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1-{[α -CYCLOPROPYL- α -(SUBSTITUTED OXY)-o-TOLYL] SULFAMOYL}-
3-(4,6-DIMETHOXY-2-PYRIMIDINYL) UREA HERBICIDAL AGENTS

BACKGROUND OF THE INVENTION

Weeds cause tremendous global economic losses by reducing crop yields and lowering crop quality. In the United States alone, agronomic crops must compete with hundreds of weed species, including barnyardgrass, broadleaf weeds and sedges. Moreover, the application of some herbicides to control such undesirable plant species has caused some crop damage due to inadvertent exposure to those herbicides.

Therefore, research efforts continue to discover and develop more effective herbicidal agents for the selective control of weeds. Such agents are desirably effective even while growing in the presence of crops so that inadvertent uneven application will cause less crop damage, if not eliminating such damage altogether.

Sulfamoyl urea derivatives are described in United States Patent Nos. 4,666,508 and 4,741,762. The sulfamoyl urea derivatives disclosed therein demonstrate herbicidal activity but do not provide a showing of selective weed control in the presence of crops.

United States Patent No. 5,009,699 discloses a crop-selective, herbicidal sulfamoyl urea derivative. However, that compound is outside the scope of the present invention.

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It is an object of the present invention to provide compounds which are highly effective for controlling undesirable plant species.

It is also an object of the present invention to provide a method for controlling undesirable plant species.

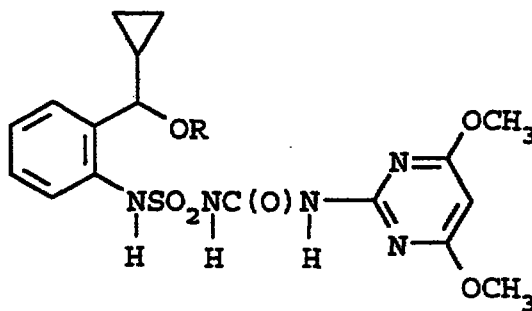
It is a further object of this invention to provide a method for the selective control of undesirable plant species growing in the presence of crops.

These and other objects of the present invention will become more apparent from the detailed description thereof set forth below.

SUMMARY OF THE INVENTION

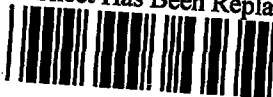
The present invention describes 1-{[α-cyclopropyl-α-(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds which are useful as herbicidal agents.

The 1-{[α-cyclopropyl-α-(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of the present invention have the following structural formula I:



(I)





wherein

R is hydrogen, C(O)R₁, or C₁-C₆alkyl optionally interrupted by one O or S atom, or optionally substituted with one or more C₁-C₄alkoxy, halogen, hydroxy, oxo or C₁-C₆carbalkoxy groups;

R₁ is C₁-C₆alkyl, optionally substituted with one or more C₁-C₄alkoxy, halogen or hydroxy groups, phenyl optionally substituted with one or more C₁-C₄alkyl, C₁-C₄alkoxy, halogen or hydroxy groups, or

NR₂R₃; and

R₂ and R₃ are each independently hydrogen or C₁-C₆alkyl.

This invention also relates to compositions containing those compounds and methods for using those compounds and compositions in controlling undesirable plant species. The compounds of the present invention are especially useful for the selective control of a variety of undesirable plant species in the presence of cereal crops.

DETAILED DESCRIPTION OF THE INVENTION

Advantageously, the present invention provides a method for controlling undesirable plant species by applying to the foliage of said plants, or to the soil or water containing seeds or other propagating organs thereof, a herbicidally effective amount of a formula I compound.

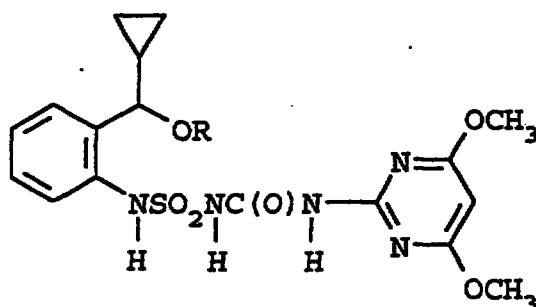
The present invention also provides a method for the selective control of undesirable plant species in the presence of cereal crops, by applying to the foliage and stems of the crops and undesirable plant species growing in the presence thereof, or to the soil or water containing seeds or other propagating organs of the undesirable plant species in which the crops are growing,

an amount of a formula I compound effective for the selective control of the undesirable plant species growing in the presence of the crops.

The present invention further provides a method
5 for the control of undesirable plant species in transplanted rice by applying to the soil or water containing seeds or other propagating organs of said undesirable plant species, after the rice has been transplanted, a herbicidally effective amount of a
10 formula I compound.

The 1-{[α -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of the present invention have the following structural formula I:

15



(I)

wherein R is as described hereinabove for formula I.

Preferred formula I compounds of the present
20 invention are those wherein R is hydrogen or C(O)R₁; and R₁ is C₁-C₆alkyl.

A most preferred formula I compound of the present invention which is a particularly effective
25 herbicidal agent or for controlling undesirable plant species is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.



In the formula I compounds described hereinabove the term halogen includes fluorine, chlorine, bromine and iodine.

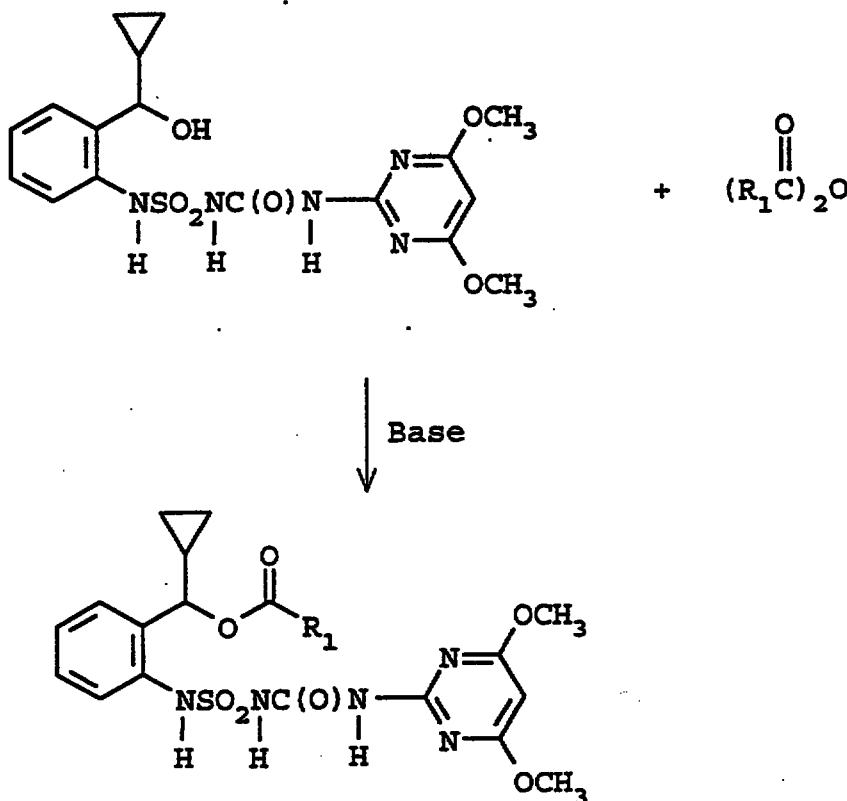
Advantageously, it has been found that the
5 formula I compounds of the present invention are especially useful for the selective control of barnyardgrass, broadleaf weeds and sedges in the presence of cereal crops.

Methods for preparing such useful compositions
10 are disclosed herein. For example, 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea may be prepared by the reaction of 2-amino-4,6-dimethoxy-pyrimidine with chlorosulfonyl isocyanate in the presence of methylene chloride,
15 followed by treatment of the thus prepared reaction mixture with o-amino- α -cyclopropyl-benzyl alcohol and triethylamine in the presence of methylene chloride, to form the desired compound.

Other compounds of formula I wherein R is
20 C(O)R₁ may be prepared as shown below in Flow Diagram I.



FLOW DIAGRAM I



5 The formula I compounds of the present invention are effective herbicidal agents useful for the control of a wide variety of undesirable plant species. Those compounds are effective for controlling weeds native to both dry land and wetland areas. The compounds are also useful as aquatic herbicides and are effective in controlling the above-said undesirable plants when applied to the foliage thereof or to soil or water containing seeds or other propagating organs thereof, such as stolons, tubers or rhizomes, at rates of from about 0.016 to 4.0 kg/ha and preferably from about 0.125 to 1.0 kg/ha. Of course, higher rates may be used to equal effect, but use at such higher rates is deemed to be economically wasteful and environmentally undesirable.



Advantageously, it has been found that the compounds of the present invention, especially 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea, are effective for
5 controlling undesirable plant species including important weeds in transplanted rice culture such as barnyardgrass, broadleaf weeds and sedges. The compounds may be applied to the soil or water containing transplanted rice plants and seeds or other propagating organs of a variety of
10 weed species at rates of from about 0.016 to 4.0 kg/ha.

The compounds of the present invention, especially 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea, are particularly suitable for the selective control of
15 barnyardgrass, broadleaf weeds and sedges in the presence of cereal crops such as barley, wheat, oats, rye and rice. The compounds may be applied to the cereal crops and undesirable plant species, or to the soil or water containing seeds or other propagating organs of the
20 undesirable plant species, at rates of from about 0.016 to 4.0 kg/ha.

