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Radiosynthesis of 6-[¹⁸F]fluoro-3,4-dihydroxyphenyl-L-alanine using acetonitrile as a solvent

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6-[¹⁸F]Fluoro-3,4-dihydroxyphenyl-L-alanine (6-[¹⁸F]fluoro-L-DOPA) is an analog of L-DOPA and it is used for studying metabolism of dopamine function in humans with Positron Emission Tomography. Therefore, the need for reliable and simple production of this tracer had led to the improvement of the routine production. Various electrophilic and nucleophilic methods for the synthesis of this radiopharmaceutical have been reported in past two decades. Nowadays, the electrophilic fluorodemetalation has been preferred as a reliable and simple method especially via fluorodestannylation that is stereoselective and gives a good radiochemical yield [1]. Freon 11 is widely used as a solvent to dissolve a precursor in the radiofluorination step. Because the solvent depletes ozone, it is important to use deuterated chloroform instead of Freon 11 [2]. However, this solvent is a carcinogen, therefore, it would be advantageous for occupational health to use acetonitrile instead of chloroform, because acetonitrile is a less hazardous solvent. [¹⁸F]F₂ was produced by the nuclear reaction ²⁰Ne(d,α)¹⁸F with 8.4 MeV deuterons from GE PETtrace cyclotron. The delivery line of [¹⁸F]F₂ was flushed with 1% F₂ in neon before irradiation. The [¹⁸F]F₂ was released from target gas after the bombardment (1 hr, 40 μA) to TRACERlab FX-FDOPA module that was used for the synthesis of 6-[¹⁸F]fluoro-L-DOPA. N-(Formyl)-3,4-di(tert-butoxycarbonyloxy)-6-(trimethylstannyl)-L-phenylalanine ethyl ester (45 mg) was dissolved in 5 mL of acetonitrile at 5℃. The solution was bubbled with [¹⁸F]F₂ for 6 min. The solvent was evaporated at 80℃ in vacuum system. 2 mL of 5 M HCl was added to the residue at 130℃ for 10 min. 2 mL of 112 mM acetate buffer was added to the residue after the reaction vessel was cooled down to 40℃. The solution was purified by HPLC on two reversed phase C18 column using the acetate buffer as an eluent. The fractions eluted from the column were monitored by UV absorption at 280 nm and γ radioactivity. The fraction of 6-[¹⁸F]fluoro-L-DOPA was sterilized by filtration through a 0.22 μm membrane filter. The final product was analyzed by HPLC, GC, pH meter, dose calibrator and limulus amebocyte lysate test prior to human use. An overall radiochemical yield obtained from this synthesis was 10.52% (decay-corrected) and radiochemical purity was >99%. The total synthesis time was about 45 min. The pH was around 4. The radiochemical purity and the specific activity were greater than 99% and 800 mCi/mmole, respectively. Although the radioactivity decreased during the evaporation step of the solvent, the sufficient amounts of 6-[¹⁸F]fluoro-L-DOPA can be produced for clinical use. In conclusion, the reproducibility and simplicity of the production of 6-[¹⁸F]fluoro-L-DOPA were improved for a routine production by using acetonitrile as a solvent.

References

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