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研究报告

肝细胞受体显像剂^{99m}Tc-GSA的制备及其药盒化

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摘要 通过DTPA环酐，将双功能连接剂引入人血白蛋白（HSA）分子；再通过合成2-亚氨基-2-甲氧基乙基-1-硫代-β-D-半乳吡喃糖苷，与DTPA-HSA反应，引入硫代半乳糖残基，得到GSA。以氯化亚锡为还原剂，通过标记条件的摸索成功制备了标记率大于96%的标记配合物99mTc-GSA。为方便该药物的临床研究以及应用推广，进一步研制了无菌的GSA一步法冻干药盒，并对湿法以及冻干法制备的该标记配合物进行了比较。在正常小鼠以及肝损伤模型中的分布试验表明，99mTc-GSA在正常小鼠肝脏中有较高的摄取，在30min时，肝脏摄取仍大于70%ID•g-1，且具有饱和性；在肝损伤模型中肝摄取值低于正常小鼠（P=0.0324）。药盒法标记所得生物数据与湿法标记相当。所得GSA一步法冻干药盒标记简单可靠，有优良的生物性能，可提供临床进一步研究、应用。

关键词 GSA 药盒化 锝-99m 肝受体显像剂 肝损伤动物模型

分类号

The Preparation of 99mTc-GSA and its Instant Lyophilized Kit for Hepatic Receptor Imaging

Abstract The ligand GSA (DTPA-galactosyl-human serum albumin) was synthesized by first introducing bifunctional chelator DTPA (diethylenetriamine pentaacetic acid) to human serum albumin (HSA) via DTPA anhydride, and then coupling galactosyl units (2-imino-2-methoxyethyl-thio-galactose) to DTPA-HSA. GSA was labeled with technetium-99m by using SnCl2 as reductant and the labeling conditions of 99mTc-GSA were optimized. Lyophilized kit of GSA was also developed for instant preparing of 99mTc-GSA. Technetium labeling yields in excess of 96% by using both of liquid and lyophilized labeling methods. Biodistribution of 99mTc-GSA was investigated in both normal and liver-injury model mice. 99mTc-GSA showed high liver uptake in normal mice (> 70%ID•g-1 at 30 min after injection). The liver uptake in liver-injury model mice is lower than that of in normal mice (P=0.0324). The promising biological properties of 99mTc-GSA combined with the development of reliable and instant lyophilized GSA kit afford the opportunity of liver receptor imaging for routine clinical assessment of hepatocyte function.

Key words GSA Lyophilized Kit Technetium-99m Hepatic receptor imaging agent liver-injury Animal Model

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