TOBACCO BUDWORM:[1] STRUCTURE-ACTIVITY OF AZIRIDINE CHEMOSTERILANTS AS FUMIGANTS[2,3]

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ABSTRACT

Numbers AI3-52457, -50042, -50765, and -61587 were the most effective of 25 aziridine chemosterilants evaluated as fumigants against the tobacco budworm *Heliothis virescens* (F.). AI3-50042 did not cause complete sterility of males, and these males were equal to untreated males in terms of sperm transfer.

Thiotepa, applied topically to larvae of the tobacco budworm, *Heliothis virescens* (F.), was found by Wolfenbarger et al. (1974) to be the most effective of 75 chemosterilants tested. Anwar et al. (1974) later found that the vapors of thiotepa sterilized male and female tobacco budworms in 4 hr. Twenty-five other compounds related to thiotepa or to other aziridines were therefore evaluated in a search for a compound that would sterilize both sexes with the same dose in less than 4 hr.

MATERIALS AND METHODS

Identification numbers, structures, and concentrations of the test compounds are shown in Fig. 1. All compounds except tretamine (AI3-25296) were dissolved in 1 ml of acetone; tretamine was dissolved in equal amounts of methanol and water. The acetone was allowed to evaporate overnight before compounds were tested.

The tobacco budworms used in the test were obtained and handled as described by Wolfenbarger et al. (1974). The closed-unit fumigation apparatus (volume of 1720 ml) and the fumigation methodology were described by Anwar et al. (1974). Briefly, copper screen cages, each containing 10 moths not more than 24 hr old, were placed in the chamber which was held in a temperature-regulated cabinet during the fumigation. After each exposure, the unit was decontaminated as suggested by Chang et al. (1973).

Male and female moths were treated with 0.05-10 g of test compound for 1/2-6 hr at 30°C to establish time-dose relationships. Immediately after each treatment, 2 treated (T) moths of the same sex were placed with 2 untreated (U) moths of the opposite sex in cardboard cartons. The check con-

[1]Lepidoptera: Noctuidae.

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[3]This paper reflects the results of research only. Mention of a pesticide does not constitute a recommendation of this product by the USDA.

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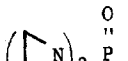
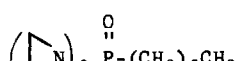
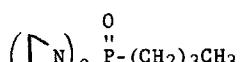
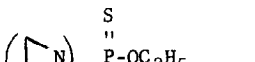
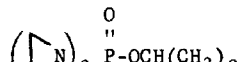
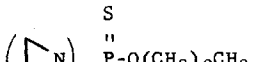
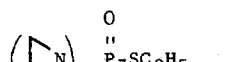
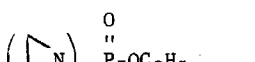
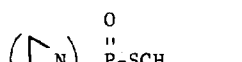
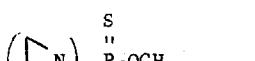
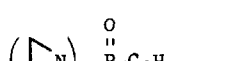
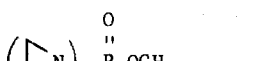
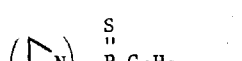
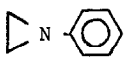
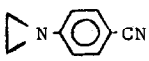
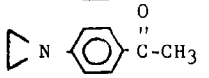
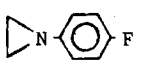
Alkyl aziridinyl phosphine or phosphonate sulfides and oxides

		Dose (g)			Dose (g)
A13-24915 (tepa)		10.0	A13-61343		1.0
A13-50003 (metepa)		10.0	A13-61354		1.0
A13-50042		1.0	A13-61355		1.0
A13-50044		1.0	A-13-61582		1.0
A13-50761		1.0	A13-61583		1.0
A13-50765		1.0	A13-61586		1.0
A13-61342		1.0	A13-61587		0.1

N-arylaziridines

		Dose (g)			Dose (g)
A13-52961		0.25	A13-52966		1.0
A13-52962		0.05	A13-50491		1.0
A13-52963		0.05	A13-52967		0.05
A13-52965		1.0			

Heteroaromatic aziridines

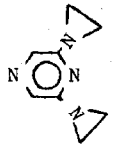
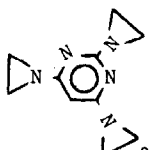
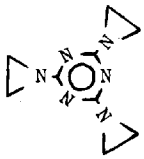
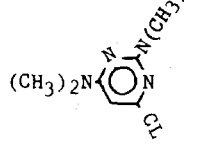
A13-52457		0.045	A13-52968		1.0
A13-25296 (tretamine)		1.0	A13-51893		1.0

Fig. 1. Identification numbers, structures, and dosages of test compounds.

sisted of 2 pairs of U moths handled similarly except that they were not treated. The check and each dose were replicated 4-8 times.

