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论文

抗孕唑(DL-111-IT)在恒河猴体内药代动力学

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摘要:

恒河猴分别iv抗孕唑20%cremophorEL生理盐水液25,12.5及6.3mg·kg^{-1}后,用柱切换HPI(法测定给药后24h内各时间点的血药浓度,根据各猴血药浓度-时间数据拟合曲线,均呈二房室动力学模型.其Y1/2a分别为0.38h,0.20h及0.36h;$Y_{1/2}$β分别为6.60h,10.2h及10.1h;*V*(C)分别为5.30,1.26和1.48L·kg^{-1}.分别im抗孕唑茶油液50,25和12.5mg·kg-13种剂量后,同上测定血药浓度,各猴血药浓度一时间数据拟合曲线,均呈-房室动力学模型。其Ka分别为0.98h,1.03h及1.45h;Ke为0.42h,0.37h及0.59h;T1/2Ke为1.66h,1.90h及1.16h;T(peak)为1.52h,1.57h及1.09h。

关键词: 抗孕唑 药代动力学 高效液相色谱法

PHARMACOKINETICS OF CONTRAGESTAZOL(DL-111-IT),A NEW NON-STEROID ANTIFERTILITY AGENT IN MONKEYS

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Abstract:

The pharmacokinetics of contragestazol,an early pregnancy temperating agent 3-(2-ethylphenyl)-5-(3-methoxyphenyl)-1H-1,2,4-triazole,*DL*-111-IT]was studied in Rhesus monkey.The blood concentration of *DL*-111-IT was determined by coupled column system HPLCmethed,Using an aqueous vehicle(20% cremophor EL in saline)*DL*-111-IT was injectedintravenously to monkeys at doses of 25,12.5 and 6.3 mg·kg-1.Blood drug concentration weremeasured.Using a programmable calculator the calculated pharmacokinetic parameters were asfollows:α1.83h^{-1},4.71h^{-1} and 3.61 h^{-1};β0.15h-1,0.08h-1 and 0.09h-1;T1/2β6.63h,10.2 h and 10.1h;AUC 9.54 ug·h^{-1}·ml^{-1},3.94 ug·h-1·ml^{-1} and 3.75ug·h^{-1}·ml^{-1}.An oil solution of *DL*-111-IT was injected intramuscularly in monkeys at doses of 50,25 and12.5 mg·kg-1.Its blood concentrations were determined at 0.08,0.25,0.5,0.75,1,1.5,2,4,8,12 and 24 h after administration.From the time vs concentration curve,the pharmacokineticporameters obtained were as follows:Ka 0.98 h-1,1.03 h^{-1} and 1.45 h^{-1};Ke 0.42 h^{-1},0.37h^{-1} and 0.60 h^{-1};T1/2 Ke 1.66 h,1.90 h and 1.16 h;T(peak)1.52 h,1.57 h and 1.09 h;AUC 4.86ug·h^{-1}·ml^{-1},5.61 ug·h^{-1}·ml^{-1} and 1.74 ug·h^{-1}·ml^{-1}.

Keywords: Pharmacokinetics HPLC Contragestazol

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