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Original Article

Insecticidal Activity of 2-Alkylthio-4-thiazolyl Methanesulfonates*

Shoichi KATO, Shizuo SHIMANO, Akio MASUI and Shuichi ISHIDA

Ageo Research Laboratory, Agrochemicals Division, Fine Chemicals Group, Nippon Kayaku Co., Ltd., Koshikiya, Ageo 362, Japan

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2-Alkyl-thio-, -sulfinyl- and -sulfonyl-4-thiazolyl methanesulfonates were synthesized, and their lethal activity was tested to six species of insects and mites. The compounds with the 2-substituent having alkyl groups of two to four carbon atoms showed remarkable insecticidal activity to *Nephotettix cincticeps*, *Nilaparvata lugens* and *Culex pipiens*, whereas they were only weakly active to *Plutella xylostella* and inactive to *Tetranychus urticae* at 200 ppm. The branched C_3–C_4 alkylthio derivatives and their oxidized counterparts were most active not only to the susceptible strain of *Nephotettix cincticeps* but also to strains resistant to conventional organophosphate and carbamate insecticides. To *Anomala cuprea*, some compounds showed the activity stronger than carbofuran and chlorpyrifos.

INTRODUCTION

We have found based on acetylcholinesterase inhibition[1,2] that 3-alkylthiophenyl methanesulfonates, 6-alkylthio-2-pyridyl methanesulfonates and their sulfoxides and sulfones are highly insecticidal. In our continuing investigations on novel insecticides among other R-S(O)$_x$-substituted aryl methanesulfonates, those with a five-membered aromatic ring were synthesized. This paper reports syntheses and structure-activity relationships of 2-alkylthio-4-thiazolyl methanesulfonates and the corresponding sulfoxides and sulfones.

MATERIALS AND METHODS

1. *Synthesis of Compounds*

The synthetic method as shown in Fig. 1 is similar to the one previously reported.[1,2] 2-Alkylthio-4-thiazolones were prepared by reacting rhodanine with alkyl halides.[3] Some typical preparations are described below. The structures of these compounds were confirmed by ^{1}H NMR, IR and mass spectrometries.

1.1 *2-Isopropylthio-4-thiazolone*

Triethylamine (13 ml, 0.093 mol) was added dropwise to a mixture of rhodanine (12.3 g, 0.07 mol), isopropyl iodide (50 g, 0.3 mol) and chloroform (160 ml) at 50–60 °C. The mixture was stirred at this temperature for 16 hr, cooled and poured into water (100 ml). The organic layer was washed with water and dried over sodium sulfate. After the solvent was removed, the residue was purified by silica-gel column chromatography, eluted with *n*-hexane-ethyl acetate to give 12.3 g (76.3%) of 2-isopropyl-4-thiazolone as a brown oil, n_D^{25} 1.5960. ^{1}H NMR $\delta_{TMS}^{CDCl_3}$ ppm: 1.47 (6H, d, J=7 Hz, $(CH_3)_2CHS$), 3.96 (2H, s, ring Hs), 4.18 (1H, m, $(CH_3)_2CHS$).

1.2 *2-Isopropylthio-4-thiazolyl methanesulfonate*

Methanesulfonyl chloride (7.8 g, 0.068 mol) was added dropwise to a mixture of 2-isopropylthio-4-thiazolone (8 g, 0.046 mol), triethylamine (12.6 ml, 0.091 mol) and methylene chloride (100 ml) at 10–15 °C. The mixture was stirred at 20–25 °C for 2 hr and poured into water (50 ml). The organic phase was washed with water and dried over sodium sulfate.

* Structure-Activity Studies of Methanesulfonate Insecticides (Part 3). For Part 2, see Ref. 2).

