

ARYLTHIADIAZOLONES WITH A HERBICIDAL ACTIVITY

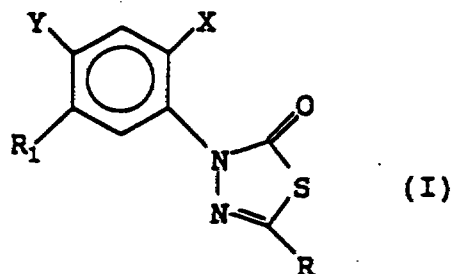
The present invention relates to new arylthiadiazolones.

More specifically the present invention relates to
5 arylthiadiazolones having a high herbicidal activity,
a process for their preparation and their use as
herbicides for the control of weeds in agricultural
crops.

Thiadiazolones having a herbicidal activity are
10 described in U.S. patents 3.801.589, 3.746.719 and
3.776.919. These products however are not very selec-
tive as they are generally toxic also towards the most
important agricultural cultivations.

The Applicant has now found new arylthiadiazolones
15 which, as well as having a high herbicidal activity
against numerous kinds of weeds, also have a low
phytotoxicity for one or more cultivations of greatest
agricultural interest and can therefore be used as
selective herbicides.

The present invention therefore relates to arylthiadiazolones having general formula (I):



wherein:

- R represents a C₁-C₆ alkyl or haloalkyl group linear or branched; a C₃-C₆ cycloalkyl or halocycloalkyl group; a C₄-C₇ cycloalkylalkyl or halocycloalkylalkyl group; a C₂-C₆ alkenyl or haloalkenyl group linear or branched;
- X represents a hydrogen atom; a fluorine atom; a chlorine atom; a bromine atom; a methyl group;
- 15 - Y represents a hydrogen atom, a halogen atom such as chlorine, fluorine, bromine or iodine; a C₁-C₄ alkyl or haloalkyl group linear or branched; a C₁-C₄ alkoxy or haloalkoxy group linear or branched; a C₁-C₄ alkylthio or haloalkylthio group linear or branched; a C₁-C₄ alkylsulphonyl group linear or branched; a C₁-C₄ alkylsulphinyl group linear or branched; a cyano group; a nitro group;
- 20 - R₁ represents a C₁-C₈ alkyl group linear or branched; a C₁-C₈ alkoxy group linear or branched;
- 25 a C₁-C₈ alkylthio group linear or branched; a C₃-C₆

cycloalkoxy group; a C_3-C_8 cycloalkylthio group; a C_4-C_8 cycloalkylalkyl group; a C_4-C_8 cycloalkylalkoxy group; a C_4-C_8 cycloalkylalkylthio group; a C_2-C_8 alkenyl group linear or branched; a C_2-C_8 alkenyloxy group linear or branched; a C_2-C_8 alkenylthio group linear or branched; a C_2-C_8 alkynyl group linear or branched; a C_3-C_8 alkynyl-oxy group linear or branched; a C_3-C_8 alkynylthio group linear or branched; a C_3-C_8 alkynylsulphinyl group linear or branched; a C_3-C_8 alkynylsulphonyl group linear or branched; an OH group; an SH group; said groups in turn optionally substituted with one or more groups selected from halogen atoms such as chlorine, fluorine, bromine or iodine, C_1-C_4 alkyl or haloalkyl groups linear or branched; C_1-C_4 alkoxy or haloalkoxy groups linear or branched; C_1-C_4 alkylthio or haloalkylthio groups linear or branched;

- or, Y and R_1 , jointly represent an $O-CH_2-CO-NR_4$ group wherein R_4 represents a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group linear or branched; a C_4-C_8 cycloalkylalkyl or halocycloalkylalkyl group; a C_2-C_8 alkenyl or haloalkenyl group linear or branched; a C_3-C_8 alkynyl or haloalkynyl group linear or branched; a C_5-C_8 alkoxyalkynyl or

haloalkoxyalkynyl group linear or branched.

The arylthiadiazolones having general formula (I) have a high herbicidal activity.

Arylthiadiazolones having general formula (I) which are preferred for their herbicidal activity are those wherein:

- R_1 represents a C_3-C_5 alkynyloxy group; a C_3-C_5 alkynylthio group; a C_3-C_5 alkenyloxy group; a C_3-C_5 alkenylthio group, optionally substituted with halogen atoms.

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

- 5-t-butyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 1);
- 5-t-butyl-3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 2);
- 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 3);
- 5-t-butyl-3-[5-(but-3-yn-2-yloxy)-4-chloro-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one;
- 5-t-butyl-3-[2-chloro-4-fluoro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 4);

- 5-t-butyl-3-[5-(but-3-yn-2-yloxy)-2-chloro-4-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one;
- 3-[4-bromo-2-chloro-5-(prop-2-ynyloxy)phenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 5);
- 5 - 3-[4-bromo-5-(but-3-yn-2-yloxy)-2-chlorophenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one;
- 3-[4-bromo-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 6);
- 3-[4-bromo-5-(but-3-yn-2-yloxy)-2-fluorophenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one;
- 10 - 5-cyclopropyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 7);
- 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 8);
- 15 - 5-cyclopropyl-3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 9);
- 20 - 3-[5-(but-3-yn-2-yloxy)-4-chloro-2-fluorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
- 5-cyclopropyl-3-[2-chloro-4-fluoro-(5-prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 10);
- 25 - 3-[5-but-3-yn-2-yloxy)-2-chloro-4-fluorophenyl]-5-

- cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
- 3-[4-bromo-2-chloro-5-(prop-2-ynyloxy)phenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 11);
 - 5 - 3-[4-bromo-5-(but-3-yn-2-yloxy)-2-chlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
 - 3-[4-bromo-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
 - 3-[4-bromo-5-(but-3-yn-2-yloxy)-2-fluorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
 - 10 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 12);
 - 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 13);
 - 15 - 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 14);
 - 3-[5-(but-3-yn-2-yloxy)-4-chloro-2-fluorophenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one;
 - 20 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 15);
 - 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 25