While the compounds of this invention are effective for controlling undesirable plant species when employed alone, they may also be used in combination with
25 other biological chemicals, including other herbicides.

The formula I compounds of this invention may be applied in the form of a solid or liquid herbicidal composition, comprising a herbicidally effective amount of the formula I compound dispersed or dissolved in an
30 agronomically acceptable solid or liquid carrier. The formulations may be applied as preemergence or postemergence treatments.

Advantageously, the formula I compounds may be formulated as emulsifiable concentrates, wettable



powders, granular formulations, flowable concentrates and the like.

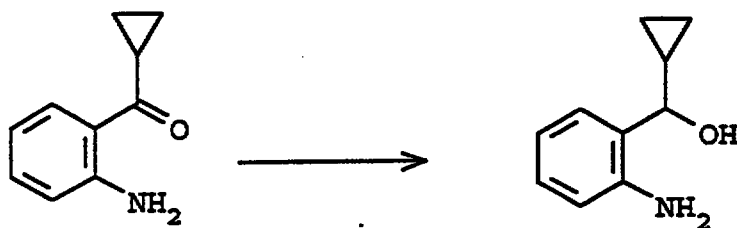
In order to facilitate a further understanding of the invention, the following examples are presented
5 primarily for the purpose of illustrating more specific details thereof. The invention should not be deemed limited by the examples as the full scope of the invention is defined in the claims. The terms NMR and MS
10 designate nuclear magnetic resonance and mass spectroscopy, respectively.

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EXAMPLE 1

Preparation of o-Amino- α -cyclopropylbenzyl alcohol

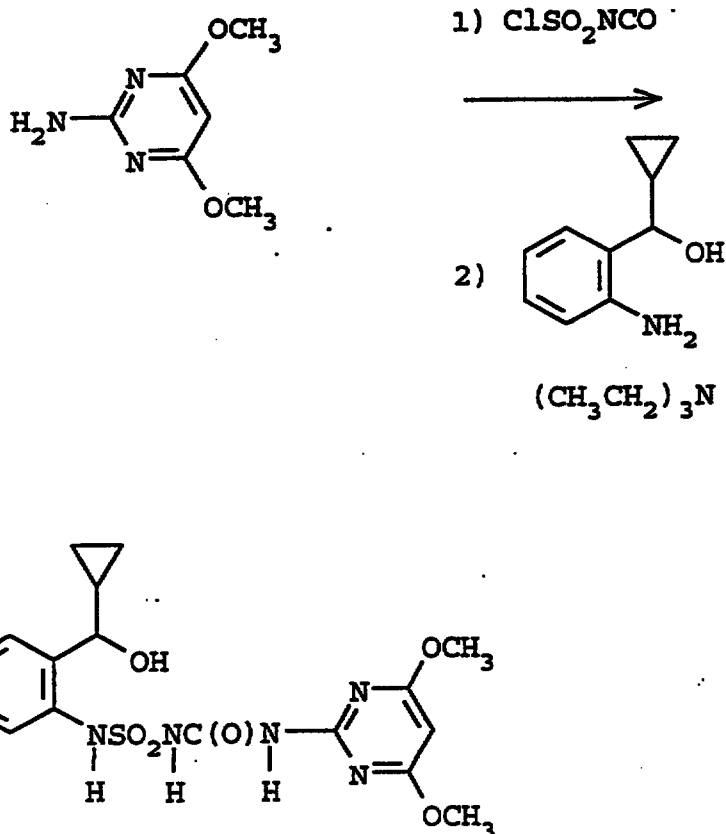


To a solution of o-aminophenyl cyclopropyl ketone (7.78 g, 48.3 mmol) in tetrahydrofuran at 0°C is added 29 mL of a 1.0M lithium aluminum hydride solution
10 in tetrahydrofuran. The reaction mixture is stirred at 0°C for 2 hours, warmed to and stirred at room temperature for 16 hours, quenched with saturated ammonium chloride solution and extracted with ethyl acetate. The combined organic extracts are dried over
15 anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 30% to 50% ethyl acetate in hexanes solutions gives the title product as a yellow solid (5.02 g, 64%, mp 76°-79°C) which is identified by
20 ¹HNMR and MS spectral analyses.



**EXAMPLE 2**

Preparation of 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea



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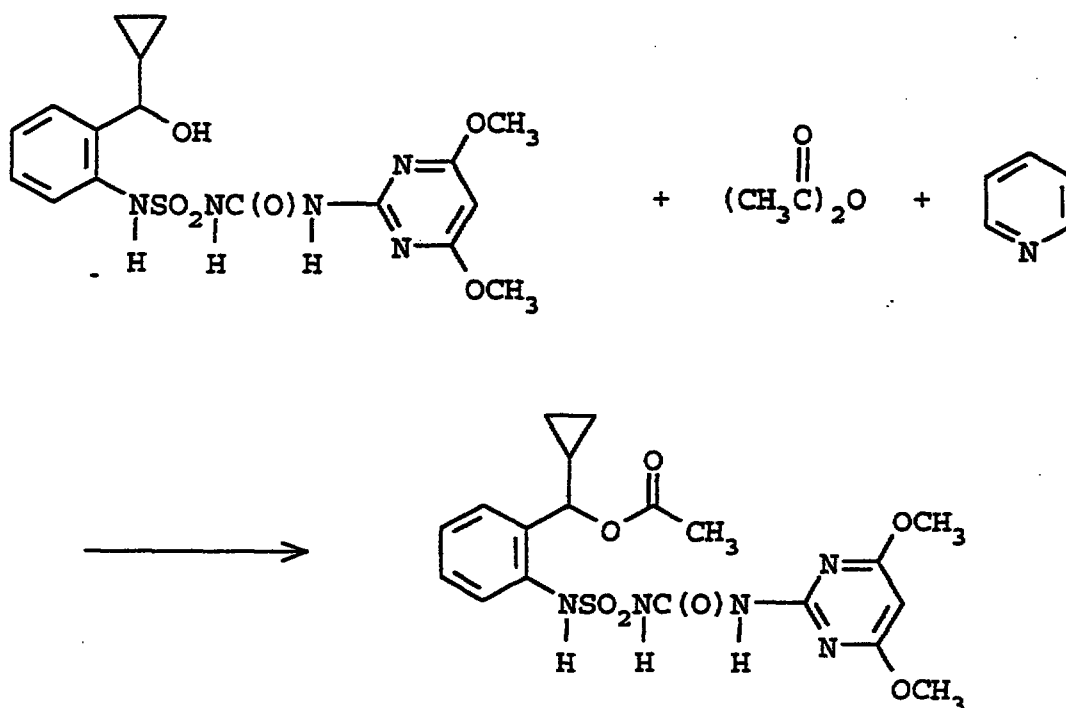
Chlorosulfonyl isocyanate (0.53 mL, 0.86 g, 6.1 mmol) is added to a solution of 2-amino-4,6-dimethoxy-pyrimidine (0.95 g, 6.1 mmol) in methylene chloride at 0° C. The resulting mixture is stirred for 30 minutes and a solution of o-amino- α -cyclopropylbenzyl alcohol (1.00 g, 6.1 mmol) and triethylamine (1.45 mL, 1.05 g, 10.4 mmol) in methylene chloride is slowly added to the mixture. The resulting solution is stirred at room temperature for 18 hours, concentrated in vacuo and dissolved in methanol. The methanol solution is adjusted to about pH 1 with 10% hydrochloric acid and extracted with methylene chloride. The combined organic extracts are dried over



anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 30% to 50% ethyl acetate in hexanes solutions gives the title product as a white
 5 solid (0.55 g, 21%, mp 66°-69°C) which is identified by ¹HNMR and MS spectral analyses.

EXAMPLE 3

10 Preparation of 1-[[o-(cyclopropylhydroxymethyl)phenyl]-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)



15 Acetic anhydride (0.18 mL, 0.19 g, 1.9 mmol) is added to a mixture of 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea (0.40 g, 0.9 mmol) in pyridine. The reaction mixture is stirred at room temperature for 18 hours, treated with
 20 additional acetic anhydride (0.27 mL, 2.9 mmol), stirred for 5 hours, diluted with water and extracted with ether.



The combined organic extracts are dried over anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 50% to 75% ethyl acetate in hexanes solutions gives the title product as a white powder (0.10 g, 23%, mp 156°-158°C) which is identified by ¹HNMR and MS spectral analyses.

EXAMPLE 4

Rice tolerance to post-transplant applications and preemergence weed control under flooded paddy conditions

The tolerance of transplanted rice to post-transplanted herbicide applications is measured as follows: Two ten-day-old rice seedlings (CV. Tebonnet) are transplanted into silt loam soil in 32 oz plastic containers having a diameter of 10.5 cm and no drainage holes. After transplant, the containers are flooded and the water level is maintained at 1.5 to 3 cm above the soil surface. Three days after transplanting, the flooded soil surface of the containers is treated with the selected aqueous/acetone 50/50 v/v mixture containing the test compounds to provide the equivalent of 1.0, 0.5, 0.25, 0.125, 0.063 and 0.032 kg/ha of active ingredient. The treated containers are placed on greenhouse benches, watered such that the water level is maintained as stated above, and cared for according to normal greenhouse procedures. Three to four weeks after treatment the test is terminated and each container is examined and herbicidal effect rated according to the rating system set forth below. The data obtained are reported in Table I.

