Chemosterilants Against the House Fly. ALBERT B. DEMILO* and RICHARD L. FYE** (United States Department of Agriculture, *Insect Chemosterilants Laboratory, Agricultural Research Service, Beltsville, Maryland 20705. **Insects Affecting Man Research Laboratory, Agricultural Research Service, Gainesville, Florida 32604) Received June 12, 1976. *Botyu-Kagaku*, 41, 195, 1976.

31. イエバエに対する化学不妊剤

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数百に昇る化合物をイエバエに対する不妊化作用についてスクリーニングを行った結果，18ケの化合物に活性が認められた．このような化合物は，砂糖水に添加するか，ハエ食餌中に混合して与えた．そのうち16ケの化合物によって，イエバエの産卵の減少，抑制がみられた．特に，二つのdithiazolium 塩，ヒドラジン置換の1,2,4-triazole が効力を有する．

Compounds capable of sterilizing insects have been classified by Bořkovec into 3 categories according to chemical structure and biological activity: alkylating agents, antimetabolites, and miscellaneous chemosterilants.[1] The last category contains numerous compounds of a wide variety of structural types that affect the reproductive capacity in insects. Occasionally, valuable leads for the development of entirely new, environmentally compatible, and highly effective chemosterilants are provided from this group. We wish to report here the sterilizing properties of 18 compounds that have emerged from a screening program for the house fly, *Musca domestica* L., in which several hundred candidate compounds were tested as potential insect chemosterilants.

Materials and Methods

Mention of a pesticide or proprietary product does not imply a recommendation or an endorsement by the U.S. Department of Agriculture. All test compounds were synthesized in our laboratory according to published procedures or were obtained commercially.

All of the materials were tested as additives to the diet of adult house flies of both sexes by the procedure of Fye *et al.*[2] Briefly, each compound was added on a w/w basis to a diet of granulated sucrose or regular fly food (a mixture of 6 parts granulated sugar, 6 parts powdered nonfat dry milk, and 1 part powdered egg yolk). At 7 days posttreatment a random sample of 100 eggs was collected and held to determine the number of pupae that formed. However, when the chemosterilant was offered in sugar, untreated fly food was provided after the third day for a period of 4 days to provide protein for egg nourishment. Sterility was assessed on the basis of female fecundity (observed visually) and the number of eggs that survived to the pupal stage.

Results and Discussion

Table 1 shows the house fly chemosterilants and their effective concentrations in one or both of the dietary media employed in the screening procedure. Sixteen of the 18 listed chemosterilants caused partial or total inhibition of oviposition at the concentrations indicated. Although male sterility tests were not performed with these materials, in all probability most of the compounds were female sterilants. From past experience with miscellaneous chemosterilants we have found that compounds markedly affecting the fecundity of females usually are ineffective in males.

The sterilizing activity of the dithiazolium salts 1 and 2 is consistent with the previously reported activity of related analogs in house flies.[3,4] Interestingly, compound 3, a rearrangement product of 2, is also active but to a lesser extent than 2.[5]

Compounds 4-6 have a common structural feature, the hydrazine moiety. Previously, compounds containing the N-N group were reported to be effective in house flies[6,7,8] and screwworms, *Cochliomyia hominivorax* (Coquerel)[9,10], but their

Table 1. Sterility obtained in adult house flies treated orally with dietary mixtures containing the chemosterilant.

