z has, for example, the meanings given above in group 1.

Group 66

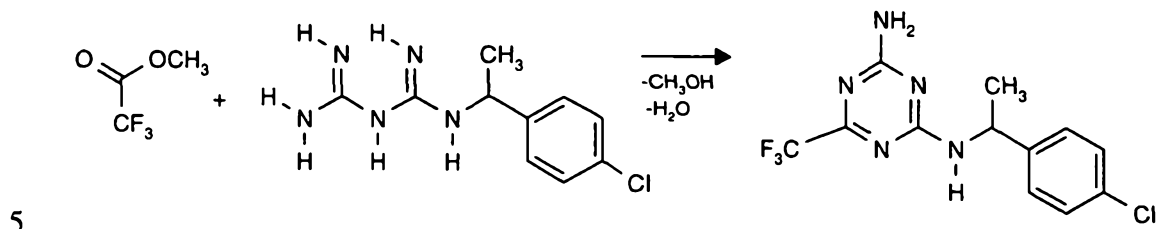


15

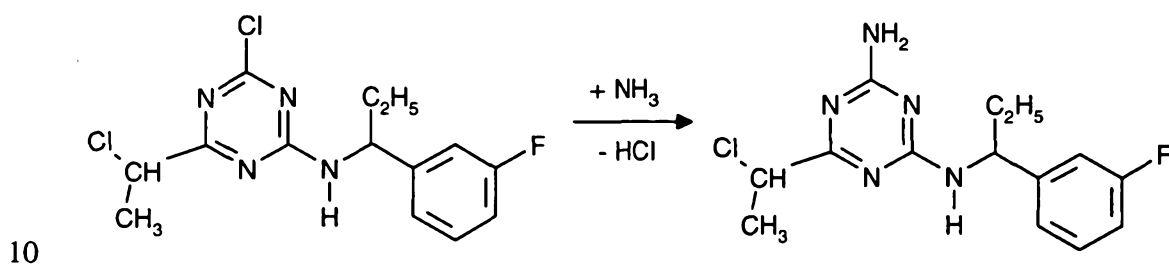
Here, Z has, for example, the meanings given above in group 1.



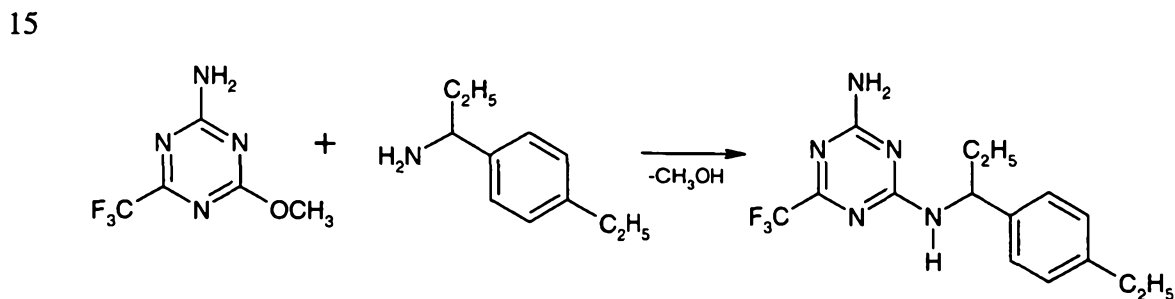
Using, for example, 1-[1-(4-chloro-phenyl)-ethyl]biguanide and methyl trifluoroacetate as starting materials, the course of the reaction in the process (a) according to the invention can be illustrated by the following equation:



Using, for example, 2-chloro-4[1-(3-fluoro-phenyl)-propylamino]-6-(1-chloro-ethyl)-1,3,5-triazine and ammonia as starting materials, the course of the reaction in the process (b) according to the invention can be illustrated by the following equation:

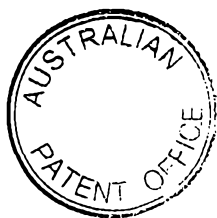


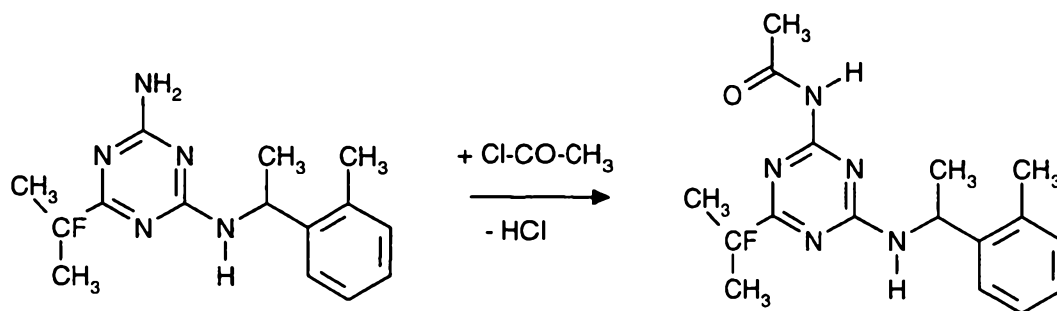
Using, for example, 2-amino-4-methoxy-6-trifluoromethyl-1,3,5-triazine and 1-(4-ethyl-phenyl)-propylamine as starting materials, the course of the reaction in the process (c) according to the invention can be illustrated by the following equation:



Using, for example, 2-amino-4-(1-fluoro-1-methyl-ethyl)-6-[1-(2-methyl-phenyl)-ethylamino]-1,3,5-triazine and acetyl chloride as starting materials, the course of the reaction in the process (d) according to the invention can be illustrated by the following equation:

20





The formula (II) provides a general definition of the substituted biguanides to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (II), R^1 , R^2 , R^3 and X each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^1 , R^2 , R^3 and X.

Examples of the substituted biguanides of the formula (II) - where preference is in each case given to the racemates, the R enantiomers and the S enantiomers - which may be mentioned are:

- 15 1-(1-(2-fluoro-phenyl)-ethyl)-, 1-(1-(3-fluoro-phenyl)-ethyl)-, 1-(1-(4-fluoro-phenyl)-ethyl)-, 1-(1-(2-chloro-phenyl)-ethyl)-, 1-(1-(3-chloro-phenyl)-ethyl)-, 1-(1-(4-chloro-phenyl)-ethyl)-, 1-(1-(2-bromo-phenyl)-ethyl)-, 1-(1-(3-bromo-phenyl)-ethyl)-, 1-(1-(4-bromo-phenyl)-ethyl)-, 1-(1-(2-nitro-phenyl)-ethyl)-, 1-(1-(3-nitro-phenyl)-ethyl)-, 1-(1-(4-nitro-phenyl)-ethyl)-, 1-(1-(2-methyl-phenyl)-ethyl)-, 1-(1-(3-methyl-phenyl)-ethyl)-, 1-(1-(4-methyl-phenyl)-ethyl)-, 1-(1-(2-trifluoromethyl-phenyl)-ethyl)-, 1-(1-(3-trifluoromethyl-phenyl)-ethyl)-, 1-(1-(4-trifluoromethyl-phenyl)-ethyl)-, 1-(1-(2-methoxy-phenyl)-ethyl)-, 1-(1-(3-methoxy-phenyl)-ethyl)-, 1-(1-(4-methoxy-phenyl)-ethyl)-, 1-(1-(2-difluoromethoxy-phenyl)-ethyl)-, 1-(1-(2-difluoromethoxy-phenyl)-ethyl)-, 1-(1-(2-difluoromethoxy-phenyl)-ethyl)-, 1-(1-(2-trifluoromethoxy-phenyl)-ethyl)-, 1-(1-(3-trifluoromethoxy-phenyl)-ethyl)-, 1-(1-(4-trifluoromethoxy-phenyl)-ethyl)-, 1-(1-(2-methoxycarbonyl-phenyl)-ethyl)-, 1-(1-(2-ethoxycarbonyl-phenyl)-ethyl)-, 1-(1-(4-methoxycarbonyl-phenyl)-ethyl)-, 1-(1-(4-ethoxycarbonyl-phenyl)-ethyl)-



ethyl)-, 1-(1-(2-methylthio-phenyl)-ethyl)-, 1-(1-(4-methylthio-phenyl)-ethyl)-, 1-(1-(2-methylsulphanyl-phenyl)-ethyl)-, 1-(1-(4-methylsulphanyl-phenyl)-ethyl)-, 1-(1-(2-methylsulphonyl-phenyl)-ethyl)- and 1-(1-(4-methylsulphonyl-phenyl)-ethyl)-biguanide.

5

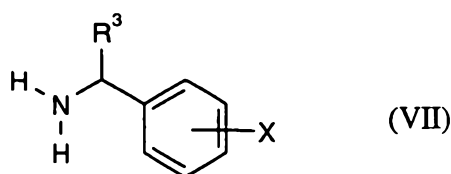
Suitable acid adducts of compounds of the formula (II) are their addition products with protic acids, such as, for example with hydrogen chloride, hydrogen bromide, sulphuric acid, methanesulphonic acid, benzenesulphonic acid and p-toluenesulphonic acid.

10

The starting materials of the general formula (II), with the exception of 1-[1-(4-trifluoromethyl-phenyl)-ethyl]-biguanide (cf. US 3860648), have hitherto not been disclosed in the literature; with the exception of 1-[1-(4-trifluoromethyl-phenyl)-ethyl]-biguanide, they also form, as novel substances, part of the subject-matter of the present application.

15

The substituted biguanides of the general formula (II) are obtained when substituted phenylalkylamines of the general formula (VII),



20

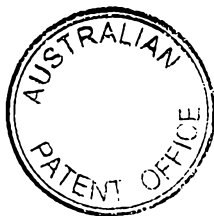
in which

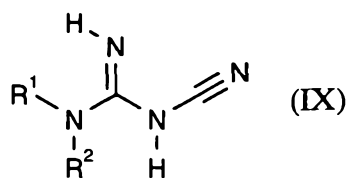
R^3 and X are each as defined above

25

- and/or acid adducts of compounds of the general formula (VII), such as, for example, the hydrochlorides -

are reacted with cyanoguanidines of the general formula (IX)





in which

5

R¹ and R² are each as defined above,

if appropriate in the presence of a reaction auxiliary, such as, for example, hydrogen chloride, and if appropriate in the presence of a diluent, such as, for example, n-decane or 1,2-dichloro-benzene, at temperatures between 100°C and 200°C (cf. US 3860648, Preparation Examples).

The substituted phenylalkylamines of the formula (VII) required as precursors for this purpose are known and/or can be prepared by processes known per se (cf. DE 2442845; DE 4038356; EP 490175, US 5300437; J. Am. Chem. Soc. 105 (1983), 1578-1584; Tetrahedron: Asymmetry 4 (1993), 2093-2100; Biotechnol. Tech. 10 (1996), 335-338 - cited in Chem. Abstracts 125 : 58008).

The cyanoguanidines of the formula (IX) are known chemicals for synthesis.

20

The formula (III) provides a general definition of the alkoxycarbonyl compounds further to be used as starting materials in the process (a) according to the invention for preparing compounds of the formula (I). In the formula (III), Z preferably or in particular has that meaning which has already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for Z; R' preferably represents alkyl having 1 to 4 carbon atoms, and in particular represents methyl or ethyl.

The starting materials of the formula (III) are known chemicals for synthesis.



The formula (IV) provides a general definition of the substituted aminotriazines to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (IV), R^3 , X and Z each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^3 , X and Z; X^1 preferably represents fluorine, chlorine, bromine or C_1 - C_4 -alkoxy, and in particular represents fluorine, chlorine, methoxy or ethoxy.

10

The starting materials of the general formula (IV) are known and/or can be prepared by processes known per se (cf. EP 300313).

The formula (V) provides a general definition of the nitrogen compounds further to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (V), R^1 and R^2 each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^1 and R^2 .

20

The starting materials of the general formula (V) are known chemicals for synthesis.

The formula (VI) provides a general definition of the substituted aminotriazines to be used as starting materials in the process (c) according to the invention for preparing compounds of the formula (I). In the formula (VI), R^1 , R^2 and Z preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^1 , R^2 and Z; X^2 preferably represents fluorine, chlorine, bromine or C_1 - C_4 -alkoxy, and in particular represents fluorine, chlorine, methoxy or ethoxy.

