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RH-6201 (Blazer): A New Broad Spectrum Herbicide for Postemergence Use in Soybeans

RH-6201 is a new herbicide with excellent potential for the selective control of most broadleaf weeds in soybeans. Current problem weeds such as cocklebur, velvetleaf, morningglory, and jimsonweed are susceptible at 0.5 lb/acre. Soybeans exhibit excellent tolerance to RH-6201. Chemically, RH-6201 is sodium 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate.

The widespread use of preplant and preemergence herbicides in soybeans has resulted in effective annual grass control, but many broadleaf weeds are resistant and because of reduced competition now represent a major problem (Baldwin and Frans, 1972; Mahoney and Penner, 1975). This communication describes a new herbicide, RH-6201, which provides selective control of the major broadleaf weeds infesting soybean fields when applied as a postemergence treatment. The proposed common name for RH-6201 is acifluorfen-sodium.

EXPERIMENTAL SECTION

Synthesis of Compounds. *Synthesis of Sodium 5-[2-Chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate (RH-6201).* 3-[2-Chloro-4-(trifluoromethyl)phenoxy]benzoic acid was prepared by the treatment of 3,4-dichlorobenzotrifluoride with the dipotassium salt of 3-hydroxybenzoic acid at 138–144 °C in dimethyl sulfoxide for 22 h. The cooled reaction mixture was poured into water, and the aqueous organic mixture was triturated with carbon tetrachloride to remove neutral organic materials. The aqueous layer was then decanted and acidified to pH 1 with concentrated hydrochloric acid to given an off-white solid, which was collected by filtration and vacuum dried at 60 °C overnight. The white powder (85% yield) melted at 124–125 °C and was used without further purification. Anal. Calcd for $C_{14}H_8ClF_3O_3$: C, 53.10; H, 2.55; Cl, 11.20; F, 18.00. Found: C, 53.18; H, 2.59; Cl, 11.16; F, 17.45.

5-[2-Chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoic acid was prepared by nitration of 3-[2-chloro-4-(trifluoromethyl)phenoxy]benzoic acid in an ethylene dichloride/sulfuric acid cosolvent system at 0 °C with incremental addition of 1 equiv of potassium nitrate over a 0.5-h period. One-half hour after completion of addition, the reaction mixture was allowed to warm to room temperature, poured cautiously into an ice–water mixture, and extracted into chloroform. The insoluble solids were removed by filtration, the organic layer decanted and dried with anhydrous sodium sulfate, and the solvent removed in vacuo to give a solid product (82% yield) that melted at 137–150 °C. This was recrystallized from benzene–petroleum ether and melted at 151.5–157 °C. Anal. Calcd for $C_{14}H_7ClF_3NO_5$: C, 46.50; H, 1.95; N, 3.87; Cl, 9.80; F, 15.76. Found: C, 46.79; H, 1.91; N, 3.65; Cl, 9.46; F, 15.35.

This product was then titrated with 1 equiv of sodium hydroxide to give a quantitative yield of sodium 5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate (RH-6201).

Biological Testing. The results presented in Table I are from a field test in Newton, Pa., conducted in June 1976. The treatments were replicated three times and applied in 40 gal of water/acre. The sensitivity of the major soybean weeds to RH-6201 is indicated in Table II. These results were obtained from field trials throughout the major soybean areas of the U.S. in 1976. The weed height at application time was 0.25 to 3.0 in. Percent weed control and soybean injury was determined by visual estimation of percent plant growth reduction in treated compared to nontreated plots.

RESULTS AND DISCUSSION

The chemical structure of RH-6201 is shown in Figure 1.

