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论文

肺靶向利福平聚乳酸微球的研究

张万国;蒋雪涛;朱才娟;胡晋红

第二军医大学药学院;*第二军医大学长海医院药剂科,上海200433

摘要:

在单因素考察的基础上进行正交试验设计,筛选出肺靶向利福平聚乳酸微球的最佳制备工艺条件;利用桨板法研究了微球的体外释药规律;考察了微球在不同温度下的稳定性;用新西兰兔为实验对象,研究了利福平聚乳酸微球的体内药动学及组织药物分布。结果制得的微球形态圆整,粒径在5～15μm范围内的占总体积的86.54%,微球平均粒径为9.00±4.08μm;包封率为31.9%;载药量为16.0%;体外释药方程为$Q=20.77+10.12T_{1/2}$($\gamma=0.9892$);微球在冰箱4℃和室温(20～25℃)条件下性质稳定;体内实验表明微球具有长效和肺靶向双重作用。

关键词: 利福平 聚乳酸 微球 肺靶向

STUDY ON THE RIFAMPICIN POLYLACTIC ACID MICROSPHERES FOR LUNG TARGETING

Zhang Wanguo; Jiang Xuetao Zhu Caijuan and Hu Jinhong

Abstract:

In this paper, the effects of different variables on the preparation of polylactic acid microspheres (PLA-MS) were studied. The optimized preparation conditions of rifampicin polylactic acid microspheres (RFP-PLA-MS) were aquired through orthogonal test. The paddle method was used to study the drug release properties of RFP-PLA-MS. Stability of RFP-PLA-MS at different temperatures was also studied. Pharmacokinetic and tissue distribution of RFP-PLA-MS after intravenous administration were carried out in rabbits. The experiments revealed that the RFP-PLA-MS was regular in its morphology with a mean diameter of 9.00±4.08 μm.The drug loading was 16 0% and encapsulation efficiency was 31.9%. The release properties could be expressed by the following equation: $Q=20.77+10.12T_{1/2}$($\gamma=0.9892$). The RFP-PLA-MS was stable after stored at 4℃ and room temperature under desiccated condition for three months. RFP-PLA-MS showed a combination of lung targeting and sustained drug release in experiments on rabbits.

Keywords: Polylactic acid Microspheres Lung targeting Rifampicin

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作者简介:

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