30



The starting materials of the general formula (VI) are known and/or can be prepared by processes known per se (cf. WO 95/11237).

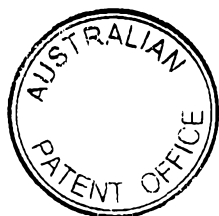
- 5 The formula (VII) provides a general definition of the amino compounds further to be used as starting materials in the process (c) according to the invention. In the formula (VII), R^3 and X each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (IV) according to the invention, as being preferred or as being
10 particularly preferred for R^3 and X.

The starting materials of the general formula (VII) are known and/or can be prepared by processes known per se (cf. DE 2442845; DE 4038356; EP 490175; US 5300437; J. Am. Chem. Soc. 105 (1983), 1578-1584; Tetrahedron: Asymmetry 4 (1993), 2095-
15 2100; Biotechnol. Tech. 10 (1996), 335-338 - cited in Chem. Abstracts 125: 58008).

- The formula (Ia) provides a general definition of the 2,4-diamino-1,3,5-triazines to be used as starting materials in the process (d) according to the invention for preparing compounds of the formula (I). In the formula (Ia), R^1 , R^3 , X and Z each preferably or
20 in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R^1 , R^3 , X and Z.

- As novel compounds, the starting materials of the general formula (Ia) also form part
25 of the subject-matter of the present application; they can be prepared by the processes (a), (b) or (c) according to the invention.

- The formula (VIII) provides a general definition of the alkylating, acylating or sulphonylating agents further to be used as starting materials in the process (d)
30 according to the invention for preparing compounds of the formula (I). In the formula (VIII), R^2 preferably or in particular has that meaning which has already been



mentioned above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred or as being particularly preferred for R²; Y preferably represents fluorine, chlorine, bromine, iodine, methoxy, ethoxy, methoxysulphonyloxy, ethoxysulphonyloxy, acetyloxy or propionyloxy.

The starting materials of the general formula (VIII) are known chemicals for synthesis.

If appropriate, the processes according to the invention for preparing the compounds of the formula (I) are carried out using a reaction auxiliary. Suitable reaction auxiliaries for the processes (a), (b), (c) and (d) are the customary inorganic or organic bases or acid acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide or potassium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide; furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylamine, N,N-dimethyl-cyclohexylamine, dicyclohexylamine, ethyl-dicyclohexylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-ethyl-, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2-methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo[2,2,2]-octane (DABCO), 1,5-diazabicyclo[4,3,0]-non-5-ene (DBN), or 1,8-diazabicyclo[5,4,0]-undec-7-ene (DBU).

30

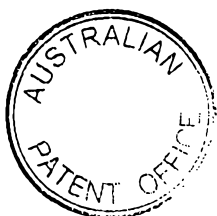


Suitable diluents for carrying out the processes (a), (b), (c) and (d) according to the invention are, if appropriate, inert organic solvents. These include in particular aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzine, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, 5 petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl or diethyl ether; ketones, such as methyl isopropyl ketone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-form- 10 anilide, N-methyl-pyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate, ethyl acetate, n- or -i- propyl acetate, n-, i- or s-butyl acetate; sulphoxides, such as dimethyl sulphoxide; alcohols, such as methanol, ethanol, n- or i-propanol, n- i-, s- or t-butanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl 15 ether, mixtures thereof with water or pure water.

In the practice of the processes (a), (b), (c) and (d) according to the invention, the reaction temperatures can be varied over a relatively wide range. Generally, the reaction is carried out at temperatures between 0°C and 300°C, preferably between 10°C and 20 250°C.

The processes (a), (b), (c) and (d) according to the invention are generally carried out at atmospheric pressure. However, it is also possible to carry out the processes according to the invention under elevated or reduced pressure - generally between 0.1 bar and 25 10 bar.

In the practice of the processes according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components. The reaction is generally 30 carried out in a suitable diluent in the presence of a reaction auxiliary, and the reaction



mixture is generally stirred for several hours at the temperature required. Work-up is carried out by conventional methods (cf. the Preparation Examples).

The active compounds according to the invention can be used as defoliants, desiccants, 5 haulm killers and, especially, as weed-killers. By weeds in the broadest sense, there are to be understood all plants which grow in locations where they are undesirable. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

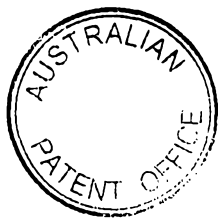
10 The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, 15 Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus and Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, 20 Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis and Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, 25 Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera and Phalaris.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, 30 Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.



However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

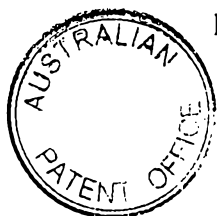
5 The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and railway tracks, and on paths and squares with or without tree plantings. Equally, the compounds can be employed for controlling weeds in perennial cultures, for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea
10 plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, on lawns, turf and pasture land, and for the selective control of weeds in annual cultures.

The compounds of the formula (I) according to the invention are suitable in particular for selectively controlling monocotyledonous and dicotyledonous weeds in
15 monocotyledonous and dikotyledonous crops, both pre-emergence and post-emergence.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials
20 impregnated with active compound, and very fine capsules in polymeric substances.

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersing agents and/or foam-forming
25 agents.

If the extender used is water, it is also possible to employ for example organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic
30 hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions,



mineral and vegetable oils, alcohols, such as butanol or glycol and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

5

Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed and fractionated

- 10 natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifiers and/or foam-forming agents are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example
- 15 alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates and also protein hydrolysates; suitable dispersing agents are: for example lignin-sulphite waste liquors and methylcellulose.

- 20 Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids, can be used in the formulations. Other possible additives are mineral and vegetable oils.

- 25 It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

- 30 The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.



For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

5

Possible components for the mixtures are known herbicides, for example

acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxydim(-sodium), ametryne,
amidochlor, amidosulfuron, asulam, atrazine, azimsulfuron, benazolin, benfuresate,
10 bensulfuron(-methyl), bentazon, benzofenap, benzoylprop(-ethyl), bialaphos, bifenox,
bromobutide, bromofenoxim, bromoxynil, butachlor, butylate, cafenstrole,
carbetamide, chlomethoxyfen, chloramben, chloridazon, chlorimuron(-ethyl),
chlornitrofen, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim,
clodinafop(-propargyl), clomazone, clopyralid, clopyrasulfuron, cloransulam(-methyl),
15 cumyluron, cyanazine, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-
D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), difenzoquat,
diflufenican, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid,
dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, EPTC, esprocarb,
ethalfluralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, etobenzanid,
20 fenoxaprop-ethyl, flamprop(-isopropyl), flamprop(-isopropyl-L), flamprop(-methyl),
flazasulfuron, fluazifop(-butyl), flumetsulam, flumiclorac(-pentyl), flumioxazin,
flumipropyn, fluometuron, fluorochloridone, fluoroglycofen(-ethyl), flupoxam,
flupropacil, flurenol, fluridone, fluroxypyr, flurprimidol, flurtamone, fomesafen,
glufosinate(-ammonium), glyphosate(-isopropylammonium), halosafen,
25 haloxyfop(-ethoxyethyl), hexazinone, imazamethabenz(-methyl), imazamethapyr,
imazamox, imazapyr, imazaquin, imazethapyr, imazosulfuron, ioxynil, isopropalin,
isoproturon, isoxaben, isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA,
MCPP, mefenacet, metamitron, metazachlor, methabenzthiazuron, metobenzuron,
metobromuron, metolachlor, metosulam, metoxuron, metribuzin, metsulfuron-
30 (-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron,
norflurazon, orbencarb, oryzalin, oxadiazon, oxyfluorfen, paraquat, pendimethalin,



phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), prometryn, propachlor, propanil, propaquizafop, propyzamide, prosulfocarb, prosulfuron, pyrazolate, pyrazosulfuron(-ethyl), pyrazoxyfen, pyributicarb, pyridate, pyriithiobac(-sodium) quinchlorac, quinmerac, quizalofop(-ethyl), quizalofop(-p-
5 tefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione, sulfentrazone, sulfometuron(-methyl), sulfosate, tebutam, tebuthiuron, terbuthylazine, terbutryn, thenylchlor, thiafluamide, thiazopyr, thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate, triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusulfuron.

10

Mixtures with other known active compounds, such as fungicides, insecticides, acaricides, nematocides, bird repellents, plant nutrients and agents which improve soil structure, are also possible.

15 The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

20 The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

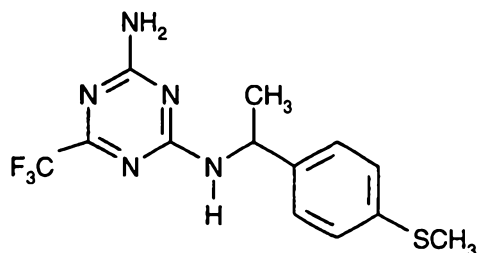
The amount of active compound used can vary within a substantial range. It depends essentially on the nature of the desired effect. In general, the amounts used are between
25 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

The preparation and use of the active compounds according to the invention can be seen from the Examples below.



Preparation Examples:

Example 1



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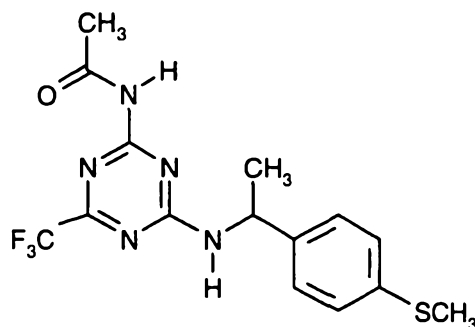
(Process (a))

At 20°C to 35°C, a solution of 4.6 g (85 mmol) of sodium methoxide in 15 ml of methanol is added dropwise with stirring to a mixture of 20.4 g (80 mmol) of 1-[1-(4-methylthio-phenyl)-ethyl]-biguanide (racemic), 13 g (80 mmol) of ethyl trifluoroacetate and 100 ml of methanol, and the reaction mixture is then stirred at room temperature (approximately 20°C) for another 15 hours. The mixture is then shaken with methylene chloride and water and the organic phase is separated off, washed with 1% strength aqueous sodium hydroxide solution, dried with sodium sulphate and filtered. The filtrate is carefully concentrated under water pump vacuum, the residue is crystallized by digestion with ligroin and the product is isolated by filtration with suction.

This gives 12.4 g (47% of theory) of 2-amino-4-trifluoromethyl-6-[1-(4-methylthio-phenyl)-ethylamino]-1,3,5-triazine (racemate) of melting point 111°C.



Example 2



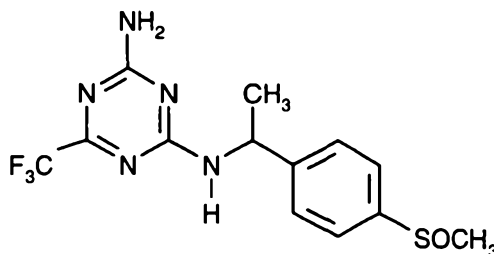
5 (Process (d))

A mixture of 16.5 g (50 mmol) of 2-amino-4-trifluoromethyl-6-[1-(4-methylthio-phenyl)-ethylamino]-1,3,5-triazine (racemic) and 60 ml of acetic anhydride is stirred at 130°C for 3 hours, cooled to room temperature (approximately 20°C) and then
10 admixed with 200 ml of water and stirred at room temperature for a further hour. The resulting crystalline product is then isolated by filtration with suction.

This gives 13.3 g (72% of theory) of 2-acetylamino-4-trifluoromethyl-6-[1-(4-methylthio-phenyl)-ethylamino]-1,3,5-triazine (racemate) of melting point 132°C.

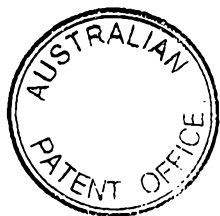
15

Example 3



20 (Subsequent reaction)

Over a period of 30 minutes, 5 g of 3-chloro-perbenzoic acid (about 60% strength) are added with stirring to a mixture of 6.6 g (20 mmol) of 2-amino-4-trifluoromethyl-6-



[1-(4-methylthio-phenyl)-ethylamino]-1,3,5-triazine (racemic) and 80 ml of dichloromethane and the reaction mixture is then stirred at room temperature (approximately 20°C) for another hour. The mixture is subsequently washed with water and then with 5% strength aqueous ammonia solution, dried with sodium sulphate and filtered. The solvent is carefully distilled off from the filtrate under water pump vacuum.

