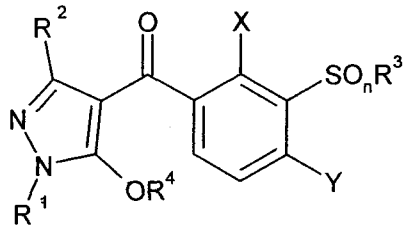
ORIGINAL

Abstract

4-(3-Alkylthiobenzoyl)pyrazoles and use thereof as herbicides

4-(3-Alkylthiobenzoyl)pyrazoles of the formula (I) are described as herbicides.

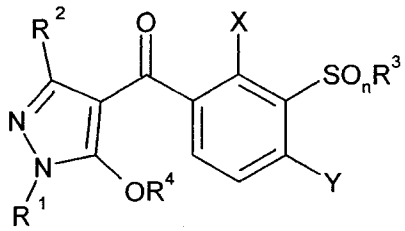


(I),

In this formula (I), X, Y, R^1, R^2, R^3 and R^4 represent radicals such as hydrogen, organic radicasl such as alkyl, and other radicals such as halogen.

Claims:

1. A 4-(3-alkylthiobenzoyl)pyrazole of the formula (I) or a salt thereof



(I),

in which

R^1 is $(C_1\text{-}C_4)$-alkyl,

R^2 is hydrogen or $(C_1\text{-}C_4)$-alkyl,

R^3 is $(C_1\text{-}C_6)$-alkyl,

R^4 is hydrogen, $(C_1\text{-}C_6)$-alkylsulfonyl, $(C_1\text{-}C_4)$-alkoxy-$(C_1\text{-}C_6)$-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-$(C_1\text{-}C_6)$-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, $(C_1\text{-}C_4)$-alkyl and $(C_1\text{-}C_4)$-alkoxy;

X is OR^5, $OCOR^5$ or OSO_2R^6,

R^5 is hydrogen, $(C_1\text{-}C_6)$-alkyl, $(C_2\text{-}C_6)$-alkenyl, $(C_2\text{-}C_6)$-alkynyl, $(C_3\text{-}C_6)$-cycloalkyl, $(C_3\text{-}C_6)$-cycloalkyl-$(C_1\text{-}C_6)$-alkyl or phenyl-$(C_1\text{-}C_6)$-alkyl, where the six last-mentioned radicals are substituted by s radicals from the group consisting of halogen, OR^7 and $S(O)_mR^8$,

R^6 is $(C_1\text{-}C_6)$-alkyl, $(C_2\text{-}C_6)$-alkenyl, $(C_2\text{-}C_6)$-alkynyl, $(C_3\text{-}C_6)$-cycloalkyl, $(C_3\text{-}C_6)$-cycloalkyl-$(C_1\text{-}C_6)$-alkyl or phenyl-$(C_1\text{-}C_6)$-alkyl, each of which is substituted by s radicals from the group consisting of halogen, OR^7 and $S(O)_mR^8$,

R^7 is hydrogen, $(C_1\text{-}C_6)$-alkyl, $(C_2\text{-}C_6)$-alkenyl or $(C_2\text{-}C_6)$-alkynyl,

R^8 is $(C_1\text{-}C_6)$-alkyl, $(C_2\text{-}C_6)$-alkenyl or $(C_2\text{-}C_6)$-alkynyl,

Y is $(C_1\text{-}C_6)$-haloalkyl,

m is 0, 1 or 2,

n is 0, 1 or 2,

s is 0, 1, 2 or 3.

2. The 4-(3-alkylthiobenzoyl)pyrazole as claimed in claim 1 in which

R^1 is $(C_1\text{-}C_4)$-alkyl,

R^2 is hydrogen or $(C_1\text{-}C_4)$-alkyl,

R^3 is $(C_1\text{-}C_6)$-alkyl,

R^4 is hydrogen, $(C_1\text{-}C_6)$-alkylsulfonyl, $(C_1\text{-}C_4)$-alkoxy-$(C_1\text{-}C_6)$-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-$(C_1\text{-}C_6)$-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, $(C_1\text{-}C_4)$-alkyl and $(C_1\text{-}C_4)$-alkoxy;

X is OR^5,

R^5 is $(C_1\text{-}C_6)$-alkyl substituted by s methoxy or ethoxy groups,

Y is (C_1-C_6)-haloalkyl,

s is 0, 1, 2 or 3.

