工业药剂学

布洛芬固体脂质纳米粒的制备及性质考察

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摘要
目的 为临床提供一种安全有效的布洛芬关节腔给药新剂型。方法 采用乳化分散-超声法制备布洛芬固体脂质纳米粒,以包封率为评价指标,进行正交实验筛选最优处方,并对最优处方的外观、粒径、zeta电位和体外释放度进行考察;以兔关节肿胀度和兔体内抗卵清蛋白抗体的效价为指标对药效学进行评价。结果 通过正交实验,用最优处方制得的纳米粒为均一球形,平均粒径为（134±18）nm,zeta电位为（-46.4±10.3）mV,包封率为88.74 %,48 h累积释放达92.33 %。药效学实验表明,布洛芬固体脂质纳米粒经关节腔注射后能显著抑制家兔关节肿胀和家兔体内抗卵清蛋白的抗体产生,其药效和自制布洛芬注射液疗效相当。结论 采用乳化分散-超声法制得的布洛芬固体脂质纳米粒与自制普通溶液型注射剂疗效相当,且具有缓释作用。

关键词　药剂学　固体脂质纳米粒　乳化分散-超声法　布洛芬　体外释放　药效学

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Preparation, characterization and pharmacodynamics of ibuprofen loaded solid lipid nanoparticles

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Abstract
Objective To prepare ibuprofen loaded solid lipid nanoparticles(IB-SLN) for intra-articular injection and investigate their properties and pharmacodynamics. Methods IB-SLNs were prepared by an emulsfication dispersion-ultrasonication method. An optimal formulation was gained by orthogonal experiment design based on the encapsulation efficiency (EE, %) value. Morphology, particle size, zeta potential and in vitro release of the SLN were investigated. Pharmacodynamics was evaluated by the joint swelling and the title of anti-ovalbumin antibody in rabbits. Results The optimized IB-SLNs were spherical and uniform under transmission electron microscopy (TEM).The mean particle size, zeta potential and EE were (134±18) nm, (-46.4±10.3) mV and 88.74 %, respectively. The in vitro release profile indicated that the accumulated release of ibuprofen reached up to 92.33 % within 48 h. Pharmacodynamic study demonstrated that IB-SLNs administered into the joint cavity could reduce the joint swelling and the titer of anti-ovalbumin antibody. Moreover, the efficacy of intra-articular injection of IB-SLN was equal to that of intra-muscular injection of ibuprofen solution. Conclusions IB-SLN could provide a prolonged effect compared to the self-made ibuprofen solution.

Key words　pharmaceutics　solid lipid nanoparticles　emulsification dispersion-ultrasonication　ibuprofen　in vitro release　pharmacodymanics

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