STYRYLSULFONAMIDES AS CHEMOSTERILANTS AGAINST HOUSE FLIES AND BOLL WEEVILS

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STYRYLSULFONAMIDES AS CHEMOSTERILANTS AGAINST HOUSE FLIES AND BOLL WEEVILS

Albert B. DeMilo,[1] James E. Oliver,[2] Richard L. Fye,[3] and Jack W. Haynes[4]

ABSTRACT

Eleven styrylsulfonamides were effective sterilants against boll weevils and house flies when the compounds were added to the diet of adult insects. In boll weevils, 10 compounds markedly inhibited oviposition in females but high toxicity prevailed at most concentrations. Five sulfonamides tested in house flies by feeding were effective sterilants. The most effective compounds for flies were (E)-N-(2,4-dinitro-1-naphthalenyl)-2-phenylethenesulfonamide (AI3-62771) and (E)-N-(2,4-dinitro-1-naphthalenyl)-2-(2-thienyl)ethenesulfonamide (AI3-62959). Structure-activity relationships were inconclusive for this group of compounds.

INTRODUCTION

In 1973 Fye et al. (5)[5] described the sterilizing activity of 2,5-dichloro-N-(2,4-dinitro-1-naphthalenyl)benzenesulfonamide, I, R=2,5-$(Cl)_2$ (AI3-52766) against house flies (see fig. 1). Subsequently, DeMilo et al. (2,3) reported in detail on the structure-activity relationships of sulfonamides related to structures I and II, and in one study (2) the sterilizing activity of N-(2,4-dinitro-1-naphthalenyl)-2-phenylethenesulfonamide, III, R=phenyl (AI3-62771), against house flies was reported. Here we report for the first time the sterilizing effects of 11 trans-styrylsulfonamides (structures III and IV) and related compounds in adult house flies, Musca domestica L., and adult boll weevils, Anthonomus grandis Boheman, when the compounds were added to their diets.

1/ Research chemist, Insect Chemosterilants Laboratory, Agricultural Environmental Quality Institute, Agricultural Research Service, U.S. Department of Agriculture, Beltsville, Maryland 20705.

2/ Research chemist, Pesticide Degradation Laboratory, Agricultural Environmental Quality Institute, Agricultural Research Service, U.S. Department of Agriculture, Beltsville, Maryland 20705.

3/ Agricultural research technician, Insects Affecting Man Research Laboratory, Agricultural Research Service, U.S. Department of Agriculture, Gainesville, Florida 32604.

4/ Research entomologist, Boll Weevil Research Laboratory, Agricultural Research Service, U.S. Department of Agriculture, Mississippi State, Mississippi 39762.

5/ Italic numbers in parentheses refer to items in "Literature Cited" at the end of this publication.

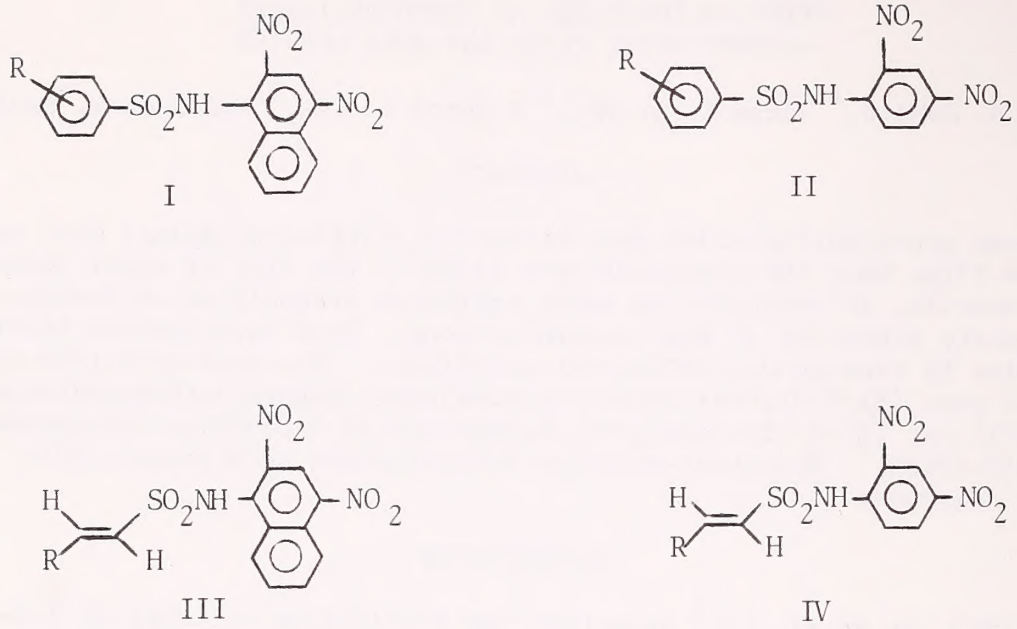

Figure 1.--Structures of sulfonamides.