				% Sterility[a] of flies fed chemosterilants in diet of	
Compound	*AI3-No.*	*Chemosterilant*	*Concn (%)*	*Fly Food*	*Sugar*
1	62814	3-(Acetylmethylamino)-5-(dimethylamino) 1,2,4-dithiazol-1-ium iodide	1.0	100	0
			0.5	86	
			0.25	87	
2	62870	3-(Dimethylamino)-5-[methyl (2-propenyl) amino]-1,2,4-dithiazol-1-ium bromide	1.0	100	0[b]
			0.5	100	
			0.25	100	
			0.1	74	
3	62878	*N'*-[S-(Bromomethyl)-3-methyl-2-thiazolidinylidene]-*N,N*-dimethylthiourea	1.0	NO	
			0.5	58	
4	62995	*N*-(Aminocarbonyl) hydrazinecarboxamide	1.0	NO	0[b]
			0.5	56[c]	
5	8838	2-Nitrobenzoic acid hydrazide	1.0	NO	
			0.5	64	
6	62547	4-Amino-5-hydrazino-4*H*-1,2,4-triazole-3-thiol	1.0	M	M
			0.5	M	M
			0.25	M	41[b]
			0.1	NO	
			0.05	84	
7	63029	*N*-(3,4-Dichlorophenyl)-*N'*-hydroxyurea	1.0	NO	0
			0.5	62	
8	63145	*N*-(Aminocarbonothioyl) urea	1.0	NO	
			0.5	39[b]	
9	62997	3,8-Dimethyl-5-ethyl-6-phenylphenanthridinium bromide (Ethidium bromide)	1.0	0	NO[b]
			0.5		4
10	52845	4,4'-(1-Methyl-1,2-ethanediyl)bis-2,6-piperazinedione	1.0	NO	100
			0.5	71[c]	82
11	63043	*N*-[3-(Diethylamino)propyl]-9-acridinamine dihydrochloride	1.0	NO	
			0.5	64	
12	63044	*N*-[3-(Dimethylamino)propyl]-9-acridinamine dihydrochloride	1.0	NO	
			0.5	29	
13	22011	1,10-Phenanthroline monohydrate	1.0	M	
			0.5	M	
			0.25	NO[b]	
14	63040	2-Pyridinecarboxaldehyde thiosemicarbazone, 1-oxide	1.0	NO	
			0.5	NO[b]	
			0.25	20[b]	
15	62994	(5,6-Dimethyl-1*H*-benzimidazol-2-yl)-guanidine	1.0	NO	NO[b]
			0.5	12[c]	14
16	63016	*N*-Hydroxy-*N*-nitrosobenzenamine ammonium salt	1.0	NO	0
			0.5	100	
			0.25	27	
17	63001	[(2-Chloroethenyl) sulfonyl] benzene	1.0	NO	NO[b]
			0.5	7	15
18	63003	2-[(Chloroacetyl) amino]-2-propenoic acid	1.0	NO[b]	0
			0.5	100[c]	
			0.25	44	

[a] Percentage based on number of progeny reaching the pupal stage from 100 eggs. NO=no oviposition; M = mortality of all flies during preoviposition period. An average of 10% sterility was obtained in the controls.
[b] High mortality (>40%).
[c] Reduced oviposition.

activity was usually low or erratic. At present, meaningful structure-activity relationships for hydrazine derivatives remain elusive but, because an increasing number of active compounds of this type is being reported, this group of chemosterilants may yet achieve its full potential.

A valuable source of leads for new types of chemosterilants arises from research on antineoplastic agents.[11,12] Although there is no direct relationship between chemosterilant and antineoplastic activity[13], the probability of finding sterilants in this important class of biologically active materials remains high. The sterilizing activity of the 9-(substituted-amino) acridines, compounds **11** and **12**, and the bis (2,6-piperazinedione), compound **10**, further supports this thesis. Both classes of compounds have been reported to have antitumor activity.[14,15]

Summary

Eighteen compounds were effective chemosterilants when offered orally to adult house flies as additives in sugar or regular fly food diets. Sixteen compounds caused substantial reduction or complete inhibition of oviposition. Two dithiazolium salts (AI3-62814, AI3-62870) and the hydrazine-substituted 1,2,4-triazole (AI3-62547) were the most effective chemosterilants in the series. Several of the compounds may represent new classes of insect chemosterilants.

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新刊紹介

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人畜や野生動物に急性・慢性の毒性を現わし，環境を汚染した強力な殺虫剤はその製造を禁止されたり，使用を制限された。さらに各種の殺虫剤に対する抵抗性の害虫が各地に出現し，その対応に苦慮している。このような殺虫剤による害虫防除法に代る害虫の防除法の必要性が叫ばれ，各国で研究が進められている。本書では，天敵生物・ウイルス，不妊化法，性フェロモン，昆虫成育制御物質をとり上げ，最近の研究の成果をそれぞれの分野のエキスパートがレビューしたものである．内容は次のとおりである．

M. Jacobson : Introduction, R. von den Bosch : Biological Control of Insects by Predators and Parasites, C.M. Ignoffo : Entomopathogens as Insecticides, W. Roelofs: Manipulating Sex Pheromones for Insect Suppression, A.B. Bořkovec: Control of Insects by Sexual Sterilization, J.J. Menn and F.M. Pallos: Development of Morphogenetic Agents in Insect Control.

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