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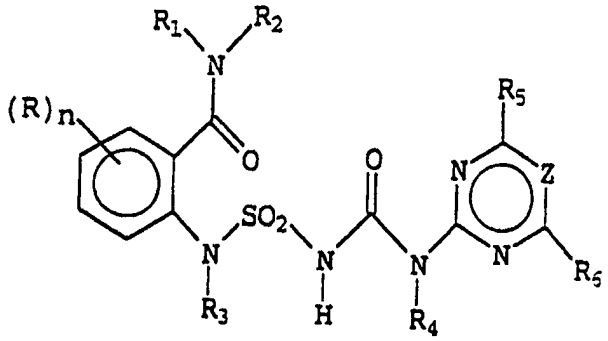
(54) Title: AMINOSULFONYLUREAS WITH HERBICIDAL ACTIVITY

(57) Abstract

Aminosulfonylureas of general formula (I). The aminosulfonylureas of said formula are endowed with a high herbicidal activity against numerous weeds.



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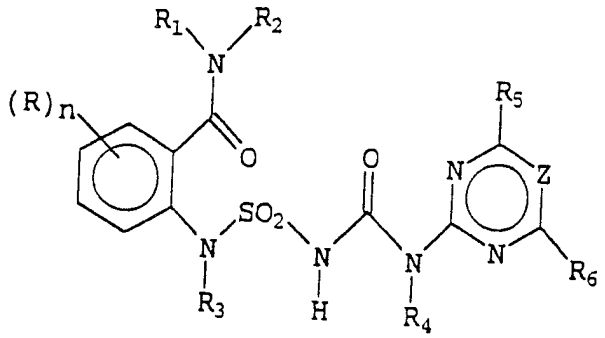
AMINOSULFONYLUREAS WITH HERBICIDAL ACTIVITY

This invention relates to aminosulfonylureas.

More in particular, this invention relates to aminosulfonylureas possessing a high herbicidal activity, a process for their preparation and their application as herbicides for controlling weeds in agricultural cultures. Aminosulfonylureas with herbicidal activity have, among other things, been described in the US-patents No. 4,515,620, 4,559,081, 4,592,776, 4,622,065 and 4,666,508. However, these products turn out to have a very poor selectivity while generally being toxic to the most important agricultural cultures.

The Applicant has now discovered new aminosulfonylureas which, apart from having a high herbicidal activity against numerous weeds, exhibit at the same time a low phytotoxicity to one or more of the cultivations of prime agricultural interest and therefore can be used as selective herbicides.

The object of this invention are thus aminosulfonylureas of the following general formula (I):



(I)

in which:

- R represents a halogen atom such as chlorine, fluorine, bromine or iodine; an alkylic or haloalkylic linear or branched C_1 - C_4 group; an

alkoxylic or haloalkoxylic linear or branched C_1 - C_4 group;

- N represents 0 or 1;
- R_1 and R_2, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an alkoxylic or haloalkoxylic linear or branched C_1-C_4 group; or, jointly, an alkylenic or oxyalkylenic C_2-C_5 chain;
- R_3 and R_4, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an alkoxyalkylic or haloalkoxyalkylic linear or branched C_3-C_6 group; an alkenylic or haloalkenylic linear or branched C_3-C_6 group; an alkynylic or haloalkynylic linear or branched C_3-C_6 group;
- R_5 and R_6, equal or different from each other, represent an atom of hydrogen; a halogen atom such as chlorine, fluorine, bromine or iodine; an alkylic or haloalkylic linear or branched C_1-C_6 group; an alkylaminic linear or branched C_1-C_6 group; a dialkylaminic linear or branched C_2-C_8 group; a cycloalkylic or cycloalkoxylic C_3-C_6 group; a cycloalkylalkylic or cycloalkylalcoxylic C_4-C_7 group;
- Z represents CH or N.

The aminosulfonylureas of the general formula (I) are endowed with high herbicidal activity.