Preemergence herbicidal activity under flooded paddy conditions is determined as follows: plant seeds or propagating organs are planted in the top 0.5 cm of silt loam soil in 32 oz plastic containers with a diameter of 10.5 cm and no drainage holes. Water is added to these



containers and maintained at 1.5 to 3 cm above the soil surface for the duration of the experiment. The test compounds are applied as an aqueous/acetone mixture 50/50 v/v pipetted directly into the flood water to give the equivalent of 1.0, 0.5, 0.25, 0.125, 0.063 and 0.032 kg/ha of active ingredient. The treated containers are placed on greenhouse benches and cared for according to normal greenhouse procedures. Three to four weeks after treatment the test is terminated and each container is examined and herbicidal effect rated according to the rating system set forth below. The data obtained are reported in Table I.

Plant species employed in this example are reported by header abbreviation, common name and scientific name.

PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS

<u>Header</u> <u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
ECHCG	Barnyardgrass	ECHINOCHLOA CRUS-GALLI, (L) BEAU
CYPSE	Flatstage	CYPERUS SEROTINUS, ROTTB.
ELOKU	Eleocharis Kuroguwai	ELEOCHARIS KUROGUWAI
MOOVA	Monochoria	MONOCHORIA VAGINALIS, PRESL.
SAGPY	Arrowhead (pygmaea)	SAGITTARIA PYGMAEA, L.
ORYSP	Rice, Transplanted	ORYZA SATIVA-Transplanted



Herbicide Rating Scale

Results of herbicide evaluation are expressed on a rating scale (0-9). The scale is based upon a visual observation of plant stand, vigor, malformation, size, chlorosis and overall plant appearance as compared with an untreated control.

<u>Rating</u>	<u>Meaning</u>	<u>% Control Compared to Check</u>
9	Complete Kill	100
8	Approaching Complete Kill	91-99
7	Good Herbicidal Effect	80-90
6	Herbicidal Effect	65-79
5	Definite Injury	45-64
4	Injury	30-44
3	Moderate Effect	16-29
2	Slight Effect	6-15
1	Trace Effect	1-5
0	No Effect	0
-	No Evaluation	



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TABLE I

PADDY CONDITIONS - POST-TRANSPLANT RICE
PREEMERGENCE WEEDS

<u>Compound</u>	<u>Rate</u> <u>kg/ha</u>	<u>ECHCG</u>	<u>CYPSE</u>	<u>ELOKU</u>	<u>MOOVA</u>	<u>SAGPY</u>	<u>ORYSP</u>
1-[(α -Cyclopropyl- α -hydroxy-	1.000	9.0	9.0	9.0	9.0	9.0	3.0
o-tolyl) sulfamoyl]-3-(4,6-	0.500	9.0	9.0	9.0	9.0	9.0	3.0
dimethoxy-2-pyrimidinyl) urea	0.250	9.0	9.0	9.0	9.0	9.0	2.0
	0.125	9.0	9.0	7.0	9.0	8.0	1.0
	0.063	8.0	9.0	5.0	9.0	8.0	1.0
	0.032	6.0	8.0	4.0	9.0	8.0	0.0

As can be seen from the data in Table I, 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl) urea is especially useful for the preemergence control of barnyardgrass, flatstage, monochoria and arrowhead in the presence of transplanted paddy rice.

EXAMPLE 5

Preemergence herbicidal evaluation of test compounds

The preemergence herbicidal activity of the test compounds of the present invention is exemplified by the following tests in which the seeds of a variety of monocotyledonous and dicotyledonous plants are separately mixed with potting soil and planted on top of approximately one inch of soil in separate pint containers. After planting, the containers are sprayed with the selected aqueous acetone solution containing test compound in sufficient quantity to provide the equivalent of about 0.125 to 0.50 kg per hectare of test compound per container. The treated containers are then placed on greenhouse benches, watered and cared for in accordance with conventional greenhouse procedures. From four to five weeks after treatment, the tests are terminated and each container is examined and rated according to the rating system provided in Example 4.

The data obtained are reported in Table II below. Where more than one test is involved for a given compound, the data are averaged.

Plant species employed in these evaluations are reported by header abbreviation, common name and scientific name.

Compounds employed in this evaluation are given a compound number and identified by name. Data in Table II are reported by compound number.



PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS

<u>Header</u> <u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
ABUTH	Velvetleaf	ABUTILON THEOPHRASTI, MEDIC.
AMBEL	Ragweed, Common	AMBROSIA ARTEMISIIFOLIA, L.
CAGSE	Bindweed, Hedge	CALYSTEGIA SEPIUM
CAPBP	Shepherdspurse	CAPSELLA BURSA-PASTORIS (L) MEDI
CHEAL	Lambsquarters, Common	CHENOPODIUM ALBUM, L.
IPOSS	Morningglory, Spp.	IPOMOEA SPP.
SINAR	Mustard, Wild	BRASSICA KABER, (DC) L.C.WHEELR
STEME	Chickweed, Common	STELLARIA MEDIA, L., CYRILLO
ECHCG	Barnyardgrass	ECHINOCHLOA CRUS-GALLI, (L) BEAU
CYPRO	Nutsedge, Purple	CYPERUS ROTUNDUS, L.
HORVSB	Barley, Spring, Bonanza	HORDEUM VULGARE CV. BONANZA
TRZASK	Wheat, Spring, Katep	TRITICUM AESTIVUM, KATEPWA
GALAP	Galium	GALIUM APARINE

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PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS (Cont.)

<u>Header</u> <u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
KCHSC	Kochia	KOCHIA SCOPARIA, (L) ROTH
MATSS	Mayweed Sp.	MATRICARIA SPP.
POLCO	Buckwheat, Wild	POLYGONUM CONVULVULUS, L.
RUMCR	Dock, Curly	RUMEX CRISPUS, L.
SOLNI	Nightshade, Black	SOLANUM NIGRUM, L.
THLAR	Field Pennycress	THLASPI ARVENSE L.
HORVWA	Barley, Winter, Marinka	HORDEUM VULGARE, CV. MARINKA
TRZASO	Wheat, Spring, Olaf	TRITICUM AESTIVUM, CV OLAF

5

COMPOUNDS EVALUATED AS HERBICIDAL AGENTS

<u>Compound No.</u>	
1	1-[(α -Cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea
2	1-{[o-(Cyclopropylhydroxymethyl)phenyl]-sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)

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TABLE II
Preemergence Herbicidal Evaluations of Test Compounds

Cpd No.	Rate (kg/ha)	ABUTH	AMBEL	CAGSE	CAPBP	CHEAL	IPOSS	SINAR	STEME	ECHCG	CYPRO	HORVSB	TRZASK
1	0.500	6.7	8.3	8.0	9.0	9.0	7.0	9.0	8.0	8.0	8.0	0.0	1.3
	0.250	5.0	8.0	8.0	9.0	9.0	7.0	9.0	9.0	7.3	7.3	0.0	0.7
	0.125	3.0	6.7	4.7	9.0	8.0	5.0	8.8	9.0	5.7	6.3	0.0	0.3
2	0.500	6.0	8.0	9.0	-	9.0	4.0	9.0	-	4.0	9.0	0.0	1.0
	0.250	2.0	7.0	9.0	-	8.0	1.0	9.0	-	0.0	7.0	0.0	0.0
	0.125	0.0	3.0	2.0	-	-	0.0	8.0	-	0.0	4.0	0.0	0.0

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EXAMPLE 6

Postemergence herbicidal evaluation of test compounds

The postemergence herbicidal activity of the compounds of the present invention is demonstrated by the following tests, wherein a variety of dicotyledonous and monocotyledonous plants are treated with test compounds, dispersed in aqueous acetone mixtures. In the tests, seedling plants are grown in jiffy flats for about two weeks. The test compounds are dispersed in 50/50 acetone/water mixtures containing 0.5% TWEEN®20, a polyoxyethylene sorbitan monolaurate surfactant of Atlas Chemical Industries, in sufficient quantities to provide the equivalent of about 0.125 kg to 0.500 kg per hectare of active compound when applied to the plants through a spray nozzle operating at 40 psi for a predetermined time. After spraying, the plants are placed on greenhouse benches and are cared for in the usual manner, commensurate with conventional greenhouse practices. From four to five weeks after treatment, the seedling plants are examined and rated according to the rating system provided in Example 4.

The data obtained for 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea and 1-{[o-(cyclopropylhydroxymethyl)-phenyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester) are reported in Tables III and IV, respectively.