This gives 4.4 g (64% of theory) of 2-amino-4-trifluoromethyl-6-[1-(4-methylsulphinyl-phenyl)-ethylamino]-1,3,5-triazine (racemate) as a solid product of melting point 220°C (decomposition).

By the methods of Preparation Examples 1 to 3, and in accordance with the general description of the preparation processes according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.



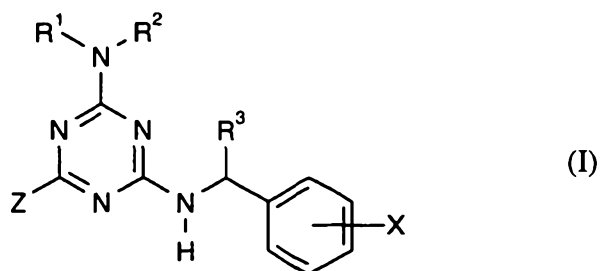


Table 1: Examples of compounds of the formula (I)

5

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
4	H	H	CH ₃	(4-) Cl	Cl	m.p.: 133°C (R enantiomer)
5	H	H	CH ₃	(4-) Cl	Cl	m.p.: 133°C (S enantiomer)
6	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (R enantiomer)
7	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (S enantiomer)
8	H	H	CH ₃	(4-) Cl	Cl	m.p.: 193°C (racemate)
9	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (racemate)
10	H	H	CH ₃	(4-) Cl	SCH ₃	(amorphous) (R enantiomer)
11	H	H	CH ₃	(4-) Cl	SCH ₃	(amorphous) (S enantiomer)
12	H	H	CH ₃	(4-) Cl	SCH ₃	(amorphous) (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
13	H	H	CH ₃	(4-) Cl	CHFCH ₃	(amorphous) (S enantiomer) [α] _D ²⁰ = -133.54
14	H	H	CH ₃	(4-) Cl	CHFCH ₃	(amorphous) (R enantiomer) [α] _D ²⁰ = + 129.84
15	H	H	CH ₃	(4-) Cl	CF ₃	m.p.: 119°C (S enantiomer) [α] _D ²⁰ = -175.39
16	H	H	CH ₃	(4-) Cl	CF(CH ₃) ₂	(amorphous) (S enantiomer) [α] _D ²⁰ = -100.61
17	H	H	CH ₃	(4-) Cl	CF ₂ CF ₃	(amorphous) (S enantiomer) [α] _D ²⁰ = -121.76
18	H	H	CH ₃	(4-) CH ₃	CHFCH ₃	m.p.: 116°C (S enantiomer) [α] _D ²⁰ = -156.85
19	H	H	CH ₃	(4-) CH ₃	CF(CH ₃) ₂	(amorphous) (S enantiomer) [α] _D ²⁰ = -117.31

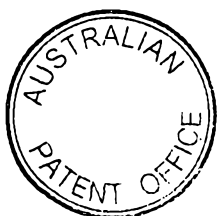


Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
20	H	H	CH ₃	(4-) CH ₃	CF ₃	m.p.: 140°C (S enantiomer) [α] _D ²⁰ = -193.46
21	H	H	CH ₃	(4-) Cl	CF ₃	m.p.: 119°C (R enantiomer) [α] _D ²⁰ = + 169.03
22	H	H	CH ₃	(4-) Cl	CF(CH ₃) ₂	(amorphous) (R enantiomer) [α] _D ²⁰ = +107.30
23	H	H	CH ₃	(4-) Cl	CF ₂ CF ₃	(amorphous) (R enantiomer) [α] _D ²⁰ = +18.77
24	H	H	CH ₃	(4-) SO ₂ CH ₃	CF ₃	m.p.: 230°C (racemate)
25	H	COCH ₃	CH ₃	(4-) SOCH ₃	CF ₃	m.p.: 162°C (racemate)
26	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CF ₃	m.p.: 235°C (racemate)
27	H	H	CH ₃	(4-) SCH ₃	CH ₃	(amorphous) (racemate)
28	H	H	CH ₃	(4-) SOCH ₃	CH ₃	(amorphous) (racemate)
29	H	H	CH ₃	(4-) SO ₂ CH ₃	CH ₃	(amorphous) (racemate)

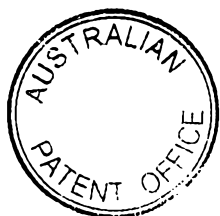


Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
30	H	COCH ₃	CH ₃	(4-) SCH ₃	CH ₃	m.p.: 170°C (racemate)
31	H	COCH ₃	CH ₃	(4-) SOCH ₃	CH ₃	m.p.: 193°C (racemate)
32	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CH ₃	m.p.: 205°C (racemate)
33	H	H	CH ₃	(4-) SCH ₃	CHFCH ₃	(amorphous) (racemate)
34	H	H	CH ₃	(4-) SOCH ₃	CHFCH ₃	(amorphous) (racemate)
35	H	H	CH ₃	(4-) SO ₂ CH ₃	CHFCH ₃	(amorphous) (racemate)
36	H	COCH ₃	CH ₃	(4-) SCH ₃	CHFCH ₃	m.p.: 121°C (racemate)
37	H	COCH ₃	CH ₃	(4-) SOCH ₃	CHFCH ₃	m.p.: 193°C (racemate)
38	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CHFCH ₃	m.p.: 212°C (racemate)
39	H	H	CH ₃	(4-) SCH ₃	CF(CH ₃) ₂	m.p.: 80°C (racemate)
40	H	H	CH ₃	(4-) SOCH ₃	CF(CH ₃) ₂	m.p.: 215°C (racemate)
41	H	H	CH ₃	(4-) SO ₂ CH ₃	CF(CH ₃) ₂	m.p.: 170°C (racemate)
42	H	COCH ₃	CH ₃	(4-) SCH ₃	CF(CH ₃) ₂	m.p.: 102°C (racemate)

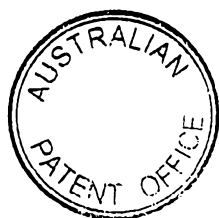


Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
43	H	COCH ₃	CH ₃	(4-) SOCH ₃	CF(CH ₃) ₂	m.p.: 192°C (racemate)
44	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CF(CH ₃) ₂	m.p.: 195°C (racemate)
45	H	H	CH ₃	(3-) Br	CF(CH ₃) ₂	m.p.: 136°C (racemate)
46	H	H	CH ₃	(3-) CF ₃	CF(CH ₃) ₂	m.p.: 137°C (racemate)
47	H	H	CH ₃	(4-) CH ₃	CF(CH ₃) ₂	m.p.: 106°C (racemate)
48	H	H	CH ₃	(3-) CH ₃	CF(CH ₃) ₂	²⁰ n _D = 1.5440 (racemate)
49	H	H	CH ₃	(4-) C(CH ₃) ₃	CF(CH ₃) ₂	m.p.: 160°C (racemate)
50	H	H	CH ₃	(2-) CH ₃	CF(CH ₃) ₂	m.p.: 117°C (racemate)
51	H	H	CH ₃	(4-) SCH ₃	OH	m.p.: >240°C (racemate)
52	H	H	CH ₃	(4-) CH ₃	CF ₃	(R enantiomer)
53	H	COCH ₃	CH ₃	(4-) CH ₃	CF ₃	(R enantiomer)
54	H	COCH ₃	CH ₃	(4-) CH ₃	CF ₃	(S enantiomer)
55	H	H	CH ₃	(4-) CH ₃	CHFCH ₃	(R enantiomer)