Specific examples of aminosulfonylureas having the general formula (I) which are interesting for their herbicidal activity are:

- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino] -N,N-dimethyl- benzamide;

- 1-[(4,6-dimethoxypyrimidin-2-yl)-3-{[2-(1-pyrrolidinocarbonyl)phenyl]sulfamoyl}urea,
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-ethyl-N-methylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-ethylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxybenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxy-N-methylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]benzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylmethylamino]-N,N-dimethylbenzamide;
- N-cyclopropyl-2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]- benzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-(2,2,2-trifluoroethyl)benzamide;
- 4-chloro-6-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N,N-dimethylbenzamide;
- 3-chloro-6-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N,N-dimethylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-5-fluoro-N,N-dimethylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-6-fluoro-N,N-dimethylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-5-methyl-N,N-dimethylbenzamide;
- 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-6-methyl-N,N-dimethylbenzamide;

- N,N-dimethyl-2-[(4-methoxy-6-methylpyrimidin-2-yl) carbamoylsulfamoylamino]-benzamide;
- N,N-dimethyl-2-[(4-methoxy-6-methylpyrimidin-2-yl) carbamoylsulfamoylamino]-benzamide.
- N,N-dimethyl-2-[(4,6-dimethylpyrimidin-2-yl) carbamoylsulfamoylamino] benzamide.

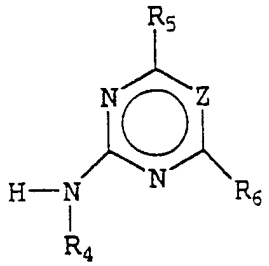
The aminosulfonylureas having the general formula (I) of the present invention have an acidic nature and can therefore form salts with basic substances such as, for example, alkaline metal and alkaline earth hydroxides, amines and other organic bases, and quaternary amine salts.

The aminosulfonylureas having the general formula (I) in their salified form also fall within the scope of this invention and therefore constitute a further object of the same.

A further object of this invention is a process for the preparation of compounds having the general formula (I).

The compounds having the general formula (I) may be obtained by a process including:

(a) reacting a heterocyclic amine having the general formula (II):

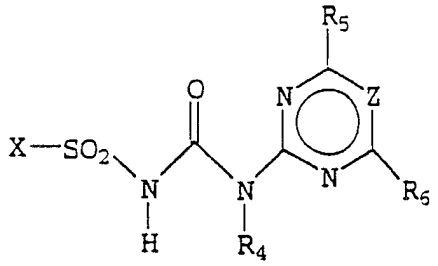


(II)

in which R_4, R_5, R_6, and Z have the meanings as described above, with a halosulfonylisocyanate having the general formula (III):

$$X\text{-}SO_2\text{-}NCO \quad (III)$$

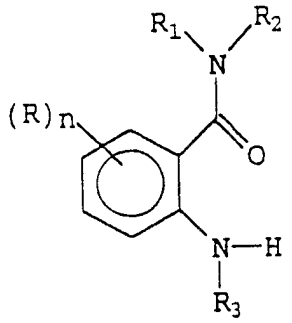
in which X represents a halogen atom such as, for instance, chlorine, fluorine, or bromine, preferably chlorine, in the presence of an inert organic solvent, whereby a halosulfamoylurea of the following general formula (IV) is obtained:



(IV)

in which X, R_4, R_5, R_6, and Z have the meanings as described above;

(b) reacting of halosulfamoylurea having the general formula (IV) obtained in the step (a) with an aniline having the general formula (V):



(V)

in which R, R_1, R_2, and R_3 have the same meanings described above, in the presence or absence of a base,

preferably in the presence of a base, and of an inert organic solvent.

The inert organic solvents useful in the steps (a) and (b) of the process described above are aromatic hydrocarbons (such as for example benzene, toluene, xylene, etc.), chlorinated hydrocarbons (such as for example, methylene chloride, chloroform, carbon tetrachloride, chlorobenzene etc.), and ethers (such as, for example, ethyl ether, dimethoxyethane, tetrahydrofurane, dioxane, etc.).

The bases useful in the step (b) of the process described above are organic bases, preferably aliphatic amines such as, for example, triethylamine, etc.

The subject reaction steps (a) and (b) are performed at temperatures between -70°C and the boiling temperature of the solvent employed, preferably between -20°C and +30°C.

The reaction of step (b), between aniline having the general formula (V) and halosulfamoylurea having the general formula (IV), may be conveniently performed without isolating said halosulfamoylurea (IV), by adding the aniline (V) and the base (diluted with the same inert organic solvent used in the step (a) of the above process), while operating in the same ambient in which the first passage was performed.