- 16);
- 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 17);
 - 5 - 3-[5-(but-3-yn-2-yloxy)-4-chloro-2-fluorophenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 18);
 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(1-methylcyclopropyl)-1,3,4-thiadiazol-2(3H)-one
10 (Compound Nr. 19);
 - 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-(1-methylcyclopropyl)-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 20);
 - 5-sec-butyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)-
15 phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 21);
 - 5-sec-butyl-3-[2-chloro-4-fluoro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 22);
 - 20 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(2-methylbut-2-yl)-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 23);
 - 3-[2-chloro-4-fluoro-5-(prop-2-ynyloxy)phenyl]-5-(2-methylbut-2-yl)-1,3,4-thiadiazol-2(3H)-one
25 (Compound Nr. 24);

- 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(1-methylethenyl)-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 25);
- 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-(1-methylethenyl)-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 26);
- 5-t-butyl-3-[2,4-dichloro-5-(prop-2-ynylthio)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 27);
- 5-t-butyl-3-[5-(but-3-yn-2-ylthio)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 28);
- 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-ynylthio)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 29);
- 5-t-butyl-3-[5-(but-3-yn-2-ylthio)-4-chloro-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 30);
- 5-cyclopropyl-3-[2,4-dichloro-5-(prop-2-ynylthio)phenyl]-1,3,4-thiadiazol-2(3H)-one;
- 3-[5-(but-3-yn-2-ylthio)-2,4-dichlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;
- 5-cyclopropyl-3-[4-chloro-2-fluoro-5-(prop-2-ynylthio)phenyl]-1,3,4-thiadiazol-2(3H)-one;
- 3-[5-(but-3-yn-2-ylthio)-4-chloro-2-fluorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one;

- 5-t-butyl-3-[4-chloro-2-methyl-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 31);
- 5-cyclopropyl-3-[4-chloro-2-methyl-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 32);
- 5-t-butyl-3-[5-(but-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 33);
- 5-t-butyl-3-[5-(but-2-ynyloxy)-4-chloro-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 34);
- 3-[5-(but-2-ynyloxy)-2,4-dichlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 35);
- 3-[5-(but-2-ynyloxy)-4-chloro-2-fluorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 36);
- 5-t-butyl-3-[5-(3-chloroprop-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 37);
- 5-cyclopropyl-3-[5-(3-chloroprop-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 38);
- 5-t-butyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 39);

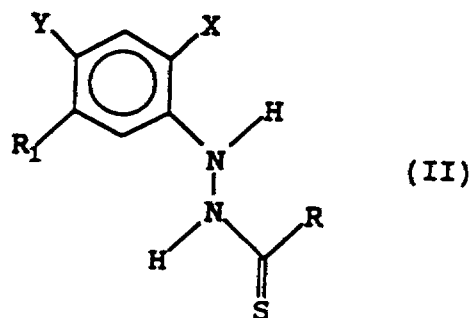
- 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-enyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 40);
- 5-cyclopropyl-3-[2,4-dichloro-5-(prop-2-enyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one;
- 5-cyclopropyl-3-[4-chloro-2-fluoro-5-(prop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one;
- 5-t-butyl-3-[2,4-dichloro-5-(3,3-dichloroprop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 41);
- 5-t-butyl-3-[4-chloro-5-(3,3-dichloroprop-2-enyloxy)-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 42);
- 5-cyclopropyl-3-[2,4-dichloro-5-(3,3-dichloroprop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one;
- 5-cyclopropyl-3-[4-chloro-5-(3,3-dichloroprop-2-enyloxy)-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one;
- 5-t-butyl-3-[7-chloro-3,4-dihydro-4-(prop-2-ynyl)-2H-1,4-benzoxazin-3-onyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 43);
- 5-t-butyl-3-[7-fluoro-3,4-dihydro-4-(prop-2-ynyl)-2H-1,4-benzoxazin-3-onyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr. 44);
- 5-t-butyl-3-[2-chloro-5-(prop-2-ynyloxy)phenyl]-

- 1,3,4-thiadiazol-2(3H)-one (Compound Nr. 45);
- 5-t-butyl-3-[4-chloro-5-(prop-2-ynyloxy)phenyl]-
1,3,4-thiadiazol-2(3H)-one (Compound Nr. 46);
- 3-[2-bromo-4-chloro-5-(prop-2-ynyloxy)phenyl]-5-t-
5 butyl-1,3,4-thiadiazol-2(3H)-one (Compound Nr.
47);
- 5-t-butyl-3-[2-chloro-4-cyano-5-(prop-2-ynyloxy)-
phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound Nr.
49);
- 10 - 5-t-butyl-3-[2-chloro-5-(prop-2-ynyloxy)-4-tri-
fluoromethylphenyl]-1,3,4-thiadiazol-2(3H)-one
(Compound Nr. 50).

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

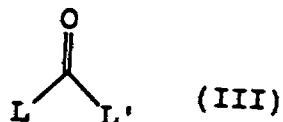
The compounds having general formula (I) can be
obtained by means of a process which comprises the
reaction of a thiohydrazide having general formula
(II):

20



25 wherein R, X, Y and R₁ have the same meanings described

above, with a cyclizing agent having general formula (III):



5 wherein:

- L represents a chlorine atom; a C₁-C₄ alkoxy group linear or branched; a phenoxy group;
- L' represents a chlorine atom; a C₁-C₄ alkoxy group linear or branched; a phenoxy group; or, when L
10 represents a chlorine atom, L' represents a trichloromethoxy group or a benzyloxy group.

The above cyclization reaction can take place in a single step or in two steps depending on the type of cyclizing agent used.

15 Cyclizing agents which can be used for the purpose are phosgene, trichloromethylchloroformate (diphosgene), or an alkylchloroformate such as, for example, methylchloroformate or ethylchloroformate, benzylchloroformate, phenylchloroformate, etc.