3. The 4-(3-alkylthiobenzoyl)pyrazole as claimed in claim 1 or 2 in which

R^1 is methyl, ethyl, n-propyl or isopropyl,

R^2 is hydrogen, methyl, ethyl, n-propyl or isopropyl,

R^3 is methyl, ethyl, n-propyl or isopropyl,

R^4 is hydrogen, (C_1-C_6)-alkylsulfonyl, (C_1-C_4)-alkoxy-(C_1-C_6)-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-(C_1-C_6)-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, (C_1-C_4)-alkyl and (C_1-C_4)-alkoxy;

X is OR^5,

R^5 is (C_1-C_6)-alkyl,

Y is (C_1-C_6)-haloalkyl,

s is 0, 1, 2 or 3.

4. The 4-(3-alkylthiobenzoyl)pyrazole as claimed in any of claims 1 to 3 in which

R^1 is methyl, ethyl, n-propyl or isopropyl,

R^2 is hydrogen, methyl, ethyl, n-propyl or isopropyl,

R^3 is methyl, ethyl, n-propyl or isopropyl,

R^4 is hydrogen, (C_1-C_6)-alkylsulfonyl, (C_1-C_4)-alkoxy-(C_1-C_6)-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-(C_1-C_6)-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, (C_1-C_4)-alkyl and (C_1-C_4)-alkoxy;

X is OR^5,

R^5 is (C_1-C_6)-alkyl,

s is 0, 1, 2 or 3.

5. A herbicidal composition which comprises a herbicidally effective amount of at least one compound of the formula (I) as claimed in any of claims 1 to 4.

6. The herbicidal composition as claimed in claim 5 in a mixture with formulation auxiliaries.

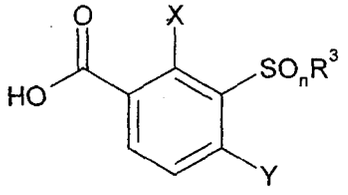
7. A method for controlling unwanted plants which comprises applying an effective amount of at least one compound of the formula (I) as claimed in any of claims 1 to 4 or of a herbicidal composition as claimed in claim 5 or 6 to the plants or the site of the unwanted vegetation.

8. The use of compounds of the formula (I) as claimed in any of claims 1 to 4 or of herbicidal compositions as claimed in claim 5 or 6 for controlling unwanted plants.

9. The use as claimed in claim 8 wherein the compounds of the formula (I) are used for controlling unwanted plants in crops of useful plants.

10. The use as claimed in claim 9 wherein the useful plants are transgenic useful plants.

11. A compound of the formula (II)



(II)

in which X, Y, R^3 and n are as defined in any of claims 1 to 4.

Dated this 27/1/2012

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Description

4-(3-Alkylthiobenzoyl)pyrazoles and use thereof as herbicides

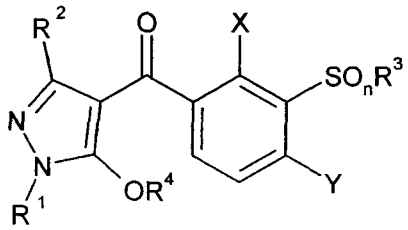
The invention relates to the technical field of herbicides, in particular that of herbicides for the selective control of broad-leaved weeds and weed grasses in crops of useful plants.

It is already known from various publications that certain benzoylpyrazoles have herbicidal properties. Thus, US 4,063,925 and WO 2008/078811 describe benzoylpyrazoles which are substituted by various radicals at the phenyl ring.

The herbicidal activity of the compounds known from these publications, however, is frequently inadequate. It is therefore an object of the present invention to provide further herbicidally active compounds having properties which – relative to those of the compounds disclosed in the state of the art – are improved.

It has now been found that 4-benzoylpyrazoles whose phenyl ring is substituted in the 2-, 3- and 4-position by selected radicals are particularly suitable as herbicides.

The present invention provides 4-(3-alkylthiobenzoyl)pyrazoles of the formula (I) or salts thereof



(I),

in which

R^1 is $(C_1\text{-}C_4)$-alkyl,

R^2 is hydrogen or $(C_1$-$C_4)$-alkyl,

R^3 is $(C_1$-$C_6)$-alkyl,

R^4 is hydrogen, $(C_1$-$C_6)$-alkylsulfonyl, $(C_1$-$C_4)$-alkoxy-$(C_1$-$C_6)$-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-$(C_1$-$C_6)$-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, $(C_1$-$C_4)$-alkyl and $(C_1$-$C_4)$-alkoxy;

X is OR^5, $OCOR^5$ or OSO_2R^6,

R^5 is hydrogen, $(C_1$-$C_6)$-alkyl, $(C_2$-$C_6)$-alkenyl, $(C_2$-$C_6)$-alkynyl, $(C_3$-$C_6)$-cycloalkyl, $(C_3$-$C_6)$-cycloalkyl-$(C_1$-$C_6)$-alkyl or phenyl-$(C_1$-$C_6)$-alkyl, where the six last-mentioned radicals are substituted by s radicals from the group consisting of halogen, OR^7 and $S(O)_mR^8$,