The heterocyclic amines having the general formula (II) and the halosulfonylisocyanates having the general formula (III) are compounds known in the art.

The anilines having the general formula (V) can, if not already known on their own, be prepared according to the methods known in the art.

The compounds having the general formula (I), objects of this invention, have evidenced interesting biological activities and, in particular, a high herbicidal activity

which renders them suitable for use in the agricultural field to defend the useful cultures from weeds.

In particular, the compounds having the general formula (I) are effective in controlling, both before and after an emergency, numerous cotyledon and dicotyledon weeds. At the same time, these compounds show a compatibility or absence of toxic effects toward useful cultures, both while treating before and after emergence.

Examples of weeds effectively controlled by using the compounds having the general formula (I) as the object of this invention are: Abutilon theofrasti, Amaranthus retroflexus, Amni maius, Capsella bursa pastoris, Chenopodium Album, Convolvulus sepium, Galium Aparine, Geranium dicotomiflorum, Ipomea spp., Matricaria spp, Papaver rhoeas, Portulaca oleracea, Sida spinosa, Solanum nigrum, Stellaria media, Alopecurus myosuroides, Digitaria sanguinalis, Echinocloa spp., Scirpus spp., Cyperus spp., etc.

At the usage dosages useful for agricultural applications, the above compounds have not evidenced toxic effects towards important agricultural cultures such as rice (Oryza sativa), wheat (Triticum spp.), corn (Zea mais), soya (Glycine max), etc.

A further object of this invention is a method to control weeds in cultured areas, by applying the compounds having the general formula (I).

The quantity of a compound needed to achieve the desired result may vary depending on a number of factors such as, for instance, the compound to be used, the culture to be preserved, the weed to be attacked, the degree of infestation, the weather conditions, the characteristics of the soil, the method of application, etc.

Dosages of the compound in the range from 1 g to 1,000 g per hectare generally provide adequate control.

For practical applications in agriculture it is often beneficial to utilize compositions with a herbicidal activity containing, as an active substance, one or more compounds of the general formula (I), eventually also in a mixture with isomers, both in a free or in a salified form.

It is possible to use compounds presenting themselves in the form of dry powders, wettable powders, emulsifyable concentrates, microemulsions, pastes, granulates, solutions, suspensions, etc.: the choice of the type of composition will depend on the specific application.

The compositions are prepared according to known methods, for example by diluting or solving the active substance in a solvent and/or solid medium, eventually in the presence of surfactants.

The substances to be used as solid inert diluents or supports may be caoline, alumina, silica, talc, bentonite, gypsum, quartz, dolomite, attapulgite, montmorillonite, diatomaceous earth, cellulose, starch, etc.

The substances to be used as inert liquid diluents may, in addition to water, be organic solvents such as aromatic hydrocarbons (xylene, blends of alkylbenzenes, etc.), aliphatic hydrocarbons (hexane, cyclohexane, etc.), aromatic halogenated hydrocarbons (chlorobenzene, etc.), alcohols (methanol, propanol, butanol, octanol, etc.) esters (isobutylacetate, etc.), ketones (acetone, cyclohexanone, acetophenone, isoforone, ethylamylketone, etc.), vegetable and mineral oils and their mixtures, etc.

As surfactants certain wetting and emulsifying agents may be used having a non-ionic character (polyethoxylated alkylphenols, polyethxylated fatty alcohols, etc.), an anionic character (alkylbenzenesulfonates,

alkylsulfonates,etc.), a cationic character (quaternary alkylammonium salts, etc.).

It may also be possible to add dispersants (for example lignin and its salts, cellulose derivatives, alginates, etc.), stabilizers (for example antioxidants, ultraviolet ray absorbers, etc .).

