国际药学研究杂志 2008 35 (1): 1-3,17 ISSN: 1674-0440 CN: 11-5619/R

论著

固相柱水解和IC-H柱中和法制备^{18}F-FDG及放射性损失分析

刘晓飞， 张锦明*， 田嘉禾， 陈英茂， 姚树林

（解放军总医院核医学科, 北京 100853）

收稿日期 2007-11-2 修回日期 网络版发布日期 2008-3-7 接受日期

摘要 目的 研究固相柱水解和氢离子交换柱（IC-H）中和法自动化合成2-^{18}F-β-D-脱氧葡萄糖(^{18}F-FDG)的方法， 并分析合成过程中的放射性损失。方法 经可调节的风浴加热反应管，分两次共沸除体系中的水，加入前体2-三氟甲基磺酰基-β-D-甘露糖，亲核反应270 s，风浴冷却，用水将^{18}F-FDG-OAc$_4$中间体负压转移到Sep-Pak C_{18}固相水解柱上，冲洗C_{18}柱；NaOH慢慢加入到C_{18}柱床上，室温下反应；将^{18}F-FDG转移，经IC-H柱、Al_2O_3柱、C_{18}柱纯化后收集于产品瓶。结果 合成^{18}F-FDG全过程只需22 min，不校正合成效率(EOS)为(66.9±4.0)% (n=15)，产品pH值为6.0左右，经TLC检测，放射性化学纯度>98%。废液，IC-H柱、Al203柱、C_{18}纯化柱，C_{18}水解柱，无菌滤膜等都有不同程度的放射性损失。结论 固相柱水解和IC-H柱中和法自动化合成^{18}F-FDG，方法简单高效。

关键词 放射性药物,化学合成；18F-FDG； 自动化

分类号 R817.9

Preparation of ^{18}F-FDG by hydrolysis on solid phase cartridge and neutralization with IC-H cartridge and analysis of the loss of radioactive nuclide

LIU Xiao-fei, ZHANG Jin-ming, TIAN Jia-he, CHEN Ying-mao, YAO Shu-lin

（Department of Nuclear Medicine, Chinese PLA General Hospital, Beijing 100853, China）

Abstract

Objective To develop a new base hydrolysis and neutralization with IC-H carcridge method on solid phase cartridge for automatic preparation of ^{18}F-FDG and analyze the loss of radioactive nuclide. **Method** The ^{18}F-was processed by azeotropic drying with anhydrous acetonitrile using hot air bath for two times. Subsequently triflate precursor was added at an air bath, and heated for 270s. The residue was cooled down with air bath. The labeled intermediate was trapped on a C18 solid phase exchange cartridge using water, and hydrolyzed by NaOH at room temperature. The 18F-FDG was collected in a pro duct bottle after it was neutralized with IC H cartridge and purified with AluminN, an C_{18} cartridge. **Results** The radiochemical yield was (66.9±4.0)% ［n=15, end of synthesis(EOS)］. After it was checked by radio-TLC, the pH of ^{18}F-FDG was about 6.0 and the radiochemical purity was higher than 98%. **Conclusion** The base hydrolysis method on solid phase cartridge for automatic preparation of ^{18}F-FDG is simple with high yield.

Key words radiopharmaceuticals chemical synthesis 18F-FDG automation

DOI:

通讯作者 张锦明 zhangjm301@yahoo.com.cn