MATERIALS AND METHODS

Chemicals.--Test compounds were synthesized by previous methods (7). Compound purity was estimated from spectral and physical data to be approximately 95 percent.

Boll weevil screening tests.--The tests were conducted with an ebony strain of the boll weevil (1). Candidate compounds were dissolved in acetone and formulated into a previously described diet (6). The treated diet was cooled and subdivided into pellets, and the pellets were coated with wax and stored at approximately 5°C until used.

Sterility and mortality values were determined as follows: 25 (1-day-old) adult weevils of one sex or 50 (1-day-old) adult weevils of both sexes were fed chemosterilant-treated pellets for 3 days, after which time the treated diet was removed and replaced with untreated pellets. Dead weevils were counted and removed 7 and 14 days after treatment was initiated, and percent mortality was assessed. When separate sexes were treated, the treated weevils were crossed with 25 virgin untreated weevils. If female fecundity was noticeably unaffected, 100 eggs were collected (50 at day 7 and 50 at day 14). The egg samples were divided in half; one half was held for hatch and the other was implanted in larval plates (8) to determine adult F_1 emergence.

House fly screening tests.--All of the materials were tested as additives

to the diet of adult house flies of both sexes by previously reported procedures (4). Briefly, each compound was added on a W/W basis to a diet of granulated sucrose or regular fly food (a mixture of sugar, powdered nonfat dry milk, and powdered egg yolk, 6:6:1). At 6 days posttreatment a random sample of 100 eggs was collected and held to determine the number of pupae that formed. However, when the compound was offered in sugar, untreated fly food was provided after the 3d day for 4 days to provide protein for egg nourishment. Sterility in house flies was assessed on the basis of the number of eggs that survived up to the pupal stage of development.

RESULTS AND DISCUSSION

Ten variously substituted styrylsulfonaphthylides (III) and styrylsulfonanilides (IV) caused complete or partial inhibition of egg production when the compounds were fed for 3 days to adult weevils of both sexes or adult female weevils (table 1). Since most compounds were highly toxic to the weevils it is reasonable to assume that the reduction in fecundity was primarily caused by the toxic effects imparted by the compounds. Eggs that were collected appeared to develop normally, as hatch and emergence indices did not vary significantly from those of the controls. The most effective chemosterilants for boll weevils were AI3-62904 and AI3-62961, both causing 100 percent sterility at 0.05 percent concentration in the diet.

Of five styrylsulfonaphthylides and eight styrylsulfonanilides fed to adult house flies of both sexes, only five compounds were effective sterilants (table 2). Reduced fecundity was also observed in house flies treated with AI3-62904, AI3-62986, and AI3-62987. However, compounds AI3-62771 and AI3-62959 induced complete sterility in flies at 0.5 and 0.1 percent concentrations, respectively, with no apparent effect on oviposition. A priori, we might have expected a direct structure-activity relationship between the styryl derivatives (III and IV) and their corresponding nonvinylic analogs (I and II), but this was not always the case. For example, in previous studies with house flies (2), 2 sulfonaphthylides, I, (R=4-(CH_3) and I, R=4-(CH_3O), gave 100 percent sterility at a concentration of 0.01 percent in food. However, in our fly tests, the corresponding styryl analogs (AI3-62963 and AI3-62962) were virtually ineffective at a concentration of 1 percent. Conversely, compound AI3-62959 was highly effective against house flies, but its corresponding nonvinylic analog was ineffective at 1 percent (2).

Similar discrepancies were also apparent in the styrylsulfonanilide group. For example, although sterility levels (in house flies) of two active styryl derivatives AI3-62987 and AI3-62986 roughly paralleled those of their corresponding nonvinylic analogs, the nonvinylic analogs of three inactive styryl compounds (AI3-62966, -62961, and -63102) caused 100 percent sterility in flies at dietary concentrations of 0.1, 0.5, and 0.5 percent, respectively (3).

The effectiveness of these compounds in male insects was not extensively investigated. However, AI3-62771 induced 46 percent sterility in male flies at a 1 percent concentration. Interestingly, the sterilizing activities in flies were uniformly greater when the compounds were added to regular diets rather than to sugar diets.