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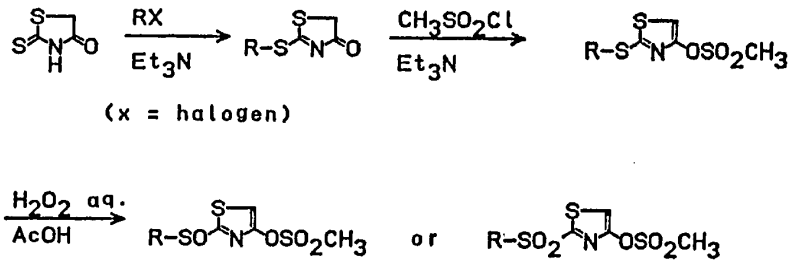

Fig. 1 A synthetic method of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates.

After the solvent was removed, the residue was recrystallized from methanol to give 9.1 g (78.8%) of 2-isopropylthio-4-thiazolyl methanesulfonate as yellow crystals, mp 82–83 °C. ^{1}H NMR $\delta_{TMS}^{CDCl_3}$ ppm: 1.44 (6H, d, J=6.6 Hz, (C$\underline{H}_3$)$_2$CHS), 3.36 (3H, s, C$\underline{H}_3$SO$_2$O), 3.79 (1H, m, (CH$_3$)$_2$C$\underline{H}$), 6.89 (1H, s, ring H).

1.3 2-Isopropylsulfinyl-4-thiazolyl methanesulfonate

To a solution of 2-isopropylthio-4-thiazolyl methanesulfonate (4.0 g, 0.016 ml) in acetic acid (20 ml) was added dropwise 35% aq. H_2O_2 (2.3 ml, 0.024 mol) at 10–15 °C. After stirring at 30–40 °C for 6 hr, the mixture was poured into ice water (70 ml), neutralized with 5% aq. NaOH and extracted twice with ethyl acetate (50 ml). The organic layer was washed with water and dried over sodium sulfate. After the solvent was removed, the residue was purified by silica-gel column chromatography, eluted with *n*-hexane-ethyl acetate to yield 3.4 g (79.9%) of 2-isopropylsulfinyl-4-thiazolyl methanesulfonate as colorless crystals, mp 47.5–48.5 °C. ^{1}H NMR $\delta_{TMS}^{CDCl_3}$ ppm: 1.25 and 1.40 (3H and 3H, d and d, J=6.8 and 7.0 Hz, (C$\underline{H}_3$)$_2$CHSO), 3.23 (1H, m, (CH$_3$)$_2$C$\underline{H}$SO), 3.36 (3H, s, C$\underline{H}_3$SO$_2$O), 7.48 (1H, s, ring H).

1.4 2-Isopropylsulfonyl-4-thiazolyl methanesulfonate

To a solution of 2-isopropylthio-4-thiazolyl methanesulfonate (2.5 g, 0.01 mol) in acetic acid (10 ml) was added dropwise 35% aq. H_2O_2 (2.9 ml, 0.03 mol) at 10–15 °C. After stirring at 80–90 °C for 2 hr, the mixture was cooled, poured into ice water (50 ml), neutralized with 5% aq. NaOH and extracted twice with ethyl acetate (50 ml). The organic layer was washed with water and dried over sodium sulfate. Evaporation of the solvent left 2.5 g (87.6%) of 2-isopropylsulfonyl-4-thiazolyl methanesulfonate as colorless crystals, mp 56–57 °C. ^{1}H NMR $\delta_{TMS}^{CDCl_3}$ ppm: 1.43 (6H, d, J=6.8 Hz, (C$\underline{H}_3$)$_2$CHSO$_2$), 3.40 (3H, s, C$\underline{H}_3$SO$_2$O), 3.57 (1H, m, (CH$_3$)$_2$C$\underline{H}$SO$_2$), 7.48 (1H, s, ring H).

