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研究报告

^{90}Y标记的不同氨基酸序列的RGD环肽的制备及在荷人神经胶质瘤动物模型中的评价

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摘要

制备^{90}Y-DTPA-Bz-NH-SA-c(KRGDf) 和^{90}Y-DTPA-Bz-NH-c(ERGDf)，并对其体内外性质进行比较。ITLC和HPLC分析结果表明，在pH=5.5和80 ℃条件下反应20 min， 2种标记物的标记率均大于99%，并且2种标记物均具有良好的体外稳定性。荷人神经胶质瘤裸鼠生物分布实验数据表明，2种标记物在各组织的摄取没有显著性差异，且均具有良好的肿瘤靶向性和体内稳定性。2种标记物主要通过肾脏排泄，同时也有一部分标记物通过肝胆系统排泄。良好的体内外性质证明，KRGDf环肽和ERGDf环肽均可进一步用于聚合物多肽药物的开发。

关键词

^{90}Y KRGDf环肽 ERGDf环肽 标记 生物分布

分类号 0615.4

Preparation of ^{90}Y-Labeled Different Cyclic RGD Peptides and Evaluation in Nude Mice Bearing Human Glioma Xenografts

Abstract

^{90}Y-DTPA-Bz-NH-SA-c(KRGDf) and ^{90}Y-DTPA-Bz-NH-c(ERGDf) were prepared, and their in vitro and in vivo properties were compared. ITLC and HPLC show that the labeling yields of both compounds are more than 99% under the optimal conditions(pH=5.5, reacting at 80 ℃ for 20 min), and they are stable in vitro. The biodistribution in nude mice bearing human glioma xenografts reveals no significant difference between these two radiolabeled compounds on uptake for all of tissues at the experimental time points; and pretty good tumor targeting and in vivo stability; and two radiolabeled compounds are mainly excreted through kidneys, partly excreted through hepatobiliary system. The experimental data demonstrate that both of cyclic KRGDf and cyclic ERGDf are suitable for the further development of polymer conjugated RGD peptide drugs.

Key words

^{90}Y cyclic KRGDf cyclic ERGDf radiolabeling biodistribution

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