#### 研究报告

# 血管抑素的<sup>131</sup>I标记及其对小鼠A549移植瘤治疗作用的观察 袁梦晖 徐海峰

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摘要 目的:从人血浆中分离血管抑素(Angiostatin, AS),并用13II标记,观察13II-AS对荷A549移植瘤小鼠的治疗效果。方法:采用一步法从人血浆中分离AS,并用L-Lysine Sepharose 4B亲和层析柱作亲和层析纯化,将提纯的AS用Iodogen固相法进行13II标记,分析13II-AS 的标记率、比活度,并对其体外稳定性进行评价。32只荷A549肺癌移植瘤的裸鼠随机分为4组,腹腔注射13II-AS(含13II 11.1MBq,AS12.5mg/Kg)、13II(11.1MBq)、AS(12.5mg/Kg)和生理盐水0.3mL,1次/周,治疗四周,观察28天内肿瘤体积的变化。结果:13 II-AS标记率为77.8~86.7%,比活度为1.28~3.96MBq/ug。标记产物体外-20℃存放7天,放化纯度降至原来的72%。治疗28天后,13II-AS组、13I1组、AS组和生理盐水组小鼠肿瘤的体积分别是(1956±98mm3)、(5284±123mm3)、(3948±115mm3)、(7350±153mm3)。结论: Iodogen法标记获得的13II-AG 标记率、比活度和稳定性较高。13II-AS能较强地抑制小鼠体内移植肿瘤的生长,其抑制作用优于单纯应用等浓度的AS及13II,131 I-AS在治疗肿瘤中有潜在的应用前景。

 关键词
 血管抑素
 131I
 同位素标记
 肺肿瘤
 治疗

 分类号

Labelled angiostatin with 131I and observed its antitumo r effect on nude mice xenografts .

Meng-hui Yuan

**Abstract** [Abstract] Objective To purify angiostatin from human plasma, label it with 1311; to o bserve the therapeutic effects of 131I-angiostatin on transplanted A549 lung carcinoma in nude m ice and investigate the clinical prospect of 131I-angiostatin for solid tumor. Methods Salvage angi ostatin from human plasma through limited proteolysis by elastase, and purify it by L-Lysine-Seph arose 4B affinity chromatograph, and labeled angiostatin with 131I using method of conventional I odogen and assessed in labeling efficiency, specific activity and in vitro stability. 32 male nude mi ce (the mean diameter of tumors transplanted into the right forelimbs was about 1cm )whose foreli mbs were transplanted with A549 lung carcinoma were treated with 131I-angiostatin (131I 11.1 MBq per mouse, angiostatin 12.5mg/Kg), 131I(11.1 MBq per mouse), angiostatin(12.5mg/Kg) re spectively and with similar normal saline (NS) 0.3mL as control. Each drug was given intraperiton eally and injected for four times at an interval of 7 days respectively. The volume of tumors was m easured during 28 days after treatment. Results The labeling efficiency ranged from 77.8% to 8 6.7%, the specific activity could reach up to 1.28~3.96MBq/ug. The radiochemical purity of 131 I – Angiostatin reduced to 72% after 7 days in vitro storage (-20°C). The mean volume of transpl anted tumors in the mice with A549 lung carcinoma was (1956±98mm3) \ (5284±123mm (3948±115mm3) (7350±153mm3) after treated with 1311 –angiostatin, 1311, ang iostatin and NS respectively .Conclusions The conventional Iodogen method was a high efficiency iodination of angiostatin, and the labeling efficiency, specific activity and in vitro stability were goo d .131I –angiostatin can inhibit the growth of transplanted of A549 lung carcinoma in mice, and it s inhibitive effect is better than 131I or angiostatin .So it is suggested that 131I –angiostatin has p otential prospect of clinical application in the treatment of solid tumor.

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**Key words** Angiostatin, Iodine ,Radioisotopes labeling,lung carcinoma ,treatment

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