AC 322,140--A NEW BROAD-SPECTRUM HERBICIDE FOR SELECTIVE WEED CONTROL IN TRANSPLANTED AND WATER-SEEDED RICE

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Summary. AC 322,140 is a sulfamoylurea herbicide that selectively controls a wide range of weeds in transplanted and direct-seeded rice. The mode of action of AC 322,140 is via inhibition of acetohydroxyacid synthase (AHAS). Rice tolerance to AC 322,140 is primarily due to the rapid rate of metabolism of the herbicide. Laboratory studies using ^{14}C-AC 322,140 indicate moderately tight binding to soil and low potential for leaching. This new herbicide will provide a useful addition for weed control in rice, with excellent safety to the environment.

INTRODUCTION

Physical and chemical properties. AC 322,140 (1-{[O-(cyclopropylcarbonyl)phenyl]sulfamoyl}-3-(4,6-dimethoxy-2-pyr imidinyl)-urea) is a sulfamoylurea. It differs from the sulfonylurea class of herbicides both in the chemistry of the urea bridge and the cyclopropyl ketone substitution on the phenyl ring. It is an off-white solid with a melting point of 170-171°C and a molecular weight of 422. AC 322,140 is soluble in most organic solvents and insoluble in water, with the water solubility and octonol/water partition coefficients affected by pH (Table 1). Hydrolysis studies using 0.1 M ^{14}C-labeled AC 322,140 in 50 mM phospate buffer indicate that hydrolysis is greatly affected by pH (Table 1). AC 322,140 undergoes rapid hydrolytic urea bridge cleavage at lower pH.

Table 1. Effect of pH on apparent octanol/water partition coefficient (K_{ow}), water solubility, and hydrolysis of AC 322,140

Parameter measured	pH				
	3	5	6	7	8
Apparent K_{ow} at 25C	38	111	49	26	5
Water solubility at 25C (in ppm)[a]		<1	3	6	32
Hydrolysis in 50 mM phosphate buffer half life (days)	2.2	2.2	5.1	40[b]	91[b]

[a] Water solubility was determined in preliminary studies using test material which was approximately 92% pure by the current analytical methodology. These results may be different when higher purity material is tested.
[b] Values for hydrolysis half-life at pH 7 and 8 were extrapolated from a 0 to 21 day hydrolysis study.

Toxicology. AC 322,140 has been shown to be very safe to mammals and other animals. In tests with technical material, the acute oral LD_{50} in rats was >5000/kg, the acute dermal LD_{50} in rabbits was >4000/kg, and the carp 48-hour LC_{50} was >10 ppm. It was mildly irritating to rabbit eyes with complete eye recovery within 48 hours. In microbial mutagenicity assays AC 322,140 was non-mutagenic. Long term toxicology studies are in progress.

Mode of action. The herbicidal activity of AC 322,140 is due to inhibition of acetohydroxyacid synthase, a key enzyme in amino acid biosynthesis. AC 322,140 has an I_{50} value of < 1 M.

Field performance of AC 322,140 in rice. AC 322,140 has been extensively field tested in rice, *Oryza sativa*, by American Cyanamid and its subsidiaries for the past 3 years, in a total of over 300 field trials throughout the world. In transplanted rice tests, AC 322,140 at 20 to 60 g ai/ha applied 0 to 15 days after transplanting controls many weed species, including the perennial weeds *Cyperus serotinus*, *Eleocharis congesta*, *E. kuroguwai*, *Sagitaria pygmaea*, *S. trifolia*, and *Scirpus juncoides*, and the annual weeds *Cyperus difformis*, *Elatine triandra*, *Lindernia augustifolia*, *L. procumbens*, *Monochoria vaginalis*, and *Rotala indica*(1). Grass weeds such as *Echinochloa crus-galli* are suppressed but not consistently controlled. AC 322,140 has also shown good control of broadleaf and sedge weeds and crop tolerance in water-seeded rice, applied 0 to 12 days after seeding at rates of 10 to 40 g/ha.

