Prepatation of [^{18}F]Fluorobenzyl Bromides for Their Use in Asymmetric Synthesis of Fluorinated α-Amino Acids, Radiotracers for Positron Emission Tomography

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Abstract - Procedures were developed for synthesis of 3,4-methylenedioxy-6-[^{18}F]fluorobenzyl bromide **I** and 2-[^{18}F]fluoro-4-methoxybenzyl bromide **Ia**, which are intermediates in asymmetric synthesis of fluorinated α-amino acids used in positron emission tomography (PET). The bromination procedures involving two brominating agents, an ethereal solution of HBr or triphenyldibromophosphorane in various solvents, as well as purification procedures, were compared. An optimized procedure was suggested for synthesis of **I** and **Ia** using an Anatech robotic system; the total synthesis time is 45–48 min. The radiochemical yield of **I** and **Ia**, corrected for the ^{18}F decay, was 35–40 and 60–65%, respectively. The suggested scheme can be adapted to modern automated modules for production of radiopharmaceuticals.