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复乳化-溶剂挥发法制备小肽类药物的乳酸-羟基乙酸共聚物微球

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摘要
目的 以小肽类药物（ALPA）为模型药，制备其乳酸-羟基乙酸共聚物（polylactic-co-glycolic acid, PLGA）微球，考察处方因素对微球粒径和包封率的影响。方法 采用W/O/W复乳化-溶剂挥发法制备微球。用光学显微镜观察微球的形态、测定平均粒径，HPLC法测定药物包封率。结果 braintide-PLGA微球的平均粒径在20～30 μm之间。降低油相PLGA的质量浓度或增加外水相PVA和NaCl的质量浓度，微球的平均粒径减小。增加内水相中BSA的质量浓度、油相PLGA的质量浓度及外水相中PVA和NaCl的质量浓度均可使药物的包封率升高。结论 采用W/O/W乳化-溶剂挥发法可制备出粒径适宜，包封率较高的braintide-PLGA微球。

关键词 药剂学 微球 肽类药物 乳酸-羟基乙酸共聚物 包封率

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Preparation of PLGA microspheres containing small peptide as model drug by double emulsion-solvent evaporation method

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Abstract

ObjectiveTo prepare polylactic-co-glycolic acid (PLGA) microspheres containing small peptide (braintide) as model drug, and investigate the effect of formulation variables on the size and entrapment efficiency of the microspheres. Method The braintide-PLGA microspheres were prepared by W/O/W double emulsion-solvent evaporation method. The morphology and size distribution of the microspheres were observed by the optical microscope, and the entrapment efficiency was determined by HPLC. Results The average diameter of braintide-PLGA microspheres obtained was from 20 μm to 30 μm. The size of microspheres decreased with increasing PVA concentration and NaCl concentration in the external aqueous phase or decreasing PLGA concentration in the oil phase. The entrapment efficiency of microspheres increased with the increasing of the concentrations of BSA, PLGA, PVA and NaCl. Conclusions The braintide-PLGA microspheres can be prepared by double emulsion-solvent evaporation method with suitable particle size and high entrapment efficiency.

Key words pharmaceutics microspheres peptide PLGA entrapment efficiency

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