

工业药剂学

## 桂利嗪包合物脂质体冻干粉针的研究

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摘要

目的 制备一种新型的可供注射给药的桂利嗪(cinnarizine)羟丙基- $\beta$ -环糊精(HPCD)包合物脂质体冻干粉针。方法 采用中和法制备桂利嗪HPCD包合物;采用薄膜-分散法制备桂利嗪HPCD包合物的脂质体,并考察其形态和粒径分布;将所得脂质体进行冻干,考察不同支撑剂对冻干脂质体形态及复溶效果的影响;同时考察包合物脂质体的体内药物动力学性质。结果 通过优化处方,桂利嗪包合物脂质体包封率可达到68%,平均粒径为128 nm;海藻糖和麦芽糖合用作支撑剂能得到外观良好且复溶合格的脂质体冻干品;药物动力学实验结果显示该包合物脂质体具有缓释效果。结论 该制备工艺和处方可用于制备具有较高包封率及稳定性的桂利嗪包合物冻干脂质体,并且该脂质体能改变包合物的体内药物动力学性质。

关键词 [药剂学](#) [脂质体](#) [薄膜分散法](#) [桂利嗪](#) [药物动力学](#) [冻干](#) [羟丙基- \$\beta\$ -环糊精](#)

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## Studies on freeze-drying liposomes encapsulating cinnarizine-cyclodextrin complexes

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Abstract

Objective To prepare a new kind of freeze-drying liposome containing cinnarizine hydroxypropyl- $\beta$ -cyclodextrin (HPCD) complex for intravenous administration. Methods The cinnarizine HPCD complex was prepared using neutralization method. Liposomes containing the cinnarizine HPCD complex were prepared by the film-dispersion method, and the particle morphology and size distribution were also determined. Freeze-drying liposomes were prepared and the effect of different cryoprotectant on the appearance and reconstruction of freeze-drying liposome were evaluated. The pharmacokinetics of the liposome after intravenous injection was studied. Results The entrapment efficiency of optimized liposome formulation reached 68% and the particle size was 128 nm. Freeze-drying liposomes with good appearance and reconstruction could be attained by using the combination of maltose-trehalose as cryoprotectants. Results showed that liposomes encapsulating cinnarizine cyclodextrin complexes had a sustained release effect. Conclusion The results suggest that the conditions and technology are practical to prepare a freeze-drying liposome containing cyclodextrin complex with high entrapment efficient and stability. The pharmacokinetics of the drug cyclodextrin complex can be altered by encapsulating into liposomes

Key words [pharmaceutics](#) [liposome](#) [film-dispersion method](#) [cinnarizine](#) [pharmacokinetics](#) [freeze-drying](#) [hydroxypropyl- \$\beta\$ -cyclodextrin](#)

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