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DIRECT ELEMENTAL FLUORINATION OF TYROSINES IN HF AND HF/BF₃. REACTIVITY AND SELECTIVITY

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The reactivity and selectivity of fluorine towards ortho, meta and parahydroxyphenylalanines (tyrosines) are markedly different in HF and HF/BF₃. In HF, the hydroxyl group activates the benzene ring for electrophilic fluorination and directs the incoming fluorine to the ortho and para positions. The protonation of the hydroxyl group of paratyrosine in HF/BF₃ deactivates the benzene ring and directs the fluorine to the meta position. In the case of ortho and metatyrosine, protonation at the ring carbon para to the hydroxyl group inhibits electrophilic fluorination. The relative selectivity of fluorine in forming monofluorinated tyrosines increases in the order m-Tyr > O-Tyr > p-Tyr.

Direct fluorination of tyrosines in HF is very efficient for the synthesis of [F-18] labelled tyrosines. The latter may be used with Positron Emission Tomography to study the dopaminergic systems of the living human brain.