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Synthesis and Structure-Activity Relationships of Dinotefuran Derivatives: Modification in the Nitroguanidine Part

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Abstract:

Dinotefuran ((*RS*)-1-methyl-2-nitro-3-(tetrahydro-3-furylmethyl)guanidine) is a new neonicotinoid which has a characteristic (\pm)-tetrahydro-3-furylmethyl moiety instead of the pyridine-like moiety of other neonicotinoids. A series of dinotefuran derivatives were synthesized and tested against hemiptera. SAR (structure-activity relationships) of the nitroguanidine part of dinotefuran are summarized as follows: (1) the mono-methyl group as a *N*-substituent gave the best activity for the acyclic nitroimino and nitromethylene compounds, (2) the acyclic compounds showed the same activity as the cyclic compounds against *Nephotettix cincticeps* and were superior to them against *Laodelphax striatellus*, (3) *N*-acylation of this series scarcely changed the level of activity. On the basis of these results, we selected dinotefuran for development. © Pesticide Science Society of Japan

Keywords:

dinote furan, neonicotinoids, (\pm)-tetrahydro-3-furylmethyl, structure-activity relationships (SAR)

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