TABLE III

Postemergence Herbicidal Evaluation of 1-[(α -Cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea

Rate (kg/ha)	CAPBE	GALAP	KCHSC	MATSS	POLCO	RUMCR	SINAR	SOLNI	STEME	THLAR	HORVWA	TRZASO
0.500	9.0	9.0	3.0	9.0	9.0	9.0	9.0	9.0	4.0	9.0	0.0	0.0
0.250	9.0	9.0	0.0	9.0	9.0	8.0	9.0	8.0	0.0	9.0	0.0	0.0
0.125	9.0	-	0.0	8.0	8.0	6.0	8.0	7.0	0.0	7.0	0.0	0.0

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TABLE IV

Postemergence Herbicidal Evaluation of 1-[o-(Cyclopropylhydroxymethyl)phenyl]-sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)

Rate (kg/ha)	<u>ABUTH</u>	<u>AMBEL</u>	<u>CAGSE</u>	<u>CHEAL</u>	<u>IPOSS</u>	<u>SINAR</u>	<u>ECHCG</u>	<u>CYPRO</u>	<u>HORYSB</u>	<u>TRZASK</u>
0.250	2.0	0.0	9.0	3.0	4.0	9.0	2.0	4.0	0.0	0.0
0.125	0.0	0.0	4.0	3.0	4.0	9.0	0.0	2.0	0.0	0.0

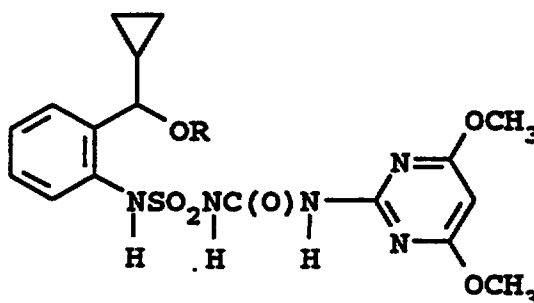
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WHAT IS CLAIMED IS:

1. A compound having the structural formula



- 5 wherein

R is hydrogen, C(O)R₁ or C₁-C₆alkyl optionally interrupted by one O or S atom or optionally substituted with one or more C₁-C₄alkoxy, halogen, hydroxy, oxo or C₁-C₆carbalkoxy groups;

- 10 R₁ is C₁-C₆alkyl optionally substituted with one or more C₁-C₄alkoxy, halogen or hydroxy groups,

phenyl optionally substituted with one or more C₁-C₄alkyl, C₁-C₄alkoxy, halogen or hydroxy groups, or

NR₂R₃; and

R₂ and R₃ are each independently hydrogen or C₁-C₆alkyl.

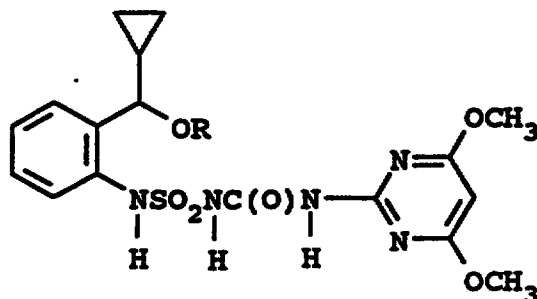
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2. The compound according to claim 1,

1-[(α-cyclopropyl-α-hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.

- 20 3. A method for controlling undesirable plant species which comprises applying to the foliage of said plants or to the soil or water containing seeds or other propagating organs thereof, a herbicidally effective amount of a compound having the structural formula





wherein R is described in claim 1.

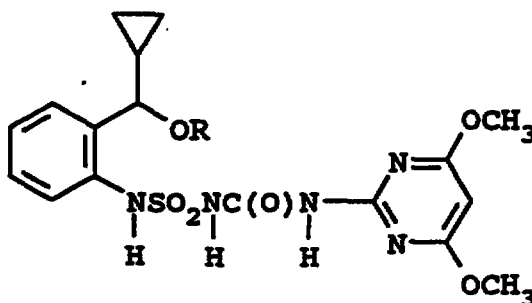
5 4. The method according to claim 3 wherein the compound is 1-
[(α-cyclopropyl-α-hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)-
urea.

10 5. The method according to claim 3 which comprises applying
said compound to the foliage of said plants or to the soil or water containing seeds
or other propagating organs thereof at a rate of about 0.016 kg/ha to 4.0 kg/ha.

15 6. The method according to claim 3 wherein said method is
effective for the selective control of undesirable plant species in the presence of
cereal crops.

20 7. A method for the control of undesirable plant species in
transplanted rice which comprises applying to the soil or water containing seeds or
other propagating organs of said undesirable plant species, after the rice has been
transplanted, a herbicidally effective amount of a compound having the structural
formula

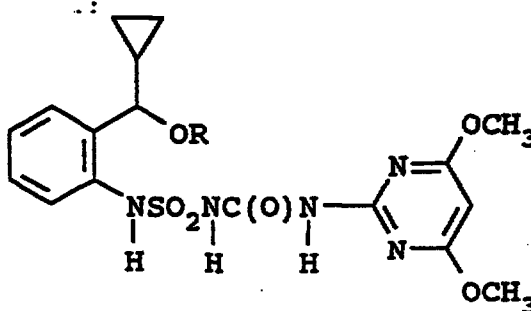




wherein R is described in claim 1.

5 8. The method according to claim 7 wherein the compound is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)-urea.

10 9. A herbicidal composition which comprises an agronomically acceptable solid or liquid carrier and a herbicidally effective amount of a compound having the structural formula



15 wherein R is described in claim 1.

10. The composition according to claim 9 wherein the compound is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.

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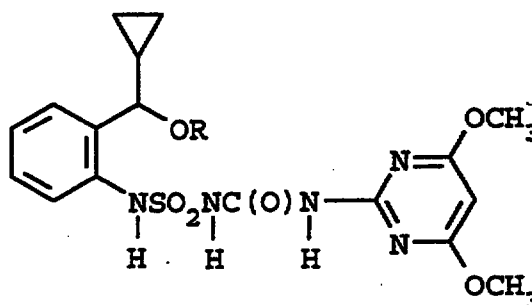


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1-{[α -CYCLOPROPYL- α -(SUBSTITUTED OXY)-o-TOLYL]SULFAMOYL}-
3-(4,6-DIMETHOXY-2-PYRIMIDINYL)UREA HERBICIDAL AGENTS

ABSTRACT OF THE INVENTION

There are provided 1-{[α -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of formula I

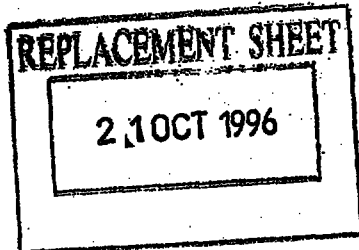


(I).

Further provided are compositions and methods comprising those compounds for the control of undesirable plant species.

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-1-

REPLACEMENT SHEETS		80
☒ Description :	paged ..1.. to paged ..22.	
☒ Claim(s) :	paged ..23.. to paged ..25.	
☐ Drawing(s) :	paged to paged	
☒ Abstract :	paged ..K.. to paged	
DATE OF RECEIPT		21/10/96

1- { [α-CYCLOPROPYL-α-(SUBSTITUTED OXY)-o-TOLYL] SULFAMOYL } -
3-(4,6-DIMETHOXY-2-PYRIMIDINYL) UREA HERBICIDAL AGENTS

BACKGROUND OF THE INVENTION

Weeds cause tremendous global economic losses by reducing crop yields and lowering crop quality. In the United States alone, agronomic crops must compete with hundreds of weed species, including barnyardgrass, broadleaf weeds and sedges. Moreover, the application of some herbicides to control such undesirable plant species has caused some crop damage due to inadvertent exposure to those herbicides.

Therefore, research efforts continue to discover and develop more effective herbicidal agents for the selective control of weeds. Such agents are desirably effective even while growing in the presence of crops so that inadvertent uneven application will cause less crop damage, if not eliminating such damage altogether.

Sulfamoyl urea derivatives are described in United States Patent Nos. 4,666,508 and 4,741,762. The sulfamoyl urea derivatives disclosed therein demonstrate herbicidal activity but do not provide a showing of selective weed control in the presence of crops.

United States Patent No. 5,009,699 discloses a crop-selective, herbicidal sulfamoyl urea derivative. However, that compound is outside the scope of the present invention.

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It is an object of the present invention to provide compounds which are highly effective for controlling undesirable plant species.

It is also an object of the present invention to provide a method for controlling undesirable plant species.

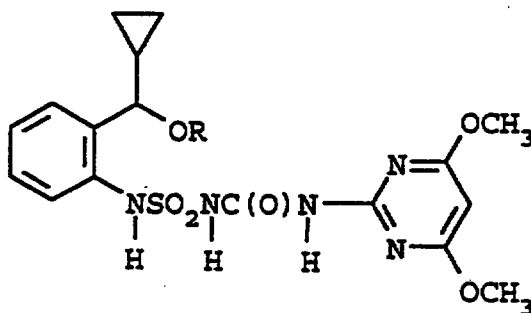
It is a further object of this invention to provide a method for the selective control of undesirable plant species growing in the presence of crops.

These and other objects of the present invention will become more apparent from the detailed description thereof set forth below.

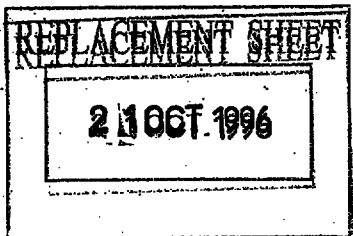
SUMMARY OF THE INVENTION

The present invention describes 1- $\{[\alpha$ -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds which are useful as herbicidal agents.

The 1- $\{[\alpha$ -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of the present invention have the following structural formula I:



(I)



wherein

R is hydrogen, $C(O)R_1$, or C_1-C_6 alkyl optionally interrupted by one O or S atom, or optionally substituted with one or more C_1-C_4 alkoxy, halogen, hydroxy, oxo or C_1-C_6 carbalkoxy groups;

R_1 is C_1-C_6 alkyl, optionally substituted with one or more C_1-C_4 alkoxy, halogen or hydroxy groups, phenyl optionally substituted with one or more C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen or hydroxy groups, or

NR_2R_3 ; and

R_2 and R_3 are each independently hydrogen or C_1-C_6 alkyl.

