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论文

新型抗血吸虫药物QH917自微乳化释药系统的优化和评价

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摘要：

筛选新型抗血吸虫药物QH917自微乳化释药系统的处方。以油相的用量(%)和表面活性剂与助表面活性剂的质量比(K_m)作为自变量，自乳化时间(t)、平均粒径(PS)和多分散系数(PI)作为因变量，采用星点设计——效应面法进行处方优化，模拟体内环境，考察了离子强度、食物、pH值、转速和介质体积对优化处方释放的影响，并采用大鼠在体小肠吸收试验评价了优化处方的吸收情况。结果表明，优化处方为：油相中链甘油三酸酯(MCT)的质量分数为30%～34%，表面活性剂聚氧乙烯40氢化蓖麻油(Cremophor RH40)与助表面活性剂乙醇的质量比为4.8～5.2。优化处方的释放行为基本不受介质环境的影响。大鼠在体小肠吸收试验表明胆管结扎与未结扎对吸收率无显著影响，个体间吸收行为差异性较小。以星点设计——效应面法对自微乳化释药系统的处方进行优化，预测性良好，优化处方体外释放和大鼠小肠吸收行为均比较稳定。

关键词： 抗血吸虫药物 星点设计——效应面法 自微乳化释药系统 在体小肠吸收

Optimization and evaluation of a new antischistosomal drug QH917 self-microemulsifying drug delivery system

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Abstract:

To screen a new poorly water-soluble antischistosomal drug QH917 self-microemulsifying drug delivery system which has steady release *in vitro* and absorption *in situ*separately. The formulation was optimized using central composite design-response surface methodology. Independent variables were oil content (%) and the weight ratio of surfactant and cosurfactant (K_m), while response variables were self-microemulsifying time (t), mean particle size (PS) and polydispersity index (PI). The effects of ionic strength, food, pH, rotation speed and medium volume on drug release of the optimized formulation were evaluated under conditions simulating *in vivo* physiological situations. The absorption of the optimized formulation was studied using *in situ*intestinal permeability technique of rats. The optimized formulation was as follows: the content of media chain triglyceride (MCT) was 30%-34% (*w*/*w*); and the weight ratio of surfactant polyoxyl 40 hydrogenated castor oil (Cremophor RH40) and co-surfactant ethanol was 4.8-5.2. Release of QH917 from the optimized formulation was nearly unaffected by ionic strength, food, pH, rotation speed and medium volume. There was no marked difference of the absorption rate between rats with and without ligated bile duct in rat intestinal permeability technique. Inter-individual variability in absorption of the optimized formulation was negligible. Central composite design-response surface methodology is an efficient approach for optimizing formulations of self-microemulsifying drug delivery system; drug release *in vitro* and absorption behavior *in situ*of the optimized formulation is steady.

Keywords: central composite design-response surface methodology self-microemulsifying drug delivery system *in situ*intestinal absorption antischistosomal drug

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