The caged moths were fed a 10% sugar solution. The females laid their eggs on cheesecloth pads used to cover the cartons. The portion of the eggs obtained (40-100/pad per day) and the larvae that hatched from this representative sample were counted. When the female moths died, they were dissected, and the spermatophores were counted to determine mating frequency. Also, the amount of eupyrene sperm was estimated visually in the spermathecae of U females crossed with males treated for 2 hr with 1 g of AI3-50042, of females treated at the same dose and crossed with U males, and of females from the check. In addition, single pairs of 1-day-old moths (31 for T X U crosses and 30 for the check) were held as described by Anwar et al. (1974); the eggs were collected and counted on the 3rd through 7th day posttreatment; and hatch was recorded. When the females were 7 days old, the spermathecae were removed, lightly squashed, and observed with phase contrast microscopy as described by Flint and Kressin (1969). The quantity and motility of eupyrene sperm were rated normal or subnormal. Spermatophores were counted. Sterility was determined from the percentage reduction in egg hatch from the U check; complete sterility was indicated by a 90% or greater reduction in egg hatch.

Results and Discussion

Only 4 of the 25 compounds, 3 aziridinyl phosphine sulfides (nos. AI3-50042, -50765, and -61587) and 1 heteroaromatic aziridine (AI3-52457) completely sterilized 1 or both sexes of the tobacco budworm (Table 1). AI3-61587 was the most active at the lowest dose. All N-arylaziridines were toxic at the doses tested.

Nos. AI3-50042, -50765, and -61587 were active chemosterilants, but their respective phosphine oxide analogs, nos. AI3-50761, -61342, and -61586, were not; thus Anwar et al. (1974) showed that thiotepa, a phosphine sulfide, was an effective chemosterilant, and we showed that its oxygen analog, tepa, was not. The phosphine sulfide, AI3-50044, and its oxygen analog, AI3-61343, were both inactive.

AI3-61342, a phosphine oxide with methoxy substitution produced 32% sterility in females and 8% in males. The ethoxy (AI3-50761), propoxy (AI3-61343), and butoxy (AI3-61354) substituted phosphine oxides caused no more than 8% sterility in females. The isopropoxy substituted phosphine oxide (AI3-61355) caused 37% sterility in males and was more active than the other alkyl substituted phosphine oxides, which caused only 4-13% sterility. This same relationship was shown for another chemical series against the pink bollworm, *Pectinophora gossypiella* (Saunders) (Wolfenbarger et al. 1972); the *N*-ispropyl-*P,P*-bis(1-aziridinyl phosphinic amide (AI3-51256) was also more active than its propyl analog (AI3-51253).

A dose of 1 g of nos. AI3-50042, -50765, and -61587 and thiotepa (Anwar et al. 1974) sterilized both sexes of the tobacco budworm in 4 hr; thiotepa and nos. AI3-50765 and -61587 sterilized males in 2 hr. Fecundity and/or mating frequency were adversely affected by nos. AI3-50765 and 61587 but not by AI3-50042 or thiotepa. In the single pair tests, males treated with 1 g of AI3-50042 for 2 hr transferred normal amounts of active eupyrene sperm ca. 80% as often as U males (Table 2) if males treated with thiotepa for 4 hr

TABLE 1. FUMIGANT ACTIVITY OF CHEMOSTERILANTS AGAINST BOTH SEXES OF THE TOBACCO BUDWORMS (2 PAIRS OF MOTHS/DOSE PER TEST; 4-8 REPLICATES).

AI3 no.*	Sex treated	Treatment		Avg no./female		% egg hatch	% sterility
		Dose (g)	Time (hr)	Eggs observed	Spermato-phores		
52457	M	0.045	6	125	1.3	0	100
	F	.045	6	126	3.4	59	30
	Check	0		89	2.4	84	
50042	M	1.0	2	164	4.6	20	59
	F	1.0	2	141	4.3	37	25
	M	1.0	4	275	4.4	0	100
	F	1.0	4	167	3.8	0	100
	Check	0		160	4.8	49	
50765	M	1.0	1	226	7.3	54	17
	F	1.0	1	262	4.4	57	12
	M	1.0	2	196	4.0	1	99
	F	1.0	2	240	2.9	32	51
	M	1.0	4	191	1.6	0	100
	F	1.0	4	126	2.9	1	99
	Check	0		239	5.8	65	
61587	M	0.1	1	153	3.1	5	93
	F	0.1	1	141	2.9	53	29
	M	0.1	2	136	2.8	0	100
	F	0.1	2	133	3.5	22	70
	M	0.1	4	81	2.8	0	100
	F	0.1	4	57	2.8	0	100
	Check	0		220	2.9	74	

*See text for chemical designation.

TABLE 2. SPERM CONTENT OF MATED TOBACCO BUDWORMS UNTREATED (U) AND TREATED (T) WITH AI3-50042 AND HELD IN SINGLE-PAIR COMBINATIONS (1 g/2-HR TREATMENT).

Cross (M X F)	No. of pairs	% moths with normal eupyrene sperm in spermathecae*	No. spermato-spores/F	Avg. no. eggs/F	% sterility**
T:U	31	60	3.2	914	88
U:T	31	60	2.6	636	30
U:U	29	75	3.7	904	

*Following description by Flint and Kressen (1969) for "normal complement" and including estimates of motility of eupyrene sperm based on over 1500 previous observations.
**Based on 76% egg hatch in check.

transferred normal amounts 86% as often as U males. However, a 2-hr exposure to AI3-50042 caused ca. 20% higher mortalities than a similar exposure to thiotepa.

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