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间尼索地平控释微丸Beagle犬体内药动学研究

Pharmacokinetics of m-nisoldipine Controlled-release Pellets in Beagle Dogs

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英文关键词：m-nisoldipine HPLC-MS controlled-release pellets pharmacokinetics

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中文摘要：

目的 建立间尼索地平血药浓度的高效液相色谱-质谱联用方法，研究Beagle犬单剂量口服间尼索地平控释微丸的药动学。方法 用HPLC-MS法测定健康Beagle犬单剂量口服间尼索地平控释微丸和普通微丸的血药浓度，以DAS 2.0软件计算药动学参数。结果 单剂量给药后，控释微丸和普通微丸的t_{max}分别为(11.154±0.5077)h和(2.213±0.3225)h，C_{max}分别为(79.40±10.60)ng·mL^{-1}和(116.7±20.35)ng·mL^{-1}，AUC分别为(1227.8±296.0)ng·h·mL^{-1}和(867.8±146.7)ng·h·mL^{-1}，控释微丸的相对生物利用度为141.5%。结论 本方法准确、灵敏，间尼索地平控释微丸血药浓度平稳，可较长时间保持血药浓度。

英文摘要：

OBJECTIVE To establish a method of HPLC-MS for studying the pharmacokinetics of m-nisoldipine controlled- release pellets after a single oral administrations in Beagle dogs. METHODS To determine the plasma concentrations of m-nisoldipine controlled-release pellets and conventional pellets after a single oral administration in Beagle dogs by HPLC-MS. The pharmacokinetic parameters were calculated by DAS 2.0 software. RESULTS The pharmacokinetic parameters for the single oral administration of controlled-release pellets and conventional pellets were t_{max}(11.154±0.5077)h and (2.213±0.3225)h, C_{max}(79.40±10.60)ng·mL^{-1} and (116.7±20.35)ng·mL^{-1}, AUC(1227.8±296.0)ng·h·mL^{-1} and (867.8±146.7)ng·h·mL^{-1}, respectively. The relative bioavailability of controlled-release pellets was 141.5%. CONCLUSION The method of HPLC-MS is accurate and sensitive. The plasma concentration of m-nisoldipine controlled-release pellets is steady and the effective plasma drug concentration can be maintained for a longer time.

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