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Characterization of Metabolites and Cytochrome P450 Isoforms Involved in the Microsomal Metabolism of Aconitine

Yuguang Wanga and Yue Gaob

aBeijing Institute of Radiation Medicine, No.27 Taiping Road, Beijing, 100850, 100850 Beijing, China
bBeijing Institute of Radiation Medicine, No.27 Taiping Road, Beijing, 100850, 100850 Beijing, China

gaoyue@yahoo.com

Investigated the metabolism of aconitine and the effects of selective cytochrome P450 (CYP) inhibitors on the metabolism of aconitine in rat liver microsomes. The metabolites were separated and assayed by liquid chromatography-ion trap mass spectrometry (LC/MSn) and further identified by comparison of their mass spectra and chromatographic behaviors with reference substances. Various selective inhibitors of CYP were used to identify the isoforms of CYP, that involved in the metabolism of aconitine. A total of at least six metabolites were found and characterized in rat liver microsomal incubations. Result showed that the inhibitor of CYP 3A had an inhibitory effect on aconitine metabolism in a concentration-dependent manner, the inhibitor of CYP1A1/2 had a modest inhibitory effect, whereas inhibitors of CYP2B1/2, 2D and 2E1 had no obvious inhibitory effects on aconitine metabolism. Aconitine might be metabolized by CYP 3A and CYP1A1/2 isoforms in rat liver microsome.

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