Evaluation of ABCG2 transporter interaction with the pesticide imidacloprid: in vitro and in vivo assays

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Pesticides are chemicals used to enhance crop yield and quality, but they pose relevant environmental and health risks to humans and animals. Bioaccumulation in animals can be hazardous for both livestock and consumers. Thus, understanding pesticide metabolism, elimination, and toxicity is essential to minimize their harmful effects. In this way, the ABCG2 transporter, an ATP-binding cassette protein, is expressed at key sites for biodisposition, including intestine, liver, kidney and mammary gland. It influences plasma and tissue distribution, milk secretion, and plays a critical role in pharmacokinetics—including absorption, distribution, and elimination—thereby protecting the body from toxic compounds.

Given this protective role, understanding how pesticides interact with ABCG2 is crucial. Therefore, imidacloprid, a neonicotinoid insecticide that targets nicotinic acetylcholine receptors with selective toxicity to insects, was studied to evaluate its potential interaction with the ABCG2 transporter.

Using in vitro transepithelial assays with MDCK-II cells overexpressing murine Abcg2, human ABCG2, and ovine ABCG2, we demonstrate that imidacloprid acts as a substrate for all three ABCG2 variants. However, in vivo assays performed with wild-type and Abcg2-/- lactating female mice showed no significant differences in plasma or milk concentrations of imidacloprid between the wild-type and Abcg2-/- mice under our experimental conditions. Therefore, our findings suggest that while imidacloprid interacts with ABCG2 as an in vitro substrate, in vivo impact may be limited.

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