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[1]赵欣.RGD修饰共载紫杉醇与microRNA-34a脂质体靶向抑制A549肺癌细胞的初步研究[J].第三军医大学学报,2014,36(11):1183-1186.



Zhao Xin.RGD-conjugated paclitaxel and microRNA-34a loaded liposomes target and inhibit A549 cells[J].J Third Mil Med Univ, 2014, 36(11):1183-1186.



RGD修饰共载紫杉醇与microRNA-34a脂质体靶向抑制A540肺癌细胞

的初步研究(PDF)

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Title: RGD-conjugated paclitaxel and microRNA-34a loaded liposomes target

and inhibit A549 cells

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关键词: 整合素受体; microRNA-34a; 紫杉醇; 脂质体; 肺癌

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摘要: 目的 制备整合素受体修饰共载紫杉醇 (paclitaxel, PTX) 和microRNA-34a脂质体

果。 方法 采用薄膜分散法制备RGDmiLPs-34a/PTX。考察脂质体的粒径、电位以及包封率;通过定量细胞摄取实验以及定性的共聚焦实验考察A549细胞对普通脂质体(LP)和RGD修饰脂质体(RGDLP)的摄取效率。MTT实验考察不同脂质体对A549细胞的细胞毒性;构建肺癌细胞肿瘤球模型,考察不同脂质体对肿瘤球的穿透能力以及不同载药脂质体对肿瘤球的生长抑制能力。 结果 RGDmiLPs-34a/PTX的粒径为(125.0±11.8)nm,电位为(21.00±4.85)mV,PTX与microRNA-34a的包封率分别为

(RGDmiLPs-34a/PTX),研究与A549肺癌细胞的亲和力以及对肺癌细胞的靶向抑制效

81.5%和94.6%。细胞摄取实验结果显示,A549细胞对RGDLP的摄取效率是LP的2.6倍,

二者差异具有统计学意义(P<0.01),定性的细胞摄取实验和肿瘤球穿透实验结果显示 RGDLP组的荧光强度明显强于LP组,与定量的实验结果相一致。MTT实验表明

RGDmiLPs-34a/PTX对A549肺癌细胞的毒性显著强于miLPs-34a/PTX、RGDmiLPs-34a和RGDLP-PTX;肿瘤球抑制实验结果显示,miLPs-34a/PTX、RGDmiLPs-34a、RGDLP-PTX和RGDmiLPs-34a/PTX分别使肿瘤球体积减小到原体积的81%、77%、64%和37%。与

microRNA-34a脂质体具有良好的肺癌细胞靶向性和肺癌细胞抑制作用,是一种潜在高

效的肺癌靶向给药系统。

Abstract: Objective To prepare arginine-glycine-aspartic acid (RGD)-conjugated

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paclitaxel (PTX) and microRNA-34a co-loaded liposome (RGDmiLPs-34a/PTX), and to evaluate their properties and targeting inhibitory effects in A549 cells. The liposomes RGDmiLPs-34a/PTX were prepared by thin film hydration. The particle size, zeta potential and entrapment efficiency were evaluated. The efficiency of cellular uptake and tumor spheroids penetration of RGDLP and LP on A549 cells in vitro was evaluated by cellular uptaking test and cofocal laser scanning microscopy. The anti-proliferation efficiency of RGDmiLPs-34a/PTX to A549 cells was evaluated by MTT assay. Tumor spheroids were used to evaluate anti-tumor ability of RGDmiLPs-34a/PTX. Results The particle diameter of the RGDmiLPs-34a/PTX was 125.0+11.8 nm with a zeta potential of 21.00 + 4.85 mV. The entrapment efficiency of PTX and microRNA-34a were 81.5% and 94.6% respectively. The result of cellular uptaking test demonstrated that RGDLP were uptaken by A549 cells by 2.6 times higher than LP (P<0.01). MTT assay confirmed RGDmiLPs-34a/PTX had stronger inhibitory effect to A549 cells than miLPs-34a/PTX, RGDmiLPs-34a and RGDLP-PTX. The tumor spheroid was inhibited by 81%, 77%, 64% and 37% respectively when treated by miLPs-34a/PTX, RGDmiLPs-34a, RGDLP-PTX and RGDmiLPs-34a/PTX, with the latest having the strongest inhibitory effect (*P*<0.01). Conclusion RGD-conjugated PTX and microRNA-34a co-loaded liposomes RGDmiLPs-34a/PTX are well targeting to and exerting inhibitory effect on lung cancer cells, and they are a potential delivery system for the treatment of lung cancer.

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