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Preparation of Alkylene-Tethered Acyclic Divalent Neonicotinoids and Their Insecticidal and Neuroblocking Activities for American Cockroach (*Periplaneta americana* L.)

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Abstract:

C4-C8 and C12-alkylene- or 1,4-xylylene-tethered bis[1-(6-chloro-3-pyridylmethyl)]- or bis[1-(2-chloro-5-thiazolylmethyl)]-2-nitroimino-3-guanidines were prepared. The insecticidal potency against American cockroaches, *Periplaneta americana* L., determined by injection was weak as can be seen by their minimal lethal doses (MLD) over 110 nmol. Synergists piperonyl butoxide and NIA 16388, however, enhanced the potency of compounds for which the definitive values were determinable. The MLD values varied with the methylene-chain length, but the variation was not parallel to the tether length. The values abruptly decreased to 26.7 and 85.1 nmol respectively for the hexamethylene chloropyridylmethyl and chlorothiazolylmethyl derivatives. The neuroblocking potency in terms of BC (μM) using a nerve preparation containing the abdominal fifth and sixth ganglia of an American cockroach was mostly parallel to the insecticidal potency determined with the synergists. The above C6-compounds showed BC values of 26.3 and 14.8 μM , respectively, which were below one tenth of the values of the other tethered derivatives.

Keywords:

neonicotinoid insecticide, alkylene-tethered divalent molecule, nicotinic acetylcholine receptor, neuroblocking activity, American cockroach

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