Effective synthesis of [^{11}C]PK11195 for clinical application by using loop method

K. Terasaki[1], Y, Ishikawa[2], T. Beppu[3], M. Shozushima[4], S. Goto[5] and R. Iwata[2]

[1]Cyclotron Research Center, Iwate Medical University
348-58 Tomegamori, Takizawa 020-0173, Japan

[2]CYRIC, Tohoku University
Aramaki, Aoba-ku, Sendai 980-8579, Japan

[3]epartment of neurosurgery, Iwate Medical University
19-1 Uchimaru, Morioka, 020-8505, Japan

[4]Department of Dental Radiology, School of Dentistry, Iwate Medical University
19-1 Uchimaru, Morioka, 020-8505, Japan

[5]Japan Radioisotope Association, Nishina Memorial Cyclotron Center
348-58 Tomegamori, Takizawa 020-0173, Japan

Abstract

[^{11}C]PK11195 is a specific ligand for the peripheral type benzodiazepine receptor and a marker of activated microglia, used to measure inflammation in neurologic disorders. A simple, rapid and fully automated preparation of [^{11}C]PK11195 was achieved with the automated methylation labelling system based on the loop method. To a solution desmethyl-PK11195 (1 mg) in MEK (60 μL) was added TBAOH (1 M in methanol, 6μL), and the solution loaded onto the loop. [^{11}C]MeOTf passed through the loop at room temperature. The products of the reaction were then transferred by passing mobile phase to a semi-preparative HPLC system. The method produced [^{11}C]PK11195 in approximately 20 min after end of bombardment, with a 25-60% radiochemical yield (decay corrected yield from radioactivity trapped in the loop to isolated HPLC fraction). The final [^{11}C]PK11195 activities are sufficient for several human PET. Moreover, the method can be successfully applied for routine clinical application, proved to be a simplified alternative to the bubbling method.