2. Biological Tests

The insecticidal activity was measured against the Ageo strain of the green rice leafhopper (*Nephotettix cincticeps*) susceptible (S) to organophosphates and carbamates, the Kaseda strain of the rice brown planthopper (*Nilaparvata lugens*) and the diamondback moth (*Plutella xylostella*) at 200 ppm by the foliar spraying method. It was also measured against the house mosquito (*Culex pipiens*) at 1 ppm by the immersion method. The acaricidal activity was tested against the two-spotted spider mite (*Tetranychus urticae*) at 200 ppm by the leaf dipping method. Each activity was expressed by the 48-hr mortality in the same manner as previously reported.[2] The mortality was also evaluated against various strains of green rice leafhoppers such as the Ageo (S),[1] Nakagawara (R: cross resistant to organophosphates and carbamates)[1] and Izumi (R)[2] strains at 200 ppm by the leaf dipping method.[1] The Izumi (R) strain was also measured for the activity after topical application to determine the LD_{50} value by the method previously reported.[2] For the Ageo (S), Nakagawara (R) and Izumi (R) strains, the LD_{50} values of MTMC (3-methylphenyl *N*-methylcarbamate) by topical application were 1.4, 192 and 242 μg/g respectively. The biological evaluation was made against the cupreous chafer (*Anomala cuprea*), a soil insect species. A test chemical solution[2] (50 ml) was well mixed with dry soil (25 g) and dry smashed leaf mold powder (75 g). Five

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first instar larvae of cupreous chafer were placed on the treated soil in a plastic cup (ϕ 9 cm). After they were kept at 25 °C for 48 hr, those alive and dead were counted to calculate the mortality. The adults of cupreous chafer collected in Ageo City, Saitama Prefecture in 1986 had been reared in this laboratory.

RESULTS

The foliar spraying activity of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates at 200 ppm to four species of insects are shown in Table 1. To two species of hoppers, *N. cincticeps* and *N. lugens,* the compounds in which the S-substituent is one of the normal and branched alkyls from ethyl to butyl, allyl, propargyl, and halopropyl showed 100% mortality with a few exceptions (**21**, **35** and **40**). To *P. xylostella,* most of the present series of compounds were not very active at 200 ppm, although some (**19**, **32** and **43**) were moderately active. All compounds except for four derivatives (**1**, **11**, **12** and **36**) had perfect control over *C. pipiens* at 1 ppm. No compound was active to *T. urticae* at 200 ppm.

Table 2 lists the insecticidal activity of thiazolyl methanesulfonates measured by the leaf dipping method to three strains of the green rice leafhopper, *N. cincticeps,* different in susceptibility to organophosphate and carbamate insecticides. The isopropyl- and *sec*-butyl-thio derivatives (**6** and **19**) and their sulfoxides (**7** and **20**), the 3-chloro- and -fluoropropylthio derivatives (**31** and **34**), the 3-chloropropylsulfinyl (**32**), and cyclopropylmethylthio (**38**) derivatives showed 100% mortality regardless of the degree of susceptibility. Besides these compounds, the isobutyl- and *sec*-pentyl-thio derivatives (**16** and **27**) were active to the Nakagawara (R) strain, and the *n*-propyl-, isobutyl-, *sec*-pentyl-, and cyclopentyl-thio (**3**, **16**, **27** and **41**) and isopropylsulfonyl (**8**) derivatives to the Izumi (R) strain. With the isopropyl (**6**–**8**), *sec*-butyl (**19**–**21**), *sec*-pentyl (**27** and **28**) and 3-chloropropyl (**31**–**33**) analogs, the mortality of the Ageo strain was 100% regardless of the degree of oxidation, whereas that of the Nakagawara and Izumi strains lowered with their sulfonyl derivatives compared with their thio derivatives. The isopentyl (**24**–**26**) series was highly active to the Ageo strain, whereas it was inactive to the other strains. The sulfone form of isobutyl, 3-fluoropropyl, cyclopropylmethyl and cyclopentyl analogs (**18**, **35**, **40** and **43**) showed lower activity than the corresponding sulfide to all the strains. To the Ageo strain, the sulfone form of *n*-propyl, allyl, *n*-butyl and *n*-pentyl analogs (**5**, **11**, **15** and **23**) was also less active than the sulfide form. Sulfones were mostly inactive to both the Nakagawara and Izumi strains except for the isopropyl, isobutyl, *sec*-butyl and cyclopropylmethyl derivatives (**8**, **18**, **21** and **40**).

