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肝细胞靶向pH敏脂质体的制备及性质分析

Preparation and Evaluation of a Hepatocyte Targeting pH-Sensitive Liposome

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英文关键词: liposome targeting pH-sensitivity nucleic acid drugs gene transfer

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中文摘要:

为了制备具有肝细胞特异靶向性和pH敏感性的脂质体,设计并合成了四种带有半乳糖残基的导向分子,与具有pH敏感性的DC-chol/DOPE混合制备脂质体,通过质粒转染实验、受体竞争抑制实验和红细胞溶血等实验选出最佳转染活性的十八醇-半乳糖甙(18-gal)脂质体,并证明其具有肝细胞特异受体介导的靶向性和pH敏感性,且细胞毒性较小,可以作为一种潜在的肝细胞靶向转运系统得到进一步发展.

英文摘要:

In order to obtain liposomes with properties of heptocyte-specificity and pH-sensitivity, four galactosylated derivatives were synthesi zed. A series of liposomes were prepared by mixing the galactosylated derivatives with DC-chol/DOPE respectively. The liposome 18-gal was pro ven to have favorable gene transfer efficiency to human hepatoma HepG2 cells, which was significantly inhibited in the presence of galactose solution, indicating that the liposomal transfection activity was mediated by asialoglycoprotein receptors. The liposome showed prominent pH-sensitivity and low cytotoxicity. Its optimum gene transfer conditions were also determined. The results showed that the liposome may be developed as a potential hepatocyte targeting pH-sensitive delivery system for nucleic acid drugs.

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