B 114

In vivo metabolism of pyraclofos in resistant and susceptible housefly

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Purpose : Pyraclofos {(R,S)-[O-1-(4-chlorophenyl)pyrazol-4-yl O-ethyl S-propyl phosphorothioate],Boltage^{R}} has been used for agricultural pest control because of its potent insecticidal activities against wide range of pest insects. Unlike O,O-diethyl phosphate analogues, pyraclofos in itself is not a strong acetylcholine esterase inhibitor in vitro, but it is activated in the insect body (Kono & Manabe, 1983). So toxicity of pyraclofos is strongly related to its metabolism in insect body. To elucidate the resistance mechanism of housefly against pyraclofos, this paper deals with metabolism of pyraclofos in resistant and susceptible housefly in vivo.

Methods : Resistant housefly strain was originated from a collection at the 3rd Yumenoshima of dumping island in Tokyo bay and selected with pyraclofos for 13 generations and susceptible housefly was given by WHO. The amount as LD_{50}(8000dpm) of pyraclofos labeled with ^{14}C at benzene ring was applied on the dorsal plate of housefly. Thirty houseflies were used for one batch. At 0, 0.5, 1, 2, 4, 8, 16 hrs after application, metabolites were separated with solvents and identified by TLC cochromatography and quantified with liquid scintillation counter.

Results : The metabolic rate of pyraclofos was almost same in both strain. The metabolites showed large differences in amounts were glucose conjugate form of CHP, 1-(4-chlorophenyl)-4-hydroxypyrazole, and EHP, O-1-(4-chlorophenyl) pyrazol-4-yl O-ethyl hydrogen phosphate. The amount of CHP-glucose conjugate was much more (11 times) in resistant strain but that of EHP was more (1.9 times) in susceptible strain. This result suggests that the metabolic pathway of pyraclofos is clearly different between the resistant and susceptible housefly. The resistance housefly prefers the metabolic pathway of cleaving P-O-aryl bond and making CHP-glucose conjugate, and the susceptible housefly prefers cleaving P-S-propyl bond.