

## 研究报告

自动化合成 *N*-琥珀酰亚胺-4- $[^{18}\text{F}]$ 氟苯甲酸酯

刘晓飞;张锦明;田嘉禾;陈英茂

解放军总医院 核医学科

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## 摘要

通过自动化多功能化学合成模块, 在线合成 *N*-琥珀酰亚胺-4- $[^{18}\text{F}]$ 氟苯甲酸酯 ( $[^{18}\text{F}]$ SFB)。标记前体4-三甲基苯甲酸乙酯三氟甲基磺酸盐与干燥的 $^{18}\text{F}^-$ 发生亲核反应, 生成4- $[^{18}\text{F}]$ 氟苯甲酸乙酯, 碱水解得到4- $[^{18}\text{F}]$ -氟苯甲酸 ( $[^{18}\text{F}]$ FBA), 经Sep-Pak C18固相柱分离, 加O-(*N*-琥珀酰亚胺)N, N, N', N'-四甲基脲四氟硼酸盐(TSTU)乙腈溶液反应, 生成 $[^{18}\text{F}]$ SFB, Sep-Pak C18固相柱分离得纯 $[^{18}\text{F}]$ SFB。在115 °C, 密封条件间隔通氮气加热10 min亲核反应, 用NaOH水解保护基团, 得到 $[^{18}\text{F}]$ SFB的不校正合成效率为(28.2±1.9)% ( $n=5$ ), 放射化学纯度大于90%, 总的合成时间为45 min。

关键词

分类号 [0628.51](#)Automatic Synthesis of *N*-Succinimidyl-4- $[^{18}\text{F}]$ Fluorobenzoate

## Abstract

*N*-succinimidyl-4- $[^{18}\text{F}]$ fluorobenzoate ( $[^{18}\text{F}]$ SFB) was prepared using a multifunction chemistry process control unit module. The dried  $\text{K}_{22}\text{CO}_3/^{18}\text{F}^-$  was resolubilized with a solution of 4-trimethylammoniumbenzoate trifluoromethane sulfonate and reacted to produce ethyl-4- $[^{18}\text{F}]$ fluorobenzoate. The ethylester was subsequently hydrolyzed using alkali to obtain the 4- $[^{18}\text{F}]$ fluorobenzoic acid ( $[^{18}\text{F}]$ FBA). After purifying through Sep-Pak C18 cartridge,  $[^{18}\text{F}]$ FBA was dissolved with TSTU in  $\text{CH}_3\text{CN}$  and reacted to produce  $[^{18}\text{F}]$ SFB. The nucleophilic reaction reacted for 10 min at 115 °C in the covered vial, mixing solvent with nitrogen for several seconds and the ethylester was subsequently hydrolyzed using alkali. The decay corrected yields of  $[^{18}\text{F}]$ SFB we

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re much higher. The radiochemical yield of [ $^{18}\text{F}$ ]SFB is  $(28.2 \pm 1.9)\%$  ( $n=5$ ), and radiochemical purity of [ $^{18}\text{F}$ ]SFB is more than 90%. The whole reaction time is only 45 min from  $^{18}\text{F-F}^-$  to [ $^{18}\text{F}$ ]SFB. The whole reaction time can be shortened and the yield of product is high by using the multifunction chemistry process control unit module. It is a quick and highly efficient method for labeling bioactive compound.

**Key words** [ $^{18}\text{F}$ ]SFB [automatic](#)

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通讯作者 张锦明 [zhangjm301@yahoo.com.cn](mailto:zhangjm301@yahoo.com.cn)