7 A Novel Insecticide, Acetamiprid

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1 Introduction

Acetamiprid, (E)-N\(^1\)-[(6-chloro-3-pyridyl)methyl]-N\(^2\)-cyano-N\(^4\)-methylacetamidine, is a novel insecticide developed by Nippon Soda Co., Ltd. Although the compound belongs to the neonicotinoids, it possesses characteristic insecticidal properties different from others in the same category of chemical structure. Acetamiprid shows excellent activities against Hemiptera and Thysanoptera, as do other neonicotinoids; it exhibits excellent activity against Lepidoptera as well, and the insecticide is applicable for controlling pests of vegetables, fruit trees, the tea tree, and so on. There are various kinds of insect pests damaging agricultural crops, and development of resistance to insecticides in many insect pests such as the diamondback moth and aphids has become a serious problem in recent years. Especially in the diamondback moth, the speed of resistance development is relatively fast; therefore, a compound that possesses a mode of action different from conventional insecticides needs to be developed. Also, pest control strategy that is safe for the environment is essential. Under these circumstances, we attempted to find a compound that possessed excellent efficacy against insects which are difficult to control, showed no cross-resistance to conventional insecticides, and was benign to the environment.

2 Physical and Biological Properties

2.1 Chemical Structure and Physical Properties

Acetamiprid has a cyanoamidine structure, which contains a 6-chloro-3-pyridylmethyl moiety. The compound was invented in the search for nitromethylene derivatives by Nippon Soda Co., Ltd., in 1989 and was registered in 1995 in Japan. The insecticide is being developed all over the world under the
experimental code number NI-25 and was commercialized with the trade name Mospilan® in Japan.

Its chemical structure and physical properties are shown in Fig. 1. The insecticide was registered as formulations of 20% soluble powder and 2% granules in Japan (Takahashi et al. 1992).

Common name : acetamiprid (ISO)
Trade name : MOSPILAN®
Code name : NI-25
Chemical name : (E)-N'[(6-chloro-3-pyridyl) methyl] N2-cyano-N1-methyl acetamide
Molecular formula : C10H11ClN4
Structure formula :

\[
\begin{align*}
\text{Cl} & \quad \text{CH}_3 \\
\text{N} & \quad \text{CH}_3 \\
\text{NCN} & \\
\end{align*}
\]

Molecular weight : 222.68
Physical appearance : White crystal
Melting point : 98.9°C
Vapor pressure : \(<1 \times 10^{-6}\) Pa at 25°C
Solubility at 25°C : 4250 mg/l in water. Soluble in acetone, methanol, ethanol, dichloromethane, chloroform, acetonitrile, tetrahydrofuran
Partition coefficient (Log P_{ow}) : 0.8
Hydrolytic stability : Degraded gradually at pH 9 and 45°C
Photostability : Stable under sunlight
Formulation : 20%SP(W/W), 2%G(W/W)

Fig. 1. Chemical structure and physical properties of acetamiprid.

2.2 Toxicological Studies

Acetamiprid is of relatively low toxicity to mammals. The acute oral toxicity of the compound to male rat and mouse is about 200 mg/kg by LD_{50} value. Toxicological tests for acetamiprid, e.g., irritation to skin and eyes, sensitization to skin, and mutagenicity, as evaluated by Ames test, were all negative.

Ecotoxicities of the compound to fish and Daphnia are very low, showing LC_{50} values for carp and Daphnia \(> 100\) mg/l and \(> 1000\) mg/l, respectively. Furthermore, the sub-acute and chronic toxicity studies proved that acetamiprid was safe in common agricultural usage (Table 1) (Takahashi et al. 1992; Mastuda and Takahashi 1996a,b; Matsuda 1995).