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论文

盐酸强力霉素缓释微丸的制备及药物动力学研究

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摘要:

本文采用膜控法制备盐酸强力霉素缓释微丸。实验表明,本品的体外溶出符合零级动力学过程(K_r^0=20.5 mg/h);贮存期为两年,胃刺激性明显低于普通片剂(p<0.001),体内动力学过程符合表观零级吸收与一级消除的单室模型。体内数据经NONLIN计算机程序处理,求得各项参数如下:K_a=58.08 mg/h,K=0.032 h^{-1},V_d=82.211,t_{max}=3.94 h,c_{max}=2.30μg/ml。按强力霉素的常规用药方案给药,本品与市售普通片生物等效。本品的体内外数据具有显著的相关性(p<0.001)。

关键词: 强力霉素 缓释微丸 刺激性 药物动力学 生物等效性

DEVELOPMENT AND PHAMACOKINETIC STUDY OF SUSTAINE DRELEASE DOXYCYCLINE HYDROCHLORIDE PELLETS

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Abstract:

Encapsulated sustained-release doxycycline hydrochloride pellets (SRDP) were developed. SRDP was designed so that drug release was through a diffusion rate controlling membrane constituting the pellet coat and thus could be used to reduce the gastrointestinal injuries in human induced by doxycycline (DX). SRDP showed drug liberation pattern which was best described by zero-order kinetic equation with release constant being 20.5 mg/h; A tentative two-year expiration date on SRDP was established; The new dosage form was shown to be significantly less irritative to gastric mucosa than a commercially available conventional tablet (CCT,) (p<0.001), and no more irritating than a placebo. The blood concentration-time course was demonstrated to fit a classical one-compartment model with apparent zero order absorption and first order elimination. The parameters were calculated based on the individual and average serum level data using a NONLIN computer program with mean values of k_a, k, Vd, t_{max} C_{max} being 58.08mg/h, 0.032h^{-1}, 82.21L, 3.94 h and 2.30 μg/ml, respectively. Information derived from observed data *in vivo* and the pharmacokinetic analysis suggested that during the usual therapeutic dosage regimens of DX, SRDH was bioequivalent to CCT. Moreover, *in vivo* drug availability well correlated with *in vitro* values.

Keywords: Sustained-release pellets Irritation Pharmacokinetics Bioequivalence Doxycycline

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