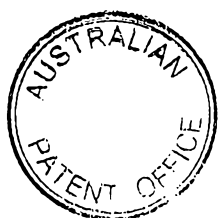


Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
56	H	COCH ₃	CH ₃	(4-) CH ₃	CHFCH ₃	(R enantiomer)
57	H	COCH ₃	CH ₃	(4-) CH ₃	CHFCH ₃	(S enantiomer)
58	H	H	CH ₃	(4-) CH ₃	CF(CH ₃) ₂	(R enantiomer)
59	H	COCH ₃	CH ₃	(4-) CH ₃	CF(CH ₃) ₂	(R enantiomer)
60	H	COCH ₃	CH ₃	(4-) CH ₃	CF(CH ₃) ₂	(S enantiomer)
61	H	H	CH ₃	(4-) F	CF ₃	(racemate)
62	H	H	CH ₃	(4-) F	CF ₃	(R enantiomer)
63	H	H	CH ₃	(4-) F	CF ₃	(S enantiomer)
64	H	COCH ₃	CH ₃	(4-) F	CF ₃	(racemate)
65	H	COCH ₃	CH ₃	(4-) F	CF ₃	(R enantiomer)
66	H	COCH ₃	CH ₃	(4-) F	CF ₃	(S enantiomer)
67	H	H	CH ₃	(4-) F	CF(CH ₃) ₂	(racemate)
68	H	H	CH ₃	(4-) F	CF(CH ₃) ₂	(R enantiomer)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
69	H	H	CH ₃	(4-) F	CF(CH ₃) ₂	(S enantiomer)
70	H	COCH ₃	CH ₃	(4-) F	CF(CH ₃) ₂	(racemate)
71	H	COCH ₃	CH ₃	(4-) F	CF(CH ₃) ₂	(R enantiomer)
72	H	COCH ₃	CH ₃	(4-) F	CF(CH ₃) ₂	(S enantiomer)
73	H	H	CH ₃	(4-) F	CHFCH ₃	(racemate)
74	H	H	CH ₃	(4-) F	CHFCH ₃	(R enantiomer)
75	H	H	CH ₃	(4-) F	CHFCH ₃	(S enantiomer)
76	H	COCH ₃	CH ₃	(4-) F	CHFCH ₃	(racemate)
77	H	COCH ₃	CH ₃	(4-) F	CHFCH ₃	(R enantiomer)
78	H	COCH ₃	CH ₃	(4-) F	CHFCH ₃	(S enantiomer)
79	H	H	CH ₃	(4-) OCH ₃	CF ₃	(amorphous) (racemate)
80	H	H	CH ₃	(4-) OCH ₃	CF ₃	(amorphous) (R enantiomer)
81	H	H	CH ₃	(4-) OCH ₃	CF ₃	m.p.: 111°C (S enantiomer)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
82	H	COCH ₃	CH ₃	(4-) OCH ₃	CF ₃	m.p.: 130°C (racemate)
83	H	COCH ₃	CH ₃	(4-) OCH ₃	CF ₃	m.p.: 105°C (R enantiomer)
84	H	COCH ₃	CH ₃	(4-) OCH ₃	CF ₃	m.p.: 108°C (S enantiomer)
85	H	H	C ₂ H ₅	(4-) Cl	CF ₃	m.p.: 145°C (racemate)
86	H	H	C ₂ H ₅	(4-) Cl	CF ₃	(amorphous) (R enantiomer)
87	H	H	C ₂ H ₅	(4-) Cl	CF ₃	(amorphous) (S enantiomer)
88	H	COCH ₃	C ₂ H ₅	(4-) Cl	CF ₃	m.p.: 128°C (racemate)
89	H	COCH ₃	C ₂ H ₅	(4-) Cl	CF ₃	m.p.: 108°C (R enantiomer)
90	H	COCH ₃	C ₂ H ₅	(4-) Cl	CF ₃	m.p.: 116°C (S enantiomer)
91	H	H	CH ₃	(4-) Cl	C ₂ H ₅	(amorphous) (racemate)
92	H	H	CH ₃	(4-) Cl	C ₃ H ₇ -i	(amorphous) (racemate)
93	H	H	CH ₃	(4-) Cl	CHFCF ₃	(amorphous) (racemate)
94	H	H	CH ₃	(4-) Cl	CHCl ₂	(amorphous) (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
95	H	H	CH ₃	(4-) Cl	CH ₂ Cl	m.p.: 149°C (racemate)
96	H	H	CH ₃	(4-) Cl	CHClCH ₃	(amorphous) (racemate)
97	H	H	CH ₃	(4-) Cl	CCl ₂ CH ₃	(amorphous) (racemate)
98	H	H	CH ₃	(4-) Cl	CH ₂ OCH ₃	m.p.: 149°C (racemate)
99	H	H	CH ₃	(4-) Cl	CF ₃	m.p.: 99°C (racemate)
100	H	H	CH ₃	(4-) SCH ₃	CH ₂ OCH ₃	m.p.: 113°C (racemate)
101	H	H	CH ₃	(4-) SOCH ₃	CH ₂ OCH ₃	(amorphous) (racemate)
102	H	H	CH ₃	(4-) SO ₂ CH ₃	CH ₂ OCH ₃	m.p.: 177°C (racemate)
103	H	COCH ₃	CH ₃	(4-) SCH ₃	CH ₂ OCH ₃	m.p.: 141°C (racemate)
104	H	COCH ₃	CH ₃	(4-) SOCH ₃	CH ₂ OCH ₃	m.p.: 182°C (racemate)
105	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CH ₂ OCH ₃	m.p.: 185°C (racemate)
106	H	H	CH ₃	(4-) SOCH ₃	OH	m.p.: >250°C (racemate)
107	H	H	CH ₃	(4-) SO ₂ CH ₃	OH	m.p.: >250°C (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
108	H	H	CH ₃	(4-) SCH ₃	CHCl ₂	m.p.: 125°C (racemate)
109	H	H	CH ₃	(4-) SOCH ₃	CHCl ₂	m.p.: 161°C (racemate)
110	H	H	CH ₃	(4-) SO ₂ CH ₃	CHCl ₂	(amorphous) (racemate)
111	H	COCH ₃	CH ₃	(4-) SCH ₃	CHCl ₂	m.p.: 134°C (racemate)
112	H	COCH ₃	CH ₃	(4-) SOCH ₃	CHCl ₂	m.p.: 187°C (racemate)
113	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CHCl ₂	m.p.: 221°C (racemate)
114	H	H	CH ₃	(4-) SCH ₃	CH ₂ Cl	m.p.: 109°C (racemate)
115	H	H	CH ₃	(4-) SOCH ₃	CH ₂ Cl	(amorphous) (racemate)
116	H	H	CH ₃	(4-) SO ₂ CH ₃	CH ₂ Cl	(amorphous) (racemate)
117	H	COCH ₃	CH ₃	(4-) SCH ₃	CH ₂ Cl	m.p.: 139°C (racemate)
118	H	COCH ₃	CH ₃	(4-) SOCH ₃	CH ₂ Cl	m.p.: 151°C (racemate)
119	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CH ₂ Cl	m.p.: 172°C (racemate)
120	H	H	CH ₃	(4-) SCH ₃	CHClCH ₃	m.p.: 103°C (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
121	H	H	CH ₃	(4-) SOCH ₃	CHClCH ₃	m.p.: 214°C (racemate)
122	H	H	CH ₃	(4-) SO ₂ CH ₃	CHClCH ₃	m.p.: 145°C (racemate)
123	H	COCH ₃	CH ₃	(4-) SCH ₃	CHClCH ₃	m.p.: 111°C (racemate)
124	H	COCH ₃	CH ₃	(4-) SOCH ₃	CHClCH ₃	m.p.: 155°C (racemate)
125	H	COCH ₃	CH ₃	(4-) SO ₂ CH ₃	CHClCH ₃	m.p.: 179°C (racemate)
126	H	H	CH ₃	(4-) SCH ₃	CCl ₂ CH ₃	m.p.: 133°C (racemate)
127	H	H	CH ₃	(4-) SOCH ₃	CCl ₂ CH ₃	(amorphous) (racemate)
128	H	H	CH ₃	(4-) SOCH ₃	CCl ₂ CH ₃	(amorphous) (racemate)
129	H	COCH ₃	CH ₃	(4-) SCH ₃	CCl ₂ CH ₃	m.p.: 114°C (racemate)
130	H	COCH ₃	CH ₃	(4-) SOCH ₃	CCl ₂ CH ₃	m.p.: 255°C (racemate)
131	H	COCH ₃	CH ₃	(4-) SOCH ₃	CCl ₂ CH ₃	m.p.: 185°C (racemate)
132	H	H	CH ₃	(4-) SCH ₃	C ₃ F ₇ -n	(amorphous) (racemate)
133	H	H	CH ₃	(4-) SOCH ₃	C ₃ F ₇ -n	m.p.: 153°C (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
134	H	H	CH ₃	(4-) SOCH ₃	C ₃ F ₇ -n	(amorphous) (racemate)
135	H	COCH ₃	CH ₃	(4-) SCH ₃	C ₃ F ₇ -n	m.p.: 124°C (racemate)
136	H	COCH ₃	CH ₃	(4-) SOCH ₃	C ₃ F ₇ -n	m.p.: 185°C (racemate)
137	H	COCH ₃	CH ₃	(4-) SOCH ₃	C ₃ F ₇ -n	m.p.: 210°C (racemate)
138	H	H	CH ₃	(4-) Cl	C ₂ F ₅	m.p.: 130°C (racemate)
139	H	H	CH ₃	(4-) Cl	OH	m.p. >260°C (racemate)
140	H	COCH ₃	CH ₃	(4-) Cl	C ₂ F ₅	m.p.: 163°C (racemate)
141	H	COCH ₃	CH ₃	(4-) Cl	C ₂ H ₅	m.p.: 185°C (racemate)
142	H	COCH ₃	CH ₃	(4-) Cl	CHFClF ₃	m.p.: 165°C (racemate)
143	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ OCH ₃	m.p.: 187°C (racemate)
144	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ Cl	m.p.: 153°C (racemate)
145	H	COCH ₃	CH ₃	(4-) Cl	CHCl ₂	m.p.: 132°C (racemate)
146	H	COCH ₃	CH ₃	(4-) Cl	CHClCH ₃	m.p.: 126°C (racemate)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
147	H	COCH ₃	CH ₃	(4-) Cl	CCl ₂ CH ₃	m.p.: 159°C (racemate)
148	H	COCH ₃	CH ₃	(4-) Cl	OH	m.p. >240°C (racemate)
149	H	H	CH ₃	(4-) Cl	CHCl ₂	m.p.: 152°C (R enantiomer)
150	H	COCH ₃	CH ₃	(4-) Cl	CHCl ₂	m.p.: 126°C (R enantiomer)
151	H	H	CH ₃	(4-) Cl	CH ₂ Cl	(amorphous) (R enantiomer)
152	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ Cl	m.p.: 153°C (R enantiomer)
153	H	H	CH ₃	(4-) Cl	C ₂ H ₅	(amorphous) (R enantiomer)
154	H	COCH ₃	CH ₃	(4-) Cl	C ₂ H ₅	m.p.: 155°C (R enantiomer)
155	H	H	CH ₃	(4-) Cl	CHFClF ₃	(amorphous) (R enantiomer)
156	H	COCH ₃	CH ₃	(4-) Cl	CHFClF ₃	m.p.: 154°C (R enantiomer)
157	H	H	CH ₃	(4-) Cl	CHClCH ₃	(amorphous) (R enantiomer)
158	H	COCH ₃	CH ₃	(4-) Cl	CHClCH ₃	m.p.: 119°C (R enantiomer)
159	H	H	CH ₃	(4-) Cl	CCl ₂ CH ₃	(amorphous) (R enantiomer)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
160	H	COCH ₃	CH ₃	(4-) Cl	CCl ₂ CH ₃	m.p.: 118°C (R enantiomer)
161	H	H	CH ₃	(4-) Cl	CH ₂ OCH ₃	(amorphous) (R enantiomer)
162	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ OCH ₃	m.p.: 132°C (R enantiomer)
163	H	COCH ₃	CH ₃	(4-) Cl	C ₂ F ₅	m.p.: 110°C (R enantiomer)
164	H	H	CH ₃	(4-) Cl	OH	m.p. >240°C (R enantiomer)
165	H	COCH ₃	CH ₃	(4-) Cl	OH	m.p. >240°C (R enantiomer)
166	H	H	CH ₃	(4-) Cl	C ₂ H ₅	(amorphous) (S enantiomer)
167	H	H	CH ₃	(4-) Cl	CHFCH ₃	(amorphous) (S enantiomer)
168	H	H	CH ₃	(4-) Cl	CHCl ₂	m.p.: 133°C (S enantiomer)
169	H	H	CH ₃	(4-) Cl	CH ₂ Cl	m.p.: 138°C (S enantiomer)
170	H	H	CH ₃	(4-) Cl	CH ₂ OCH ₃	(amorphous) (S enantiomer)
171	H	H	CH ₃	(4-) Cl	CHClCH ₃	(amorphous) (S enantiomer)
172	H	H	CH ₃	(4-) Cl	OH	m.p. >240°C (S enantiomer)



Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
173	H	COCH ₃	CH ₃	(4-) Cl	C ₂ H ₅	m.p.: 182°C (S enantiomer)
174	H	COCH ₃	CH ₃	(4-) Cl	CHF CF ₃	m.p.: 175°C (S enantiomer)
175	H	COCH ₃	CH ₃	(4-) Cl	CHCl ₂	m.p.: 131°C (S enantiomer)
176	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ Cl	m.p.: 126°C (S enantiomer)
177	H	COCH ₃	CH ₃	(4-) Cl	CHClCH ₃	m.p.: 110°C (S enantiomer)
178	H	COCH ₃	CH ₃	(4-) Cl	CH ₂ OCH ₃	m.p.: 155°C (S enantiomer)
179	H	H	CH ₃	(4-) Cl	H	m.p.: 178°C (racemate)
180	H	H	CH ₃	(4-) Cl	CH ₃	(amorphous) (racemate)
181	H	H	CH ₃	(4-) Cl	CH=CH ₂	(amorphous) (racemate)
182	H	H	CH ₃	(4-) Cl	C ₃ H _{7-n}	(amorphous) (racemate)
183	H	COCH ₃	CH ₃	(4-) Cl	H	m.p.: 198°C (racemate)
184	H	COCH ₃	CH ₃	(4-) Cl	CH ₃	m.p.: 155°C (racemate)
185	H	COCH ₃	CH ₃	(4-) Cl	CH=CH ₂	m.p.: 142°C (racemate)

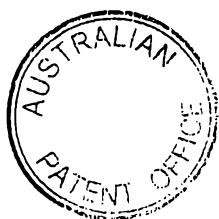


Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
186	H	COCH ₃	CH ₃	(4-) Cl	C ₃ H ₇ -n	m.p.: 153°C (racemate)
187	H	COCH ₃	CH ₃	(4-) Cl	C ₃ H ₇ -i	m.p.: 136°C (racemate)
188	H	H	CH ₃	(4-) Cl	C ₅ H ₁₁ -n	(amorphous) (racemate)
189	H	COCH ₃	CH ₃	(4-) Cl	C ₅ H ₁₁ -n	m.p.: 122°C (racemate)
190	H	H	CH ₃	(4-) OCH ₃	CHFCH ₃	(amorphous) (racemate)
191	H	COCH ₃	CH ₃	(4-) Cl	CF ₃	m.p.: 139°C (racemate)
192	H	COCH ₃	CH ₃	(4-) Cl	CH ₃	m.p.: 144°C (R enantiomer)
193	H	H	CH ₃	(4-) Cl	CHBrCH ₃	(amorphous) (R enantiomer)
194	H	H	CH ₃	(4-) Cl	CH(CH ₃) ₂	(amorphous) (R enantiomer)
195	H	H	CH ₃	(4-) Cl	CH ₃	(amorphous) (R enantiomer)
196	H	H	CH ₃	(4-) Cl	H	(amorphous) (R enantiomer)
197	H	COCH ₃	CH ₃	(4-) Cl	CH(CH ₃) ₂	(amorphous) (R enantiomer)
198	H	COCH ₃	CH ₃	(4-) Cl	CHBrCH ₃	(amorphous) (R enantiomer)



Table 1 (continued)



Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
199	H	H	CH ₃	(4-) C ₆ H ₅	CF ₃	m.p.: 156°C (racemate)
200	H	H	CH ₃	(4-) OC ₆ H ₅	CF ₃	m.p.: 62°C (racemate)
201	H	H	C ₂ H ₅	(4-)Cl	CHFCH ₃	(amorphous) (racemate)
202	H	H	C ₂ H ₅	(4-)Cl	CF(CH ₃) ₂	(amorphous) (racemate)
203	H	H	C ₂ H ₅	(4-)Cl	H	m.p.: 100°C (D.) (racemate)
204	H	H	C ₂ H ₅	(4-)Cl	C ₂ H ₅	(amorphous) (racemate)
205	H	H	C ₂ H ₅	(4-)Cl	CHClCH ₃	(amorphous) (racemate)
206	H	COCH ₃	C ₂ H ₅	(4-)Cl	CHFCH ₃	(amorphous) (racemate)
207	H	COCH ₃	C ₂ H ₅	(4-)Cl	CF(CH ₃) ₂	(amorphous) (racemate)
208	H	COCH ₃	C ₂ H ₅	(4-)Cl	H	m.p.: 179°C (racemate)
209	H	H	CH ₃	(4-)Cl	CH ₂ CH ₂ - OCH(CH ₃) ₂	(amorphous) (racemate)
210	H	H	CH ₃	(4-)Cl	CH ₂ - CH(OCH ₃) ₂	(amorphous) (racemate)
211	H	H	CH ₃	(4-)Cl		(amorphous) (racemate)



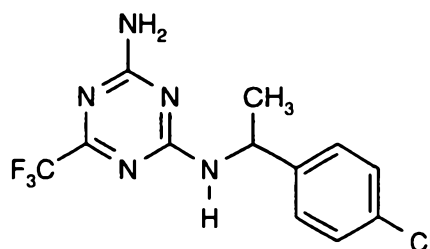
Table 1 (continued)

Ex. No.	R ¹	R ²	R ³	(Position-) X	Z	Physical data and stereochemical specifications
212	H	H	CH ₃	(4-)Cl	C ₉ H ₁₉	(amorphous) (racemate)
213	H	H	CH ₃	(4-)Cl	CHBrCH ₃	(amorphous) (racemate)
214	H	H	CH ₃	(4-)Cl	CH ₂ SCH ₃	(amorphous) (racemate)
215	H	H	CH ₃	(4-)Cl	CH ₂ $\overset{\text{O}}{\underset{\text{O}}{\parallel}}\text{SCH}_3$	m.p.: 182°C (racemate)
216	H	H	CH ₃	(4-)Cl	CH ₂ SO ₂ CH ₃	m.p.: 137°C (racemate)
217	H	H	CH ₃	(4-)Cl	CHCH ₃ OH	(amorphous) (racemate)
218	H	H	CH ₃	(4-)Cl	CHCH ₃ OH	(amorphous) (S enantiomer)
219	H	COCH ₃	CH ₃	(4-)Cl		m.p.: 186°C (racemate)
220	H	COCH ₃	CH ₃	(4-)Cl	CH ₂ SCH ₃	m.p.: 148°C (racemate)
221	H	COCH ₃	CH ₃	(4-)Cl	C ₉ H ₁₉	m.p.: 103°C (racemate)
222	H	COCH ₃	CH ₃	(4-)Cl	CHBrCH ₃	m.p.: 118°C (racemate)

"D." = Decomposition

It is also possible to prepare the compound listed in Table 1 as Example 99 for example in the following manner:





(Process (c))

5

A mixture of 2.0 g (12 mmol) of 1-(4-chloro-phenyl)-ethylamine (racemic) and 1.0 g (5.2 mmol) of 2-amino-4-methoxy-6-trifluoromethyl-1,3,5-triazine is heated under argon at 170°C for 3 hours. After cooling, the mixture is taken up in methylene chloride and acidified with 1N hydrochloric acid. The organic phase is separated off, washed with water, dried with sodium sulphate and filtered. The filtrate is concentrated under water pump vacuum and the residue is purified by column chromatography (silica gel, ethyl acetate).

10

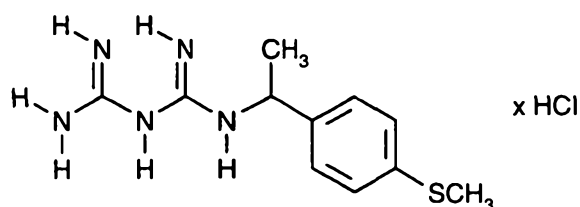
15

This gives 1.29 g (79% of theory) of 2-amino-4-(1-(4-chloro-phenyl)-ethylamino)-6-trifluoromethyl-1,3,5-triazine (racemate) of melting point 99°C.

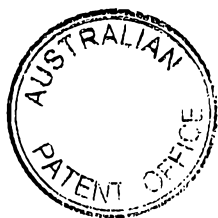
Starting materials of the formula (II):

Example (II-1)

20



A mixture of 17 g (84 mmol) of 1-(4-methylthio-phenyl)-ethylamine hydrochloride (racemic), 8 g (95 mmol) of dicyandiamide (cyanoguanidine) and 100 ml of

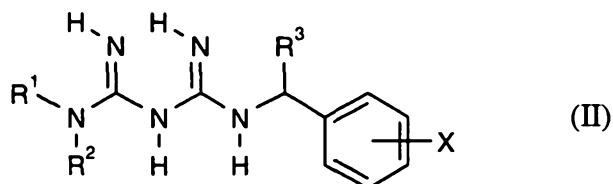


1,2-dichlorobenzene is stirred at 160°C for 4 hours. After cooling, the resulting crystalline product is isolated by filtration with suction.

5 This gives 23 g (95% of theory) of 1-[1-(4-methylthio-phenyl)-ethyl]-biguanide hydrochloride (racemate) of melting point 220°C (decomposition).

It is also possible to carry out the reaction at approximately the same temperature (140°C to 160°C) without solvent - i.e. in the melt.

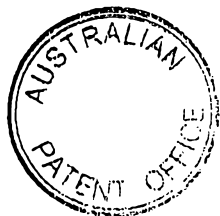
10 By the method of Example (II-1), it also possible to prepare, for example, the compounds of the formula (II) and their hydrochlorides listed in Table 2 below.



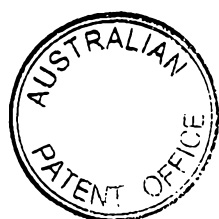
15 Table 2: Examples of compounds of the formula (II)

- in all cases, these are the corresponding hydrochlorides!

Ex. No.	R ¹	R ²	R ³	(Position-) X	Physical data and stereochemical specifications
II-2	H	H	CH ₃	(4-) Cl	(racemate)
II-3	H	H	CH ₃	(4-) Cl	(R enantiomer)
II-4	H	H	CH ₃	(4-) Cl	(S enantiomer)
II-5	H	H	CH ₃	(4-) F	(racemate)
II-6	H	H	CH ₃	(4-) OCH ₃	(racemate)



II-7	H	H	CH ₃	(4-) CH ₃	(racemate)
II-8	H	H	CH ₃	(4-) CF ₃	(racemate)
II-9	H	H	C ₂ H ₅	(4-) Cl	(racemate)
II-10	H	SO ₂ CH ₃	CH ₃	(4-) Cl	m.p.: 212°C (racemate)
II-11	H	H	C ₂ H ₅	(4-) Br	(racemate)
II-12	H	H	C ₂ H ₅	(4-) F	(racemate)
II-13	H	H	C ₂ H ₅	(4-) CH ₃	(racemate)
II-14	H	H	C ₂ H ₅	(4-) CF ₃	(racemate)
II-15	H	H	C ₂ H ₅	(4-) OCH ₃	(racemate)



Use Examples:

Example A

5 Pre-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is watered with the preparation of active compound. The amount of water per unit area is advantageously kept constant. The concentration of active compound in the preparation is immaterial, only the application rate of active compound per unit area matters.

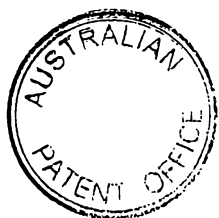
20 After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the development of the untreated control.

The figures denote:

25 0 % = no effect (like untreated control)
 100 % = total destruction

30 In this test, the compounds of Preparation Examples 13, 14, 16, 22, 142, 149, 155, 156, 157, 159 and 160, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize, wheat barley and cotton (cf. Table A).

"ai" = "active ingredient".



Example B

Post-emergence test

Solvent: 5 parts by weight of acetone

5 Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Test plants which have a height of 5-15 cm are sprayed with the preparation of active compound such that the particular amounts of active compounds desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is scored visually in % damage in comparison to the untreated control.

20 The figures denote:

0 % = no effect (like untreated control)

100 % = total destruction

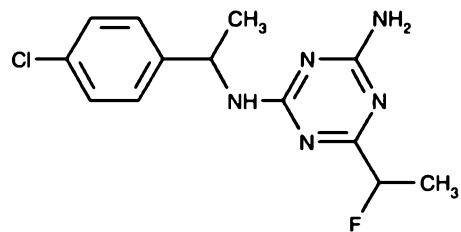
25 In this test, the compounds of Preparation Examples 4, 5, 8, 13, 14, 15, 16, 21, 22, 79, 82, 84, 85, 86, 87, 90, 91, 93, 94, 96, 97, 98, 103, 104, 108, 112, 121, 126, 138, 145, 146, 153, 155, 159, 166, 167, 168, 170, 171, 173, 175, 177, 178, 190 and 191, for example, show strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, wheat and maize (cf. Table B).





Table A: Pre-emergence test/greenhouse

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Alope- curus	Digi- taria	Echino- chloa	Abu- tilon	Datura	Matri- caria
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(14)

500

0

100

100

100

100

100

100



Table A: (continued)

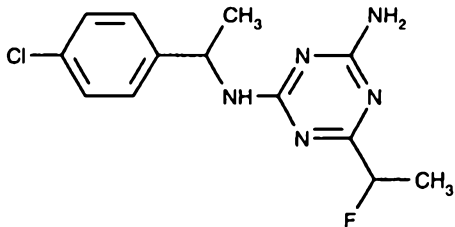
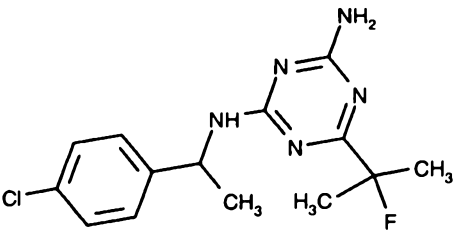
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Abutilon	Amaran- thus	Sinapis
 (13)	1000	0	100	100	100
 (16)	1000	0	80	90	100



Table A: (continued)

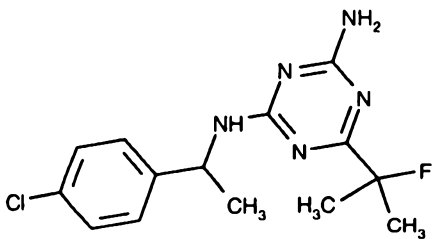
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Alope- curus	Setaria	Abutilon	Amaran- thus	Galium	Sinapis
<div></div> (22)	1000	20	100	100	100	100	100	100



Table A: (continued)

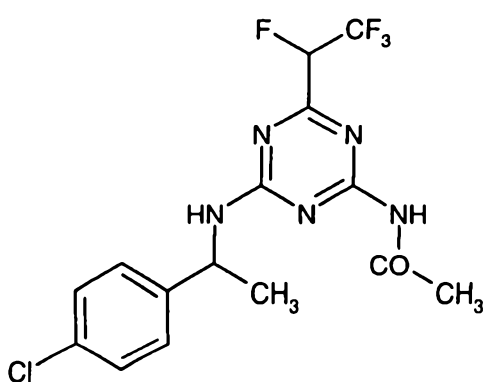
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Cotton	Digi- taria	Echino- chloa	Amaran- thus	Poly- gonum	Vero- nica
<div> (142)</div>	500	0	0	80	95	100	100	100



Table A: (continued)

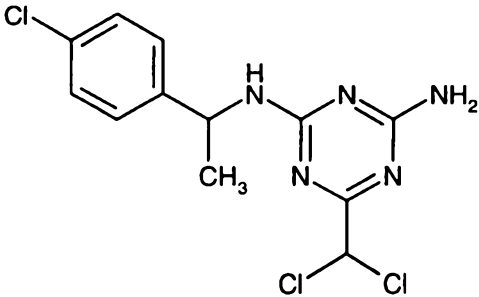
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Cotton	Digi- taria	Echino- chloa	Poly- gonum	Sola- num	Vero- nica
<div> <chem>Nc1nc(NC(C)c2ccc(Cl)cc2)n(C3=CC=CC=C3Cl)nc1</chem></div> (149)	500	0	0	95	100	100	100	100



