

论著

叶酸受体肿瘤显像剂^{99m}Tc-胍基烟酰胺基酰胍基-叶酸的合成与动物显像

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摘要 摘要: 目的 研究叶酸受体肿瘤显像剂^{99m}Tc-胍基烟酰胺基酰胍基-叶酸 (^{99m}Tc-HYNIC-叶酸) 的合成、生物分布及其动物显像。方法 以叶酸为原料经过多步反应合成叶酸衍生物HYNIC-叶酸, 以该衍生物为配体, 并以三(羟甲基) 甲基甘氨酸和三苯甲基膦三磺酸钠为共配体进行^{99m}Tc标记, 对其放化纯度、稳定性进行分析, 对正常小鼠及荷瘤小鼠的体内生物分布和荷瘤小鼠的γ相机显像进行研究。结果 配体HYNIC-叶酸的结构经核磁共振氢谱和质谱进行确认, 经^{99m}Tc标记后, 放化纯度为96%, 体外稳定性好。在荷瘤小鼠中的生物分布和显像结果表明, ^{99m}Tc-HYNIC-叶酸在肿瘤中有较高的浓集, 单位质量摄取率 α_m 为 5.620 ± 0.753 。除在肾中摄取较高(单位质量摄取率为 41.959 ± 6.759)外, 其他非靶组织中摄取均较低。结论 ^{99m}Tc-HYNIC-叶酸有望成为性能良好的叶酸受体肿瘤显像剂。

关键词 [叶酸受体](#) [叶酸衍生物](#) [同位素标记](#) [生物分布](#) [显像](#)

分类号

Synthesis and Animal Imaging of ^{99m}Tc-Hydrazinonicotinamide-Folate as a New Folate Receptor-Targeted Tumor Imaging Agent

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Abstract ABSTRACT: Objective The synthesis, biodistribution, and animal imaging of ^{99m}Tc- hydrazinonicotinamide-folate (^{99m}Tc-HYNIC-Folate) were studied as a folate receptor-targeted tumor imaging agent. Methods HYNIC-Folate was synthesized by a multi-step reaction and radiolabeled with ^{99m}Tc using tricine and trisodium phenylphosphine-3, 3', 3' '-trisulfonate (TPPTS) as coligands. The radiochemical purity and stability of ^{99m}Tc-HYNIC-Folate was measured. The biodistributions of ^{99m}Tc-HYNIC-Folate in normal mice and tumor-bearing mice were detected. Whole-body gamma imaging was performed using an athymic mouse tumor xenograft model. Results The ligand HYNIC-Folate was successfully synthesized and characterized by hydrogen nuclear magnetic resonance (1HNMR) and mass spectrometry (MS). The radiochemical purity of ^{99m}Tc-HYNIC-Folate was 96% under optimal conditions. Data from γ scintigraphy and the biodistribution in tumor-bearing mice showed that ^{99m}Tc-HYNIC-Folate predominantly accumulated in tumor, its uptake rate per gram tissue α_m was 5.620 ± 0.753 . The uptakes of ^{99m}Tc-HYNIC-Folate in the other non-target tissues were very low, except it was high in the kidneys (α_m was 41.959 ± 6.759). Conclusion ^{99m}Tc-HYNIC-Folate has the potential to be used as a noninvasive radiodiagnostic imaging agent for the detection of folate receptor-positive human cancers.

Key words [folate receptor](#) [folate derivatives](#) [isotope labeling](#) [biodistribution](#) [imaging](#)

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