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多柔比星长效注射微球的体外释放研究 点此下载全文

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摘要:

目的:考察多柔比星(Dox)微球的体外释放特性及药物在制备工艺和体外释放过程中的稳定性。方法:以乳酸-羟乙酸共聚物(PLGA)为载体材料,用改进的复乳法(W/O/W)制备载Dox长效注射微球;考察粒径大小、外观、包封率、载药量等理化性质;用紫外分光光度法检测了体外释放溶液中的药物含量,考察了微球的体外释药特性及影响因素;用高效液相色谱法评价了微球制备工艺和体外释放过程对Dox稳定性的影响。结果:微球球形圆整,分散性好,平均粒径为85μm,包封率为95.1%,载药量为14.8%。随着PLGA浓度的增加,W/O体积比的减小,微球释放速度减慢,突释效应减小。制备工艺对Dox的稳定性无明显影响,而Dox在体外释放过程中随着释放时间的延长逐渐有降解峰产生,10 d后降解峰面积占2.46%。结论:用复乳法制备载Dox微球,通过对PLGA浓度和油水体积比的调节,可以得到不同释放速度的微球。[

关键词: 多柔比星 微球体 聚乳酸-羟基乙酸共聚物 复乳法 药物稳定性

In vitro release behavior of doxorubicin-loaded injectable microspheres Download Fulltext

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Abstract:

Objective: To evaluate the in vitro release behavior of doxorubicin (Dox)-loaded microspheres and the stability of Dox during encapsulation process and in vitro release. Methods.. Dox-loaded microspheres were prepared by double emulsion (W/O/W) method with poly(lactic-co-glycolic acid) (PLGA) as the carrier material. The physical and chemical characteristics of mierospheres, including the mean diameter, morphology, drug entrapment efficiency and loading rate, were evaluated. The in vitro release behavior and its influencing factors were determined by ultraviolet spectrophotometry. Dox stability was evaluated by HPLC method during the encapsulation process and in vitro release. Results: The prepared microspheres had a complete spheric shape and dispersive quality. The mean diameter of the microspheres was 85 μm; the drug entrapment efficiency was 95.1%; and the loading rate was 14.8%. Releasing rate of the microspheres slowed down with the increase of PLGA concentration and the decrease of W/O value. The encapsulation process had no obvious effect on the stability of Dox, while Dox degraded during in vitro release as the prolongation of time. On day 10, the peak area of degraded material accounted for 2.46%. Conclusion: Dox can be encapsulated in the microspheres by double emulsion method and different release rates of Dox can be achieved by adjusting PLGA concentration and W/O volume ratio.[

Keywords: doxorubicin microspheres poly(lactide-glycolide acid) double emulsion method drug stability

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