Table A: (continued)

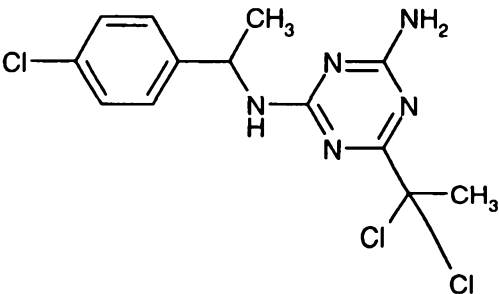
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Cotton	Digi- taria	Echino- chloa	Amaran- thus	Sola- num	Vero- nica
<div></div> (159)	1000	0	0	100	95	100	100	100



Table A: (continued)

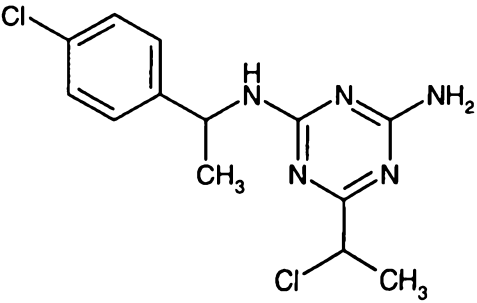
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Cotton	Echino- chloa	Setaria	Poly- gonum	Sola- num	Vero- nica
<div></div> <div>(157)</div>	500	0	0	100	95	100	100	100



Table A: (continued)

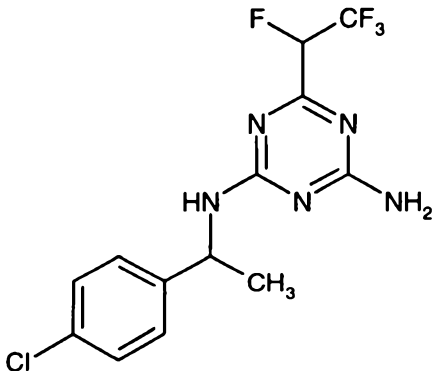
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Digi- taria	Echino- chloa	Se- taria	Amaran- thus	Poly- gonum	Sola- num	Vero- nica
<div></div> (155)	1000	0	100	100	100	100	100	100	100



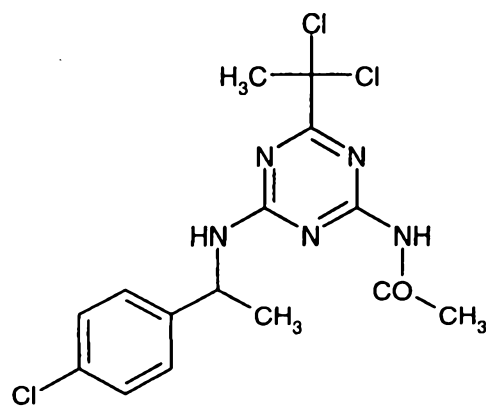
Table A: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Digi- taria	Echino- chloa	Se- taria	Amaran- thus	Poly- gonum	Sola- num	Vero- nica
<div><p>The chemical structure of compound (156) is a 1,3,5-triazine derivative. It features a 4-chlorophenyl group attached to the 2-position of the triazine ring via a methylene bridge. The 4-position of the triazine ring is substituted with a trifluoromethyl group (-CF₃). The 6-position of the triazine ring is substituted with a methyl ester group (-COCH₃). The 5-position of the triazine ring is substituted with a methyl group (-CH₃).</p></div>	1000	0	100	100	100	100	100	100	100
(156)									



Table A: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Digi- taria	Echino- chloa	Se- taria	Amaran- thus	Poly- gonum	Sola- num	Vero- nica
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(160)

1000

0

100

100

95

100

100

100

100



Table B: Post-emergence test/greenhouse

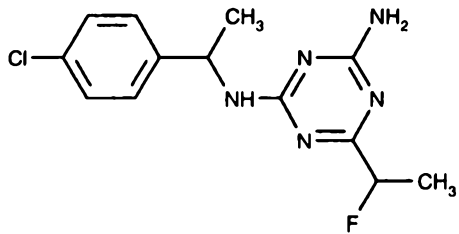
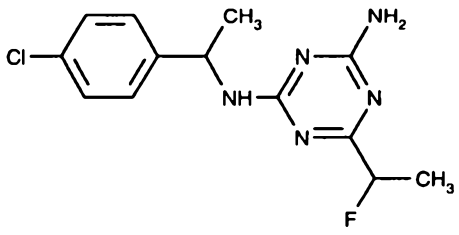
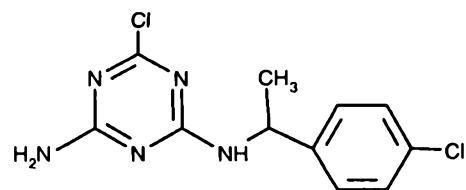
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Maize	Digitaria	Setaria	Cheno- podium	Datura	Poly- gonum	Viola
 (13)	125	0	10	80	90	100	100	100	100
 (14)	125	-	20	-	80	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Alope- curus	Setaria	Ama- ranthus
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(4)

1000

20

80

90

100



Table B: (continued)

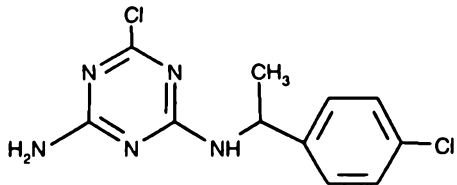
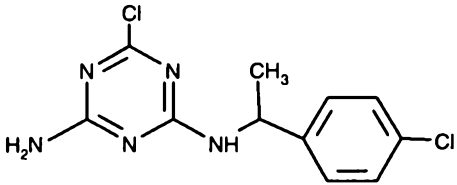
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alo- pecurus	Avena fatua	Setaria	Abut- ilon	Ama- ranthus	Sinapis
 (5)	1000	100	100	100	100	100	100
 (8)	1000	70	80	100	100	100	100



Table B: (continued)

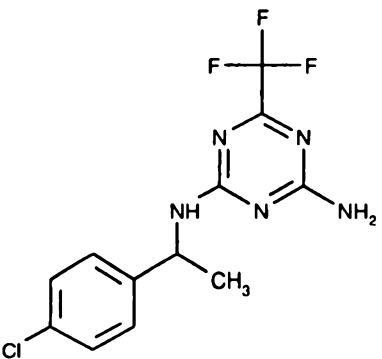
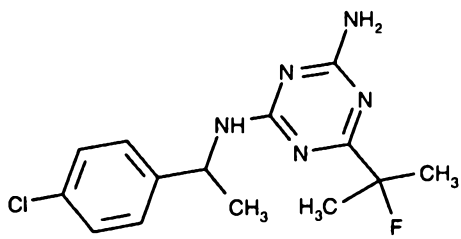
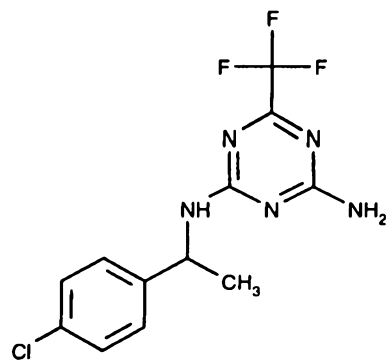
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alo- pecurus	Avena fatua	Setaria	Abut- ilon	Ama- ranthus	Sinapis
 (15)	1000	100	100	100	100	100	100
 (16)	1000	90	95	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Avena fatua	Setaria	Abut- ilon	Ama- ranthus	Gal- ium	Sinapis	Xanthium
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(21)

1000

70

100

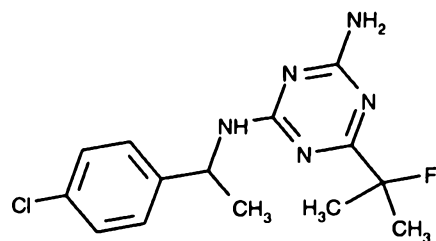
100

100

100

100

100



(22)

1000

100

100

100

100

100

100

100



Table B: (continued)

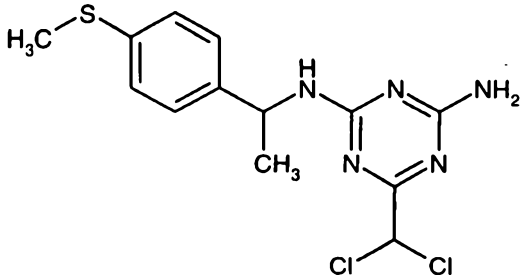
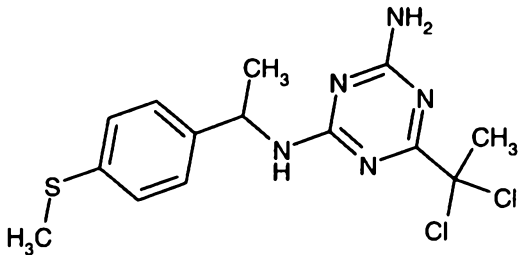
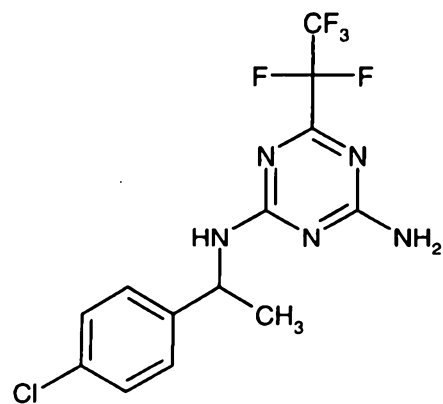
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (108)	1000	0	90	100	100	80	100
 (126)	500	0	80	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(138)

250

10

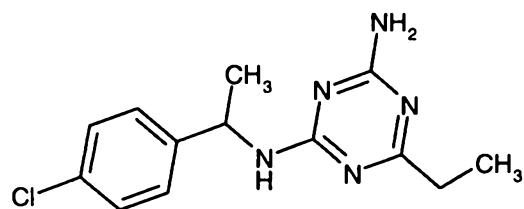
100

100

100

100

100



(91)

250

10

100

100

100

100

100

Table B: (continued)

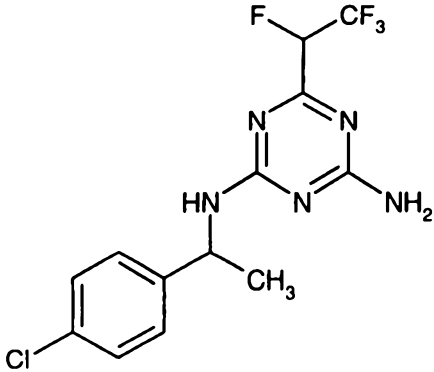
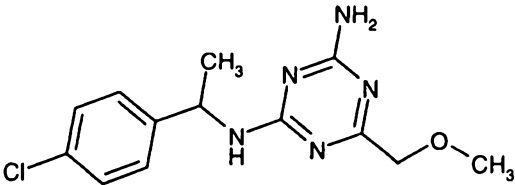
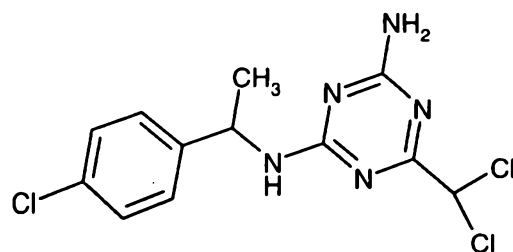
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 <p>(93)</p>	250	10	95	100	100	100	100
 <p>(98)</p>	250	10	80	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(94)

250

10

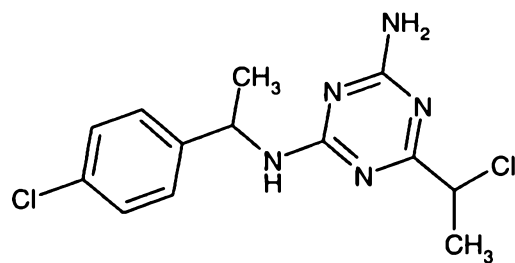
90

100

100

100

100



(96)

250

0

80

100

100

100

100



Table B: (continued)

