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利培酮纳米混悬原位凝胶的制备与释药特性研究

Preparation and Release Study of Risperidone Nanosuspension in Situ Gel

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中文关键词： 利培酮 纳米混悬 原位凝胶 反溶剂沉淀法 体外释放

英文关键词：risperidone nanosuspension in situ gel anti-solvent precipitation method release in vitro

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中文摘要:

目的 制备利培酮纳米混悬原位凝胶剂并考察其体外释放行为。方法 采用反溶剂沉淀法，以粒径为指标，药物浓度(A)、二十二碳六烯酸浓度(B)、水相与油相的比例(C)及搅拌速度(D)为因素，采用正交设计法优化利培酮纳米混悬剂的处方及工艺；进一步制备利培酮纳米混悬原位凝胶剂并考察其体外释药行为。结果 优化处方及工艺为：A 5 mg·mL^{-1}，B 10 mg·mL^{-1}，C 1∶1，D 600 r·min^{-1}，所制备利培酮纳米混悬剂平均粒径176 nm，PI 0.19，Zeta 电位-22.4 mV，利培酮为棒状结晶，在4 ℃条件下，3个月内稳定性较好，且能够显著增加利培酮的体外溶出速率；含有20%泊洛沙姆407的纳米混悬凝胶剂中，30 d内利培酮累积释放度>90%，符合Higuchi释放模型。结论 利培酮纳米混悬处方及工艺简单易行，制剂稳定性较好，进一步制备的原位凝胶剂具有良好的缓释效果。

英文摘要:

OBJECTIVE To prepare risperidone nanosuspension in situ gel and investigate the release behavior of risperidone in vitro. METHODS Risperidone nanosuspension was prepared by anti-solvent precipitation method. Regarding the particle size as index, the formulation and preparation of risperidone nanosuspension was optimized by orthogonal test with the concentration of risperidone(A), the concentration of docosahexaenoic acid (B), the ratio of water phase to oil phase(C) and the roter speed (D) as factors. And the risperidone nanosuspension was characterized. Then risperidone

nanosuspension in situ gel was prepared and the release behavior of risperidone was studied. RESULTS The optimized formulation was as follows: A 5 mg·mL^{-1}, B 10 mg·mL^{-1}, C 1∶1, D 600 r·min^{-1}. The mean particle size of risperidone nanosuspension was 176 nm, PI 0.19, Zeta potential -22.4 mV. Under the scanning electron microscope, risperidone was nanocrystal with rod-like morphology. The stability of risperidone nanosuspension was preferable at 4 ℃ after 3 months. In vitro dissolution showed that compared to the rude material, the dissolution rate was improved markedly. In the nanosuspension in situ gel with 20% Poloxamer 407, the release behavior of risperidone conformed to the Higuchi model and the cumulated release was >90% in 30 d. CONCLUSION Risperidone nanosuspension with preferable stability is successfully prepared, and the further prepared nanosuspension in situ gel possesses favorable sustained-release effect.