20 When phosgene or trichloromethylchloroformate is used as cyclizing agent, the above cyclization reaction is carried out in a single step. For this purpose, the thiohydrazide having general formula (II), dissolved or suspended in a suitable inert organic solvent, is
25 treated with the cyclizing agent, optionally dissolved

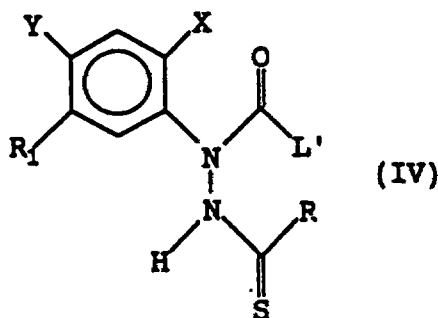
in turn in a suitable inert organic solvent, at a temperature of between 20°C and the boiling point of the mixture itself, optionally in the presence of an inorganic or organic base.

5 Inert organic solvents which can be used for the purpose are chlorinated hydrocarbons (such as, for example, methylene chloride, chloroform, 1,2-dichloroethane, etc.); aromatic hydrocarbons (such as, for example, benzene, toluene, xylene, etc.); chlorinated
10 aromatic hydrocarbons (such as, for example, chlorobenzene, etc.); ethers (such as for example, ethyl ether, tetrahydrofuran, dioxane, etc.); esters (such as, for example, ethyl acetate, etc.).

Bases which can be used for the purpose are
15 inorganic bases such as, for example, sodium bicarbonate, sodium hydroxide, sodium carbonate, sodium hydride, potassium hydroxide, potassium carbonate, or organic bases such as, for example, triethylamine, pyridine, 4-dimethylaminopyridine, etc.

20 When an alkyl, benzyl or phenylchloroformate are used as cyclizing agent, the cyclization reaction is generally carried out in two steps. For this purpose the thiohydrazide having general formula (II), dissolved or suspended in an inert organic solvent (selected from those listed above), is treated with the
25

ester of chloroformic acid, in the presence of an inorganic or organic base (selected from those listed above), at a temperature of between 0°C and the boiling point of the mixture itself, obtaining the intermediate
 5 having general formula (IV):



10

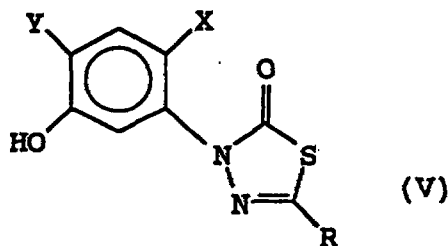
wherein R, X, Y and R₁ have the same meanings described above and L' represents a C₁-C₄ alkoxy group linear or branched, a phenoxy group or a benzyloxy group, which is subsequently cyclized by heating to a temperature of
 15 between 120°C and 200°C, optionally in the presence of a high-boiling organic solvent such as, for example, xylene or ortho-dichlorobenzene, and a base such as, for example, 4-dimethylaminopyridine, analogously to what is described in "Journal of Heterocyclic Chemis-
 20 try" (1986), Vol. 23, pages 417-419.

The thiohydrazides having general formula (II) can be prepared according to known methods such as those described in "Beilstein" 15, Vol. II, page 92 and page 94 and Vol. III, page 156; in "Acta Chemica Scandinavica", Vol. 6 (1952), page 957 and Vol. 15 (1961), page
 25

1097; in "Journal of Heterocyclic Chemistry", Vol. 17 (1980), page 191 and Vol. 23 (1986) page 417; in "Journal of Fluorine Chemistry", Vol. 12 (1978), pages 1-21; in "Chemistry Express", Vol. 6 (1991), page 411.

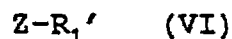
5 For example, most of the thiohydrazides having general formula (II) can be conveniently prepared starting from the corresponding hydrazides by thionation with phosphorous pentasulfide or with the Lawesson reagent. The hydrazides can in turn be easily prepared by reaction
10 of arylhydrazine suitably substituted with acyl chlorides.

The compounds having general formula (I) wherein R_1 represents an ether group linked to the aryl by means of the oxygen atom, can be alternatively prepared by
15 the etherification of a 5-hydroxyarylthiadiazolone having general formula (V):



20

wherein R, X and Y have the same meanings described above, with a compound having general formula (VI):



25 wherein:

- Z represents a halogen atom, preferably chlorine or bromine, or an $R'SO_2O$ group wherein R' represents a C_1-C_4 alkyl or haloalkyl group linear or branched or a phenyl group also optionally substituted with C_1-C_3 alkyl groups linear or branched, nitro groups, halogen atoms such as chlorine, fluorine or bromine;
- R_1' represents a C_1-C_8 alkyl group linear or branched, a C_3-C_6 cycloalkyl group, a C_4-C_8 cycloalkylalkyl group, a C_2-C_8 alkenyl group linear or branched, a C_3-C_8 alkynyl group linear or branched, all groups in turn optionally substituted by halogen atoms, C_1-C_4 alkyl or haloalkyl groups linear or branched, C_1-C_4 alkoxy or haloalkoxy groups linear or branched, C_1-C_4 alkylthio or haloalkylthio groups linear or branched.

The etherification reaction can be advantageously carried out in the presence of an inert organic solvent and in the presence of an organic or inorganic base, at a temperature of between -10°C and the boiling point of the solvent used, preferably between 0°C and 100°C .

Inert organic solvents which can be used for the purpose are, for example, benzene, toluene, xylene, acetone, methylethylketone, methylpropylketone, ethyl acetate, dimethoxyethane, diisopropylether, tetrahydro-

furan, dioxane, acetonitrile, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone, dimethylsulfoxide.

Inorganic bases which can be used for the purpose are, for example, hydroxides and carbonates of sodium, potassium, calcium.

Organic bases which can be used for the purpose are, for example, triethylamine, pyridine, 4-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicycloundecene (DBU).

The 5-hydroxyarylthiadiazolones having formula (V) can be prepared from the arylthiadiazolones having formula (I), wherein R_1 represents a C_1 - C_4 alkoxy group (for example, an isopropoxy group), by treatment with aluminum chloride.

The compounds having general formula (I) of the present invention have shown a high herbicidal activity which makes them suitable for use in the agricultural field in the defence of useful cultivations against weeds.

In particular, the compounds having general formula (I) are efficient in the control, both in pre-emergence and post-emergence, of numerous monocotyledon and dicotyledon weeds. At the same time, these compounds are compatible or have no toxic effects with

respect to useful crops, both in pre-emergence and post-emergence treatment.