To increase the range of action of the above compositions, other active ingredients can also be added such as, for example, other herbicides, fungicides, insecticides or acaricides, and fertilizers. Examples of other herbicides which can be added to the compositions containing one or more compounds of the general formula (I) are the following:

Acetochlor, acifluorfen, aclonifen, AKH-7088, alachlor, alloxydim, ametryn, amidosulfuron, amicrole, anilofos, asulam, atrazine, azafenidin (DPX-R6447), azimsulfuron (DPX-A8947), aziprotrine, benazolin, benfluralin, benfuresate, bensulfuron, bensulide, bentazone, benzofenap, benzthiazuron, bifenox, bilanafos, bispyribac-sodium (KIH-2023), bromacil, bromobutide, bromofenoxim, bromoxynil, butachlor, butamiphos, butenachlor, butralin, butroxydim, butylate, cafenstrole (CH-900), carbetamide, carfentrazone-ethyl (F-8426), chloromethoxyfen, chloramben, chlorbromuron, chlorbufam, chlorfurenol, chloridazon, chlorimuron, chlornitrofen, chlorotoluron, chloroxuron, chlorpropham, chlorsulforon, chlorthal, chlorthiamid, cinidon ethyl, cinmethylin, cinosulfuron, clethodim, chlodinafop, clomazone, clomeprop, clopyralid, chloransulam-methyl (XDE-565), cumyluron (JC-940), cyanazine, cycloate, cyclosulfamuron, (AC-322140), cycloxydim, cyhalofop-butyl (XDE-537), 2,4-D, 2,4-DB, daimuron, dalapon, desnedipham, desmetryn, dicamba, dichlobenil, dichlorprop, dichlorprop-P, diclofop, diclosulam (XDE-564), diethatyl, difenoxuron,

difenzoquat, diflufenican, diflufenzopyr (SAN 835H), dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dinitramine, dinoseb, dinoseb acetate, dinotherb, diphenamid, dipropetryn, diquat, dithiopyr, 1-diuron, eglinazine, endothal, epoprodan (MK-243), EPTC, esprocarb, ethalfluralin, ethametsulfuron-methyl (DPX-A7881), ethidimuron, ethiozin (SMY 1500), ethofumesate, ethoxyfen-ethyl (HC-252), ethoxysulfuron (HOE 095404), ethobenzanid (HW 52), fenoxaprop, fenoxaprop-P, fentrazamide (BAY YRC 2388), fenuron, flamprop, flamprop-M, flazasulfuron, fluazifop, fluazifop-P, fluchloralin, flumetsulam (DE-498), flumiclorac-pentyl, flumioxazin, flumipropin, flumeturon, fluoroglycofen, fluoronitrofen, flupoxam, flupropanate, flupyrsulfuron (DPX-KE459), flurenol, fluridone, flurochloridone, fluroxypyr, flurtamone, fluthiacet-methyl (KIH-9201), fluthiamide (BAY FOE 5043), fomesafen, fosamine, furyloxyfen, glufosinate, glyphosate, halosulfuron-methyl (NC-319), haloxyfop, haloxyphop-P-methyl, hexazinone, imazamethabenz, imazamox (AC-299263), imazapic (AC-263222), imazapyr, amazaquin, imazethapyr, imazosulfuron, ioxynil, isopropalin, isopropazol (JV 485), isoproturon, isouron, isoxaben, isoxaflutole (RPA 201772), isoxapyrifop, KPP-421, lactofen, lenacil, linuron, LS830556, MCPA, MCPA-thioethyl, MCPB, mecoprop, mecoprop-P, mefenacet, metamitron, metazachlor, methabenzthiazuron, methazole, methoprotryne, methyldymron, metobenzuron, metobromuron, metolachlor, metosulam (DE-511), metoxuron, metribuzin, metsulfuron, molinate, monalide, monolinuron, naproanilide, napropamide, naptalam, NC-330, neburon, nicosulfuron, nipyraclofen, norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulfuron (CGA-277476), oxaziclomefone (MY-100), oxyfluorfen, paraquat, pebulate,

pendimethalin, pentanochlor, pentoxazone (KPP-314), phenmedipham, picloram, piperophos, prenilachlor, primisulfuron, prodiamine, proglinazine, prometon, prometryne, propachlor , propanil, propaquizafop, propazine, propham, propisochlor, propyzamide, prosulfocarb, prosulfuron (CGA-152005), pyraflufen-ethyl (ET-751), pyrazolynate, pyrazolsulfuron, pyrazoxyfen, pyribenzoxim (LGC-40863), pyributicarb, pyridate, pyriminobac-methyl (KIH-6127), pyrithiobac-sodium (KIH-2031), quinchlorac, quinmerac, quizalofop, quizalofop-P, rimasulfuron, sethoxydim, siduron, simazine, simetryn, sulcotrione, sulfentrazone (F6285), sulfometuron (DPX-5648, sulfosulfuron (MON 37500), 2,3,6-TBA, TCA-sodium, tebutam, tebuthiuron, tepraloxydim (BAS 620H), terbacil, terbumeton, terbuthyl-azine, terbutryn, therylchlor (NSK-850, thiazafluron, thiazopyr (MON 13200), thidiazimin, thifensulfuron, thioencarb, tiocarbazil, tioclorim, tralkoxydim, tri-allate, triasulfuron (CGA-131036), triaxiflam (IDH-1105), tribenuron, triclopyr, trietazine, trifluralin, triflusilfuron-methyl (DPX-66037), UBI-C4874, vernolate.

