

¹⁸F-SMBT-1 synthesis

[¹⁸F]Fluoride was produced in the cyclotron by ¹⁸O(p, n) ¹⁸F reaction on enriched [¹⁸O]H₂O. The resulting [¹⁸F]Fluoride was activated with Kryptofix 222 and then reacted the tosylate precursor (2.5 mg/1 mL dimethylsulfoxide). The solution was heated to 110°C for 10min followed by deprotection of the hydroxyl group with hydrochloric acid (0.5 mL 1N, 100°C, 3min). The crude reaction was neutralized with potassium acetate solution and diluted with water for injection (WFI) prior to passing through a Sep-Pak tC18 Plus cartridge (Waters). After rinsing the Sep Pak with WFI the radioactive products were eluted off the cartridge with acetonitrile and diluted with WFI before injection onto a semi preparative HPLC column (Inertsil® ODS-3 5μM, 10 X 250mm (GL Sciences, Inc., Tokyo, Japan); mobile phase: 20 mmol/L NaH₂PO₄/acetonitrile (67/33); flow rate: 5.0 mL/min). Purified ¹⁸F-SMBT-1 was reformulated for injection using a Sep-Pak tC18 Plus cartridge. The final product contained ¹⁸F-SMBT-1, <10% Ethanol, sodium ascorbate (0.05% v/v) and 0.9% sodium chloride. ¹⁸F-SMBT-1 yielded a greater than 95% radiochemical purity after HPLC purification. The average of decay-corrected radiochemical yield was 40% and the molar activity at the end of ¹⁸F-SMBT-1 synthesis was >400 GBq/μmol.