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研究报告

$^{99}Tc^{m}(CO)^{+}_{3}$核心标记的邻二氮杂菲类化合物的理化性质和生物分布

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摘要 确定化合物可以通过血脑屏障进入脑中并迅速从脑中清除，是判断药物能否作为阿尔茨海默病显像剂的首要条件。为发展$^{99}Tc^{m}$标记的阿尔茨海默病早期显像诊断药物，在前期研究与DNA分子结合的荧光探针钌金属配合物的基础上，设计合成了2个邻二氮杂菲类配体2-(9-蒽基)-1氢-咪唑[4, 5-f][1, 10]邻菲咯啉（2-(9-anthryl)-1H-imidazo[4, 5-f][1, 10]phenanthroline， aip)和2-(9-蒽基)-1乙基-咪唑[4, 5-f][1, 10]邻菲咯啉(2-(9-anthryl)-1ethyl-imidazo[4, 5-f][1, 10]phenanthroline， aeip），并与$^{99}Tc^{m}(CO)^{+}_{3}$进行标记。采用R-HPLC、纸电泳等方法研究标记产物的理化性质。正常小鼠体内生物分布研究表明,2种化合物$^{99}Tc^{m}(CO)^{+}_{3}$-aip和$^{99}Tc^{m}(CO)^{+}_{3}$-aeip均有一定的脑初始摄取，注射后2 min，前者为（1.028±0.096）%ID/g；后者为（1.191±0.197）%ID/g，因此标记物具有进一步研究的价值。

关键词 阿尔茨海默病；羰基锝；邻二氮杂菲；脑摄取

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Preparation and Evaluation of New $[^{99}Tc^{m}(CO)_{3}]^{+}$-Labelled Phenanthroline Complexes

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Abstract The chief requirement to determine if pharmaceuticals may be AD- tracer is that complexes can pass through BBB to enter the brain and have rapid clean from the brain. To develop early diagnose radiopharmaceuticals for Alzheimer's disease, new phenanthroline complexes 2-(9-anthryl)-1H-imidazo[4, 5-f][1, 10]phenanthroline (aip) and 2-(9-anthryl)-1ethyl-imidazo[4, 5-f][1, 10]phenanthroline (aeip) based on the early research of Ru complexes banding to DNA used for fluescence method were synthesized and labelled by $^{99}Tc^{m}$ tricarbonyl core. Radiochemical purities of complexes $^{99}Tc^{m}(CO)^{+}_{3}$-aip and $^{99}Tc^{m}$-$(CO)^{+}_{3}$-aeip were found to be more than 95% as proved by radio HPLC. Paper electrophoresis show that these complexes are neutral. Biodistribution of these complexes in mice shows the higher early uptakes in brain (2 min,$^{99}Tc^{m}(CO)^{+}_{3}$-aip: （1.028±0.096）%ID/g; $^{99}Tc^{m}(CO)^{+}_{3}$-aeip: （1.191±0.197）%ID/g).

Key words Alzheimer's disease $^{99}Tc^{m}$ $(CO)^{+}_{3}$ phenanthroline uptakes in brain

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