Examples of weeds which can be effectively controlled using the compounds having general formula (I) of the present invention are: Solanum nigrum, Echino-
5 cloa crusgalli, Echinocloa oryzicola, Avena fatua,
Ipomea spp., Abutilon theophrasti, Polygonum persicaria,
Convolvulus sepium, Amaranthus retroflexus, Chenopodium
Album Galium aparine, Papaver rhoas, Alopecurus
10 myosuroides, Cyperus spp., Panicum dichotomiflorum,
Setaria viridis, Heteranthera spp., Portulaca oleracea,
Digitaria sanguinalis, Capsella bursa pastoris, Mono-
choria vaginalis, Rotala indica, Scirpus juncoides,
Sagittaria pygmaea, etc.

15 With the dosages used for agricultural applications, the above compounds have shown no toxic effects towards important agricultural crops such as rice (Oryza sativa), wheat (Triticum spp.), maize (Zea
mais), soybean (Glycine max), etc.

20 A further object of the present invention relates to a method for controlling weeds in cultivated areas by the application of the compounds having general formula (I).

The amount of compound to be applied to obtain the
25 desired effect can vary depending on different factors

such as, for example, the compound used, the crop to be preserved, the weed to be eliminated, the degree of infestation, climatic conditions, characteristics of the soil, method of application, etc.

5 Dosages of compound of between 1 g and 1000 g per hectare generally provide sufficient control.

For practical uses in agriculture it is often advantageous to use compositions with a herbicidal activity containing, as active substance, one or more
10 compounds having general formula (I).

It is possible to use compositions in the form of dry powders, wettable powders, emulsifiable concentrates, microemulsions, pastes, granules, solutions, suspensions etc.: the selection of the type of composition will depend on the specific use.
15

The compositions are prepared according to the known methods, for example by diluting or dissolving the active substance with a solvent and/or solid diluent, possibly in the presence of surfactants.

20 As solid inert diluents, or carriers, it is possible to use kaolin, alumina, silica, talc, bentonite, chalk, quartz, dolomite, attapulgite, montmorillonite, diatomaceous earth, cellulose, starch, etc.

As liquid inert diluents, in addition to water
25 obviously, it is possible to use organic solvents such

as aromatic hydrocarbons (xylols, mixtures of alkylbenzols, etc.), aliphatic hydrocarbons (hexane, cyclohexane, etc.), halogenated aromatic hydrocarbons (chlorobenzol, etc.), alcohols (methanol, propanol, butanol, 5 octanol, etc.), esters (isobutyl acetate, etc.), ketones (acetone, cyclohexanone, acetophenone, isophorone, ethylamylketone, etc.) or vegetable or mineral oils or their mixtures, etc.

As surfactants it is possible to use wetting and 10 emulsifying agents of the non-ionic type (polyethoxylated alkylphenols, polyethoxylated fatty alcohols, etc.), anionic type (alkylbenzenesulfonates, alkylsulfonates, etc.), cationic type (quaternary salts of alkylammonium, etc.).

15 It is also possible to add dispersing agents (for example lignin and its salts, derivatives of cellulose, alginates, etc.), stabilizers (for example anti-oxidants, ultraviolet-ray absorbers, etc.).

To increase the range of action of the above 20 compositions, other active ingredients can also be added such as, for example, other herbicides, fungicides, insecticides or acaricides, fertilizers.

The concentration of active substance in the above compositions can vary within a wide range, depending on 25 the active compound, the applications for which they

are destined, environmental conditions and type of formulation adopted.

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

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

EXAMPLE 1

Preparation of N'-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-N-thiopivaloyl-hydrazine

10 Phosphorous pentasulfide (P_4S_{10} : 0.720 g, 1.6 mmoles) is added to a solution of N'-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-N-pivaloyl-hydrazine (2 g; 6.4 mmoles) in dioxane (40 ml) and the mixture is heated to 60°C for 3 hours. The mixture is then poured into water
15 and extracted with ethyl ether. The organic phase is washed again with water, anhydriified with sodium sulfate and concentrated. The residue (2.8 g) is dissolved in ethyl ether/hexane 1:1, filtered on silica gel, concentrated and recrystallized from hexane. 1.7
20 g of product are obtained with a melting point of 126-128°C.

EXAMPLE 2

Preparation of 5-t-butyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (Compound

25 Nr. 1)

Three drops of pyridine and 0.5 g (2.5 mmoles) of trichloromethylchloroformate are added to a solution of 1.65 g (5 mmoles) of N'-[2,4-dichloro-5-(prop-2-ynyl-oxy)-phenyl]-N-thiopivaloylhydrazine, obtained as de-
 5 scribed in Example 1, in 25 ml of dioxane, maintained in a nitrogen atmosphere. The reaction mixture is stirred, at room temperature, for 3 hours.

The mixture is poured into water (250 ml) and extracted with ethyl ether (3 x 100 ml). The organic
 10 phase is washed to neutrality with a saturated solution of sodium chloride, anhydriified with sodium sulfate and concentrated by means of a rotovapor. The raw product is purified by silica gel chromatography eluating with n-hexane/ethyl acetate in a ratio of 9:1.

15 1.4 g of a solid product are obtained corresponding to Compound Nr. 1 having a melting point of 92°C.