MATERIALS AND METHODS

Uptake, distribution, and metabolism of AC 322,140 by rice. The uptake, distribution, and metabolism of ^{14}C-AC 322,140 was evaluated in a series of experiments using hydroponics. Plants were transferred to a hydroponic nutrient solution containing ^{14}C-AC 322,140 when they had 2-3 leaves. Plants were harvested 2, 4, 24, 48 and 72 hours after treatment and divided into roots and shoots. Some plants were autoradiographed, while some plants were used to determine total radiolabel absorbed (combustion followed by liquid scintillation counting). Metabolism was evaluated by extraction of root and shoot tissue and chromatography (TLC and HPLC).

Leaching studies in 3 Japanese paddy soils. Leaching of ^{14}C-AC 322,140 was evaluated using square plexiglass columns packed with soil 8-10 cm deep with 100 cm^2 of soil surface area. Three Japanese rice paddy soils were evaluated. Columns were saturated with water and ^{14}C-AC 322,140 was applied directly to the soil surface. Three cm of water (300 mL total volume) per day were leached through the column over a two day period. Columns were drained to field capacity and sectioned into 1 cm slices. Soil sections were dried, oxidized, and radioactivity determined.

Combination leaching/plant uptake and distribution study. An experiment similar to the leaching study described above was conducted except that rice and several weed species were transplanted into the soil column. The soil column was packed with an alluvium sandy loam soil, saturated with water, and the following were planted into the column: 3 rice transplants (3.3 leaves, 30 cm tall), 4 *Saggitaria pygmaea* plants (0.4 cm tall), 4 *Cyperus serotinus* plants (4 cm tall), and 6 *Echinochloa crus-galli* plants (1.8 leaves, 4 cm tall). After three days, water was added to 2 cm above the soil surface. On the following day ^{14}C-AC 322,140 was added by pipette to the flood water. Water was leached through the column at the rate of 1 cm per day for two days after herbicide was added, with the flood height maintained at 2 cm above the soil level. Then plants were removed and sectioned (at about 2 cm intervals), columns were drained, and soil was sectioned into the top 3 cm vs the bottom 5 cm of soil.

Soil organic carbon partition coefficients for AC 322,140 and bensulfuron-methyl. Freundlich adsorption/desorption isotherms were obtained for AC 322,140 and bensulfuron-methyl using a batch-slurry method in 3 Japanese rice paddy soils and one U.S. soil (Sassafras sandy loam). Each herbicide was evaluated at four concentrations per soil for each of two adsorption periods. K_f (Freundlich adsorption) values were determined for each soil and condition and the K_{oc} (Soil organic carbon partition coefficient) was calculated by dividing each K_f by the percent organic carbon of the soil.

RESULTS AND DISCUSSION

Uptake, distribution, and metabolism of AC 322,140 by rice. In a hydroponic system, rice seedlings readily absorbed AC 322,140. Although the greatest concentration of radiolabel was in the roots, radiolabel was rapidly translocated throughout the plant. In shoots, the greatest concentration of ^{14}C-label was in the leaf margins and leaf tips. Metabolism of AC 322,140 was much faster in the shoots than in the roots. The half-life for metabolism of AC 322,140 was less than 2 hours for shoots compared to 24 hours for roots. Metabolism of AC 322,140 by rice was by urea bridge cleavage to yield herbicidally inactive compounds. This is quite different from the metabolism of bensulfuron-methyl by rice. Bensulfuron-methyl is metabolized by rice via hydroxylation of the methoxy substituent followed by demethylation (2).

Leaching studies in 3 Japanese paddy soils. For each soil, over 86% of the total radioactivity remained in the top 3 cm of soil. Radioactivity in the leachate was less than 1% (0.3% on alluvium sandy loam, 0.4% in volcanic loam, 0.7% in deluvium loam). These results show the low soil mobility of AC 322,140 (Table 2).

