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论文

克林沙星在大鼠体内的药代动力学和生物利用度

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摘要：

目的 研究克林沙星在大鼠体内的药动学和生物利用度。方法 HPLC法测定大鼠ig和iv克林沙星后的血药浓度，计算药动学参数和生物利用度。色谱柱为C_{18}柱(5μm)，流动相为乙腈-0.05mol·L^{-1}柠檬酸三乙胺液(pH2.5) (20∶80),流速为1.0mL·min^{-1}，检测波长300nm。结果 克林沙星0.1-20μg·mL^{-1}呈良好线性关系，在大鼠体内的药动学过程符合一室模型，大鼠ig50和100mg·kg^{-1}后，C_{max}和AUC均与剂量呈正比，$T_{1/2}$与剂量无关；绝对生物利用度(*F*)为42%。结论 克林沙星50-100mg·kg^{-1}的吸收和消除呈一级动力学特征，在大鼠体内的生物利用度低。

关键词： 克林沙星 高效液相色谱法 药代动力学 生物利用度

PHARMACOKINETICS AND BIOAVAILABILITY OF CLINAFLOXACIN IN RATS

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Abstract:

AIM To study the pharmacokinetics and bioavailability of clinafloxacin in rats. METHODS The drug concentration was determined by HPLC. The main pharmacokinetic parameters were obtained by 3P87 program. An RP-C_{18} was used as the stationary phase. The mobile phase was a mixture of acetonitrile-0.05 mol·L^{-1} citric acid triethylamine (pH 2.5) (20∶80). The flow rate was 1.0 mL·min^{-1}. The UV absorbance detector was set at 300 nm. RESULTS A good linearity was obtained from 0.03-20 μg·mL^{-1} of clinafloxacin in rat plasma with γ=0.9998. The plasma concentration-time curve of clinafloxacin conformed to one compartment open model. After ig administration of 50 mg·kg^{-1}and 100 mg·kg^{-1} dose of clinafloxacin in six rats, mean C_{max} and AUC values increased in proportion to dose. Mean $T_{1/2}$ appeared to be independent of dose. Mean AUC was 65±6 and 27±4 μg·h·mL^{-1} respectively after iv and ig adminostration of 100 mg·kg^{-1} dose. The extent of bioavailability (*F*) of clinafloxacin was 42%. CONCLUSION The results of the pharmacokinetic study of clinafloxacin showed that it exhibited first order kinetic characteristics and the bioavailability is low.

Keywords: RP-HPLC pharmacokinetics bioavailability clinafloxacin

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