This invention also relates to compositions containing those compounds and methods for using those compounds and compositions in controlling undesirable plant species. The compounds of the present invention are especially useful for the selective control of a variety of undesirable plant species in the presence of cereal crops.

DETAILED DESCRIPTION OF THE INVENTION

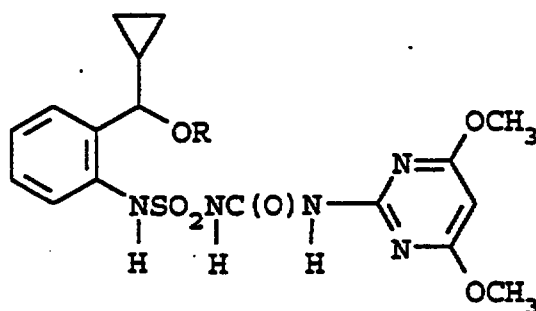
Advantageously, the present invention provides a method for controlling undesirable plant species by applying to the foliage of said plants, or to the soil or water containing seeds or other propagating organs thereof, a herbicidally effective amount of a formula I compound.

The present invention also provides a method for the selective control of undesirable plant species in the presence of cereal crops, by applying to the foliage and stems of the crops and undesirable plant species growing in the presence thereof, or to the soil or water containing seeds or other propagating organs of the undesirable plant species in which the crops are growing,

an amount of a formula I compound effective for the selective control of the undesirable plant species growing in the presence of the crops.

The present invention further provides a method for the control of undesirable plant species in transplanted rice by applying to the soil or water containing seeds or other propagating organs of said undesirable plant species, after the rice has been transplanted, a herbicidally effective amount of a formula I compound.

The 1-{[α -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of the present invention have the following structural formula I:

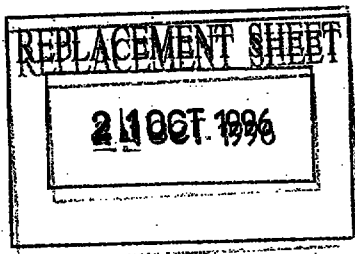


(I)

wherein R is as described hereinabove for formula I.

Preferred formula I compounds of the present invention are those wherein R is hydrogen or C(O)R₁; and R₁ is C₁-C₆alkyl.

A most preferred formula I compound of the present invention which is a particularly effective herbicidal agent or for controlling undesirable plant species is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.



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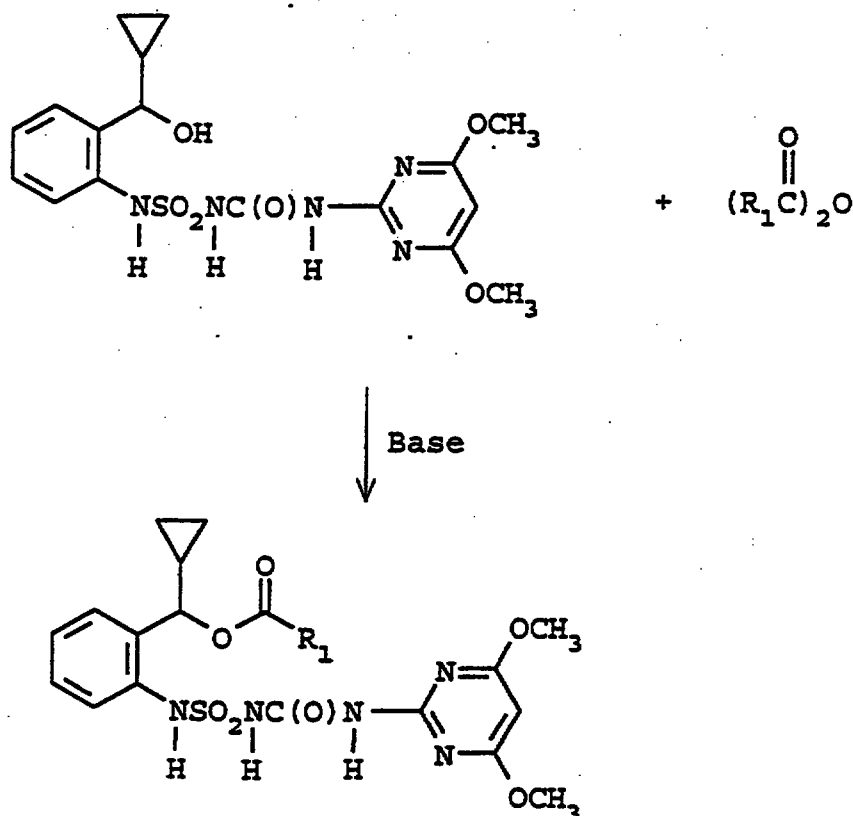
In the formula I compounds described hereinabove the term halogen includes fluorine, chlorine, bromine and iodine.

Advantageously, it has been found that the
5 formula I compounds of the present invention are especially useful for the selective control of barnyardgrass, broadleaf weeds and sedges in the presence of cereal crops.

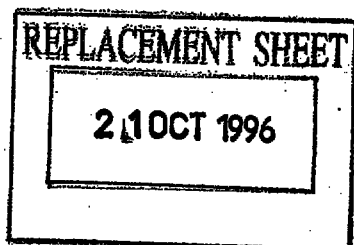
Methods for preparing such useful compositions
10 are disclosed herein. For example, 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea may be prepared by the reaction of 2-amino-4,6-dimethoxy-pyrimidine with chlorosulfonyl isocyanate in the presence of methylene chloride,
15 followed by treatment of the thus prepared reaction mixture with o-amino- α -cyclopropyl-benzyl alcohol and triethylamine in the presence of methylene chloride, to form the desired compound.

Other compounds of formula I wherein R is
20 C(O)R₁ may be prepared as shown below in Flow Diagram I.

FLOW DIAGRAM I



5 The formula I compounds of the present
invention are effective herbicidal agents useful for the
control of a wide variety of undesirable plant species.
Those compounds are effective for controlling weeds
native to both dry land and wetland areas. The compounds
10 are also useful as aquatic herbicides and are effective
in controlling the above-said undesirable plants when
applied to the foliage thereof or to soil or water
containing seeds or other propagating organs thereof,
such as stolons, tubers or rhizomes, at rates of from
15 about 0.016 to 4.0 kg/ha and preferably from about 0.125
to 1.0 kg/ha. Of course, higher rates may be used to
equal effect, but use at such higher rates is deemed to
be economically wasteful and environmentally undesirable.



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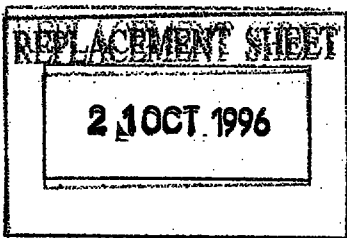
Advantageously, it has been found that the compounds of the present invention, especially 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea, are effective for
5 controlling undesirable plant species including important weeds in transplanted rice culture such as barnyardgrass, broadleaf weeds and sedges. The compounds may be applied to the soil or water containing transplanted rice plants and seeds or other propagating organs of a variety of
10 weed species at rates of from about 0.016 to 4.0 kg/ha.

The compounds of the present invention, especially 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea, are particularly suitable for the selective control of
15 barnyardgrass, broadleaf weeds and sedges in the presence of cereal crops such as barley, wheat, oats, rye and rice. The compounds may be applied to the cereal crops and undesirable plant species, or to the soil or water containing seeds or other propagating organs of the
20 undesirable plant species, at rates of from about 0.016 to 4.0 kg/ha.

While the compounds of this invention are effective for controlling undesirable plant species when employed alone, they may also be used in combination with
25 other biological chemicals, including other herbicides.

The formula I compounds of this invention may be applied in the form of a solid or liquid herbicidal composition, comprising a herbicidally effective amount of the formula I compound dispersed or dissolved in an
30 agronomically acceptable solid or liquid carrier. The formulations may be applied as preemergence or postemergence treatments.

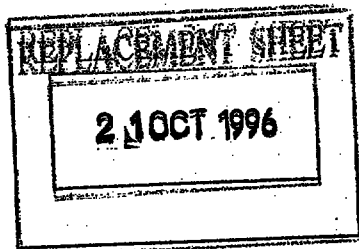
Advantageously, the formula I compounds may be formulated as emulsifiable concentrates, wettable



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powders, granular formulations, flowable concentrates and the like.

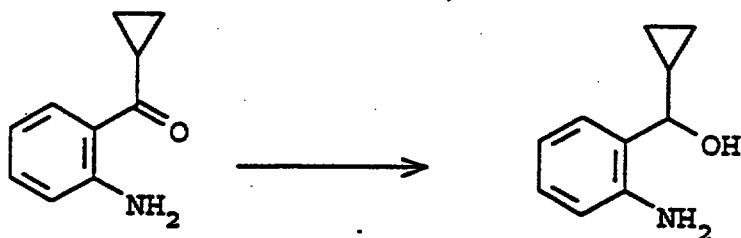
5 In order to facilitate a further understanding of the invention, the following examples are presented primarily for the purpose of illustrating more specific details thereof. The invention should not be deemed limited by the examples as the full scope of the invention is defined in the claims. The terms NMR and MS designate nuclear magnetic resonance and mass
10 spectroscopy, respectively.