Table 2. Leaching of AC 322,140 in 3 Japanese paddy soils (3 cm leaching/day for 2 days)

Soil section (cm from surface)	Percent totla ^{14}C radioactivity		
	Alluvium sandy loam	Volcanic loam	Deluvium loam
0-1 cm	55.5	51.3	35.9
1-2 cm	25.9	21.8	36.5
2-3 cm	10.0	16.8	13.9
3-4 cm	4.9	7.9	2.8
4-5 cm	2.1	1.5	2.1
5-10 cm	1.3	0.3	8.2
Leachate	0.3	0.4	0.7

Combination leaching/plant uptake and distribution study. An experiment similar to the leaching study described above was conducted except that rice and several weed species were transplanted into the soil column. In this study, the distribution of radiolabel from ^{14}C-AC 322,140 as percent of applied was as follows: 7.5% in the 2 cm of flood water over the soil surface; 64% in the top 3 cm of soil; 26% in the lower 5 cm of soil; and 2.5% taken up by plants. More herbicide was found below 3 cm in this study when compared to the previous soil leaching study because some mixing of soil occurred when plants were removed.

All three weeds had a greater concentration of ^{14}C-AC 322,140 (per g dry weight) than rice. In the 3 weed species, over 85% of the radiolabel remained in the parts of the plant exposed to the top 3 cm of soil or the 2 cm of flood water (Table 3). In rice, only 47% of the radiolabel was in parts of the rice plant exposed to the top 3 cm of soil or flood water, while 40% had translocated to shoot tissue above the flood.

Metabolism studies in rice described above indicate that AC 322,140 translocated into shoot tissue is rapidly metabolized. In addition, most of the roots of rice plants are located deep enough in the soil that there is little exposure to the herbicide. Thus tolerance of rice to AC 322,140 is due to rapid metabolism to inactive compounds and location of most rice roots below the area of highest herbicide concentration. Rice also showed reduced uptake of herbicide compared to these weed species.

Table 3. Distribution of ^{14}C-AC 322,140 within each plant species in combination leaching/plant uptake study

Location of plant tissue	Rice	*S. pygmaea*	*C. serotinus*	*E. crus-galli*
	(% of total radiolabel)			
Shoot above flood	40.3	1.1	4.2	10.8
Herbicide zone (flood + top 3 cm)	47.2	98.8	95.7	88
Roots in bottom 3-8 cm of soil	12.5	0.2	0.4	1.3

Soil organic carbon partition coefficients for AC 322,140 and bensulfuron-methyl . AC 322,140 had K_{oc} values of 1530-5530 following a 1 day adsorption period (Table 4). This indicates that AC 322,140 binds tightly to soil. In all cases, the K_{oc} for AC 322,140 was higher than for bensulfuron-methyl, indicating that AC 322,140 binds to soil more tightly than bensulfuron-methyl.

Table 4. Comparison of soil adsorption constants of AC 322,140 and bensulfuron-methyl in 3 Japanese paddy soils and one U.S. soil, after a 1 day adsorption period

Soil type	Soil origin	pH	% O.M.	AC 322,140	bensulfuron-methyl
				(K_{oc} (L/kg))	
alluvium sandy loam	Kagawa	6.0	2.8	2,420	1,350
deluvium loam	Toyokawa	5.9	3.0	2,110	1,250
volcanic loam	Tochigi	5.5	10.4	1,530	1,380
sassafras sandy loam	New Jersey	6.6	1.2	5,530	2,790

AC 322,140 is a useful new tool for weed control in rice. Its low level of toxicity to animals, immobility in the soil, and excellent level of weed control and rice tolerance will provide farmers with good weed control with a low level of environmental risk. This new herbicide has also shown potential for broadleaf weed control in wheat and barley.

REFERENCES

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