TABLE 1.--Chemosterilant activity and toxicity of 10 effective styryl analogs fed to adult boll weevils

RCH=CHSO$_2$NHR'							Days 7 and 14		
			Concen-		Percent mortality 1/ at				
R	R'	AI3-No.	tration percent	Sex treated	Day 7	Day 14	Total eggs collected	Avg. egg hatch 2/ (percent)	Avg. adult emergence 3/ (percent)
C_6H_5	2,4-$(NO_2)_2C_{10}H_5$	62771	1.0	F	92	92	0	---	---
			.5	F	96	96	0	---	---
			.25	F	92	100	0	---	---
			.1	F	88	100	2	100	100
			.05	F	68	68	83	86	53
4-$(CH_3O)C_6H_4$	2,4-$(NO_2)_2C_{10}H_5$	62962	2.0	F	68	84	46	69	38
			1.0	F	40	56	100	66	32
			1.0	F	32	36	84	94	35
			.5	F	76	92	8	50	50
			.5	MX	80	80	23	77	45
4-$(CH_3)C_6H_4$	2,4-$(NO_2)_2C_{10}H_5$	62963	2.0	F	80	80	0	---	---
			1.0	F	72	76	2	100	100
			1.0	F	84	88	50	91	68
			.5	F	88	88	8	75	75
			.5	F	84	88	0	---	---
4-BrC_6H_4	2,4-$(NO_2)_2C_{10}H_5$	62904	.5	MX	100	---	---	---	---
			.25	MX	68	74	30	60	20
			.1	MX	98	98	0	---	---
			.1	MX	(4/)	86	2	100	0
			.05	MX	36	36	0	---	---
			.05	F	96	100	---	---	---
			.025	F	4	8	100	82	28
C_6H_5	2,4-$(NO_2)_2C_6H_3$	62966	1.0	F	72	80	12	100	68
			.5	F	72	80	26	85	69

$4\text{-}(CH_3O)C_6H_4$	$2,4\text{-}(NO_2)_2C_6H_3$	62981	2.0	F	52	56	0	---	---
			1.0	F	88	96	0	---	---
			1.0	F	80	80	8	75	75
			.5	F	80	88	30	70	80
			.5	F	92	92	0	---	---
$4\text{-}(CH_3)C_6H_4$	$2,4\text{-}(NO_2)_2C_6H_3$	62961	1.0	F	80	92	22	84	65
			.5	F	72	76	0	---	---
			.25	F	12	100	50	100	36
			.1	F	58	78	0	---	---
			.05	F	88	100	0	---	---
$4\text{-}BrC_6H_4$	$2,4\text{-}(NO_2)_2C_6H_3$	62986	1.0	F	80	88	24	96	52
			1.0	F	100	---	---	---	---
			1.0	M	100	---	---	---	---
			.5	F	84	92	18	100	33
			.5	M	100	---	---	---	---
			.5	F	100	---	---	---	---
			.025	F	100	---	---	---	---
			.025	M	100	---	---	---	---
			.01	F	80	84	46	93	61
$3,4\text{-}(Cl)_2C_6H_3$	$2,4\text{-}(NO_2)_2C_6H_3$	62987	1.0	F	88	88	46	90	39
			.5	MX	88	84	0	---	---
			.5	F	84	92	10	100	60
2-thienyl	$2,4\text{-}(NO_2)_2C_6H_3$	63102	.25	F	100	---	0	---	---
			.1	F	72	72	94	88	54

1/ Average mortality of controls: 8.8 percent at day 7, 12.9 percent at day 14.

2/ Average egg hatch of controls: 73.8 percent.

3/ Average emergence of controls: 45.7 percent.

4/ No data.

TABLE 2.--Chemosterilant activity of 5 effective styryl analogs fed to adult house flies

AI3-No.	Concentration percent	Percent sterility 1/ in diet of	
		Sugar	Fly food
62771	1.0	30	100
	1.0	---	2/ 46
	.5	---	100
	.25	---	86
	.10	---	29
62904	1.0	0	3,4/ 100
	.5	---	3/ 100
	.25	---	65
62959 5/	1.0	0	100
	.5	---	100
	.25	---	100
	.25	---	2/ 10
	.1	---	100
	.05	---	28
62986	1.0	3,4/ 100	---
	.5	11	4/ ---
	.25	---	4/ ---
	.1	---	86
62987	1.0	3/ 100	3,4/ 100
	.5	16	78

1/ Based on number of eggs that developed pupae. Sterility of controls approximately 10 percent.
2/ Treated males crossed with untreated virgin females.
3/ No oviposition.
4/ Adult mortality >75 percent.
5/ Structure III, R=2-thienyl.

In summary, 11 styrylsulfonamides were effective sterilants against boll weevils and house flies. Because of their extremely high toxicity to weevils and because of their low sterilizing effectiveness against house flies (relative to their nonvinylic analogs), the practical utility of these sterilants for reproductively controlling these insects remains doubtful.

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