The concentration of active substance of the general formula (I) in the above compositions can vary within a wide range, depending on the active compound, the applications for which they are destined, the environmental conditions and the kind of formulation.

The concentration of active substance is generally between 1% and 90%, preferably between 5 and 50%.

The following examples are illustrative and do not limit the scope of this invention.

EXAMPLE 1

Preparation of 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N,N-dimethylbenzamide(Compound Nr.1).

Under a nitrogen atmosphere, chlorosulfonyl isocyanate (4.3 g; 30.5 mmoles) dissolved in methylene chloride (10 ml) are dropped into a solution of 2-amino-4,6-dimethoxypyrimidine (5.2 g; 33.6 mmoles) in methylene chloride (50 ml) at -5°C.

The mixture is stirred at 0°C for 1 h. A solution of 2-amino-N,N-dimethylbenzamide (5 g; 30.5 mmoles) and triethylamine (3.1 g; 30.6 mmoles) in methylene chloride (20 ml) is then added and the mixture is stirred for 30 min at 0°C and for further 3 hours at room temperature. The reaction mixture is poured into a 2 l. solution of brine and 1% aqueous HCl and extracted with methylene chloride. The organic phase is washed with brine, dried with sodium sulfate and concentrated at reduced pressure. The residue (12.8 g) is stirred at 50°C with ethyl acetate (20 ml); after cooling the solid is filtered and washed with diethyl ether. The desired product (11.3 g) has a melting point at 160-162 °C.

EXAMPLE 2

Operating analogously to what is described in example 1, the following compounds have been prepared, starting from the appropriate 2-aminopyrimidines and 2-aminobenzamides:

-1-(4,6-dimethoxypyrimidin-2-yl)-3-{[2-(1-pyrrolidinocarbonyl)phenyl]sulfa-moyl}urea (Compound Nr. 2): m.p. 154-156°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-ethyl-N-methylbenzamide (Compound Nr. 3): m.p. 136-138°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methylbenzamide (Compound Nr. 4): m.p. 98-100°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-ethylbenzamide (Compound Nr. 5): m.p. 98-100°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxybenzamide (Compound Nr. 6): m.p. 147-149°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxy-N-methylbenzamide (Compound Nr. 7): m.p. 137-139°C;

-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]benzamide (Compound Nr. 8): m.p. 164-166°C;

-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylmethylamino]-N,N-dimethylbenzamide (Compound Nr. 9): m.p. 120-121°C;

-N-cyclopropyl-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino) benzamide (Compound Nr. 10)

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-(2,2,2-trifluoroethyl)-benzamide (Compound Nr. 11)

-4-chloro-6-[(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]-N,N-dimethylbenzamide (Compound Nr. 12): m.p. 161-163°C;

-3-chloro-6-[(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]-N,N-dimethylbenzamide (Compound Nr. 13): m.p. 156-158°C;

-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]-5-fluoro-N,N-dimethylbenzamide (Compound Nr. 14): m.p. 171-173°C;

-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]-6-fluoro-N,N-dimethylbenzamide (Compound Nr. 15): m.p. 165-167°C;

-2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-5-methyl-N,N-dimethylbenzamide (Compound Nr. 16): m.p. 164-166°C;

-2-(4,6-dimethoxypyrimidin-2-yl) carbamoylsulfamoylamino]-6-methyl-N,N-dimethylbenzamide (Compound Nr. 17): m.p. 169-171°C;

-N,N-dimethyl-2-[(4-methoxy-6-methylpyrimidin-2-yl)carbamoylsulfamoylamino]-benzamide (Compound Nr. 18): m.p. 147-149°C;

-N,N-dimethyl-2-[(4,6-dimethylpyrimidin-2-yl) carbamoylsulfamoylamino]-benzamide (Compound Nr. 19): m.p. 163-165°C.

