# *In Vivo* properties of *N*-(2-aminoethyl)-5-halogeno-2-pyridinecarboxamide $^{18}$F- and $^{123}$I-labelled reversible inhibitors of monoamine oxidase B

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...compound and, to a lesser degree, its **metabolism**, which is similar for both compounds...in the brain it is involved in the **metabolism** of several monoamine neurotransmitters...version 5.1, and PrologD, version 2.0 **CompuDrug**). Synthesis of Reference Compounds 5...

## Abstract

The reversible and highly selective monoamine oxidase B (MAO-B) inhibitor Ro 19-6327, a picolinic acid derivative, was selected for the development of new radiopharmaceuticals, whereby in place of Cl either $^{123}$I or $^{18}$F was introduced. The respective labelling procedures have been described earlier. In this study, some metabolic properties were investigated. Blood and urine samples were analysed, and halogenated picolinylglycine, a more hydrophilic compound, was identified as the main metabolite. This shows that the amine is oxidised to the respective carboxylate, but the intermediate imine or aldehyde that was proposed earlier could not be detected. First experiments with single photon emission tomography and positron emission tomography (PET) showed that the iodo compound can be used to investigate MAO-B in vivo while the fluoro compound is accumulated in the brain to such a low degree that no PET studies can be performed. We conclude that the main reason for the poor uptake of the fluoro compound is its lower lipophilicity as compared to the iodo compound and, to a lesser degree, its metabolism, which is similar for both compounds.
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