Summary

Metabolism of ^{123}I-FP-CIT in Humans

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The metabolism of *N*-(3-fluoropropyl)-2β-carbomethoxy-3β-(4-iodophenyl)nortropane (^{123}I) (^{123}I-FP-CIT) in healthy humans was studied. Plasma and urine samples, obtained after i.v. administration of ^{123}I-FP-CIT, were analyzed using the two-dimensional thin-layer chromatography technique. Eleven radiochemical components were detected in both plasma and urine, and four of them were the parent ^{123}I-FP-CIT and its metabolites, *N*-(3-fluoropropyl)-2β-carboxy-3β-(4-iodophenyl)nortropane (^{123}I) (^{123}I-acid), 2β-carboxy-3β-(4-iodophenyl)nortropane (^{123}I) (^{123}I-nor-acid) and 2β-carbomethoxy-3β-(4-iodophenyl)nortropane (^{123}I) (^{123}I-nor-CIT). These four identified radiochemical components occupied about 80% or more in ratio of the radiochemical components in the plasma and urine. In the metabolites of ^{123}I-FP-CIT, the high polar metabolites—^{123}I-acid and ^{123}I-nor-acid—were found to be the major components, while lipophilic ^{123}I-nor-CIT was a minor component. Free iodide ($^{123}I^{-}$) was not found in the plasma or urine. Thus, the main metabolic reactions which ^{123}I-FP-CIT undergoes in humans seem to be hydrolysis of the ester bond and *N*-dealkylation. *In vivo* deiodination of ^{123}I-FP-CIT was found to be minimum. Current results suggest that the metabolites of ^{123}I-FP-CIT hardly influence evaluation of the dopamine transporter in the human brain.

Key words: ^{123}I-FP-CIT, Metabolism, Human.