EXAMPLE 3

Preparation of 2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylami-no]-N,N-dimethylbenzamide monosodium salt (Compound Nr.20)

Crushed sodium hydroxide (400 mg; 10 mmoles) is added to a solution of Compound Nr.1 (Example 1; 4.25 g; 10 mmoles) in 100 ml of dichloromethane.

The mixture is stirred at room temperature for 18 h and concentrated under reduced pressure. The solid residue (4.3 g) has a melting point at 190°C.

EXAMPLE 4

Determination of the herbicidal activity and phytotoxicity.

The herbicidal activity of Compounds Nr. 1, 2 and 4 with respect to some important weeds and the phytotoxicity with respect to wheat were evaluated, in post-emergence treatment, compared to 1-[(4,6-dimethoxypyri-midin-2-yl)-3-[(2-methoxycarbonylphenyl)sulfamoyl]urea described in the example 2 of the US-patent 4,515,620 (RC).

For each compound, the evaluation tests were carried out according to the following operating procedures.

Jars (diameter 10 cm, height 10 cm) containing sandy earth were prepared. In each of them, one of the following plant species was sown:

Weeds: Abutilon theophrasti (ABUTH), Amaranthus retroflexus (AMARE), Amni maius (MNMA), Convolvulus sepium (CONSE), Galium Aparine (GALAP), Ipomea Purpurea (IPOPU), Papaver rhoeas (PAPRH), Solanum nigrum (SOLNI);

Crops: Triticum sp. (Wheat).

To each jar, water was added in a suitable amount for a good germination of the seeds. The jars were divided into

two groups each containing at least 5 jars for each weed. The first group was not treated with the compound under evaluation and was used as a comparison (control).

The second group of jars was treated, 15 days after sowing for the weeds and 10 days after sowing for wheat, with a hydroacetonic dispersion (20% by volume of acetone) containing the compound under testing at the desired rate and Tween 20 (0.5%).

All jars were kept under observation in a conditioned environment with the following conditions:

- temperature: 24°C
- relative humidity: 60%
- photoperiod: 16 hours
- light intensity: 10,000 lux

Every two days the jars were uniformly watered to ensure a sufficient degree of humidity for a good development of the plants.

Twentyone days after the treatment, the herbicidal activity was evaluated on the basis of the following scale of values referring to the percentage of damage found on the plants which had been treated, compared to those not treated (control):

0 = 0% - 9% of damage

1 = 10% - 29% of damage

2 = 30% - 49% of damage

3= 50% - 69% of damage

4= 70% - 89% of damage

5 = 90% of damage - death of plant

The results obtained are as shown in Table 1 below.

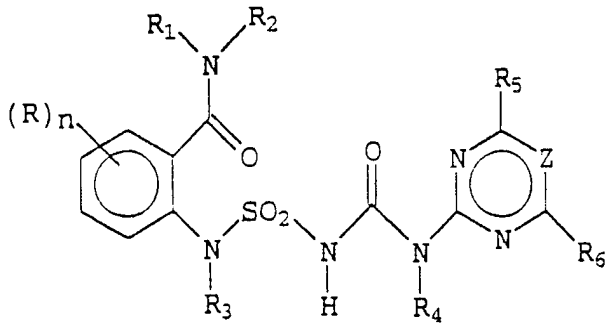
TABLE 1: Herbicidal activity in post-emergence

WEED/CROP	COMPOUND Rate (g/ha)	Nr.1 150-50	Nr.2 150-50	Nr.4 150-50	RC 150-50
ABUTH		5 - 3	4 - 2	5 - 3	5 - 2
AMARE		5 - 3	1 - 0	5 - 4	3 - 1
AMNMA		4 - 3	4 - 3	5 - 4	2 - 1
CONSE		5 - 5	5 - 5	5 - nt	3 - 1
GALAP		5 - 3	4 - 1	5 - 4	4 - 2
IPOPU		5 - 3	nt - nt	5 - 4	nt - 0
PAPRH		5 - 4	5 - 4	nt - nt	nt- 0
SOLNI		5 - 3	3 - 2	nt - nt	nt- 0
WHEAT		0 - 0	0 - 0	0 - 0	0 - 0

nt = not tested.

