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论文

PAMAM包覆脂质体的制备、表征及作为眼部递药载体的评价

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摘要:

制备了树枝状聚合物聚酰胺-胺2代和3代(PAMAM G2, PAMAM G3)包覆的葛根素(Puerarin, PUE)脂质体, 考察了脂质体包覆前后的粒径、*Zeta*电位的变化及包覆率和体外释放特性. 用异硫氰酸荧光素(FITC)标记PAMAM, 采用透射电镜和激光扫描共聚焦显微镜分别观察了PAMAM包覆脂质体和FITC-PAMAM包覆脂质体的形态. 采用改进的Valia-Chien扩散池及兔离体角膜评价了脂质体包覆前后角膜的药物渗透特性, 分别考察了脂质体包覆前后的角膜前滞留时间、角膜残留药量和角膜水化值. 研究结果表明, 包覆后的脂质体粒径略有增加, 但没有显著差异, *Zeta*电位由负变正, 并且随PAMAM比例的增加而增加. 透射电镜和激光扫描共聚焦显微镜观察结果显示, PAMAM能较好地包覆于脂质体表面. PAMAM G2的包覆率明显比PAMAM G3高. 包覆前后的脂质体释药特性相似, 均具有明显的缓释作用. PAMAM包覆PUE脂质体后, 与PUE水溶液和未包覆PUE脂质体相比, 其PUE离体兔角膜表观渗透系数、角膜前滞留时间及角膜残留药量均明显增加, 并具有显著差异, 其中PAMAM G3包覆脂质体优于PAMAM G2包覆脂质体. 水化值检测结果表明, PAMAM包覆PUE脂质体对角膜的刺激性不明显.

关键词: 树枝状聚合物; 聚酰胺-胺; 葛根素; 包覆脂质体; 角膜渗透性

Preparation, Characterization of PAMAM Dendrimers-coated Liposomes and Evaluation for Ophthalmic Drug Delivery

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Abstract:

PAMAM dendrimers-coated liposomes encapsulated puerarin were prepared and the particle size, *zeta* potential and drug release property were investigated. Fluorescein isothiocyanate (FITC)-labeled PAMAM dendrimers were prepared to study adsorption rate. Transmission electron microscope and laser confocal scanning microscopy were used to explore the morphology and structure of PAMAM-coated liposomes. The *in vitro* transcorneal penetration, precorneal residence time, residual amount of puerarin within corneal of PAMAM coated liposomes were evaluated. The results showed that the size of the coated liposomes was little larger than that of the uncoated liposome but no significantly difference and the *zeta* potential became positive from negative and increased along with ratio of PAMAM. The cumulative release of PAMAM coated liposomes was similar to that of uncoatd liposome with slower release property. The PAMAM coated liposomes were nonirritant and could remarkably improve permeability of puerarin transcornea, prolong corneal residence time, increase residual amount of PUE within cornea, compared with uncoated liposome and PUE drop solution($P<0.01$). The PAMAM coated liposomes is promising as a novel ophthalmic drug delivery system.

Keywords: Dendrimer; Poly(amidoamine); Puerarin; Coated liposome; Transcorneal penetration

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