EXAMPLE 3

Operating analogously as described in Example 2,
 20 the following compounds were prepared starting from the corresponding thiohydrazides and using trichloromethylchloroformate or phosgene as cyclizing agent:

- 5-t-butyl-3-[5-(but-3-yn-2-yloxy)-2,4-dichloro-phenyl]-1,3,4-thiadiazol-2(3H)-one (m.p. 100-
 25 102°C; compound Nr. 2);

- 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (m.p. 73-75°C; compound Nr. 3);
- 5-t-butyl-3-[2-chloro-4-fluoro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 4);
- 3-[4-bromo-2-chloro-5-(prop-2-ynyloxy)phenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 5);
- 3-[4-bromo-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 6);
- 5-cyclopropyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2(3H)-one (m.p. 99-101°C; compound Nr. 7);
- 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (m.p. 109-110°C; compound Nr. 8);
- 5-cyclopropyl-3-[4-cloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 9);
- 5-cyclopropyl-3-[2-chloro-4-fluoro-(5-prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 10);
- 3-[4-bromo-2-chloro-5-(prop-2-ynyloxy)phenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 11);

- 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (m.p. 98-100°C; compound Nr. 12);
- 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (m.p. 69-71°C compound Nr. 13);
- 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one (m.p. 92-94°C compound Nr. 14);
- 10 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (m.p. 55-57°C; compound Nr. 15);
- 3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (m.p. 72-74°C; compound Nr. 16);
- 15 - 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 17);
- 3-[5-(but-3-yn-2-yloxy)-4-chloro-2-fluorophenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 18);
- 20 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(1-methylcyclopropyl)-1,3,4-thiadiazol-2(3H)-one (compound Nr. 19);
- 25 - 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-

- (1-methylcyclopropyl)-1,3,4-thiadiazol-2(3H)-one
(compound Nr.20);
- 5-sec-butyl-3-[2,4-dichloro-5-(prop-2-ynyl-
oxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound
5 Nr. 21);
 - 5-sec-butyl-3-[2-chloro-4-fluoro-5-(prop-2-ynyl-
oxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound
Nr. 22);
 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(2-
10 methylbut-2-yl)-1,3,4-thiadiazol-2(3H)-one (com-
pound Nr. 23);
 - 3-[2-chloro-4-fluoro-5-(prop-2-ynyloxy)phenyl]-5-
(2-methylbut-2-yl)-1,3,4-thiadiazol-2(3H)-one
(compound Nr. 24);
 - 15 - 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-(1-
methylethenyl)-1,3,4-thiadiazol-2(3H)-one (com-
pound Nr. 25);
 - 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-
(1-methylethenyl)-1,3,4-thiadiazol-2-(3H)-one
20 (compound Nr. 26);
 - 5-t-butyl-3-[2,4-dichloro-5-(prop-2-ynylthio)phe-
nyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 27);
 - 5-t-butyl-3-[5-(but-3-yn-2-ylthio)-2,4-dichloro-
phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr.
25 28);

- 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-ynylthio)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 29);
- 5 - 5-t-butyl-3-[5-(but-3-yn-2-ylthio)-4-chloro-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 30);
- 5-t-butyl-3-[4-chloro-2-methyl-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 31);
- 10 - 5-cyclopropyl-3-[4-chloro-2-methyl-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 32);
- 5-t-butyl-3-[5-(but-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 33);
- 15 - 5-t-butyl-3-[5-(but-2-ynyloxy)-4-chloro-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 34);
- 3-[5-(but-2-ynyloxy)-2,4-dichlorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 35);
- 20 - 3-[5-(but-2-ynyloxy)-4-chloro-2-fluorophenyl]-5-cyclopropyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 36);
- 5-t-butyl-3-[5-(3-chloroprop-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (com-
- 25

- pound Nr. 37);
- 5-cyclopropyl-3-[5-(3-chloroprop-2-ynyloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 38);
 - 5 - 5-t-butyl-3-[2,4-dichloro-5-(prop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 39);
 - 5-t-butyl-3-[4-chloro-2-fluoro-5-(prop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 40);
 - 10 - 5-t-butyl-3-[2,4-dichloro-5-(3,3-dichloroprop-2-enyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 41);
 - 5-t-butyl-3-[4-chloro-5-(3,3-dichloroprop-2-enyloxy)-2-fluorophenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 42);
 - 15 - 5-t-butyl-3-[7-chloro-3,4-dihydro-4-(prop-2-ynyl)-2H-1,4-benzoxazin-3-onyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 43);
 - 5-t-butyl-3-[7-fluoro-3,4-dihydro-4-(prop-2-ynyl)-2H-1,4-benzoxazin-3-onyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 44);
 - 20 - 5-t-butyl-3-[2-chloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 45);
 - 5-t-butyl-3-[4-chloro-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 46);
 - 25

- 3-[2-bromo-4-chloro-5-(prop-2-ynyloxy)phenyl]-5-t-butyl-1,3,4-thiadiazol-2(3H)-one (compound Nr. 47);
- 5-t-butyl-3-(2,4-dichloro-5-isopropoxyphenyl)-1,3,4-thiadiazol-2(3H)-one (compound Nr. 48);
- 5-t-butyl-3-[2-chloro-4-cyano-5-(prop-2-ynyloxy)phenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 49);
- 5-t-butyl-3-[2-chloro-5-(prop-2-ynyloxy)-4-trifluoromethylphenyl]-1,3,4-thiadiazol-2(3H)-one (compound Nr. 50).

EXAMPLE 4

Preparation of 5-t-butyl-3-(2,4-dichloro-5-hydroxyphenyl)-1,3,4-thiadiazol-2(3H)-one (compound Nr. 51)

- 11.7 g of 5-t-butyl-3-(2,4-dichloro-5-isopropoxyphenyl)-1,3,4-thiadiazol-2(3H)-one (compound Nr. 48; 32.4 mmols) and 250 ml of methylene chloride are charged into a 500 ml flask in a nitrogen atmosphere. AlCl_3 (12.9 g; 96.7 mmols) is added to this solution in portions and the mixture is maintained under stirring at room temperature for 2 hours. The mixture is then carefully poured into 100 g of ice to which 100 ml of a saturated solution of sodium chloride have been added. 200 ml of ethyl ether are added and, after separation of the phases, the organic phase is washed

with a saturated solution of sodium chloride, anhydri-
fied with sodium sulfate and concentrated with a
rotavapor. 10 g of the desired product are obtained
having m.p. 130-132°C.

5 EXAMPLE 5

**Determination of the herbicidal activity in post-
emergence.**

The herbicidal activity of Compounds 1, 2, 7 and
15 was evaluated against both monocotyledon and dicoty-
10 ledon weeds, in post-emergence treatment compared to 5-
amino-3-phenyl-1,3,4-thiadiazol-2(3H)-one (RC), corre-
sponding to the compound of example 2 of U.S. patent
3.746.719 [therein called 4-phenyl-2-amino-1,3,4-
thiadiazolone-(5)].