CLAIMS

1. Aminosulfonylureas having the general formula (I):



(I)

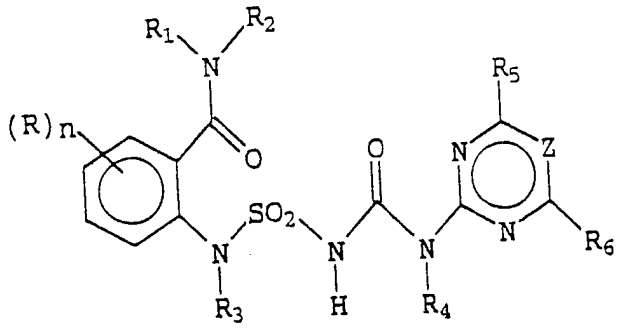
in which:

- R represents a halogen atom such as chlorine, fluorine, bromine or iodine; an alkylic or haloalkylic linear or branched C_1 - C_4 group; an alkokylic or haloalkoxylic linear or branched C_1 - C_4 group;
- n represents 0 or 1;
- R_1 and R_2, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an alkoxylic or haloalkoxylic linear or branched C_1-C_4 group; or jointly an alkylenic or oxyalkylenic chain C_2-C_5;
- R_3 and R_4, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an alkoxylic or haloalkoxylic linear or branched C_3-C_6 group; an alkenylic or haloalkenylic linear or branched C_3-C_6 group; an alkynilinic or halolalkynilinic linear or branched C_3-C_6 group;
- R_5 and R_6, equal or different from each other, represent an atom of hydrogen; an atom of halogen such as chlorine, fluorine, bromine, or iodine; an

alkylic or haloalkylic linear or branched C_1-C_6 group; an alkylaminic linear or branched C_1-C_6 group; a dialkylaminic linear or branched C_2-C_8 group; a cycloalkylic or cycloalkoxylic C_3-C_6 group; a cycloalkylalkylic or cycloalkylalkoxylic C_4-C_7 group;

- Z represents CH or N.

2. Herbicides constituted by aminosulfonylureas having the following general formula (I):



(I)

in which:

- R represents a halogen atom such as chlorine, fluorine, bromine or iodine; an alkylic or haloalkylic linear or branched C_1 - C_4 group; an alkokylic or haloalkoxylic linear or branched C_1 - C_4 group;
- n represents 0 or 1;
- R_1 and R_2, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an alkoxylic or haloalkoxylic linear or branched C_1-C_4 group; or jointly an alkylenic or oxyalkylenic chain C_2-C_5;
- R_3 and R_4, equal or different from each other, represent an atom of hydrogen; an alkylic or haloalkylic linear or branched C_1-C_4 group; an

alkoxyalkylic or haloalkoxyalkylic linear or branched C_3-C_6 group; an alkenylic or haloalkenylic linear or branched C_3-C_6 group; an alkynylic or haloalkynylic linear or branched C_3-C_6 group;

- R_5 and R_6, equal or different from each other, represent an atom of hydrogen; an atom of halogen such as chlorine, fluorine, bromine, or iodine; an alkylic or haloalkylic linear or branched C_1-C_6 group; an alkylaminic linear or branched C_1-C_6 group; a dialkylaminic linear or branched C_2-C_8 group; a cycloalkylic or cycloalkoxylic C_3-C_6 group; a cycloalkylalkylic or cycloalkylalkoxylic C_4-C_7 group;
- Z represents CH or N.

3. A herbicide according to claim 2. consisting of -2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N,N-dimethylbenzamide.

4. A herbicide according to claim 2. consisting of -1-(4,6-dimethoxypyrimidin-2-yl)-3-{[2-(1-pyrrolidinocarbonyl)phenyl]sulfamoyl}urea.

5. A herbicide according to claim 2. consisting of -2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methylbenzamide.

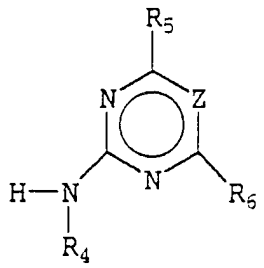
6. A herbicide according to claim 2. consisting of -2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-ethylbenzamide.