R^6 is $(C_1$-$C_6)$-alkyl, $(C_2$-$C_6)$-alkenyl, $(C_2$-$C_6)$-alkynyl, $(C_3$-$C_6)$-cycloalkyl, $(C_3$-$C_6)$-cycloalkyl-$(C_1$-$C_6)$-alkyl or phenyl-$(C_1$-$C_6)$-alkyl, each of which is substituted by s radicals from the group consisting of halogen, OR^7 and $S(O)_mR^8$,

R^7 is hydrogen, $(C_1$-$C_6)$-alkyl, $(C_2$-$C_6)$-alkenyl or $(C_2$-$C_6)$-alkynyl,

R^8 is $(C_1$-$C_6)$-alkyl, $(C_2$-$C_6)$-alkenyl or $(C_2$-$C_6)$-alkynyl,

Y is $(C_1$-$C_6)$-haloalkyl,

m is 0, 1 or 2,

n is 0, 1 or 2,

s is 0, 1, 2 or 3.

In formula (I) and all the formulae below, alkyl radicals having more than two carbon atoms can be straight-chain or branched. Alkyl radicals are, for example, methyl, ethyl, n- or isopropyl, n-, iso-, t- or 2-butyl, pentyls, hexyls, such as n-hexyl, isohexyl and 1,3-dimethylbutyl. Halogen represents fluorine, chlorine, bromine or iodine.

Where a group is substituted by a plurality of radicals, this means that this group is substituted by one or more identical or different representatives of the radicals mentioned.

Depending on the nature and the attachment of the substituents, the compounds of the formula (I) may be present as stereoisomers. If, for example, one or more asymmetrically substituted carbon atoms are present, there may be enantiomers and diastereomers. There may also be stereoisomers if n is 1 (sulfoxides). Stereoisomers may be obtained from the mixtures resulting from the preparation using customary separation methods, for example by chromatographic separation techniques. It is also possible to prepare stereoisomers selectively by using stereoselective reactions employing optically active starting materials and/or auxiliaries. The invention also relates to all stereoisomers and mixtures thereof embraced by the formula (I) but not specifically defined.

Preferred are compounds of the formula (I) in which

R^1 is $(C_1\text{-}C_4)$-alkyl,

R^2 is hydrogen or $(C_1\text{-}C_4)$-alkyl,

R^3 is $(C_1\text{-}C_6)$-alkyl,

R^4 is hydrogen, $(C_1\text{-}C_6)$-alkylsulfonyl, $(C_1\text{-}C_4)$-alkoxy-$(C_1\text{-}C_6)$-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-$(C_1\text{-}C_6)$-alkyl, benzyl,

where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, (C_1-C_4)-alkyl and (C_1-C_4)-alkoxy;

X is OR^5,

R^5 is (C_1-C_6)-alkyl substituted by s methoxy or ethoxy groups,

Y is (C_1-C_6)-haloalkyl,

s is 0, 1, 2 or 3.

Particular preference is given to compounds of the formula (I) in which

R^1 is methyl, ethyl, n-propyl or isopropyl,

R^2 is hydrogen, methyl, ethyl, n-propyl or isopropyl,

R^3 is methyl, ethyl, n-propyl or isopropyl,

R^4 is hydrogen, (C_1-C_6)-alkylsulfonyl, (C_1-C_4)-alkoxy-(C_1-C_6)-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-(C_1-C_6)-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, (C_1-C_4)-alkyl and (C_1-C_4)-alkoxy;

X is OR^5,

R^5 is (C_1-C_6)-alkyl,

Y is (C_1-C_6)-haloalkyl,

s is 0, 1, 2 or 3.

Very particular preference is given to compounds of the formula (I) in which

R^1 is methyl, ethyl, n-propyl or isopropyl,

R^2 is hydrogen, methyl, ethyl, n-propyl or isopropyl,

R^3 is methyl, ethyl, n-propyl or isopropyl,

R^4 is hydrogen, $(C_1\text{-}C_6)$-alkylsulfonyl, $(C_1\text{-}C_4)$-alkoxy-$(C_1\text{-}C_6)$-alkylsulfonyl, phenylsulfonyl, thiophenyl-2-sulfonyl, benzoyl, benzoyl-$(C_1\text{-}C_6)$-alkyl, benzyl, where the five last-mentioned radicals are substituted by s radicals from the group consisting of halogen, $(C_1\text{-}C_4)$-alkyl and $(C_1\text{-}C_4)$-alkoxy;