15 The evaluation tests of each product were carried
out according to the following operating procedures.

The following weeds were sown in jars (diameter of
more than 10 cm, height 10 cm) containing sandy earth
(10 jars for each species):

- 20 - dicotyledon: Abutilon theophrasti (AT), Amaranthus
retroflexus (AR), Chenopodium album (CA), Convolv-
ulus sepium (CS), Ipomea purpurea (IP), Polygonum
persicaria (PP), Portulaca oleracea (PO), Solanum
nigrum (SN)
- 25 - monocotyledon: Alopecurus myosuroides (AM),

Digitaria sanguinalis (DS), Panicum dichotomiflorum (PD), Setaria viridis (SV)

Water was added to each jar in a suitable quantity for a good germination of the seeds.

5 The jars were divided into two groups each containing 5 jars for each weed.

 The first group of jars was treated fifteen days after sowing, i.e. when the weeds, depending on the species, were 10-15 cm high, with a hydroacetic
10 dispersion containing the product under examination at the desired concentration, acetone (10% by volume) and Tween 20 (0.5%).

 The second group was only treated with a hydroacetic solution containing acetone (10% by volume) and
15 Tween 20 (0.5%), and was used as a comparison (control).

 All the jars were uniformly watered every two days and kept in a conditioned environment under the following conditions:

- 20 - temperature: 24°C;
 - relative humidity: 60%;
 - photoperiod: 16 hours;
 - luminous intensity: 10000 lux.

 Fifteen days after the treatment the herbicidal
25 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;
 - 5 - 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 the plant treated.
- 10 The results obtained are shown in Table 1 below.

TABLE 1

HERBICIDAL ACTIVITY IN POST-EMERGENCE AT A DOSAGE OF
150 g/HA

=====						
5	COMPOUND	1	2	7	15	RC
	SPECIES					
	AT	5	5	5	5	0
	AR	5	5	5	5	0
	CA	5	5	5	5	1
10	CS	5	5	5	5	0
	IP	5	5	5	5	1
	PP	5	2	5	5	0
	PO	5	5	5	5	0
	SN	5	5	5	5	1
15	AM	5	NT	NT	NT	0
	DS	5	NT	NT	NT	0
	PD	5	NT	NT	NT	0
	SV	5	NT	NT	NT	0
=====						

20 NT = not tested

EXAMPLE 6

Determination of the herbicidal activity in pre-emergence

The herbicidal activity of Compounds 1, 7, 8, 9,
25 14, 15 and 17 was evaluated against both monocotyledon

and dicotyledon weeds, and against some important crops, in pre-emergence treatment compared to 5-amino-3-phenyl-1,3,4-thiadiazol-2(3H)-one (RC), corresponding to the compound of example 2 of U.S. patent 3.746.719.

5 The evaluation tests of each product were carried out according to the following operating procedures.

The following weeds and crops were sown in jars (diameter of more than 10 cm, height 10 cm) containing sandy earth (10 jars for each species):

- 10 - dicotyledon: Amaranthus retroflexus (AR), Chenopodium album (CA), Capsella bursa pastoris (CB), Papaver rhoas (PR), Portulaca oleracea (PO), Solanum nigrum (SN)
- monocotyledon: Digitaria sanguinalis (DS), Echino-
- 15 chloa crusgalli (EC), Panicum dichotomiflorum (PD)
- crops: rice (Oryza sativa), maize (Zea mays), soybean (Glycine max), wheat (Triticum spp.)

The jars were divided into two groups each containing 5 jars for each weed and crop.

20 24 hours after sowing, the first group of jars was dampened with a light shower and, an hour after watering, treated with a hydroacetic dispersion containing the product under examination at the desired concentration, acetone (10% by volume) and Tween 20 (0.5%).

25 The second group was only treated with a hydroace-

tonic solution containing acetone (10% by volume) and Tween 20 (0.5%), and was used as a comparison (control).

After the treatment all the jars were uniformly watered
5 every two days and kept in a conditioned environment under the following conditions:

- temperature: 24°C;
- relative humidity: 60%;
- photoperiod: 16 hours;
- 10 - luminous intensity: 10000 lux.

28 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
15 treated (control):

- 0 = 0%-9% of damage;
- 1 = 10-29% of damage;
- 2 = 30%-49% of damage;
- 3 = 50%-69% of damage;
- 20 - 4 = 70%-89% of damage;
- 5 = 90% of damage-death of the plant treated.

The results obtained are shown in Table 2 below.

TABLE 2

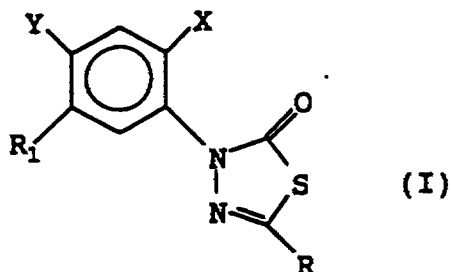
HERBICIDAL ACTIVITY IN PRE-EMERGENCE AT A DOSAGE OF 150
G/HA

=====									
5	COMPOUND	1	7	8	9	14	15	17	RC
	SPECIES								
	AR	5	5	5	5	5	5	5	0
	CA	5	5	5	5	5	5	5	0
	CB	5	5	5	5	5	5	5	0
10	PR	5	5	5	5	5	5	5	0
	PO	5	5	5	3	5	5	1	0
	SN	5	4	5	5	5	5	5	0
	DS	5	5	5	5	5	5	5	0
	EC	5	5	4	5	5	5	5	0
15	PD	5	5	5	5	5	5	5	0
	RICE	0	0	NT	0	1	0	0	0
	MAIZE	0	1	NT	0	0	NT	0	0
	SOYBEAN	0	1	NT	0	0	NT	0	0
20	WHEAT	0	0	NT	0	0	NT	1	0
=====									

NT = not tested

CLAIMS

1. Arylthiadiazolones having general formula (I):



wherein:

- R represents a C₁-C₆ alkyl or haloalkyl group linear or branched; a C₃-C₆ cycloalkyl or halocycloalkyl group; a C₄-C₇ cycloalkylalkyl or halocycloalkylalkyl group; a C₂-C₆ alkenyl or haloalkenyl group linear or branched;
- X represents a hydrogen atom; a fluorine atom; a chlorine atom; a bromine atom; a methyl group;
- Y represents a hydrogen atom, a halogen atom such as chlorine, fluorine, bromine or iodine; a C₁-C₄ alkyl or haloalkyl group linear or branched; a C₁-C₄ alkoxy or haloalkoxy group linear or branched; a C₁-C₄ alkylthio or haloalkylthio group linear or branched; a C₁-C₄ alkylsulphonyl group linear or branched; a C₁-C₄ alkylsulphinyl group linear or branched; a cyano group; a nitro group;
- R₁ represents a C₁-C₈ alkyl group linear or

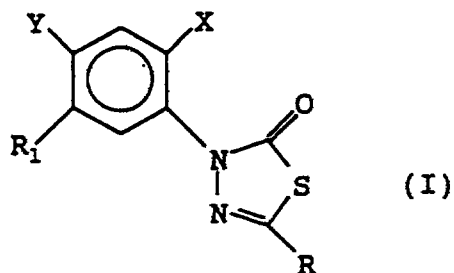


branched; a C_1-C_8 alkoxy group linear or
branched; a C_1-C_8 alkylthio group linear or
branched; a C_3-C_6 cycloalkoxy group; a C_3-C_6
cycloalkylthio group; a C_4-C_8 cycloalkylalkyl
5 group; a C_4-C_8 cycloalkylalkoxy group; a
 C_4-C_8 cycloalkylalkylthio group; a C_2-C_8 alke-
nyl group linear or branched; a C_2-C_8 alkenyl-
oxy group linear or branched; a C_2-C_8 alke-
nylthio group linear or branched; a C_2-C_8
10 alkynyl group linear or branched; a C_3-C_8
alkynyloxy group linear or branched; a C_3-C_8
alkynylthio group linear or branched; a C_3-C_8
alkynylsulphinyl group linear or branched; a
 C_3-C_8 alkynylsulphonyl group linear or
15 branched; an OH group; an SH group; said
groups in turn optionally substituted with
one or more groups selected from halogen
atoms such as chlorine, fluorine, bromine or
iodine, C_1-C_4 alkyl or haloalkyl groups linear
20 or branched; C_1-C_4 alkoxy or haloalkoxy groups
linear or branched; C_1-C_4 alkylthio or halo-
alkylthio groups linear or branched;
- or, Y and R_1 , jointly represent an $O-CH_2-CO-$
 NR_4 group wherein R_4 represents a hydrogen
25 atom; a C_1-C_8 alkyl or haloalkyl group linear



or branched; a C_4-C_8 cycloalkylalkyl or halo-
cycloalkylalkyl group; a C_2-C_8 alkenyl or
haloalkenyl group linear or branched; a C_3-C_8
alkynyl or haloalkynyl group linear or
5 branched; a C_5-C_8 alkoxyalkynyl or haloalk-
oxyalkynyl group linear or branched.

2. Herbicides consisting of arylthiadiazolones having
general formula (I):



wherein:

- 15 - R represents a C_1-C_6 alkyl or haloalkyl group
linear or branched; a C_3-C_6 cycloalkyl or
halocycloalkyl group; a C_4-C_7 cycloalkylalkyl
or halocycloalkylalkyl group; a C_2-C_6 alkenyl
or haloalkenyl group linear or branched;
- 20 - X represents a hydrogen atom; a fluorine
atom; a chlorine atom; a bromine atom; a
methyl group;
- Y represents a hydrogen atom, a halogen atom
such as chlorine, fluorine, bromine or io-
dine; a C_1-C_4 alkyl or haloalkyl group linear
- 25



or branched; a C_1-C_4 alkoxy or haloalkoxy group linear or branched; a C_1-C_4 alkylthio or haloalkylthio group linear or branched; a C_1-C_4 alkylsulphonyl group linear or branched;

5 a C_1-C_4 alkylsulphinyl group linear or branched; a cyano group; a nitro group;

- R_1 represents a C_1-C_8 alkyl group linear or branched; a C_1-C_8 alkoxy group linear or branched; a C_1-C_8 alkylthio group linear or

10 branched; a C_3-C_6 cycloalkoxy group; a C_3-C_6 cycloalkylthio group; a C_4-C_8 cycloalkylalkyl group; a C_4-C_8 cycloalkylalkoxy group; a C_4-C_8 cycloalkylalkylthio group; a C_2-C_8 alkenyl group linear or branched; a C_2-C_8 alkenyl-

15 oxy group linear or branched; a C_2-C_8 alkenylthio group linear or branched; a C_2-C_8 alkynyl group linear or branched; a C_3-C_8 alkynyloxy group linear or branched; a C_3-C_8 alkynylthio group linear or branched; a C_3-C_8

20 alkynylsulphinyl group linear or branched; a C_3-C_8 alkynylsulphonyl group linear or branched; an OH group; an SH group; said groups in turn optionally substituted with

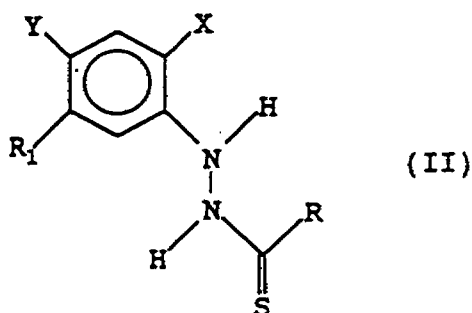
25 atoms such as chlorine, fluorine, bromine or