7. A herbicide according to claim 2. consisting of -2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxybenzamide.

8. A herbicide according to claim 2. consisting of -2-(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoylamino]-N-methoxy-N-methylbenzamide.

9. A process for the preparation of the aminosulfonylureas having the general formula (I) according to any of the previous claims, comprising:

(a) reacting a heterocyclic amine having the general formula (II):

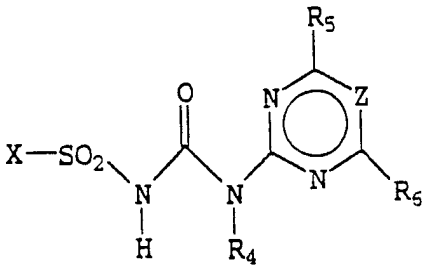


(II)

in which R_4, R_5, R_6, and Z have the same meanings as described above, with a halosulfonylisocyanate having the general formula (III):

$$X\text{-}SO_2\text{-}NCO \quad (III)$$

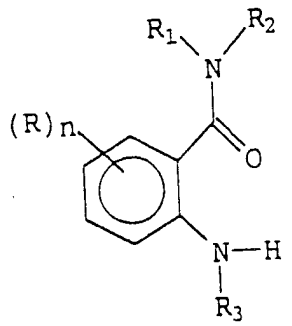
in which X represents a halogen, in the presence of an inert organic solvent, whereby a halosulfamoylurea of the following general formula (IV) is obtained:



(IV)

in which X, R_4, R_5, R_6, and Z have the same meanings as described above;

(b) reacting a halosulfamoylurea having the general formula (IV) obtained in step (a) with an aniline having the general formula (V):



(V)

in which R, R_1, R_2, and R_3 have the same meanings as described above, in the presence or absence of a base or of an inert organic solvent.

10. A process according to claim 9, wherein the inert organic solvent which can be used in the steps (a) and (b) is selected from aromatic hydrocarbons, chlorinated hydrocarbons, and ethers.

11. A process according to claim 9 or 10 wherein the organic base in step (2) is selected from aliphatic amines.

12. A process according to any of the claims from 9 to 11, wherein the above steps (a) and (b) are carried out at temperatures of between -70°C and the boiling point of the solvent used.

13. A process according to claim 12, wherein the above steps (a) and (b) are carried out at temperatures of between -20°C and 30°C.

14. A process according to claim 9, wherein the reaction of step (b), between the aniline having the general formula (V) and the halosulfamoylurea having the general formula (IV) is carried out without isolating said halosulfamoylurea (IV), by directly adding the aniline

(V) and the organic base, diluted with the inert organic solvent used, in step (a), operating in the same vessel of the first step.

15. Compositions with herbicidal activity containing one or more aminosulfonylureas according to any of the claims from 2 to 8, alone or in the presence of solid carriers, liquid diluents, surfactants or other active ingredients.

16. The compositions with herbicidal activity according to claim 15, wherein the concentration of active substance is between 1% and 90%.

17. A method for controlling weeds in cultivated areas which consists in applying the compositions according to the claims 15 and 16 to said areas.

18. Alkali metal, alkaline earth metal, optionally alkylated ammonium or organic amine salts of aminosulfonylureas of the general formula (I), according to claims 1-8.

19. Herbicidal compositions containing salts of aminosulfonylureas of the general formula (I), according to claim 18, alone or in the presence of solid carriers, liquid diluents, surfactants, or other active ingredients.

20. The compositions with herbicidal activity according to claim 19, wherein the concentration of active substance is between 1% and 90%.

21. A method for controlling weeds in cultivated areas, which consists in applying the compositions according to claims 19 and 20 to said areas.

INTERNATIONAL SEARCH REPORT

Inte ional Application No
PCT/EP 98/01417

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D239/52 C07D239/46 C07D239/42 C07D251/16 C07D251/42
C07D251/46 A01N47/36

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 515 620 A (BOEHNER BEAT) 7 May 1985 cited in the application see example 2 ---	1-21
A	DE 44 14 840 A (BAYER AG) 2 November 1995 see claim 1 -----	1-21

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

° Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
7 July 1998	14/07/1998

Name and mailing address of the ISA	Authorized officer
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1

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inte ional Application No
PCT/EP 98/01417

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