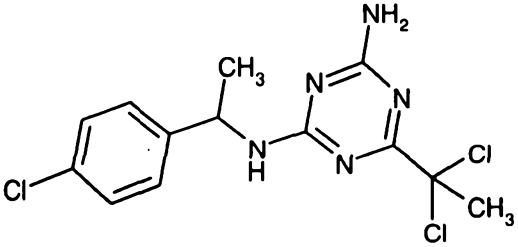
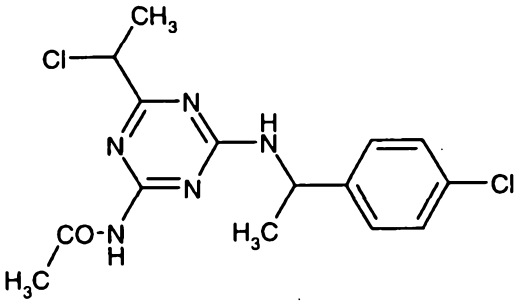
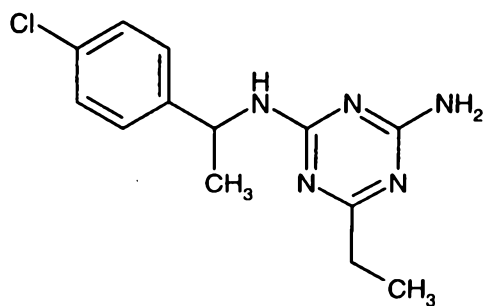
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (97)	250	10	80	100	100	100	100
 (146)	250	10	80	100	100	100	95



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(153)

500

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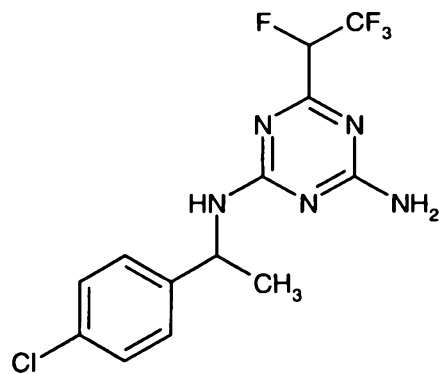
95

100

100

100

100



(155)

250

10

90

100

100

95

95



Table B: (continued)

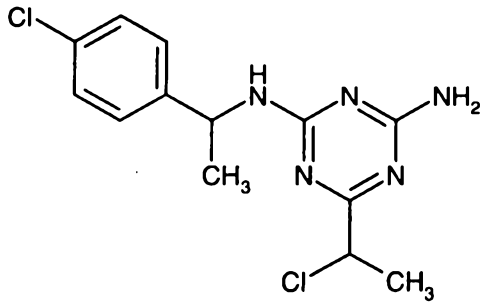
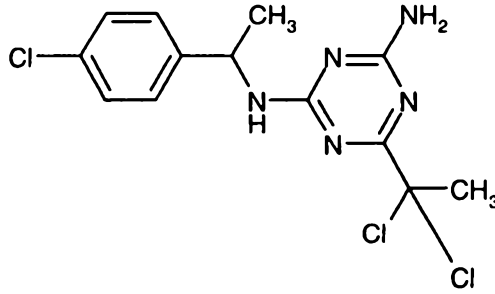
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (157)	250	10	80	100	95	95	95
 (159)	500	10	90	100	100	100	100



Table B: (continued)

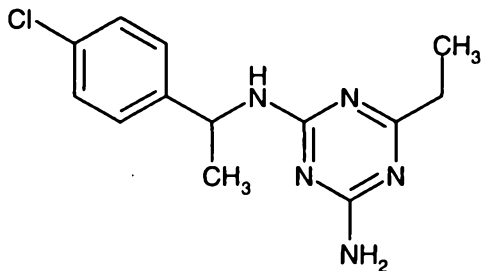
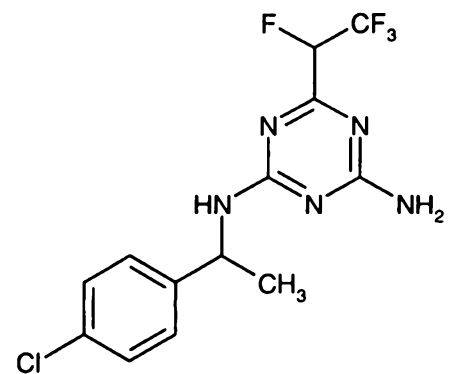
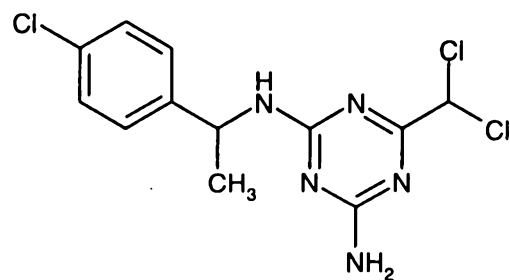
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (166)	500	-	100	100	100	100	100
 (167)	250	10	90	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(168)

500

10

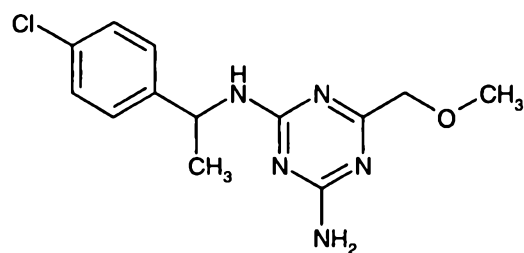
100

100

100

100

100



(170)

250

10

-

100

100

100

100



Table B: (continued)

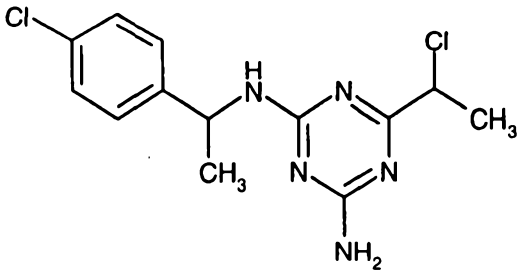
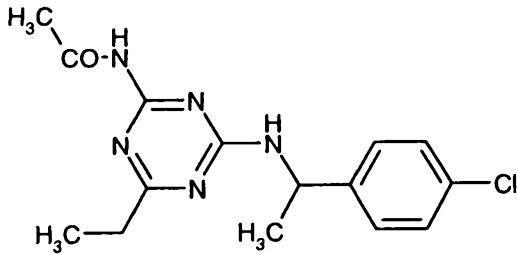
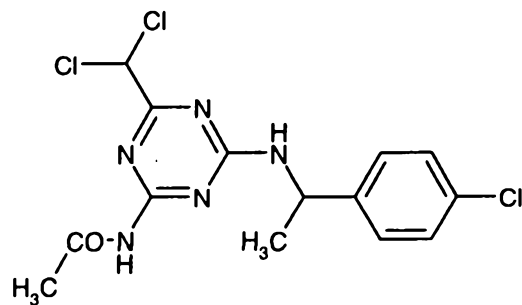
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (171)	250	10	90	100	100	100	100
 (173)	500	10	80	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(175)

500

10

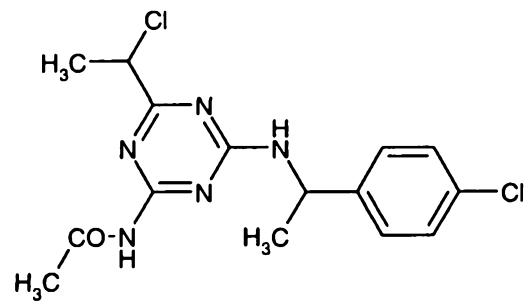
80

100

100

100

100



(177)

500

10

100

100

100

100

100



Table B: (continued)

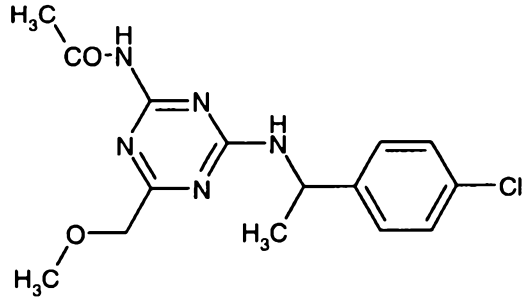
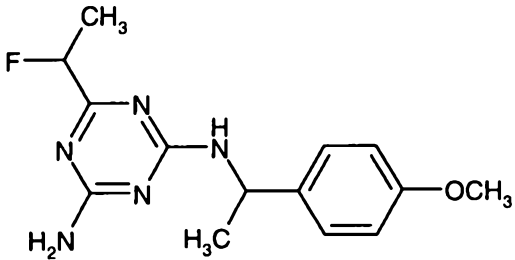
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (178)	500	10	70	100	100	100	100
 (190)	250	10	-	100	100	100	95



Table B: (continued)

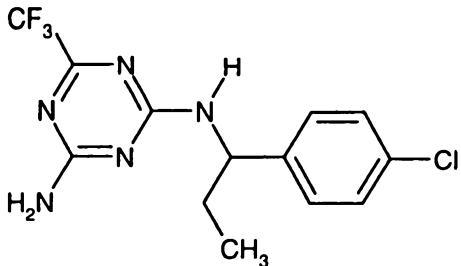
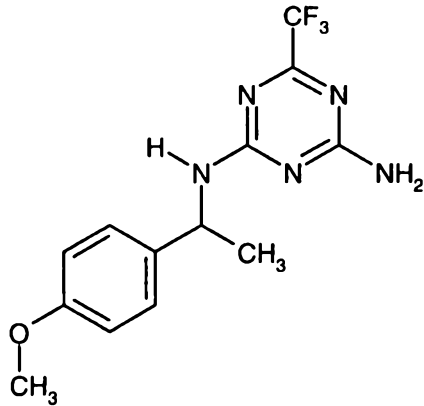
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (85)	250	0	95	100	100	100	100
 (79)	500	0	100	100	100	100	100



Table B: (continued)

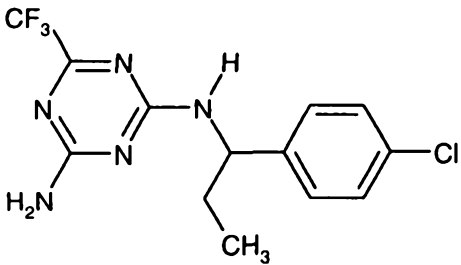
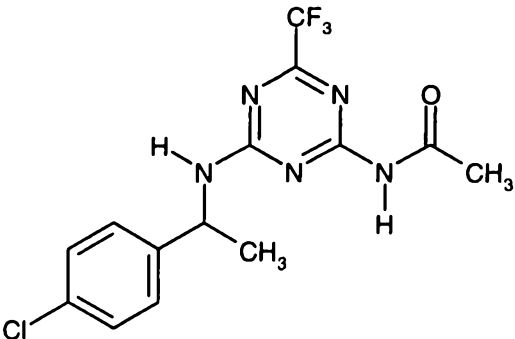
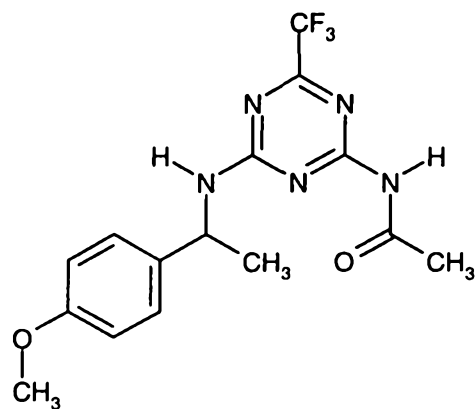
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
 (86)	1000	10	-	100	100	100	100
 (191)	500	10	95	100	100	100	100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Ama- ranthus	Cheno- podium	Poly- gonum	Viola
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(82)

500

10

95

100

100

100

100



Table B: (continued)

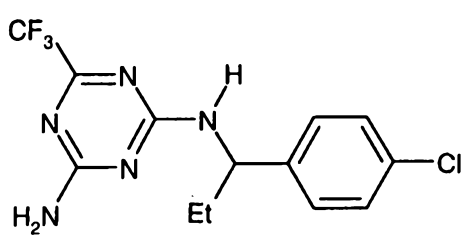
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Wheat	Setaria	Amaran- thus	Cheno- podium	Stellaria	Viola
<div> (87)</div>	250	10	100	100	100	100	100



