1.3 Pharmaceutical and Others

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

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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.

Development of ^{18}F-labeled tumor imaging probes for clinical use

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Abstract

A collaborative study between Tohoku University and Iwate Medical University was initiated to develop a new ^{18}F-labeled tumor imaging probe at Nishina Memorial Cyclotron Center. Considering several ^{18}F-probes which are presently evaluated to be useful for clinical use in oncology, [^{18}F]FRP-170, a potential hypoxic cell imaging agent which was originally developed at Tohoku University, was chosen as a candidate probe. Based on an automated module for [^{18}F]FDG preparation, F100, a new automated system was developed by introducing a purification module consisting of a syringe pump module and an HPLC injector for on-column base hydrolysis followed by HPLC purification. The study is currently in progress.

Cell cycle dependency of ^{18}F-Choline and ^{18}FDG uptake during proliferation of cultured human cancer cells

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Abstract

In this study, the relationship between ^{18}F-Choline uptake and the cell cycle phase in cultured human cancer cells (HeLa S3), as well as how they compare to the conventional tracer ^{18}FDG with PET was assessed.

Flow cytometry findings confirmed that the cells were well synchronized. ^{18}F-Choline uptake was 77% of the peak level in the early S-phase immediately after release, gradually increased, and peaked in the early G2/M phase. Subsequently, ^{18}F-Choline uptake steeply declined over the late G2/M phase to 58% in the G1 phase. However, ^{18}FDG was significantly higher in the early S phase compared to the G1 phase.

The results suggest that the uptake of ^{18}F-Choline and ^{18}FDG are cell cycle dependent, are associated with the proliferative activity of the tumor seen during PET imaging.