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EXAMPLE 1

Preparation of o-Amino- α -cyclopropylbenzyl alcohol



To a solution of o-aminophenyl cyclopropyl ketone (7.78 g, 48.3 mmol) in tetrahydrofuran at 0°C is added 29 mL of a 1.0M lithium aluminum hydride solution in tetrahydrofuran. The reaction mixture is stirred at 0°C for 2 hours, warmed to and stirred at room temperature for 16 hours, quenched with saturated ammonium chloride solution and extracted with ethyl acetate. The combined organic extracts are dried over anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 30% to 50% ethyl acetate in hexanes solutions gives the title product as a yellow solid (5.02 g, 64%, mp 76°-79°C) which is identified by ¹HNMR and MS spectral analyses.

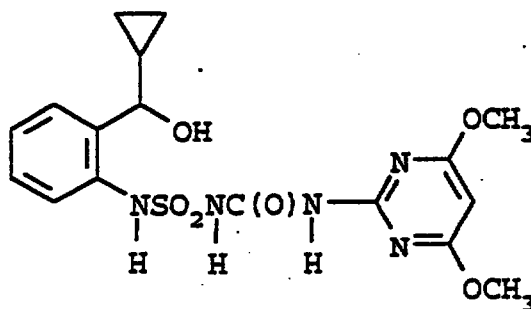
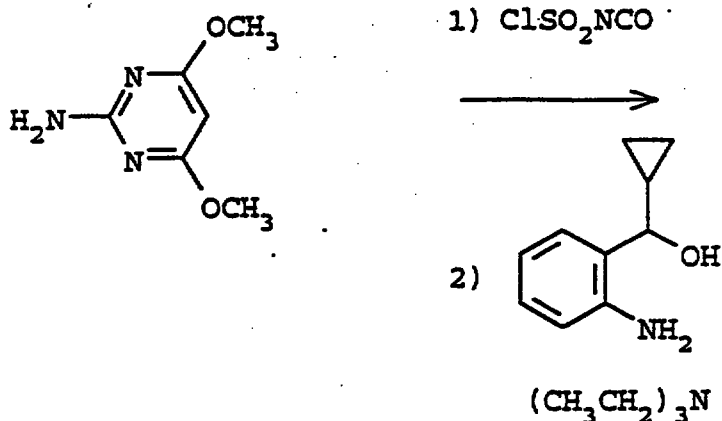
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EXAMPLE 2

Preparation of 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea



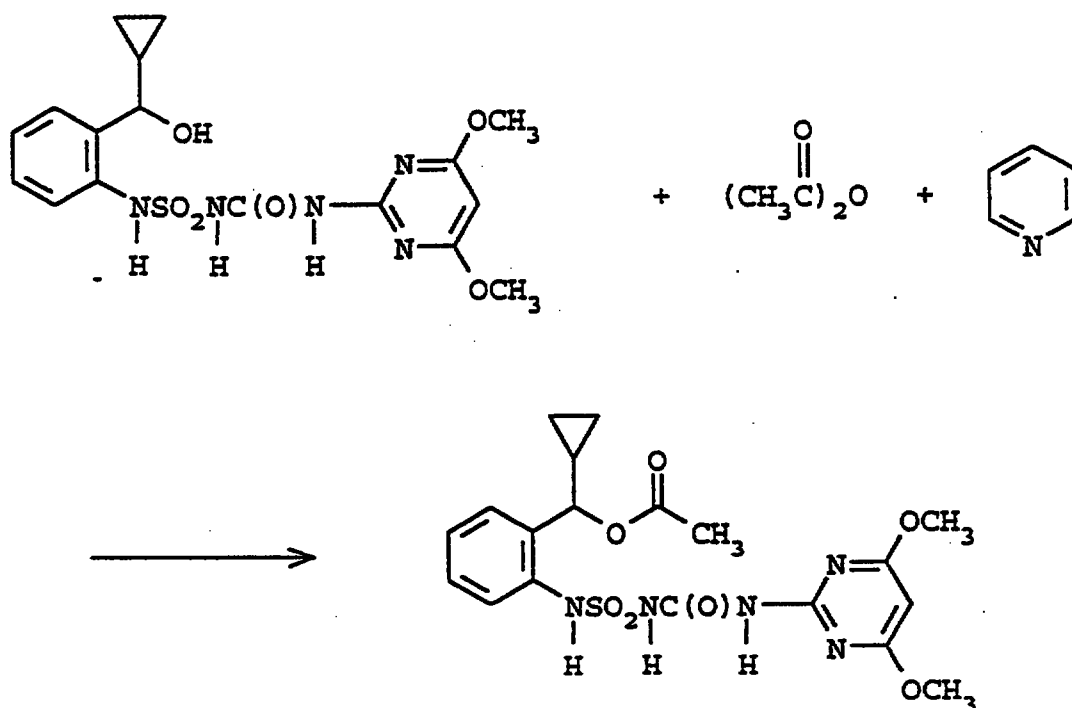
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Chlorosulfonyl isocyanate (0.53 mL, 0.86 g, 6.1 mmol) is added to a solution of 2-amino-4,6-dimethoxy-pyrimidine (0.95 g, 6.1 mmol) in methylene chloride at 0° C. The resulting mixture is stirred for 30 minutes and a solution of o-amino- α -cyclopropylbenzyl alcohol (1.00 g, 6.1 mmol) and triethylamine (1.45 mL, 1.05 g, 10.4 mmol) in methylene chloride is slowly added to the mixture. The resulting solution is stirred at room temperature for 18 hours, concentrated in vacuo and dissolved in methanol. The methanol solution is adjusted to about pH 1 with 10% hydrochloric acid and extracted with methylene chloride. The combined organic extracts are dried over

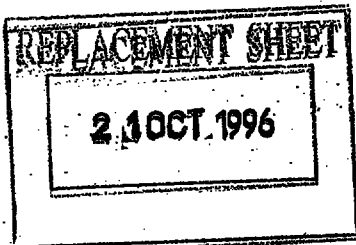
anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 30% to 50% ethyl acetate in hexanes solutions gives the title product as a white
5 solid (0.55 g, 21%, mp 66°-69°C) which is identified by ¹HNMR and MS spectral analyses.

EXAMPLE 3

10 Preparation of 1-[(α-(cyclopropylhydroxymethyl)phenyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)



15 Acetic anhydride (0.18 mL, 0.19 g, 1.9 mmol) is added to a mixture of 1-[(α-(cyclopropyl-α-hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea (0.40 g, 0.9 mmol) in pyridine. The reaction mixture is stirred at room temperature for 18 hours, treated with
20 additional acetic anhydride (0.27 mL, 2.9 mmol), stirred for 5 hours, diluted with water and extracted with ether.



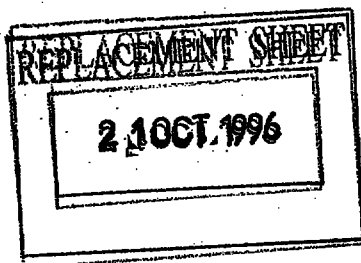
The combined organic extracts are dried over anhydrous sodium sulfate and concentrated in vacuo to obtain a residue. Flash column chromatography of the residue using silica gel and 50% to 75% ethyl acetate in hexanes solutions gives the title product as a white powder (0.10 g, 23%, mp 156°-158°C) which is identified by ¹HNMR and MS spectral analyses.

EXAMPLE 4

10 Rice tolerance to post-transplant applications and
 preemergence weed control under flooded paddy conditions

 The tolerance of transplanted rice to post-transplanted herbicide applications is measured as follows: Two ten-day-old rice seedlings (CV. Tebonnet)
15 are transplanted into silt loam soil in 32 oz plastic containers having a diameter of 10.5 cm and no drainage holes. After transplant, the containers are flooded and the water level is maintained at 1.5 to 3 cm above the soil surface. Three days after transplanting, the
20 flooded soil surface of the containers is treated with the selected aqueous/acetone 50/50 v/v mixture containing the test compounds to provide the equivalent of 1.0, 0.5, 0.25, 0.125, 0.063 and 0.032 kg/ha of active ingredient. The treated containers are placed on greenhouse benches,
25 watered such that the water level is maintained as stated above, and cared for according to normal greenhouse procedures. Three to four weeks after treatment the test is terminated and each container is examined and herbicidal effect rated according to the rating system set
30 forth below. The data obtained are reported in Table I.

 Preemergence herbicidal activity under flooded paddy conditions is determined as follows: plant seeds or propagating organs are planted in the top 0.5 cm of silt loam soil in 32 oz plastic containers with a diameter of
35 10.5 cm and no drainage holes. Water is added to these



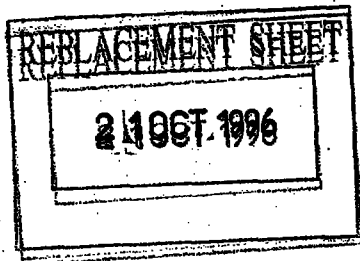
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containers and maintained at 1.5 to 3 cm above the soil surface for the duration of the experiment. The test compounds are applied as an aqueous/acetone mixture 50/50 v/v pipetted directly into the flood water to give the equivalent of 1.0, 0.5, 0.25, 0.125, 0.063 and 0.032 kg/ha of active ingredient. The treated containers are placed on greenhouse benches and cared for according to normal greenhouse procedures. Three to four weeks after treatment the test is terminated and each container is examined and herbicidal effect rated according to the rating system set forth below. The data obtained are reported in Table I.

