ONLINE ISSN : 1349-0923
PRINT ISSN : 1348-589X

Journal of Pesticide Science
Vol. 29 (2004) , No. 3 pp.222-223

Studies on the Structure-Activity Relationship and Mode of Actions of Neonicotinoid Insecticides

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(Accepted for publication: May 21, 2004)

Abstract:
The binding affinity of neonicotinoids for housefly head membranes was evaluated to elucidate the physicochemical features of the compounds involved in the ligand-nicotinic acetylcholine receptor (nAChR) interactions. Both steric and electrostatic factors of the substituents on the aromatic and imidazolidine rings were found to influence the binding affinity. [^{14}C]Imidacloprid was employed to clarify the metabolism of imidacloprid in the flies. It was found that the compound was degraded, and the metabolites were then excreted from the flies in a short period after administration. Agonist actions of neonicotinoids on nAChRs were evaluated to examine their relationships with the binding and insecticidal activities. High correlations were observed among these activities, suggesting that the channel opening of nAChRs resulting from the neonicotinoid binding is likely to cause the insecticidal actions.

Keywords:
neonicotinoids, structure-activity relationship, metabolism, synergist, electrophysiology, housefly

Hisashi Nishiwaki, “Studies on the Structure-Activity Relationship and Mode of Actions of Neonicotinoid Insecticides”. *J. Pestic. Sci.* Vol. **29**, pp.222-223 (2004) .

doi:10.1584/jpestics.29.222

JOI JST.JSTAGE/jpestics/29.222