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1-Methyl-3-phenyl-5-[3-(trifluoromethyl)phenyl]-4(1*H*)-pyridinone, a New Herbicide

1-Methyl-3-phenyl-5-[3-(trifluoromethyl)phenyl]-4(1*H*)-pyridinone (EL-171), a new chemical compound, is herbicidally active at low dosages and is safe for use on cotton. EL-171 controls a wide variety of annual grass and broadleaf weeds and is more active preemergence than postemergence. Susceptible plants treated preemergence with EL-171 emerge with chlorotic leaves, become necrotic, and subsequently die. EL-171 is a slow-acting, translocated herbicide, and treated plants appear unable to direct the synthesis of chlorophyll.

In the course of a greenhouse screening program evaluating herbicidal activity of various substituted pyridinones and related chemicals, many were found to be very effective in controlling several weed species. 1-Methyl-3-phenyl-5-[3-(trifluoromethyl)phenyl]-4(1*H*)-pyridinone (coded EL-171), a new chemical compound, was synthesized and found to be safe on cotton and particularly effective for the control of a broad spectrum of annual grass and broadleaf weeds. Data which demonstrate the herbicidal activity and crop selectivity of EL-171 are presented in this report.

CHEMICAL METHODS

EL-171 was synthesized from the appropriate ketone [prepared by the method of Coan and Becker (1954)] by the procedures suggested by Benary and Bitter (1928) and El-Kholy et al. (1973). After recrystallization from ethyl acetate–Skellysolve B, the compound was obtained as a white crystalline solid which melts at 153–155 °C. Nuclear magnetic resonance and infrared spectra and microanalysis data are in accord with the proposed structure (Figure 1).

The compound is moderately soluble in chloroform, ethanol, acetone, and ethyl acetate, somewhat less soluble in ether and benzene, and almost insoluble in hexane and Skellysolve B. Solubility in water at pH 7 is approximately 12 ppm.

Preliminary toxicological data indicate that EL-171 has a low order of mammalian toxicity. The acute LD_0 of EL-171 by oral administration is greater than 10 g/kg of body weight for rats, 500 mg/kg for dogs, and 250 mg/kg for cats. The LD_{50} to fasted female mice is greater than 10 g/kg. The LC_{50} at 96 h for bluegill is 7 ppm. In subacute studies, a dosage of 2000 ppm was the no effect level when fed to rats for a period of 91 days. Body weight gain was suppressed in rats fed diets containing both 4000 and 8000 ppm. However, these high dosages did not affect the hematology, clinical chemistry values, or the histological findings.

Table I. Percent Control of Annual Grass and Broadleaf Weeds with Preemergence Application of EL-171 (Greenhouse Test)

Weed species	Dosage, kg of AI/ha 0.3	0.6	1.2	2.4
Barnyardgrass (*Echinochloa crus-galli*)	100	100	100	100
Crabgrass (*Digitaria sanguinalis*)	100	100	100	100
Foxtail (*Setaria italica*)	95	95	100	100
Johnsongrass (*Sorghum halepense*)	100	100	100	100
Ryegrass (*Lolium multiflorum*)	60	100	100	100
Wild Oat (*Avena fatua*)	80	90	95	100
Cocklebur (*Xanthium pensylvanicum*)	55	70	85	100
Jimsonweed (*Datura stramonium*)	80	100	100	100
Lambsquarters (*Chenopodium album*)	80	80	100	100
Morningglory (*Ipomoea purpurea*)	70	95	95	100
Mustard (*Brassica nigra*)	60	80	80	100
Nightshade (*Solanum quineense*)	100	100	100	100
Pigweed (*Amaranthus retroflexus*)	100	100	100	100
Sicklepod (*Cassia obtusifolia*)	100	100	100	100

HERBICIDE PROPERTIES

EL-171 was evaluated in the greenhouse as pre- and postemergence applications on several weed species.

In a preemergence greenhouse test (Table I), seeds of 14 weeds were sown approximately 3 cm deep in rows across galvanized metal flats (seven species per flat) and

Table II. Percent Injury to Cotton and Related Plant Species to Preemergence Application of EL-171 (Greenhouse Test)

Plant species	Dosage, kg of AI/ha				
	0.30	0.45	0.60	0.90	1.20
Cotton (*Gossypium hirsutum*)	0	0	0	0	0
Hollyhock (*Althaea rosea*)	100	100	100	100	100
Okra (*Hibiscus esculentus*)	50	60	70	80	90
Prickly Sida (*Sida spinosa*)	100	100	100	100	100
Velvetleaf (*Abutilon theophrasti*)	100	100	100	100	100
Venice Mallow (*Hibiscus trionum*)	100	100	100	100	100

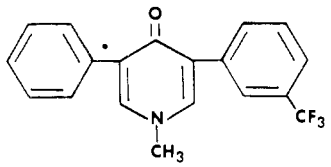

Figure 1. Chemical structure of EL-171.

