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METABOLISM OF PESTICIDES

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"Trichlorfon (Dipterex, Bayer L-13/59) [0,0-Dimethyl 2,2,2-Trichloro-1-Hydroxyethyl Phosphonate]"

Releasable

³²P-labeled trichlorfon was administered to a cow. Analysis of blood indicated a rapid hydrolysis. From urine samples, trichloroethanol glucuronic acid was isolated and identified. Dimethylphosphate and desmethyl trichlorfon were found in the milk (33, 1219, 1669). In piglets, DDVP appeared in the blood after subcutaneous injection of trichlorfon (1787). With in vitro studies with serum of cows, at 37°C, trichlorfon was degraded to DDVP, desmethyl trichlorfon and dimethylphosphate (1696).

In rat brain homogenates, C¹⁴-labeled trichlorfon was rapidly metabolized to monodemethylated trichlorfon, monomethylphosphate, 2,2,2-trichloro-1-hydroxyethyl phosphonic acid, and a fourth unidentified compound (628). Following injection in rats of trichlorfon labeled in the two methyl groups, 60% of the C¹⁴ was recovered after 24 hours in the expired air and urine. C¹⁴O₂ and C¹⁴-formate constituted about 50% of the recovered radioactivity (627). Additional studies were conducted with P³²-labeled trichlorfon. After interperitoneal injection, 75-85% of the administered radioactivity appeared in the urine within 48 hours. Three P³²-labeled compounds were found in the urine. Two were identified as mono- and dimethylphosphates. The third metabolite was not identified (632).

After exposure of larvae of the cotton leaf worm (Prodenia liturgia F.) to labeled trichlorfon, 0-methyl-2,2,2-trichloro-1-hydroxyethyl phosphonic acid, 2,2,2-trichloro-1-hydroxyethyl phosphonic glucuronic acid (65-75%); mono- and dimethylphosphate, and C¹⁴O₂ (629, 1536) were found.

In other studies, after exposure of adult larvae of Prodenia litura F. to P³² labeled trichlorfon, the hemolymph contained 3 times more radioactivity than in the gut. While the concentration in the gut and hemolymph decreased with time, there was an accumulation of radioactivity in the fat. The metabolites excreted were identified as monomethyl- and dimethylphosphates, and the glucuronate of the demethylated trichlorfon (1537).

When trichlorfon was mixed with digestive juices or blood of silkworm larvae (Bombyx mori L.), trichlorfon disappeared and DDVP appeared. At present, however, it is not certain whether the rapid degradation of trichlorfon in the digestive juice (pH 10-11) was enzymatic or not. At room temperature in 0.1N NaOH solution, trichlorfon gave rise to several compounds, one of which was identified as DDVP (1595)..

3p

When cotton plants were exposed to P^{32} -labeled trichlorfon, dimethylphosphate, monomethylphosphate, and inorganic phosphate were found. Some formaldehyde formed and give rise to CO_2 (633, 1044).

Tests with microorganisms showed that Aspergillus niger, Penicillium notatum, and Fusarium sp. hydrolyzed trichlorfon. One compound was identified as 0-methyl-2,2,2-trichloro-1-hydroxyethyl phosphonic acid. The other is believed to be 2,2,2-trichloro-1-hydroxyethyl phosphonic acid (1537, 1539).

In acidic aqueous media, trichlorfon was hydrolyzed to dimethyl phosphate plus trichloroethanol and to methanol and desmethyl trichlorfon. At pH 5.0 and greater, DDVP formed spontaneously (993, 1015, 1696).

(See also Butonate and DDVP).