To the Ageo (S) strain, most compounds that were highly active by foliar spraying (Table 1) were also highly insecticidal (80–100% mortality) by leaf dipping (Table 2), although the mortality values by the two methods did not parallel for some compounds. Propaphos and BPMC used as references consistently showed 100% mortality in two different tests.

The LD_{50} values against the Izumi strain by topical application indicated that two highly active compounds among those tested here were cyclopropylmethylthio (**38**, LD_{50} = 1.2 μg/g) and isopropylthio (**6**, LD_{50} = 2.4 μg/g) derivatives. The LD_{50} value of the sulfoxide (**7**) of the isopropylthio derivative (**6**) was 12.2 μg/g and that of the sulfone (**8**) was 3.5 μg/g. The activity of the sulfoxide form was lower than the thio and sulfone forms, disaccording with their activity pattern by leaf dipping. Although the mortality in the Izumi strain of the *n*-propylthio (**3**) and isopropylsulfonyl (**8**) derivatives at 200 ppm did not reach 100% by leaf dipping, their LD_{50} values were 8.1 and 3.5 μg/g, respectively. On the other hand, the LD_{50} values of the isopropylsulfinyl (**7**), isobutylthio (**16**) and chloropropylsulfinyl (**32**) derivatives, which showed 100% mortality against the Izumi strain by leaf dipping, were 12.2, 11.1 and 30.0 μg/g, respectively. To the Izumi strain, the LD_{50} values of propaphos and BPMC remained only 53.3 and 320.8 μg/g, respectively.

Table 3 demonstrates the activity of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates against larvae of the cupreous chafer

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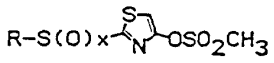
Table 1 Insecticidal activity of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates.



