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论文

新型壳聚糖基自组装纳米胶束紫杉醇药物释放载体

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摘要:

以*N*-胆甾醇琥珀酰基-*O*-羧甲基壳聚糖(CCMC, 胆甾醇基取代度6.9%)为原料, 在水溶液中通过探头超声处理制备其自组装凝胶纳米胶束, 采用稳态荧光探针法考察临界胶束浓度, 并通过透射电镜和动态激光散射仪检测胶束的形态大小. 以紫杉醇为模型药物, 采用透析法制备载药CCMC纳米胶束, 并通过高效液相色谱法(HPLC)考察其在纳米胶束中的包载及释放情况. 结果显示, CCMC为两亲性高分子, 在水溶液中能形成粒径为198.4 nm的规则球状胶束, 临界胶束浓度为0.018 mg/mL. 紫杉醇顺利包载于CCMC-纳米胶束内, 载药量高达34.9%; 随着载药量的增加, 胶束粒径呈增大的趋势. 体外释放实验结果显示, CCMC纳米胶束能延缓紫杉醇的释放, 释药速度和释放介质pH值密切相关.

关键词: *N*-胆甾醇琥珀酰基-*O*-羧甲基壳聚糖 自组装纳米胶束 药物载体

Chitosan-based Self-assembled Nanomicelles as a Novel Carrier for Paclitaxel

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Abstract:

Self-assembled nanomicelles of *N*-cholesterol succinyl *O*-carboxymethyl chitosan(CCMC) were prepared by probe sonication method. The amphiphilic property and the critical micelle concentration(cmc) of CCMC were determined by fluorescence probe technique; the morphology and the size of CCMC self-assembled nanomicelles were analyzed by transmission electron microscopy(TEM) and the dynamic laser light scattering(LLS). Paclitaxel(PTX), being used as model drug, was entrapped into CCMC self-assembled nanomicelles by dialysis method. The drug loading and release properties were estimated by high performance liquid chromatography(HPLC). The results show that CCMC was an amphiphilic polymer and formed regularly spherical nanomicelles(mean diameter=198.4 nm) in aqueous medium by self-assembly, and the cmc value of CCMC was 0.018 mg/mL. PTX-loading CCMC self-assembled nanomicelles were successfully prepared, with drug loading content as high as 34.9%, and their mean diameter increased with increasing the drug loading content. PTX continuously released from CCMC self-assembled nanomicelles in the release media of phosphate buffered saline(PBS) solutions, and its release was sensitive to the pH of the release media.

Keywords: *N*-Cholesterol succinyl *O*-carboxylmethyl chitosan Self-assembled nanomicelle Drug carrier

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