Table B: (continued)

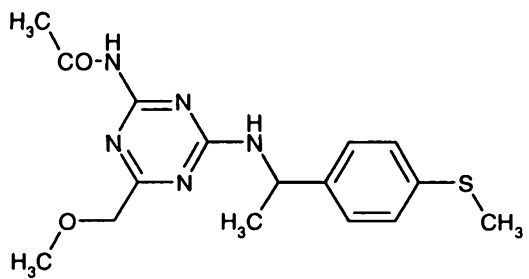
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Setaria	Ama- ranthus	Sinapis
<div></div> <div>(103)</div>	1000	0	100	100	100



Table B: (continued)

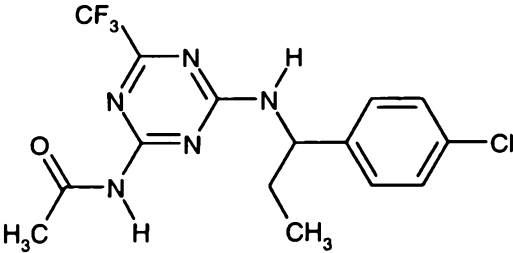
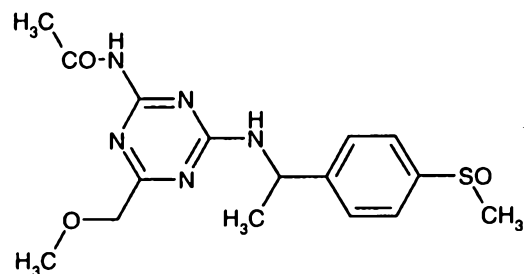
Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Maize	Setaria	Abutilon	Ama- ranthus	Xanthium
<div></div> <div>(90)</div>	1000	10	100	100	100	90



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Setaria	Amaran- thus	Sinapis
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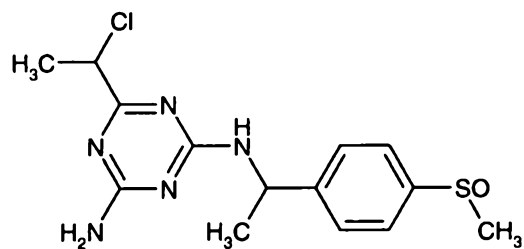
(104)

1000

100

100

100



(121)

1000

100

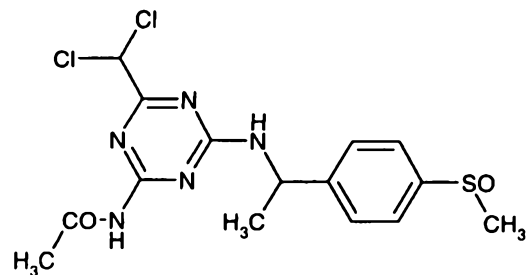
100

100



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Avena fatua	Setaria	Amaran- thus	Galium
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(112)

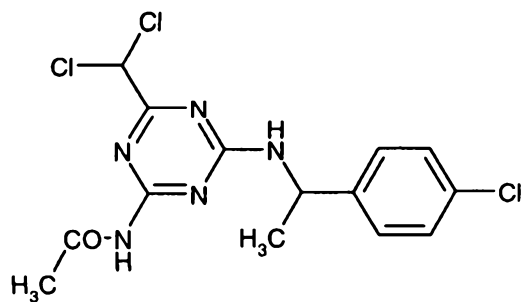
500

-

90

100

100



(145)

1000

70

100

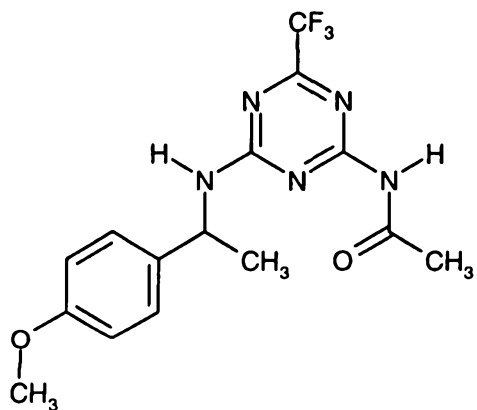
100

70



Table B: (continued)

Active compound of Preparation Ex. No.	Application rate (g of ai./ha)	Alope- curus	Setaria	Abutilon	Amaran- thus	Galium	Xanthium
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(84)

1000

100

100

100

100

100

100

The reference to any prior art in this specification is not, and should not be taken as, an acknowledgment or any form of suggestion that that prior art forms part of the common general knowledge in Australia.

- 5 Amendments have been made to the claims which follow and new claims have been proposed to more closely define the invention. The claim amendments are based on various preferred embodiments as described and exemplified in the description. However, for the purpose of maintaining integrity of the text of the disclosure, substantial amendments have not been made to the description in light of the claim amendments. It is
- 10 submitted that there is no disconformity in the specification as a consequence of amendment of the claims given the limitation of claim scope of various preferments of the invention described.

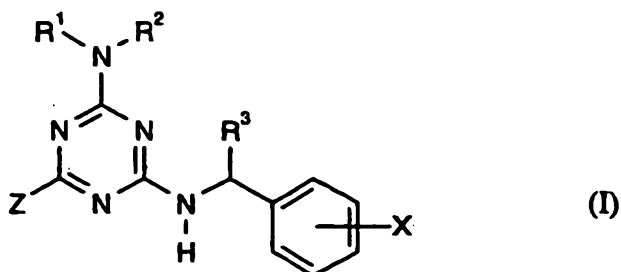
20

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The claims defining the invention are as follows:

1. Substituted 2,4-diamino-1,3,5-triazines of the general formula (I),



in which

R¹ represents hydrogen or optionally hydroxyl-, cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

R² represents hydrogen, represents formyl, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkylcarbonyl, alkoxycarbonyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl-, halogeno-C₁-C₄-alkyl, C₁-C₄-alkoxy, halogeno-C₁-C₄-alkoxy- or C₁-C₄-alkoxy-carbonyl-substituted phenylcarbonyl, naphthylcarbonyl, phenylsulphonyl or naphthylsulphonyl,

R³ represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

X represents a substituent from the following group:



hydroxyl, cyano, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy- or C₁-C₄-halogenoalkoxy-substituted phenyl or phenoxy, and

Z represents hydrogen, hydroxyl, represents in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C₁-C₄-alkoxy-, C₁-C₄-alkylcarbonyl-, C₁-C₄-alkoxy-carbonyl-, C₁-C₄-alkylthio-, C₁-C₄-alkylsulphinyl- or C₁-C₄-alkylsulphonyl-substituted alkyl, alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, or represents optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms.

2. Compounds of the formula (I) according to Claim 1, characterized in that

R¹ represents hydrogen or represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl having 1 to 4 carbon atoms,



R² represents hydrogen, represents formyl, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl, alkylcarbonyl, alkoxycarbonyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or ethoxycarbonyl-substituted phenylcarbonyl or phenylsulphonyl,

R³ represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted alkyl having 1 to 4 carbon atoms or represents optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cycloalkyl having 3 to 6 carbon atoms,

X represents a substituent from the group below:

hydroxyl, cyano, nitro, fluorine, chlorine, bromine, iodine, in each case optionally hydroxyl-, cyano-, fluorine- or chlorine-substituted alkyl or alkoxy having in each case 1 to 4 carbon atoms, in each case optionally fluorine- or chlorine-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, iodine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or



t-butoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and

Z represents hydrogen, hydroxyl, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted alkyl, alkylcarbonyl, alkoxy carbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case 1 to 4 carbon atoms in the alkyl groups, represents in each case optionally fluorine-, chlorine- or bromine-substituted alkenyl or alkynyl having in each case 2 to 4 carbon atoms, or represents optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cycloalkyl having 3 to 6 carbon atoms.

3. Compounds of the formula (I) according to Claim 1, characterized in that

R¹ represents hydrogen or represents optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl,

R² represents hydrogen, represents formyl, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl or ethylsulphonyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl-, ethyl-, trifluoromethyl-, methoxy-, ethoxy-, difluoromethoxy-, trifluoromethoxy-, methoxycarbonyl- or ethoxycarbonyl-substituted phenylcarbonyl or phenylsulphonyl,



R^3 represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,

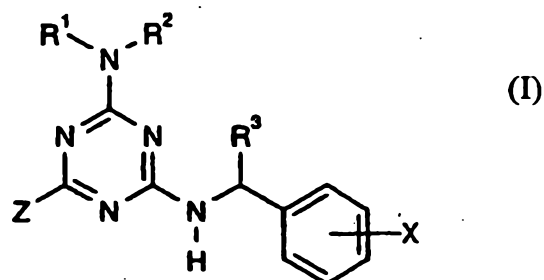
X represents a substituent from the group below:

hydroxyl, cyano, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl-, cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, in each case optionally fluorine- or chlorine-substituted acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl or ethylsulphonyl, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl-, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and

Z represents hydrogen, hydroxyl, represents in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl or ethylsulphonyl, or represents in each case optionally fluorine-, chlorine- or bromine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl.

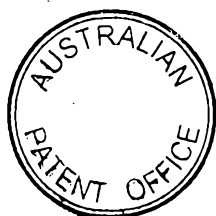


4. Substituted 2,4-diamino-1,3,5-triazines of the general formula (I),



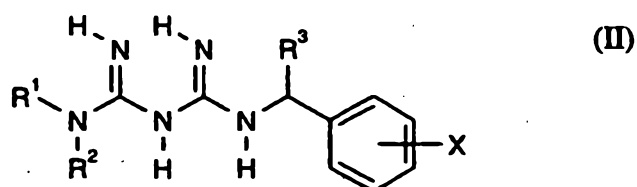
in which the substituents R^1 , R^2 , R^3 , X and Z are as follows:

Ex. No.	R^1	R^2	R^3	(Position-) X	Z	Physical data and stereo-chemical specifications
4	H	H	CH ₃	(4-) Cl	Cl	m.p.: 133°C (R enantiomer)
5	H	H	CH ₃	(4-) Cl	Cl	m.p.: 133°C (S enantiomer)
6	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (R enantiomer)
7	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (S enantiomer)
8	H	H	CH ₃	(4-) Cl	Cl	m.p.: 193°C (racemate)
9	H	SO ₂ CH ₃	CH ₃	(4-) Cl	Cl	(amorphous) (racemate)



5. Process for preparing compounds of the formula (I) according to Claim 1, characterized in that

(a) substituted biguanides of the general formula (II),



in which

R¹, R², R³ and X are each as defined in Claim 1

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)



in which

Z is as defined in Claim 1 and

R' represents alkyl,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

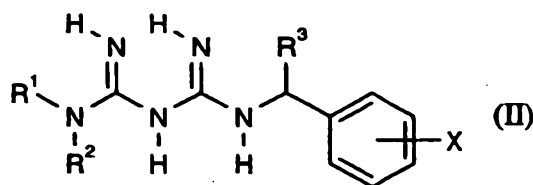


EDITORIAL NOTE FOR APPLICATION

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6. Herbicidal compositions, characterized in that they comprise at least one compound of the formula (I) according to Claim 1 or Claim 4 in association with extenders and/or surfactants.
7. The use of compounds of the general formula (I) according to Claim 1 or Claim 4 for controlling undesirable vegetation.
8. Method for controlling weeds, characterized in that compounds of the general formula (I) according to Claim 1 or Claim 4 are allowed to act on weeds or their habitat.
9. Process for preparing herbicidal compositions, characterized in that compounds of the general formula (I) according to Claim 1 or Claim 4 are mixed with extenders and/or surfactants.
10. Substituted biguanides of the general formula (II)



in which

R^1 , R^2 , R^3 and X are each as defined in Claim 1,

and their acid adducts

with the exception of the compound 1-[1-(4-trifluoromethyl-phenyl)-ethyl]-biguanide.



11. Compounds of the general formula (I) according to Claim 1 or Claim 4, processes for their production, herbicidal compositions containing same and uses thereof substantially as hereinbefore described especially with reference to the Examples.

DATED this 10th day of October 2000

Bayer Aktiengesellschaft

By its Patent Attorneys

DAVIES COLLISON CAVE

2000
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