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研究报告

水溶液中新核心[$^{99}Tc^m$ $(CO)_2(NO)]^{2+}$和[$^{99}Tc^m$ $(CO)_2(NO)$-L] (L=DTPA, EDTA, EHIDA)的制备

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摘要 研究了水溶液中制备[$^{99}Tc^m$ $(CO)_2(NO)$-L]（L=DTPA， EDTA， EHIDA）配合物的2种方法：（1) 由前体[$^{99}Tc^m$ $(CO)_3$-L]制备[$^{99}Tc^m$ $(CO)_2(NO)$-L]； （2) 由[$^{99}Tc^m$ $(CO)_2(NO)(H_2O)_3]^{2+}$ 中间体制备[$^{99}Tc^m$ $(CO)_2(NO)$-L]；并确定了最佳标记条件。TLC和HPLC结果表明，2种方法得到的配合物放化产率均在90%以上。初步建立了1套在水溶液中简单、高效制备新的[$^{99}Tc^m$ $(CO)_2(NO)]^{2+}$类配合物的方法。$[NO]^+$基团取代原三羰基铼配合物得到的[$^{99}Tc^m$ $(CO)_2(NO)$-L]配合物具有良好的体外稳定性，取代后的配合物脂溶性和电荷性质都发生了改变，为$^{99}Tc^m$放射性药物的研制开辟了新思路。

关键词 [$^{99}Tc^m$ $(CO)_2(NO)$-L]配合物；[$^{99}Tc^m$ $(CO)_2(NO)(H_2O)_3]^{2+}$ 中间体；制备方法；标记条件；理化性质

分类号

Preparation of New Core [99 Tc m (CO) 2(NO)] 2+ and Its Derivatives 〖JZ〗[99 Tc m (CO) 2(NO) L] (L=DTPA, EDTA, EHIDA) in Aqueous Solution

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Abstract

In order to develop a new method for the preparation of the [$^{99}Tc^m$ $(CO)_2(NO)$-L] (L=DTPA, EDTA, EHIDA) complexes in aqueous solution, two different procedures were developed:

one is formation of the metal-tricarbonyl-ligand complex and subsequent nitrosylation; another is nitrosylation of the metal- tricarbonyl precursor followed by reaction with the ligand. The optimal labeling conditions were studied. Results of TLC and HPLC indicate that the radiochemical yields derived from the two methods list above are all more than 90%. It's an easier new way to prepare [$^{99}Tc^m$ $(CO)_2(NO)$-L] complexes in aqueous solution with high efficiency. The newly developed [$^{99}Tc^m$ $(CO)_2(NO)$-L] complexes are stable at room temperature during 1 half-life of the isotope. At the same time, the lipophility and net charge of [$^{99}Tc^m$ $(CO)_2(NO)$-L] complexes are also changed comparing with that of corresponding [$^{99}Tc^m(CO)_3]^+$ core complexes. It opens a new field for designing radiopharmaceuticals.

Key words _ [$^{99}Tc^{m}$ _ $(CO)_2(NO)$-L] complexes _ [$^{99}Tc^{m}$ $(CO)_2(NO)(H_2O)_3]^{2+}$ intermediate _ preparation procedures _ labeled conditions _ physical chemical characters

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