sprayed immediately with EL-171 at dosages of 0.3, 0.6, 1.2, and 2.4 kg of active ingredient (AI)/ha using 305 l. of water/ha. The results were evaluated 3 weeks after spraying by assessing the phytotoxicity on a scale of 0 (no injury) to 100 (plants dead). The first phytotoxic symptoms were apparent when the seedlings emerged 4–7 days after spraying. The observed phytotoxic effects were emergence of chlorotic plants followed by retardation of growth and leaf necrosis and ending in death. Preemergence application of EL-171 did not inhibit germination of weed seeds, but the compound was readily absorbed through the primordial root or shoot and was translocated upward to the leaves. In this test EL-171 controlled a number of important annual grass and broadleaf weeds at dosages of 0.3 to 0.6 kg of AI/ha. Annual grass weeds such as *Avena fatua*, *Digitaria sanguinalis*, *Echinochloa crus-galli*, *Lolium multiflorum*, *Setaria italica*, and *Sorghum halepense* as well as broadleaf weeds such as *Amaranthus retroflexus*, *Brassica nigra*, *Cassia obtusifolia*, *Chenopodium album*, *Datura stramonium*, *Ipomoea purpurea*, and *Solanum quineense* were extremely sensitive to EL-171, while *Xanthium pensylvanicum* was moderately sensitive.

In a soil-incorporated greenhouse test (Table II), EL-171 at dosages of 0.30, 0.45, 0.60, 0.90, and 1.20 kg of AI/kg was sprayed on a soil surface in 305 l. of water/ha and soil incorporated before sowing seeds of *Abutilon theophrasti*, *Althaea rosea*, *Hibiscus esculentus*, *Hibiscus trionum*, *Sida spinosa*, and cotton, *Gossypium hirsutum* var. Stoneville 213. These six plant species are in the mallow family and possess several morphological and genetic similarities. Phytotoxicity was assessed 3 weeks after spraying as described for the proceeding preemergence test. This soil-incorporated test showed EL-171 is safe on cotton but killed or severely injured other plant species in the mallow family. The lowest dosage of 0.30 kg of AI/ha provided complete control of *Abutilon theophrasti*, *Althaea rosea*, *Hibiscus trionum*, and *Sida spinosa* and caused moderate injury to *Hibiscus esculentus* while cotton tolerated the highest dosage of 1.2 kg of AI/ha.

Additional testing has shown that EL-171 is equally safe on 15 cotton varieties commonly grown in the U.S.

In a postemergence greenhouse test (Table III), six plant species were sown in rows in galvanized metal flats. When the plants were in the two- to three-leaf stage of growth (2 weeks after seeding), EL-171 at dosages of 0.3, 0.6, 1.2, and 2.4 kg of AI/ha was foliarly applied using 305 l. of water/ha. Phytotoxicity was assessed 3 weeks later as described for the previous tests. Results from postemergence applications suggest that EL-171 is absorbed by plant foliage and translocated into the new growth formed after treatment. Phytotoxic symptoms observed were retardation of growth, progressive chlorosis in the younger leaves, and leaf necrosis. Most treated plants except *Digitaria* and *Setaria* were not completely dead after 3 weeks even though they were extremely chlorotic.

Table III. Percent Control of Annual Grass and Broadleaf Plants with Postemergence Application of EL-171 (Greenhouse Test)

Weed species	Dosage, kg of AI/ha			
	0.3	0.6	1.2	2.4
Crabgrass (*Digitaria sanguinalis*)	90	90	95	100
Foxtail (*Setaria italica*)	90	90	95	100
Morningglory (*Ipomoea purpurea*)	70	80	80	85
Pigweed (*Amaranthus retroflexus*)	85	90	90	95
Velvetleaf (*Abutilon theophrasti*)	70	80	80	85
Zinnia (*Zinnia elegans*)	70	80	85	85

In addition to annual grass and broadleaf weeds, other greenhouse tests have demonstrated that slightly increased dosages of EL-171 are effective either preemergence or postemergence for the control of several perennial weeds such as *Agropyron repens*, *Convolvulus arvensis*, *Cynodon dactylon*, *Cyperus esculentus*, *Cyperus rotundus*, and *Sorghum halepense*. Further, greenhouse tests have shown that EL-171 is effective when either soil incorporated or surface applied, and that its herbicidal activity is not adversely influenced by different soil textures or delayed soil incorporation.

From the above data it is evident that EL-171 is an effective herbicide which merits further evaluation. More detailed field investigations are in progress to determine its effectiveness against a wide variety of annual and perennial plants. Tests are also being conducted to evaluate the persistence of the compound when applied under various soil and environmental conditions and to study its effects on crops grown in rotation with cotton.

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