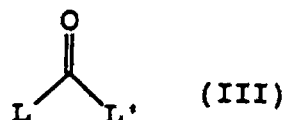
- iodine, C_1-C_4 alkyl or haloalkyl groups linear or branched; C_1-C_4 alkoxy or haloalkoxy groups linear or branched; C_1-C_4 alkylthio or haloalkylthio groups linear or branched;
- 5 - or, Y and R_1 , jointly represent an $O-CH_2-CO-NR_4$ group wherein R_4 represents a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group linear or branched; a C_4-C_8 cycloalkylalkyl or halocycloalkylalkyl group; a C_2-C_8 alkenyl or
- 10 haloalkenyl group linear or branched; a C_3-C_8 alkynyl or haloalkynyl group linear or branched; a C_5-C_8 alkoxyalkynyl or haloalkoxyalkynyl group linear or branched.
3. A herbicide according to claim 2, consisting of 5-
- 15 t-butyl-3-(2,4-dichloro-5-prop-2-ynyloxy)phenyl-1,3,4-thiadiazol-2(3H)-one.
4. A herbicide according to claim 2, consisting of 5-
- t-butyl-3-[5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-1,3,4-thiadiazol-2-(3H)-one.
- 20 5. A herbicide according to claim 2, consisting of 5-
- cyclopropyl-3-[2,4-dichloro-5-(prop-2-ynyloxy)-phenyl]-1,3,4-thiadiazol-2-(3H)-one.
6. A herbicide according to claim 2, consisting of 3-
- [5-(but-3-yn-2-yloxy)-2,4-dichlorophenyl]-5-
- 25 cyclopropyl-1,3,4-thiadiazol-2(3H)-one.



7. A herbicide according to claim 2, consisting of 5-cyclopropyl-3-[4-chloro-2-fluoro-5-(prop-2-ynyl-oxy)phenyl]-1,3,4-thiadiazol-2(3H)-one.
8. A herbicide according to claim 2, consisting of 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-ethyl-1,3,4-thiadiazol-2(3H)-one.
9. A herbicide according to claim 2, consisting of 3-[2,4-dichloro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one.
10. A herbicide according to claim 2, consisting of 3-[4-chloro-2-fluoro-5-(prop-2-ynyloxy)phenyl]-5-isopropyl-1,3,4-thiadiazol-2(3H)-one.
11. A process for the preparation of the arylthiadiazolones according to any of the previous claims, which comprises the reaction of a thiohydrazide having general formula (II):



wherein R, X, Y and R₁ have the same meanings described above, with a cyclizing agent having general formula (III):





wherein:

- L₁ represents a chlorine atom; a C₁-C₄ alkoxy group linear or branched; a phenoxy group;
 - L' represents a chlorine atom; a C₁-C₄ alkoxy group linear or branched; a phenoxy group; or, when L represents a chlorine atom, L' represents a trichloromethoxy group or a benzyloxy group.
12. The process according to claim 11, wherein the cyclizing agent having general formula (III) is selected from phosgene, trichloromethylchloroformate (diphosgene), alkylchloroformate, benzylchloroformate, phenylchloroformate.
13. The process according to claim 11 or 12 wherein the cyclizing agent having general formula (III) is phosgene or trichloromethylchloroformate and the cyclization reaction is carried out in a single step.
14. The process according to claim 13, wherein the thiohydrazide having general formula (II), dissolved or suspended in a suitable inert organic solvent, is treated with the cyclizing agent, optionally dissolved in turn in a suitable inert organic solvent, at a temperature of between 20°C and the boiling point of the mixture itself,

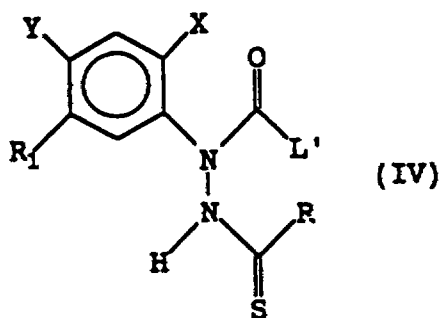


optionally in the presence of an inorganic or organic base.

15. The process according to claim 14, wherein the inert organic solvents are selected from chlori-
5 nated hydrocarbons, aromatic hydrocarbons, chlori-
nated aromatic hydrocarbons, ethers, esters.
16. The process according to claim 14, wherein the inorganic bases are sodium bicarbonate, sodium hydroxide, sodium carbonate, sodium hydride,
10 potassium hydroxide, potassium carbonate.
17. The process according to claim 14, wherein the organic base is selected from triethylamine, pyridine, 4-dimethylaminopyridine.
18. The process according to claim 11, wherein the
15 cyclizing agent having general formula (III) is an alkyl, benzyl or phenylchloroformate and the cyclization reaction is carried out in two steps.
19. The process according to claim 18, wherein the thiohydrazide having general formula (II), dis-
20 solved or suspended in an inert organic solvent according to claim 15, is treated with the ester of chloroformic acid in the presence of an inorganic or organic base according to claim 16 or 17, at a temperature of between 0°C and the boiling
25 point of the mixture itself, obtaining the inter-



mediate having general formula (IV):



wherein R, X, Y and R₁ have the same meanings described above and L' represents a C₁-C₄ alkoxy group linear or branched, a phenoxy group or a benzyloxy group, which is subsequently cyclized by heating to a temperature of between 120°C and 200°C, optionally in the presence of a high-boiling organic solvent and a base.

- 10
20. The process according to claim 19, wherein the high-boiling organic solvent is selected from xylene and ortho-dichlorobenzene.
- 15
21. The process according to claim 19, wherein the base is 4-dimethylaminopyridine.
22. Compositions with a herbicidal activity containing one or more arylthiadiazolones according to any of the claims from 2 to 21, alone or in the presence of solid carriers, liquid diluents, surfactants or other active ingredients.
- 20
23. The compositions with a herbicidal activity according to claim 22, wherein the concentration
- 25



45

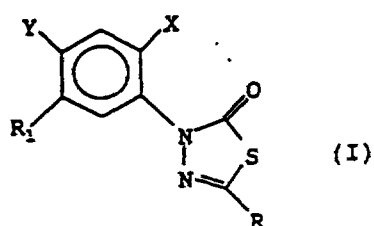
of active substance is between 1% and 90%.

24. A method for controlling weeds in cultivated areas which consists in applying the compositions according to claims 22 and 23 to these areas.

ARYLTHIADIAZOLONES WITH A HERBICIDAL ACTIVITY

Abstract

Arylthiadiazolones having general formula (I):



Arylthiadiazolones having general formula (I) have a high herbicidal activity and are used as herbicides for controlling weeds in agricultural cultivations.