

[1]任浩,查林,冯世斌,等.<sup>99</sup>Tcm-TP1093的制备及其在健康动物体内的生物分布及动力学特点[J].第三军医大学学报,2013,35(08):769-773.

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# <sup>99</sup>Tc<sup>m</sup>-TP1093的制备及其在健康动物体内的生物分布

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Title: Preparation of <sup>99</sup>Tc<sup>m</sup>-TP1093 and its bio-distribution and kinetic characteristics in healthy animals

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摘要: 目的 制备标记率符合显像要求的<sup>99</sup>Tc<sup>m</sup>-TP1093, 探讨其在健康动物体内的生物分布及动力学特点。 方法 化学合成G(D)AGG-Aba-ATAQSAYG-NH<sub>2</sub> (TP1093); 氯化亚锡还原法<sup>99</sup>Tc<sup>m</sup>标记TP1093, 纸层析测定标记率, 计算比活度; 体外稳定性实验、血清蛋白结合实验及脂/水分配实验鉴定<sup>99</sup>Tc<sup>m</sup>-TP1093的理化性质; 研究标记多肽在健康家兔体内的示踪动力学和正常小鼠体内生物分布; 健康家兔<sup>99</sup>Tc<sup>m</sup>-TP1093显像, 观察体内放射性动态分布变化, 利用感兴趣区 (region of interest, ROI) 技术分析重要组织器官的时间-放射曲线 (time-activity curve, TAC) 。 结果 <sup>99</sup>Tc<sup>m</sup>-TP1093的标记率为 (97.23±0.87) %, 比活度为 (15.91±0.62) TBq/mmol。标记多肽室温放置4 h, 其放射化学纯度为 (93.34±0.91) %。标记多肽与血清蛋白无明显结合, 脂/水分配系数lg P<sub>ow</sub>为 (-1.68±0.09) 。标记多肽在健康家兔体内的动力学过程符合权重为1/c的二室模型, t<sub>1/2α</sub>为 (2.689±0.541) min, t<sub>1/2β</sub>为 (69.156±20.342) min, 总清除率(CL) 为 (5.029±4.381) mL/kg。小鼠体内分布和健康家兔显像显示: 血液放射性清除迅速, 软组织放射性消退快; 胃区呈放射性缺损, 甲状腺区未见异常放射性浓聚, 脑呈低放射性分布; 体内放射性主要经泌尿系统排泄, 少量通过肝胆系统分泌。 结论 <sup>99</sup>Tc<sup>m</sup>-TP1093标记方法简便, 标记率与比活度高, 可制备成一步法冻干药盒, 具有良好的稳定性、体内生物分布及动力学性质。

Abstract: Objective To prepare <sup>99</sup>Tc<sup>m</sup>-TP1093 for imaging with a high labeling rate,

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and to investigate its bio-distribution and kinetic characteristics in healthy animals.

**Methods** G(D)AGG-Aba-ATAQSAYG-NH<sub>2</sub> (TP1093) was synthesized and radiolabeled by <sup>99</sup>Tc<sup>m</sup> using stannous chloride as the reductive agent. The labeling rate and specific activity were determined using paper chromatography. The physicochemical properties of the radiolabeled peptides were determined by stability test *in vitro*, serum albumin binding test and oil-water distribution test, respectively. The tracer kinetics in healthy rabbits and bio-distribution of <sup>99</sup>Tc<sup>m</sup>-TP1093 in normal mice were observed through caudal vein injection of the labeled peptides. The dynamic distribution of <sup>99</sup>Tc<sup>m</sup>-TP1093 in organs of the rabbits was observed by SPECT imaging, and the time-activity curve (TAC) of the main organs was drawn using region of interest (ROI) technology.

**Results** The labeling rate and specific activity of <sup>99</sup>Tc<sup>m</sup>-TP1093 was (97.23±0.87)% and 15.91±0.62 TBq/mmol, respectively. The radiochemical purity of <sup>99</sup>Tc<sup>m</sup>-TP1093 was (93.34±0.91)% after placed at room temperature for 4 h. There was no significant combination between labeled peptide and serum albumin, and the oil-water partition coefficient was  $\lg P = -(1.68 \pm 0.09)$ . The kinetics characteristics of the radiolabeled peptide in healthy rabbits was in accordance with a two-compartment model with a weight factor of 1/c, and the t<sub>1/2 $\alpha$</sub> , t<sub>1/2 $\beta$</sub>  and CL were 2.689±0.541 min, 69.156±20.342 min and 5.029±4.381 mL/kg, respectively. The bio-distribution and SPECT imaging showed that the blood clearance of <sup>99</sup>Tc<sup>m</sup>-TP1093 was rapid, the radioactivity faded rapidly in soft tissues, a radioactive defect area was observed in the stomach and thyroid, and a low radioactive area was observed in the brain. The radioactivity *in vivo* was excreted mainly through urinary system and slightly through gastrointestinal and hepatobiliary systems.

**Conclusion** <sup>99</sup>Tc<sup>m</sup>-TP1093 can be easily labeled with a high labeling rate and specific activity. <sup>99</sup>Tc<sup>m</sup>-TP1093 can be prepared into a one-step lyophilized kit due to its good stability, bio-distribution *in vivo* and kinetic characteristics.

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