脂質低下剤のアズキゾウムシ Callosobruchus chinensis に対する殺卵作用

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Notes

The Ovicidal Activity of Some Antilipidemic Agents on *Callosobruchus chinensis*

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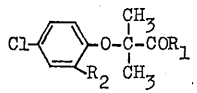
Some antilipidemic agents of several different chemical classes and their related compounds were synthesized, and their ovicidal activities were assayed on freshly laid eggs of the azuki bean weevil, *Callosobruchus chinensis*. In general, those chemicals which have been reported to possess antilipidemic activity showed moderate ovicidal activity. The antilipidemic agent clofibrate [ethyl α-(4-chlorophenoxy)-α-methylpropionate], which has been reported to have acute toxicity on the milkweed bug, showed considerably high ovicidal activity. However, none of the α-(4-chlorophenoxy)-α-methylpropionic acid amides had ovicidal activity. Ethyl 2,2,3-trimethyl-4-(4′-chlorophenyl)-3-butenoate showed the highest ovicidal activity among the tested compounds.

The inhibitory action of the antilipidemic agent[1] clofibrate [ethyl α-(4-chlorophenoxy)-α-methylpropionate] (**1**) on growth and reproduction of the hidebeetle *Dermestes maculatus* has been reported.[2] A variety of phenoxyacetic acid derivatives including **1** have been assayed on milkweed bug *Oncopeltus fasciatus* nymphs and some of them have shown moderate acute toxicity.[3] We examined the activity of some antilipidemic agents and their related compounds on the eggs of azuki bean weevil, *Callosobruchus chinensis*.

The structural formulas and ovicidal activities for all compounds tested are shown in Tables 1 and 2. Compound **1** showed higher activity than isopropyl ester **3**. Similar results were obtained in tests on the acute toxicity of the milkweed bug.[3] The presence of an *ortho* allyl group ultimately eliminated activity (compounds **2** and **4**). Although some α-phenoxy-substituted propionic and butyric acid amides and compound **12**, derived through condensation of α-(4-chlorophenoxy)-α-methylpropionic acid with glycine, have been reported to possess antilipidemic properties,[1] none of the amides synthesized showed ovicidal activity. A variety of phenyl-substituted aliphatic acids were evaluated for antilipidemic effects.[1] 4-Phenylbutyric acid ester **18** and diester **19** showed low activity in comparison with **1**, whereas cyclic ketone compound **21** had the same activity as **1**. Ethyl 2,2,3-trimethyl-4-(4′-chlorophenyl)-3-butenoate (**20**) developed as an antilipidemic agent by Stratford[4] showed the highest ovicidal activity among the tested compounds. Compounds **22**[5] and **23**,[6] which were previously found to possess antilipidemic activity, showed moderate ovicidal activity. 2,3-Dihydrobenzofuran **24** was inactive. Isoprothiolane fungicide, an inhibitor of fatty acid synthesis in mycelia of *Pyricularia oryzae*,[7] was also inactive. On the other hand, the antijuvenile hormone precocene II[8] showed considerably high ovicidal activity. It has been reported that the acute toxicity and developmental effects of **1** on the milkweed bug are similar to those induced by precocene II.[3] None of the compounds in Tables 1 and 2 caused an increase in developmental time at the dose tested and newly emerged adults laid eggs normally. Compounds **1**, **18**, **20**, **21**

Table 1 Ovicidal activity of α-(4-chlorophenoxy)-α-methylpropionic acid derivatives on azuki bean weevil eggs.

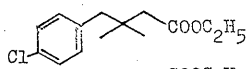
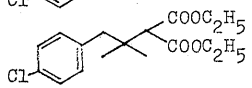
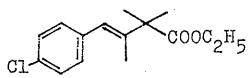
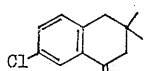
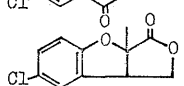
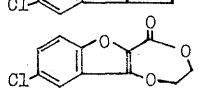
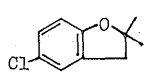


No.	Compound* R_1	Compound* R_2	Activity**
1	OC_2H_5	H	++
2	"	CH_2-CH=CH_2	-
3	$OCH(CH_3)_2$	H	+
4	"	CH_2-CH=CH_2	-
5	$N(CH_3)_2$	H	-
6	"	CH_2-CH=CH_2	-
7	NHC_2H_5	H	-
8	"	CH_2-CH=CH_2	-
9	$N(C_2H_5)_2$	H	-
10	"	CH_2-CH=CH_2	-
11	$NHCH(CH_3)_2$	"	-
12	$NHCH_2COOC_2H_5$	H	-
13	"	CH_2-CH=CH_2	-
14	NH-CH(CH_3)-$COOC_2H_5$	H	-
15	"	CH_2-CH=CH_2	-
16	NH-CH(CH_3)-CO-NH-(4-$COOC_2H_5$-phenyl)	H	-
17	"	CH_2-CH=CH_2	-

* Concentration: 1% solution in acetone.

** (−): no or less than 20% mortality, (+): 20–40% mortality, (++): 40–60% mortality, (+++): 60–80% mortality.

Table 2 Ovicidal activity of some antilipidemic agents and related compounds on azuki bean weevil eggs.

No.	Compound*	Activity**
18		+
19		+
20		+++
21		++
22		++
23		+
24		-
	Isoprothiolane	-
	Precocene II	++

*, ** See footnotes of Table 1.

and precocene II completely inhibited hatching when treated with 2% solution in acetone.

EXPERIMENTAL

1. Chemicals

The compounds (**1**～**24**) used in the test were prepared according to reported methods. All compounds showed a single spot on thin layer chromatography and their structures were confirmed with NMR and IR spectra. Precocene II was purchased from Aldrich and isoprothiolane was obtained from Nihon Nohyaku Co., Ltd.

2. Bioassays

Ovicidal activity was determined for the eggs of azuki bean weevil, *Callosobruchus chinensis*, as follows. The eggs (80～130) laid on 20 seeds of azuki bean were dipped into an acetone solution of each compound (1%) for 30 sec. In clofibrate (**1**) the dose on a seed was approximately 25 μg, which was determined by gas chromatographic analysis. The eggs were treated with test chemicals within 5 hr after oviposition. After treatment, the seeds with eggs were put on a sheet of filter paper placed in a petri dish and incubated at 27°C. After 10 days, the number of eggs hatched on the seeds was counted. Since all eggs did not always hatch in the control groups, corrections were made according to Abbott's formula.[9]

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要　　約

脂質低下剤のアズキゾウムシ *Callosobruchus chinensis* に対する殺卵作用

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種々の脂質低下剤およびその関連化合物を合成し，アズキゾウムシ (*Callosobruchus chinensis*) の卵に対する作用を検討した．一般に，脂質低下作用が報告されている化合物はかなりの殺卵作用を示した．Milkweed bug (*Oncopeltus fasciatus*) に対し毒性が報告されている clofibrate [ethyl α-(4-chlorophenoxy)-α-methylpropionate] はかなり強い殺卵作用を示したが，α-(4-chlorophenoxy)-α-methylpropionic acid の種々のアミド誘導体はまったく活性を示さなかった．試験化合物中，最も強い殺卵作用を示したのは ethyl 2,2,3-trimethyl-4-(4′-chlorophenyl)-3-butenoate であった．