Plant species employed in this example are reported by header abbreviation, common name and scientific name.

PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS

Header		
<u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
ECHCG	Barnyardgrass	ECHINOCHLOA CRUS-GALLI, (L) BEAU
CYPSE	Flatstage	CYPERUS SEROTINUS, ROTTB.
ELOKU	Eleocharis Kuroguwai	ELEOCHARIS KUROGUWAI
MOOVA	Monochoria	MONOCHORIA VAGINALIS, PRESL.
SAGPY	Arrowhead (pygmaea)	SAGITTARIA PYGMAEA, L.
ORYSP	Rice, Transplanted	ORYZA SATIVA-Transplanted



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Herbicide Rating Scale

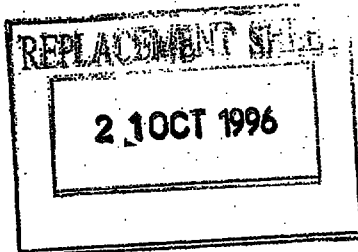
Results of herbicide evaluation are expressed on a rating scale (0-9). The scale is based upon a visual observation of plant stand, vigor, malformation, size, chlorosis and overall plant appearance as compared with an untreated control.

<u>Rating</u>	<u>Meaning</u>	<u>% Control Compared to Check</u>
9	Complete Kill	100
8	Approaching Complete Kill	91-99
7	Good Herbicidal Effect	80-90
6	Herbicidal Effect	65-79
5	Definite Injury	45-64
4	Injury	30-44
3	Moderate Effect	16-29
2	Slight Effect	6-15
1	Trace Effect	1-5
0	No Effect	0
-	No Evaluation	

TABLE I

PADDY CONDITIONS - POST-TRANSPLANT RICE
PREEMERGENCE WEEDS

Compound	Rate kg/ha	ECHCG	CYPSE	ELOKU	MOOVA	SAGPY	ORYSP
1-[(α -Cyclopropyl- α -hydroxy- o-tolyl) sulfamoyl]-3-(4,6- dimethoxy-2-pyrimidinyl)urea	1.000	9.0	9.0	9.0	9.0	9.0	3.0
	0.500	9.0	9.0	9.0	9.0	9.0	3.0
	0.250	9.0	9.0	9.0	9.0	9.0	2.0
	0.125	9.0	9.0	7.0	9.0	8.0	1.0
	0.063	8.0	9.0	5.0	9.0	8.0	1.0
	0.032	6.0	8.0	4.0	9.0	8.0	0.0



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As can be seen from the data in Table I, 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl) urea is especially useful for the preemergence control of barnyardgrass, flatstage, 5 monochoria and arrowhead in the presence of transplanted paddy rice.

EXAMPLE 5

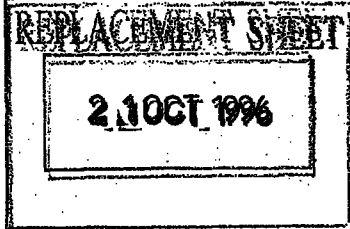
Preemergence herbicidal evaluation of test compounds

The preemergence herbicidal activity of the 10 test compounds of the present invention is exemplified by the following tests in which the seeds of a variety of monocotyledonous and dicotyledonous plants are separately mixed with potting soil and planted on top of approximately one inch of soil in separate pint 15 containers. After planting, the containers are sprayed with the selected aqueous acetone solution containing test compound in sufficient quantity to provide the equivalent of about 0.125 to 0.50 kg per hectare of test compound per container. The treated containers are then 20 placed on greenhouse benches, watered and cared for in accordance with conventional greenhouse procedures. From four to five weeks after treatment, the tests are terminated and each container is examined and rated according to the rating system provided in Example 4.

25 The data obtained are reported in Table II below. Where more than one test is involved for a given compound, the data are averaged.

Plant species employed in these evaluations are reported by header abbreviation, common name and 30 scientific name.

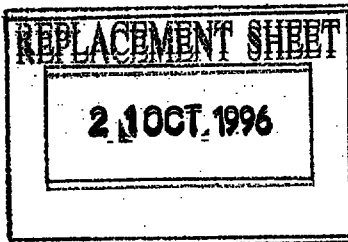
Compounds employed in this evaluation are given a compound number and identified by name. Data in Table II are reported by compound number.



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PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS

<u>Header</u> <u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
ABUTH	Velvetleaf	ABUTILON THEOPHRASTI, MEDIC.
AMBEL	Ragweed, Common	AMBROSIA ARTEMISIIFOLIA, L.
CAGSE	Bindweed, Hedge	CALYSTEGIA SEPIUM
CAPBP	Shepherdspurse	CAPSELLA BURSA-PASTORIS (L) MEDI
CHEAL	Lambsquarters, Common	CHENOPODIUM ALBUM, L.
IPOSS	Morningglory, Spp.	IPOMOEA SPP.
SINAR	Mustard, Wild	BRASSICA KABER, (DC) L.C.WHEELR
STEME	Chickweed, Common	STELLARIA MEDIA, L., CYRILLO
ECHCG	Barnyardgrass	ECHINOCHLOA CRUS-GALLI, (L) BEAU
CYPRO	Nutsedge, Purple	CYPERUS ROTUNDUS, L.
HORVSB	Barley, Spring, Bonanza	HORDEUM VULGARE CV. BONANZA
TRZASK	Wheat, Spring, Katep	TRITICUM AESTIVUM, KATEPWA
GALAP	Galium	GALIUM APARINE



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PLANT SPECIES EMPLOYED IN HERBICIDAL EVALUATIONS (Cont.)

<u>Header</u> <u>Abb.</u>	<u>Common Name</u>	<u>Scientific Name</u>
KCHSC	Kochia	KOCHIA SCOPARIA, (L) ROTH
MATSS	Mayweed Sp.	MATRICARIA SPP.
POLCO	Buckwheat, Wild	POLYGONUM CONVULVULUS, L.
RUMCR	Dock, Curly	RUMEX CRISPUS, L.
SOLNI	Nightshade, Black	SOLANUM NIGRUM, L.
THLAR	Field Pennycress	THLASPI ARVENSE L.
HORVWA	Barley, Winter, Marinka	HORDEUM VULGARE, CV. MARINKA
TRZASO	Wheat, Spring, Olaf	TRITICUM AESTIVUM, CV OLAF

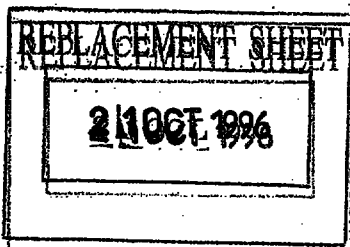
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COMPOUNDS EVALUATED AS HERBICIDAL AGENTS

<u>Compound No.</u>	
1	1-[(α -Cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea
2	1-{[o-(Cyclopropylhydroxymethyl)phenyl]-sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)

TABLE II
Preemergence Herbicidal Evaluations of Test Compounds

Cpd No.	Rate (kg/ha)	ABUTH	AMBEL	CAGSE	CAPBP	CHEAL	IPOSS	SINAR	STEME	ECHCG	CYPRO	HORVSB	TRZASK
1	0.500	6.7	8.3	8.0	9.0	9.0	7.0	9.0	8.0	8.0	8.0	0.0	1.3
	0.250	5.0	8.0	8.0	9.0	9.0	7.0	9.0	9.0	7.3	7.3	0.0	0.7
	0.125	3.0	6.7	4.7	9.0	8.0	5.0	8.8	9.0	5.7	6.3	0.0	0.3
2	0.500	6.0	8.0	9.0	-	9.0	4.0	9.0	-	4.0	9.0	0.0	1.0
	0.250	2.0	7.0	9.0	-	8.0	1.0	9.0	-	0.0	7.0	0.0	0.0
	0.125	0.0	3.0	2.0	-	-	0.0	8.0	-	0.0	4.0	0.0	0.0



EXAMPLE 6

Postemergence herbicidal evaluation of test compounds

The postemergence herbicidal activity of the compounds of the present invention is demonstrated by the following tests, wherein a variety of dicotyledonous and monocotyledonous plants are treated with test compounds, dispersed in aqueous acetone mixtures. In the tests, seedling plants are grown in jiffy flats for about two weeks. The test compounds are dispersed in 50/50 acetone/water mixtures containing 0.5% TWEEN®20, a polyoxyethylene sorbitan monolaurate surfactant of Atlas Chemical Industries, in sufficient quantities to provide the equivalent of about 0.125 kg to 0.500 kg per hectare of active compound when applied to the plants through a spray nozzle operating at 40 psi for a predetermined time. After spraying, the plants are placed on greenhouse benches and are cared for in the usual manner, commensurate with conventional greenhouse practices. From four to five weeks after treatment, the seedling plants are examined and rated according to the rating system provided in Example 4.

The data obtained for 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea and 1-{[o-(cyclopropylhydroxymethyl)-phenyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester) are reported in Tables III and IV, respectively.

