

研究论文

外周苯二氮受体示踪剂的合成和评价

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摘要 合成了用作外周苯二氮受体潜在的选择性配体的*N,N*-二乙基-2-(4-碘苯基)-6-三氟甲基-咪唑并[1,2-*a*]吡啶-3-乙酰胺(ITFZOL)。其放射性标记物[¹²⁵I]ITFZOL通过碘脱锡化反应制备, 放化得率75%~85%, 比活度大于76 GBq/μmol。小鼠尾静脉注射[¹²⁵I]ITFZOL后, 放射性集中分布于肾上腺、肺、肾、心、嗅球和小脑等外周苯二氮受体高密度区域。预先给与外周苯二氮受体选择性配体PK11195明显减少外周苯二氮受体高密度区域放射性分布, 提示[¹²⁵I]ITFZOL对外周苯二氮受体具有较高的特异亲和性。生物活性数据表明, [¹²⁵I]ITFZOL是一种潜在的选择性外周苯二氮受体单光子放射性配体。

关键词 [外周苯二氮受体](#) [放射性配体](#) [咪唑并吡啶](#)

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Synthesis and Evaluation of a Trace for Peripheral Benzodiazepine Receptors

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Abstract The 2-iodophenyl-imidazo[1,2-*a*]-pyridines trifluoromethyl derivative(ITFZOL) was prepared to study the PBR. The [¹²⁵I] analogue was prepared *via* iododestannylation reaction in radiochemical yields of 75%—85% and a specific activity >76 GBq/μmol. After injection of [¹²⁵I] ITFZOL into mice through the tail vein, high accumulations of radioactivity were found in PBR-rich tissues such as adrenal, lung, kidney, heart, olfactory bulb and cerebellum. Per-administration of PBR-selective PK11195 displayed a significant reduction of radioactivity, suggesting a high specific binding of [¹²⁵I] ITFZOL to PBR. The biological data reveal that [¹²⁵I] ITFZOL is a potential and selective single photo emitting radioligand for PBR.

Key words [Peripheral benzodiazepine receptor](#) [Radioligand](#) [Imidazol\[1,2-*a*\]pyridine](#)

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