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Effects of the structures of ecdysone receptor (EcR) and ultraspiracle (USP) on the ligand-binding activity of the EcR/USP heterodimer

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Abstract:
N-*tert*-Butyl-*N*,*N* '-diacylhydrazine (DAH) analogs are nonsteroidal ecdysone agonists. The binding activity of DAH analogs to the heterodimer of the ecdysone receptor (EcR) and ultraspiracle (USP) is diverse among insect species, which is probably the main factor causing their selective toxicity. We prepared EcR and USP proteins from lepidopteran *Chilo suppressalis*, dipteran *Drosophila melanogaster* and coleopteran *Leptinotarsa decemlineata*, and measured the binding activity of ecdysone agonists against various hybrid EcR/USP heterodimers. There was a linear relationship between binding activities (pIC_{50} values) before and after replacing native USP with that derived from other insects, suggesting that the selective toxicity of DAH analogs is mainly dependent on the EcR structure and not the USP structure.

Keywords:
nonsteroidal ecdysone agonists, ecdysone receptor, ultraspiracle, selective toxicity

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