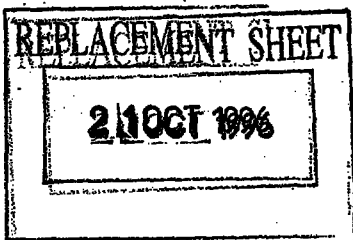


TABLE III

Postemergence Herbicidal Evaluation of 1-[(α -Cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea

Rate (kg/ha)	<u>CAPBP</u>	<u>GALAP</u>	<u>KCHSC</u>	<u>MATSS</u>	<u>POLCO</u>	<u>RUMCR</u>	<u>SINAR</u>	<u>SOLNI</u>	<u>STEME</u>	<u>THLAR</u>	<u>HORVWA</u>	<u>TRZASO</u>
0.500	9.0	9.0	3.0	9.0	9.0	9.0	9.0	9.0	4.0	9.0	0.0	0.0
0.250	9.0	9.0	0.0	9.0	9.0	8.0	9.0	8.0	0.0	9.0	0.0	0.0
0.125	9.0	-	0.0	8.0	8.0	6.0	8.0	7.0	0.0	7.0	0.0	0.0

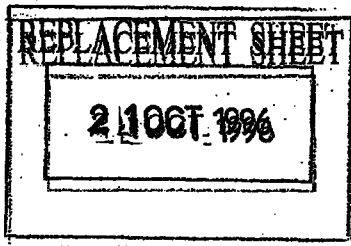
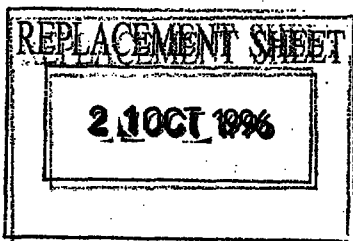


TABLE IV

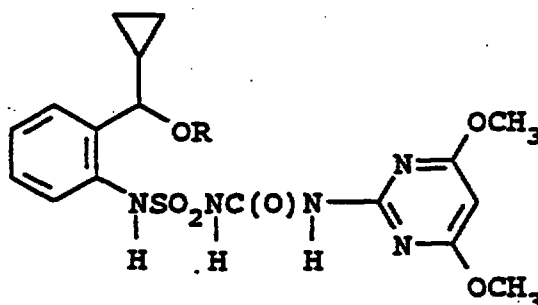
Postemergence Herbicidal Evaluation of 1-[o-(Cyclopropylhydroxymethyl)phenyl]-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea acetate (ester)

Rate (kg/ha)	<u>ABUTH</u>	<u>AMBEL</u>	<u>CAGSE</u>	<u>CHEAL</u>	<u>IPOSS</u>	<u>SINAR</u>	<u>ECHCG</u>	<u>CYPRO</u>	<u>HORVSE</u>	<u>TRZASK</u>
0.250	2.0	0.0	9.0	3.0	4.0	9.0	2.0	4.0	0.0	0.0
0.125	0.0	0.0	4.0	3.0	4.0	9.0	0.0	2.0	0.0	0.0



WHAT IS CLAIMED IS:

1. A compound having the structural formula



- 5 wherein

R is hydrogen, C(O)R₁ or C₁-C₆alkyl optionally interrupted by one O or S atom or optionally substituted with one or more C₁-C₄alkoxy, halogen, hydroxy, oxo or C₁-C₆carbalkoxy groups;

- 10 R₁ is C₁-C₆alkyl optionally substituted with one or more C₁-C₄alkoxy, halogen or hydroxy groups,

phenyl optionally substituted with one or more C₁-C₄alkyl, C₁-C₄alkoxy, halogen or hydroxy groups, or

NR₂R₃; and

R₂ and R₃ are each independently hydrogen or C₁-C₆alkyl.

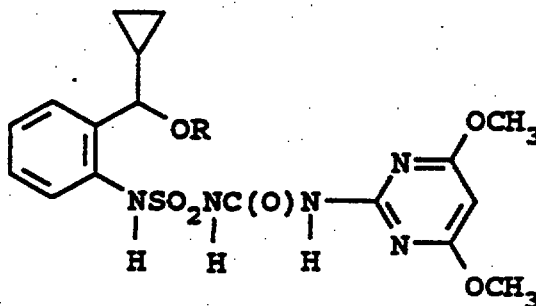
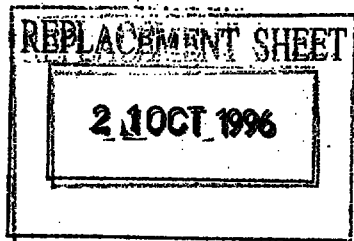
15

2. The compound according to claim 1,

1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.

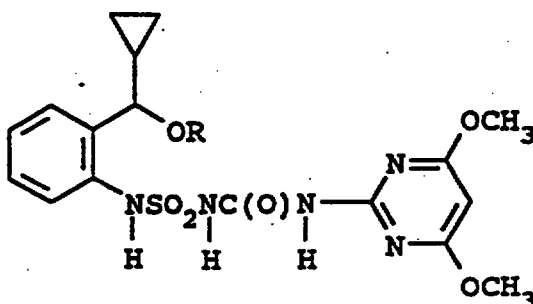
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3. A method for controlling undesirable plant species which comprises applying to the foliage of said plants or to the soil or water containing seeds or other propagating organs thereof, a herbicidally effective amount of a compound having the structural formula



wherein R is described in claim 1.

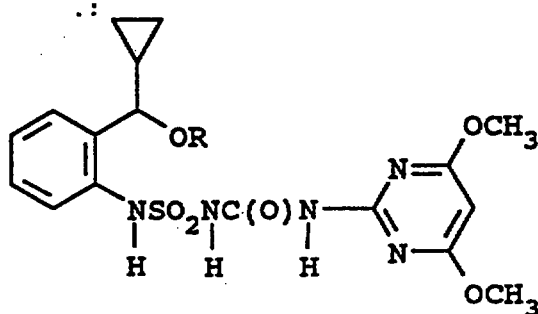
- 5 4. The method according to claim 3 wherein the compound is 1-
[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)-
urea.
- 10 5. The method according to claim 3 which comprises applying
said compound to the foliage of said plants or to the soil or water containing seeds
or other propagating organs thereof at a rate of about 0.016 kg/ha to 4.0 kg/ha.
- 15 6. The method according to claim 3 wherein said method is
effective for the selective control of undesirable plant species in the presence of
cereal crops.
- 20 7. A method for the control of undesirable plant species in
transplanted rice which comprises applying to the soil or water containing seeds or
other propagating organs of said undesirable plant species, after the rice has been
transplanted, a herbicidally effective amount of a compound having the structural
formula



wherein R is described in claim 1.

5 8. The method according to claim 7 wherein the compound is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)-sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)-urea.

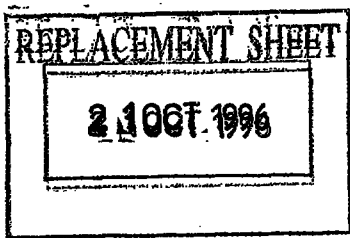
10 9. A herbicidal composition which comprises an agronomically acceptable solid or liquid carrier and a herbicidally effective amount of a compound having the structural formula



15 wherein R is described in claim 1.

10. The composition according to claim 9 wherein the compound is 1-[(α -cyclopropyl- α -hydroxy-o-tolyl)sulfamoyl]-3-(4,6-dimethoxy-2-pyrimidinyl)urea.

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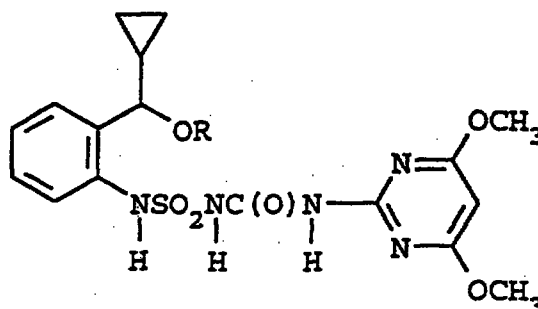


THIS SHEET HAS BEEN
REPLACED

1-{[α -CYCLOPROPYL- α -(SUBSTITUTED OXY)-o-TOLYL]SULFAMOYL}-
3-(4,6-DIMETHOXY-2-PYRIMIDINYL)UREA HERBICIDAL AGENTS

ABSTRACT OF THE INVENTION

There are provided 1-{[α -cyclopropyl- α -(substituted oxy)-o-tolyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyrimidinyl)urea compounds of formula I



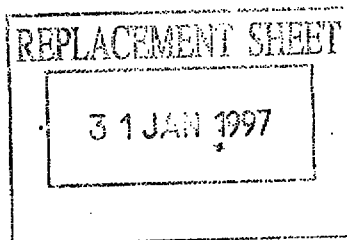
(I).

Further provided are compositions and methods comprising those compounds for the control of undesirable plant species.

This Sheet Has Been Replaced



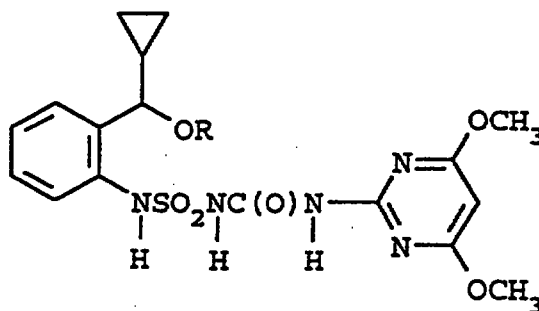
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1-{[α -CYCLOPROPYL- α -(SUBSTITUTED OXY)-o-TOLYL]SULFAMOYL}-
3-(4,6-DIMETHOXY-2-PYRIMIDINYL)UREA HERBICIDAL AGENTS

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