The herbicidal results in Tables I and II show that RH-6201 is highly effective against many broadleaf weeds in soybeans including current problem weeds such as

Table I. Herbicidal Activity of RH-6201 when Applied Postemergence to Soybeans (Results Obtained 15 Days after Treatment and Expressed as Percent Control)

	RH-6201, lb/acre				Growth stage at application time	
	0.12	0.25	0.5	1.0	Inches	Leaves
Soybean (*Glycine max*, var. *adelphia*)	0	5	8	18	3.5–4.5	1 to 2 trifoliates
Velvetleaf (*Abutilon theophrasti*)	33	60	89	99	2–3	5
Cocklebur (*Xanthium pensylvanicum*)	33	60	84	98	2–2.5	4–6
Common ragweed (*Ambrosia artemisiifolia*)	100	100	100	100	1–2	4
Pigweed (*Amaranthus retroflexus*)	100	100	100	100	1	4
Galinsoga (*Galinsoga parviflora*)	100	97	100	100	0.25–0.5	3
Lambsquarter (*Chenopodium album*)	37	60	93	98	1	6
Fall panicum (*Panicum dichotomiflorum*)	20	53	93	100	1.5–2	3

Table II. Average Postemergence Weed Control Ratings from U.S. Field Trials (Results Expressed as Percent Control)

	RH-6201, lb/acre			Number of field trials at each rate		
	0.25	0.5	1.0	0.25	0.5	1.0
Cocklebur (*Xanthium pensylvanicum*)	82	91	96	6	7	7
Morningglory (*Ipomoea spp.*)	83	91	95	14	17	18
Jimsonweed (*Datura stramonium*)	90	95	98	3	3	3
Velvetleaf (*Abutilon theophrasti*)	78	87	90	10	9	11
Pigweed (*Amaranthus spp.*)	90	93	95	15	17	18
Smartweed (*Polygonum pensylvanicum*)	87	93	95	9	6	10
Common ragweed (*Ambrosia artemisiifolia*)	87	89	96	9	6	10
Lambsquarter (*Chenopodium album*)	67	80	86	14	14	17
Yellow nutsedge (*Cyperus esculentus*)	59	63	74	4	4	4
Sicklepod (*Cassia obtusifolia*)	33	38	51	5	5	5
Teaweed (*Sida spinosa*)	69	69	73	5	5	5
Purslane (*Portulaca oleracea*)	94	96	97	5	5	5

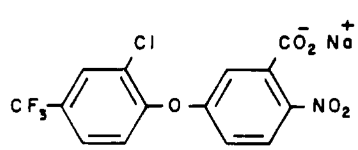

Figure 1. Chemical structure of RH-6201.

cocklebur, velvetleaf, morningglory, and jimsonweed. Based on these results, weed sensitivities may be tabulated as follows:

Readily controlled		Partially controlled	Suppressed
jimsonweed	cocklebur	lambsquarter	sicklepod
pigweed	morningglory	teaweed	beggarweed
smartweed	velvetleaf	yellow nutsedge	
common ragweed	galinsoga	annual grasses	

Weeds such as jimsonweed, pigweed, smartweed, common ragweed, and galinsoga are highly sensitive and are often controlled by rates as low as 0.12 lb/acre. Cocklebur, morningglory, and velvetleaf are readily controlled at 0.5 lb/acre. Lambsquarter is slightly less sensitive, but is controlled if treated early (1 to 2 in.) with 0.5 to 1 lb/acre. Teaweed and yellow nutsedge are partially controlled at high rates. Several members of the Leguminosae family including sicklepod and beggarweed are tolerant or only partially suppressed.

Soybeans exhibit good tolerance to RH-6201; however, localized and temporary leaf damage sometimes occurs. The injury is in the form of leaf cupping, crinkling, and speckling, particularly on the youngest leaves present at the time of application. This injury disappears rapidly following initial occurrence. Soybeans at all growth stages including preemergence are tolerant to RH-6201. Many soybean varieties have been examined and found to be tolerant to postemergence applications. In addition to soybeans, peanuts, peas, and rice are tolerant.

RH-6201 is also active when applied preemergence, but higher rates are required for effective weed control. RH-6201 is a fast acting contact herbicide, and the maximum activity is usually manifested in 3 to 7 days after application.

The acute oral LD_{50} of RH-6201 is 1300 mg/kg for male albino rats, and the acute dermal LD_{50} is >2500 mg/kg for albino rabbits.

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