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论文

豆甾醇糖苷/聚乙二醇衍生物修饰的阳性脂质体体内分布和肝实质细胞靶向性

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摘要：

目的研究经豆甾醇糖苷 (sterylglucoside, SG) 修饰的以DC-Chol为阳性脂材的脂质体体内分布情况和达到小鼠肝实质细胞靶向的可能性。方法合成阳性脂材3β-［*n*-(*n′*,*n′*- 二甲基氨乙基) 氨基甲酰基］ 胆固醇(DC-Chol)，制备^{3}H-胆固醇标记的阳性脂质体(caitonic liposome, CL)，SG和聚乙二醇-二硬酯酰磷酯酰乙醇胺(PEG-DSPE)修饰的阳性脂质体(SG/PEG-CL)，以及包封^{125}I标记的硫代反义寡核苷酸(asODN)的阳性脂质体(SG/PEG-CL-asODN)，分别测定CL,SG/PEG-CL,SG/PEG-CL-asODN和asODN溶液(asODN)在小鼠不同器官及CL,SG/PEG-CL肝内不同细胞中的分布。结果CL和SG/PEG-CL表现较高肝脏聚集性，SG/PEG-CL在肝实质细胞中浓度显著高于CL (*P*<0.01)， 非实质细胞中浓度明显小于CL(*P*<0.01)。SG/PEG-CL-asODN相对于asODN表现出明显的肝脾聚集性(*P*<0.01)。结论用阳性脂质体包载基因药物能改善药物的体内分布，SG的修饰则能提高脂质体肝实质细胞选择性。

关键词： 阳性脂质体 DC-Chol 豆甾醇糖苷 组织分布 肝实质细胞

Biodistribution and hepatoctyes targeting of cationic liposomes surface-modified with sterylglucoside and golyethylene glycol

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Abstract:

AimTo investigate the biodistribution and the hepatoctyes targeting of cationic liposome containing 3*β*-［*n*-(*n′*,*n′*-dimethylaminoethane) carbamoyl］ cholesterol (DC-Chol) and surface-modified liposomes with sterylglucoside (SG) and polyethylene glycol-distearoylphosphatidylethanolamine (PEG-DSPE). MethodsCationic liposomes (CL) composed of DC-Chol and dipalmitoylphosphatidylcholine (DPPC), SG/PEG modified cationic liposome (SG/PEG-CL), both contained trace ^{3}H-cholesterol (^{3}H-Chol) as radiolabel, were prepared. The liposomes encapsulating ^{125}I-labled antisense oligodeoxynucleotide (^{125}I-asODN) (SG/PEG-CL-asODN) were also prepared. The biodistribution of CL, SG/PEG-CL, SG/PEG-C2-asODN as well as ^{125}I-asODN solution, were studied. The radioactivities in hepatoctyes and non-hepatoctyes after administration of CL and SG/PEG-CL were determined by infuseing method. ResultsCL and SG/PEG CL significantly aggregated in liver. The distribution of SG/PEG CL was significantly higher in hepatocytes (*P*<0.01) and lower in non-hepatocytes (*P*<0.01) than that of CL. The concentrations of SG/PEG-CL-asODN in liver and spleen were significantly higher than that of asODN solution (*P*<0.01). ConclusionCationic liposome modified with SG/PEG changed the distribution of asODN. Cationic liposome can target hepatocytes more effective after being modified with SG.

Keywords: DC-Chol sterylglucoside biodistribution hepatocytes cationic liposome

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