X is OR^5,

R^5 is $(C_1\text{-}C_6)$-alkyl,

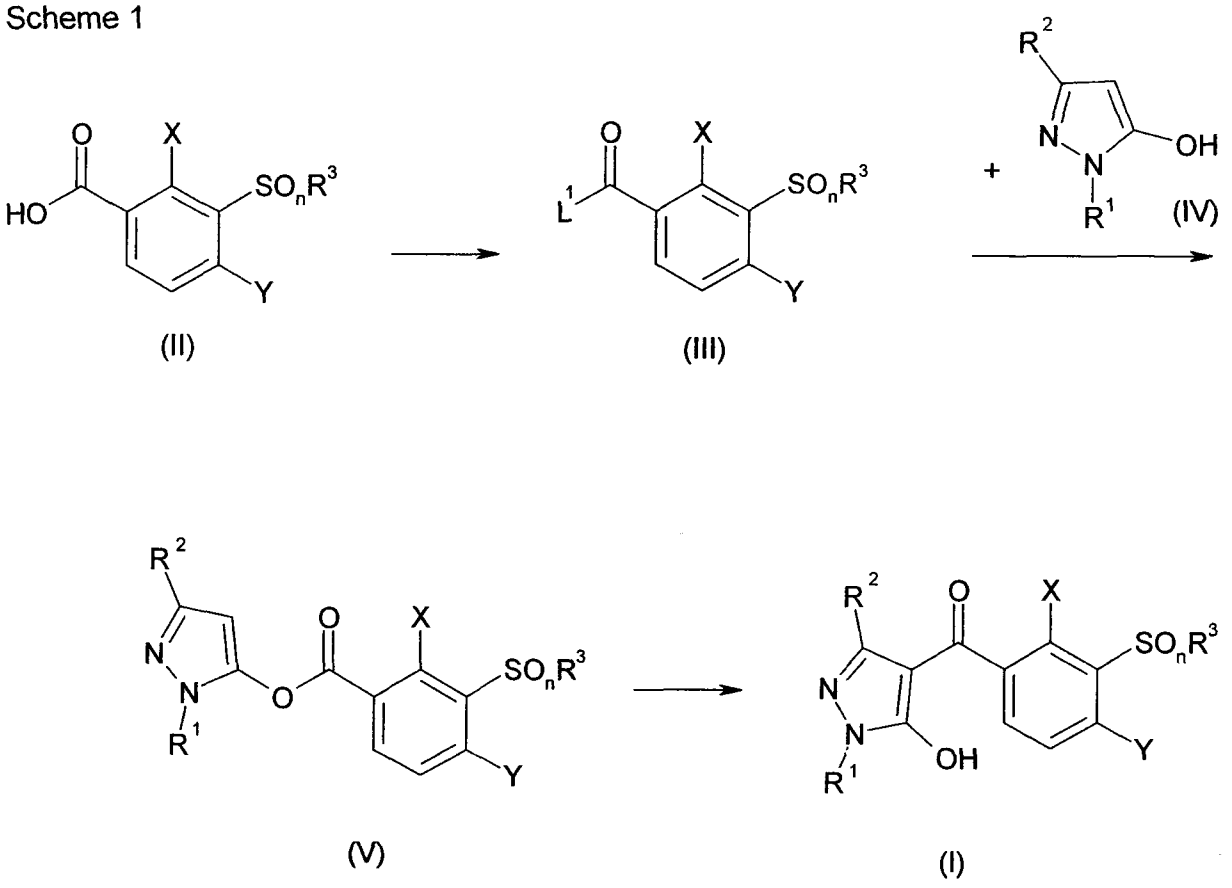
Y is $(C_1\text{-}C_6)$-haloalkyl, preferably trifluoromethyl,

s is 0, 1, 2 or 3.

In all of the formulae below, the substituents and symbols have the same definition as described under formula (I), unless otherwise defined.

Compounds according to the invention in which R^4 is hydrogen may be prepared, for example, by the method indicated in scheme 1, by converting a benzoic acid (II) into an acid chloride or an ester (III), subsequent base-catalyzed reaction with a pyrazole (IV) and subsequent rearrangement in the presence of a cyanide source. Such methods are known to the person skilled in the art and are described, for example, in EP-A 0 369 803 and EP-B 0 283 261. In formula (III), L^1 is chlorine, bromine or alkoxy.

Scheme 1



The 5-hydroxypyrazoles of the formula (IV) are known and can be prepared, for example, according to the methods described in EP 240 001 A.

Compounds according to the invention in which R^4 is a radical other than hydrogen can be prepared in accordance with scheme 2 from the compounds according to the invention in which R^4 is hydrogen, by alkylating or acylating reactions known to the person skilled in the art.