No.	R	x	mp (°C) n_D^{25}	Mortality (%)[a] *N.c.*[b] 200	*N.l.*[c] 200	*P.x.*[d] 200	*C.p.*[e] 1 ppm
1	CH_3	0	1.5616	30	50	0	0
2	C_2H_5	0	1.5594	100	100	0	100
3	n-C_3H_7	0	1.5510	100	100	20	100
4	n-C_3H_7	1	1.5462	100	100	0	100
5	n-C_3H_7	2	83–85	100	100	20	100
6	i-C_3H_7	0	82–83	100	100	0	100
7	i-C_3H_7	1	31.5–33	100	100	30	100
8	i-C_3H_7	2	56.5–58.5	100	100	30	100
9	CH_2=$CHCH_2$	0	1.5669	100	100	0	100
10	CH_2=$CHCH_2$	1	66–68	100	100	40	100
11	CH_2=$CHCH_2$	2	77–79	100	100	0	60
12	CH≡CCH_2	0	1.5740	100	100	10	20
13	n-C_4H_9	0	1.5450	100	100	0	100
14	n-C_4H_9	1	48–49.5	100	100	30	100
15	n-C_4H_9	2	75.5–76.5	100	100	0	100
16	i-C_4H_9	0	1.5440	100	100	0	100
17	i-C_4H_9	1	46–48	100	100	0	100
18	i-C_4H_9	2	58–60	100	100	0	100
19	s-C_4H_9	0	41–43	100	100	60	100
20	s-C_4H_9	1	1.5421	100	100	40	100
21	s-C_4H_9	2	1.5230	40	100	10	100
22	n-C_5H_{11}	0	44.5–45.5	100	100	10	100
23	n-C_5H_{11}	2	73–74.5	0	40	20	100
24	i-C_5H_{11}	0	1.5392	80	100	40	100
25	i-C_5H_{11}	1	1.5324	30	100	20	100
26	i-C_5H_{11}	2	40.5–41.5	90	100	10	100
27	s-C_5H_{11}	0	1.5388	100	100	10	100
28	s-C_5H_{11}	2	1.5185	100	100	30	100
29	n-C_6H_{13}	0	1.5330	20	60	10	100
30	n-C_6H_{13}	2	60–62	20	10	20	100
31	$ClCH_2CH_2CH_2$	0	1.5662	100	100	40	100
32	$ClCH_2CH_2CH_2$	1	1.5633	100	100	60	100
33	$ClCH_2CH_2CH_2$	2	92–94	100	100	10	100
34	$FCH_2CH_2CH_2$	0	1.5389	100	100	20	100
35	$FCH_2CH_2CH_2$	2	88–89.5	10	100	10	100
36	$C_6H_5CH_2$	0	1.6007	0	90	30	90
37	$C_6H_5CH_2$	2	122–123	20	20	40	100
38	c-$C_3H_5CH_2$	0	1.5655	100	100	0	100
39	c-$C_3H_5CH_2$	1	78.5–80.5	100	100	20	100
40	c-$C_3H_5CH_2$	2	1.5417	30	100	0	100
41	c-C_5H_9	0	41–42.5	100	100	30	100
42	c-C_5H_9	1	1.5572	100	100	20	100
43	c-C_5H_9	2	104–105	10	100	70	100
44	c-$C_6H_{11}CH_2$	0	70–72	0	100	10	100
45	c-$C_6H_{11}CH_2$	1	59–61	0	70	20	100
46	c-$C_6H_{11}CH_2$	2	1.5335	0	0	0	100

[a] The foliar spraying method against *N. c.*, *N. l.* and *P. x.*, and the immersion method against *C. p.* were applied as described in Ref. 2). [b] *Nephotettix cincticeps* (Ageo strain). [c] *Nilaparvata lugens*. [d] *Plutella xylostella*. [e] *Culex pipiens*.

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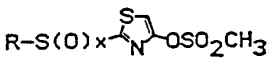
Table 2 Insecticidal activity of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates against various strains of *Nephotettix cincticeps*.



No.	R	x	Mortality (%)[a]			LD_{50} (μg/g)[b]
			Ageo	Nakagawara	Izumi	Izumi
1	CH_3	0	10	0	0	>100
2	C_2H_5	0	100	0	0	10–100
3	n-C_3H_7	0	100	30	80	8.1
4	n-C_3H_7	1	80	0	20	
5	n-C_3H_7	2	50	0	0	
6	i-C_3H_7	0	100	100	100	2.4
7	i-C_3H_7	1	100	100	100	12.2
8	i-C_3H_7	2	100	20	90	3.5
9	CH_2=$CHCH_2$	0	100	20	10	
10	CH_2=$CHCH_2$	1	80	0	0	
11	CH_2=$CHCH_2$	2	50	0	0	
12	$CH{\equiv}CCH_2$	0	80	20	10	
13	n-C_4H_9	0	100	0	0	10–100
14	n-C_4H_9	1	80	20	0	
15	n-C_4H_9	2	60	0	0	
16	i-C_4H_9	0	100	90	100	11.1
17	i-C_4H_9	1	100	60	40	
18	i-C_4H_9	2	80	40	20	
19	s-C_4H_9	0	100	100	100	4.5
20	s-C_4H_9	1	100	100	100	4.4
21	s-C_4H_9	2	100	50	70	
22	n-C_5H_{11}	0	70	0	0	>10
23	n-C_5H_{11}	2	10	0	0	
24	i-C_5H_{11}	0	100	0	0	>10
25	i-C_5H_{11}	1	100	0	0	
26	i-C_5H_{11}	2	100	0	0	
27	s-C_5H_{11}	0	100	100	80	>10
28	s-C_5H_{11}	2	100	20	10	
29	n-C_6H_{13}	0	0	0	0	
30	n-C_6H_{13}	2	0	0	0	
31	$ClCH_2CH_2CH_2$	0	100	100	100	9.8
32	$ClCH_2CH_2CH_2$	1	100	100	100	30.0
33	$ClCH_2CH_2CH_2$	2	100	0	0	
34	$FCH_2CH_2CH_2$	0	100	100	100	3.9
35	$FCH_2CH_2CH_2$	2	80	0	0	
36	$C_6H_5CH_2$	0	10	0	0	
37	$C_6H_5CH_2$	2	10	0	0	
38	c-$C_3H_5CH_2$	0	100	100	100	1.2
39	c-$C_3H_5CH_2$	1	100	60	70	
40	c-$C_3H_5CH_2$	2	80	30	10	
41	c-C_5H_9	0	100	70	80	>10
42	c-C_5H_9	1	100	60	70	
43	c-C_5H_9	2	80	30	0	
44	c-$C_6H_{11}CH_2$	0	0	0	0	
45	c-$C_6H_{11}CH_2$	1	10	0	0	
46	c-$C_6H_{11}CH_2$	2	20	0	0	
	Propaphos[c]		100	100	80	53.3
	BPMC[d]		100	30	40	320.8

