

Effective synthesis of [^{11}C]PIB for clinical application by using loop method

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Abstract

The Pittsburg Compound B (^{11}C]PIB) is a radiotracer for imaging amyloid plaques in Alzheimer's disease by PET. A simple and rapid preparation of ^{11}C]PIB was achieved with an automated methylation labelling system based on the "loop method". ^{11}C]MeOTf passed through the loop, which contained 1 mg of precursor, 6-OH-BTA-O in Cyclohexanone (CHO). When activity peaks in the loop, the flow is stopped and the reaction allowed to proceed. After 30 sec, the reaction mixture was purified with HPLC. The products of the reaction are transferred by passing mobile phase to a semi-preparative HPLC system. Solid phase extraction (SPE) was used for the formulation of ^{11}C]PIB. The method involves dilution of the previously purified HPLC compound with water, trapping of the activity on a C18 cartridge, washing off the C18, elution of the radiopharmaceutical with 0.5 mL of ethanol and dilution with sterile isotonic saline solution. After optimization of the production process (modules drying, solvents, reaction time, and formulation), the method produced ^{11}C]PIB in less than 30 min after end of bombardment, with a 10% radiochemical yield, a 17-21 GBq/ μmol specific activity and a high radiochemical purity (>99%). In all cases, organic solvent levels in the injectable solution were below the recommended limits. These final ^{11}C]PIB activities are sufficient for a human PET scan.