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工业药剂学

异烟肼肺靶向微球的制备及性质研究

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摘要
目的 筛选制备异烟肼微球的最佳处方及工艺，并初步研究其粒径、外观形态及体外释放等性质。方法 采用复乳化溶剂挥发法制备异烟肼聚乳酸微球，通过正交实验设计优化异烟肼乳酸微球制备工艺。用电子显微镜观察微球表面形态，对所制备微球的平均粒径、载药量、包封率、体外释放进行研究。结果 异烟肼微球的最佳处方为：异烟肼的质量浓度为200 g?L-1，PLGA的质量浓度200 g?L-1，内水相与油相的体积比为1:5，PVA的质量浓度为20 g?L-1。异烟肼微球的形态圆整，平均粒径为10.24 μm，粒径在5～15 μm内的微球约占总数的85%，载药量为10.49%，包封率分别为61.56%。结论 筛选出了异烟肼微球较满意的制备工艺，有望达到肺靶向。

关键词 药剂学 微球 复乳溶剂挥发法 异烟肼 肺靶向
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Preparation and characterization of isoniazid microspheres for lung targeting

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Abstract

Objective To screen the formulation and preparation conditions of isoniazid microspheres and study the particle size ,surface morphology and the release characteristic in vitro. Methods Isoniazid microspheres were made by double emulsion solvent evaporation technique. The orthogonal test design was used to optimize conditions of preparation. The surface morphology of the microspheres was observed by SEM. The mean diameter and the size distribution of the microspheres, the drug loading, the entrapment efficiency and the release characteristics in vitro were examined. Results The isoniazid microspheres were regular in their morphology. The average particle size was10.24 μm with about 85% of the microspheres being in the range of 5-15 μm. The drug loading and the entrapment efficiency were 10.49 % and 61.56%, respectively. Conclusions The optimized preparation conditions of isoniazid microspheres is obtained. There is hope to make the microsphere targeting at lung.

Key words pharmaceutics microspheres double emulsion solvent evaporation technique isoniazid lung targeting
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