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SHORT PAPER

Insecticidal potency of certain organosilicon compounds

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A small series of organosilicon compounds were screened for efficacy against the housefly *Musca domestica*. The activity of organosilicon compounds is attributed to the silicon atom, the presence of halogens and the alkyl groups.

Keywords: Organosilicon compounds, germanium compounds, insecticidal properties, *Musca domestica*

INTRODUCTION

The importance of silicates in growth and reproduction in lower as well as in higher animals is an established fact.[1] Silicon compounds have been thoroughly studied worldwide for their effects and applications in biological systems.[2] Because of their inertness to biological processes, silicones have been used as materials for artificial heart valves, blood vessels, implants and ointments. A large number of sila-substituted drugs belonging to various types of structures have been synthesized and investigated pharmacologically.[3] A slight change in the structure of the organosilicon compound influences the response of different animal systems.

In the present paper an attempt has been made to observe such a response in terms of toxicity. These toxic values have been correlated with the structures of the organosilicon compounds.

MATERIALS AND METHODS

All the silicon compounds have been prepared by previously published methods[4] and are shown in Table 1.

The compounds were serially diluted with acetone to bracket approximate LD_{50} values for *Musca domestica*. One hundred flies (*Musca domestica*) in each population were lightly anaesthetized with carbon dioxide (CO_2). These flies were acclimatized for 24 h at *ca* 25 °C in fonda containers. They were fed on milk-soaked cotton pads. Each fly was then carefully held with forceps and the thorax treated with 1 μl of a preassigned insecticide dilution. Control flies were treated with 1 μl of acetone. An automated micro-applicator was used for treatment. The treated flies were then returned to appropriately labelled containers, given access to milk-soaked cotton and maintained at *ca* 25 °C for 24 h, when mortality counts were made. The criterion for mortality was no response to probing; any movement was taken to indicate survival for this experimental purpose.

After the approximate LD_{50} range was bracketed, a new stock solution (purity 100% by weight) of each insecticide was serially diluted with acetone to obtain five concentrations (0.25%, 0.5%, 1.0%, 2.0% and 4.0% by weight). Four replications per concentration were then tested. In each replication control flies were treated with 1 μl of acetone. Post-treatment handling conditions were the same as described previously.

The statistical analysis system (SAS) software package[5] was used to estimate LD_{50} values, their fiducial limits and slopes (+SE) for each regression. Slopes of the probit regressions obtained for populations were analysed by the method of Steele and Torrie.[6] Present mortalities were corrected using Abbott's formula.[7]

The authors wish to dedicate this paper to Professor F Huber, University of Dortmund, FRG, on the occasion of his 60th birthday. AKS is particularly privileged and honoured to have worked with him as AvH fellow, 1985–1986.

Table 1 Response of fly populations (*Musca domestica*) to topically applied compounds

	Compound	*Musca domestica* (No. of flies)	LD_{50}[a]	95% Fiducial limit	Slope[b]
I	$(Me_3Si)_3CSiCl_3$	100	0.42	(0.53–0.68)	1.52 ± 0.41
II	$(Me_3Si)_3CSiMe_2Cl$	100	0.43	(0.44–0.51)	2.16 ± 0.23
III	$(Me_3Si)_3CSi(MeO)_2I$	100	0.82	(0.73–0.90)	1.51 ± 0.21
IV	$(Me_3Si)_3CSi(MeO)_2OH$	100	1.50	(1.36–1.61)	1.99 ± 0.21
V	$(Me_3Si)_3CSiMe_2I$	100	1.67	(1.36–2.19)	1.67 ± 0.36
VI	$(Me_3Si)_3CGeMe_3$	100	1.90	(1.84–1.97)	5.99 ± 0.65
VII	$(Me_3Si)_4C$	100	2.43	(2.32–2.53)	4.11 ± 0.41
VIII	$(Me_3Si)_3CMe$	100	5.43	(3.60–7.37)	1.66 ± 0.16

[a] Based on 24 h mortality data. Concentration is 0.25%, 0.5%, 1.0%, 2.0% and 4.0% by weight. [b] Values of slopes are not significantly different, $P = 0.05$.[6]

RESULTS AND DISCUSSION

The response (in terms of toxicity) is in descending order, from compound **I** to compound **VIII**, i.e. compound **I** is more active than compound **II** and the others are ranked below (Table 1).

The response of flies to the compounds reveals that compound **I** is most active as the LD_{50} value is lowest compared with the other compounds. The greater activity of this compound is presumably due to three chlorines and one silicon atom. Compound **II** is less active than compound **I**. This may be because of the substitution of two chlorine atoms by two alkyl groups (methyl, Me). Since inorganic groups in a particular series, e.g. I, Br, Cl, F, OH in Me_3SnX, are found to have no great significant effect on toxicity for tin,[8] the difference in the activities of compounds **II** and **III** may be attributed here to the replacement of methyls by methoxy groups. Compound **IV** has a similar structure to compound **III** but has a hydroxy group instead of an iodo group. It may be less active due to steric factors. Though iodo (covalent radius = 0.133 nm) is probably bulkier than hydroxy (covalent radius of oxygen + hydrogen = 0.105 nm) and should cause more steric hindrance, the hydroxy group is very often involved in hydrogen bonding and thus may cause more hindrance. A similar argument can be used for the activity of compound **V**, which is less active than compound **II**.

A comparison was also made to check the relative activity of carbon, silicon and germanium groups in **VI–VIII**, which all have the $(Me_3Si)_3C$ moiety in common; the fourth valency of C is saturated with Me_3Si, Me_3Ge and Me.

A clear trend is obtained in LD_{50} values, with the germanium compound being the most toxic. In Group IV of the Periodic Table toxicity generally increases from carbon to lead, so the lesser activity of **VII** compared with **VI** may be attributed to replacement of germanium by silicon. However, at this point it is not clear whether the even more reduced activity of **VIII** is due to replacement of silicon and germanium by carbon or the smaller number of methyl groups (10 compared with 12). Since these compounds are very bulky, it takes more time to penetrate through the cuticle[9,10] and the LD_{50} values are therefore higher. The activity of organosilicon compounds is attributed to the silicon atom, the presence of halogens, the alkyl groups and the bulky nature of the molecules and the substitution of silicon by other atoms.

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