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论著

硫酸长春新碱PLGA微球的制备及其性质

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摘要 摘要：目的 采用W/O/O溶剂挥发法制备硫酸长春新碱PLGA微球,评估添加剂碳酸锌对微球形态及药物释放速率的影响。方法 测定硫酸长春新碱在4种不同pH条件下的降解规律,选定适合药物体外释放最适宜介质条件。在微球制备过程中添加2种不同量 (w/w 5%、10%) 的碳酸锌,对其和不添加碳酸锌的硫酸长春新碱PLGA微球进行表征及体外释放测定。结果 碳酸锌可明显增加微球中药物的稳定性,36d的体外释放测定结果显示,添加碳酸锌的微球累积释药量都达到70%以上,而未添加碳酸锌的微球释药量仅为(54.2±1.1)%。添加10%碳酸锌对提高微球药物稳定性的作用优于5%碳酸锌。 结论 添加碳酸锌对于制备硫酸长春新碱PLGA微球是必要的,能改善药物在PLGA微球内部酸性微环境中的稳定性,明显减少其药物降解量。

关键词 硫酸长春新碱 微球 碳酸锌 稳定性

分类号

Preparation and Characterization of Vincristine Sulfate-loaded PLGA Microspheres

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Abstract ABSTRACT:Objective To prepare the vincristine sulfate(VCR) microspheres by W/O/O solvent evaparation method and evaluate the effect of zinc carbonate(ZnCO 3) on the morphology and release kinetics of the microspheres. Methods Degradation kinetic of VCR was tested in PBS of four different pH values at 37℃ to select the optimal incubation medium for in vitro release. Microspheres were made with or without ZnCO 3 (w/w 5% and 10%) in the polymeric phase. The properties and in vitro release profiles of the microspheres were examed. Results ZnCO 3 increased the stability of VCR in the PLGA microspheres. During the 36 days of in vitro release, the accumulative release of VCR from the microspheres reached >70% when added with ZnCO 3, and was (54.2±1.1)% when no ZnCO 3 was added. 10% ZnCO 3 showed superior effect than 5% ZnCO 3 in the stabilization of microspheres. Conclusions Adding ZnCO 3 is essential during the preparation of PLGA microspheres. It can remarkably improve the stability of drugs in the acid microenvironment inside PLGA microspheres and decrease the VCR degradation during incubation.

Key words vincristine sulfate microspheres zinc carbonate stability

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