药学学报 2006, 41(1) 24-29 DOI: ISSN: CN:

论文

注射用灯盏花素脂质体在Beagle犬体内的药代动力学

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摘要：

目的制备灯盏花素脂质体，研究灯盏花素脂质体在Beagle犬体内的药代动力学。方法采用双周期交叉试验法，6只Beagle犬分别单剂量(以灯盏乙素计为28 mg/只)静脉注射自制灯盏花素脂质体和市售普通注射液，用反相高效液相色谱法测定不同时间血浆中灯盏乙素的浓度，采用3P97计算药代动力学参数，并进行统计学分析。结果脂质体和市售注射液的$T_{1/2}\alpha$分别为(4.4±0.7) min和(1.8±1.3) min；$T_{1/2}$<>分别为(55±27) min和(28±23) min；V_c分别为(1 580±265) mL和(2 460±2 200) mL；CL_s分别为(88±10) mL·min^{-1}和(324±69) mL·min^{-1}； AUC_{0-720}分别为(363±42) μg·min·mL^{-1}和(102±19) μg·min·mL^{-1}。两种制剂的$T_{1/2}\alpha$，CL_s及AUC_{0-720}经方差分析后均存在极显著或显著性差异。结论与市售普通注射液相比，灯盏花素脂质体Beagle犬静脉注射给药后，大大提高了血药浓度，显著改善了灯盏乙素原药的药代动力学性质，具有缓释作用。

关键词： 灯盏花素 灯盏乙素 脂质体 药代动力学 反相高效液相色谱法

Pharmacokinetics of breviscapine liposomes following intravenous injection in Beagle dogs

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Abstract:

AimTo prepare the breviscapine liposomes and study the pharmacokinetics of breviscapine liposomes in Beagle dogs. MethodsThe cross-over design (two periods) was employed. Six Beagle dogs were administrated a single intravenous dosage of 28 mg of breviscapine liposomes and reference preparation, respectively, scutellarin in plasma of 6 dogs at different sampling time was determined by RP-HPLC. The pharmacokinetic parameters were calculated by 3P97 program and compared by statistic analysis. ResultsThe mean concentration-time curves of breviscapine liposomes and reference preparation were both fitted to two-compartment model with the main pharmacokinetic parameters as follows: $T_{1/2}\alpha$ were (4.4±0.7) min and (1.8±1.3) min respectively; $T_{1/2}$<> were (55±27) min and (28±23) min respectively; V_c were (1 580±265) mL and (2 460±2 200) mL respectively; CL_s were (88±10) mL·min^{-1} and (324±69) mL·min^{-1} respectively; and AUC_{0-720} were (363±42) μg·min·mL^{-1} and (102±19) μg·min·mL^{-1} respectively. The $T_{1/2}\alpha$, CL_s and AUC_{0-720} of breviscapine liposomes all had significant difference from those of reference preparation, after the data were examined by a one-way analysis of variance (ANOVA). ConclusionCompared with the reference preparation, breviscapine liposomes had a much more higher concentration in plasma and contained characteristic of sustained-release, which ameliorated the pharmacokinetic properties of scutellarin.

Keywords: scutellarin liposome pharmacokinetics RP-HPLC breviscapine

收稿日期 2005-04-08 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者: 平其能

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