[a] Tested at 200 ppm by the leaf dipping method as described Ref. 1). [b] The topical application method as described in Ref. 2). [c] *O*-4-methylthiophenyl *O*, *O*-di-*n*-propyl phosphate. [d] 2-*sec*-butylphenyl *N*-methylcarbamate.

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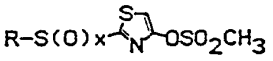
Table 3 Insecticidal activity of 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates against *Anomala cupreas*.



No.	R	x	Mortality (%)[a] 100 ppm	10 ppm	1 ppm
2	C_2H_5	0	100	100	0
3	n-C_3H_7	0	100	100	100
4	n-C_3H_7	1	100	100	100
5	n-C_3H_7	2	0		
6	i-C_3H_7	0	100	100	100
7	i-C_3H_7	1	100	100	40
8	i-C_3H_7	2	100	80	0
9	CH_2=$CHCH_2$	0	100	100	0
12	CH≡CCH_2	0	100	0	
16	i-C_4H_9	0	100	100	0
17	i-C_4H_9	1	100	100	40
18	i-C_4H_9	2	100	0	
19	s-C_4H_9	0	100	100	100
22	n-C_5H_{11}	0	100	40	0
27	s-C_5H_{11}	0	20	0	
31	$ClCH_2CH_2CH_2$	0	100	60	20
34	$FCH_2CH_2CH_2$	0	100	100	100
41	c-C_5H_9	0	20	0	
	Carbofuran[b]		100	80	0
	Chlorpyrifos[c]		100	100	20

[a] See text. [b] 2, 3-dihydro-2, 2-dimethylbenzofuran-7-yl methylcarbamate. [c] *O*, *O*-diethyl *O*-(3, 5, 6-trichloro-2-pyridyl) phosphorothioate.

Anomala cuprea, which is a very popular pest insect species in soil in Japan.[4] Eighteen compounds and two commercial insecticides were tested. The *n*-propyl-thio and -sulfinyl (**3** and **4**) derivatives, isopropylthio (**6**), *sec*-butylthio (**19**) and fluoropropylthio (**34**) derivatives marked 100% mortality at 1 ppm. Thus, the most active compounds were found among C_3 and C_4 alkyl derivatives as so was the case against *N. cincticeps*.

