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论文

左炔诺孕酮-聚3-羟基丁酸酯缓释微球的研究

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摘要：

目的：优化制备工艺，用可生物降解的成球材料制备缓释并有优良抗生育效果的左炔诺孕酮-聚3-羟基丁酸酯微球。方法：以均匀设计优化微球的液中干燥法制备工艺，用DTA确证含药微球的形成，对微球的外观、粒径、载药量、体外释药、稳定性及小鼠体内抗生育等进行了研究。结果：微球平均粒径为64 μm，(28.7～85.8) μm的微球占总数90%以上，微球中氯仿残留量低于0.001%，体外释药符合Higuchi方程，释药$T_{1/2}$比原药延长约1.8倍，4，25及40℃(RH 75%)放置3个月稳定。对小鼠具有抗生育效果。结论：微球的制备工艺满意，与原药相比，微球对小鼠有明显的缓释、延长抗生育时间和降低毒性的作用。

关键词： 左炔诺孕酮-聚3-羟基丁酸酯；缓释给药系统；微球；抗生育

STUDIES ON SUSTAINED RELEASE MICROSPHERES OF LEVONORGESTROL-POLY 3-HYDROXYBUTYRATE

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Abstract:

AIM: To optimize the preparation with in-liquid drying method of sustained release microspheres of levonorgestrol-poly 3-hydroxybutyrate (LNG-PHB) with good anticonceptive effect using PHB as the biodegradable material. METHODS: Uniformed design was used to optimize the technology of preparation with good reproducibility. The appearance, particle size, $CHCl_3$ residue, drug content, drug release *in vitro*, stability and anticonceptive effect on mice of the obtained microspheres were examined. The formation of the drug microspheres was confirmed with differential thermal analysis. RESULTS: The average particle size was 64 μm with over 90% of the microspheres being in the range of 28.7～85.8 μm. The CHCl3 residue was lower than 0.001%, and the drug release behaviour *in vitro* could be descibed by Higuchi equation. The drug release T1/2 was prolonged 1.8 times compared with the original drug LNG. The microspheres were stable in 3 months and showed significant sustained release and anticonceptive effect in mice and much lower toxicity compared with the original drug. CONCLUSION: The technology of preparation was successful and the anticonceptive effect in mice was satisfactory with much lower toxicity as desired.

Keywords: sustained release drug delivery systems microspheres nticonception levonorgestrol-poly 3-hydroxybutyrate

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