

研究报告

2-¹⁸F-A-85380-的制备与MicroPET显象

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摘要 通过对现有 CTI 公司计算机控制化学合成模块 CPCU 进行改造合成神经型烟碱乙酰胆碱受体 (nAChRs) 2-18F-A-85380 并使用高效液相色谱 (HPLC) 检测其放化纯度及比活度, 所得的产品通过与 18F-FDG 对照进行正常大鼠的头部的 MicroPET 显像研究。采用改进方法合成 2-18F-A-85380 的总时间为 45 min, 放化收率为 50±5 % (n=6), 产品的放射化学纯度大于 99%, 比活度为 3.78±0.54 Ci/μmol。MicroPET 显像结果表明 2-18F-A-85380 在富含 α4β2 亚型 nAChRs 受体的丘脑中浓集程度明显高于周围其它组织, 而 18F-FDG 在此部位的摄取率较低。因此利用 CPCU 半自动合成 2-18F-A-85380, 方法简便、稳定, 产品的比活度较高, 动物实验表明其已基本满足临床显像剂的要求。

关键词 [2-18F-A-85380](#) [合成](#) [MicroPET](#) [烟碱乙酰胆碱受体](#)

分类号 [R817](#)

synthesis and MicroPET Imaging of 2-18F-A-85380

Abstract 2-18F-A-85380 was synthesized by the modified chemistry process control unit (CPCU). The radiochemical purity and specific radioactivity were measured by High Performance Liquid Chromatograph (HPLC). After tail vein injection of 2-18F-A-85380, the rat was scanned with MicroPET and the imaging result was compared with that of 18F-FDG. The decay-corrected radiolabeled yield of 2-18F-A-85380 was 50±5 % (n=6) within 45 min total reaction time. The radiochemical purity was around 99% according to the analytical HPLC. The specific radioactivity was 3.78±0.54 Ci/μmol. 2-18F-A-85380 was successful in visualizing thalamus, which is rich of Nicotinic acetylcholine receptor (nAChRs) compared with other tissues. There was no significant uptake of 18F-FDG in thalamus. 2-18F-A-85380 could be conveniently synthesized with modified CPCU and the labeling yield was stable, the MicroPET imaging result indicates that 2-18F-A-85380 could be suitable for clinical translation.

Key words [2-18F-A-85380](#) [Synthesize](#) [MicroPET](#) [nAChRs](#)

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