DISCUSSION

In comparison with 6-R-S(O)$_x$-substituted 2-pyridyl methanesulfonates,[2] the range of R in 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates to show high insecticidal activity became narrow. One to six carbon atoms in the alkyl group (R) of alkylthio substituents and their oxidized relatives in pyridyl methanesulfonate (x=0, 1 and 2) were required to show a remarkable insecticidal activity against *N. cincticeps* and *N. lugens*,[2] whereas two to four carbon atoms were required in the thiazolyl methanesulfonate, as shown in Table 1. The optimal range of R in 3-R-S(O)$_x$-substituted phenyl methanesulfonates corresponds to two to four carbon atoms.[1] This suggests that the replacement of the ring structure significantly affects the range of R required for a high activity to hoppers. The best R in 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates was C_3 or C_4 alkyl. At 200 ppm, the 2-R-S(O)$_x$-substituted 4-thiazolyl methanesulfonates neither marked 100% mortality in *P. xylostella* nor were active to *T. urticae*, although a few compounds in pyridyl analogs exhibited high activity to insects and mites.[2] The insecticidal activity of pyridyl methanesulfonates to *N. cincticeps* is parabolically related to the hydrophobicity of the molecule.[2] The calculated log *P* (octanol/water)[5] of 6-isobutylthio-2-pyridyl methanesulfonate, which

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is one of the highly active pyridyl derivatives, was 1.85, whereas that of 2-isobutylthio-4-thiazolyl methanesulfonate (**16**) was 1.18. The low hydrophobicity of the thiazole structure must be one of the reasons of the narrow insecticidal spectrum. Another is possibly the unstability of thiazolyl methanesulfonates when they are left to stand in contact with the atmosphere.

The structure-activity pattern of the thiazolyl compounds measured by foliar spraying did not parallel with that by leaf dipping to the Ageo strain of *N. cincticeps,* and so was the case by leaf dipping and topical application to the Izumi strain. The insecticidal activity by topical application indicates a contact poisoning through the cuticle. The activity estimated by foliar spraying and leaf dipping reflects the effects of contact toxicity as well as such oral poisoning as sucking host plant juice or biting host plants, so that the structure-activity pattern could vary depending on testing methods. One reason for the lower activity of sulfoxides than that of sulfides and sulfones by topical application is probably based on the fact that the sulfoxide is much lower in hydrophobicity than sulfide and sulfone. The π values of aromatic SMe, SOMe and SO_2Me groups are 0.61, −1.85 and −1.63, respectively.[6]

Our study, along with the previous studies in this series, suggests the structural requirements of R-S(O)$_x$-substituted aryl methanesulfonates to be strongly insecticidal are that the R-S(O)$_x$-group must be substituted on the *m*- or the β-position on the ring, and that R must be selected from the C_3 and C_4 aliphatic group. Although the structure of the aromatic ring significantly affects the insecticidal activity level and spectrum, the mode of action is believed to be common, *i.e.*, inhibition of acetylcholinesterase. An enzyme inhibition study on these compounds will follow elsewhere.

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要　　約

2-アルキルチオ-4-チアゾリル メタンスルホナート類の殺虫活性*

加藤彰一，島野静雄，桝井昭夫，石田秀弐

2-アルキル-チオ-，-スルフィニル-および-スルホニル-4-チアゾリル メタンスルホナートを合成し，6種の害虫とハダニに対する致死活性を調べた．2位の置換基の中に2から4の炭素原子を有するアルキル基がある化合物はツマグロヨコバイ，トビイロウンカおよびチカイエカに高活性を示した．しかし，これらはコナガには弱く，ナミハダニには活性が認められなかった．分技 C_3 および C_4 アルキルチオ誘導体，それらのスルホキシドおよびスルホン体は有機リンおよびカーバメート殺虫剤に感受性および抵抗性の系統にかかわらず，ツマグロヨコバイに対して高い活性を示した．また，これら化合物のいくつかはドウガネブイブイに対して対照薬剤としたカルボフランやクロルピリホスに勝る活性を示した．

* メタンスルホナート殺虫剤の構造活性相関（第3報）

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