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论文

炔诺酮肟(NETO)与炔诺酮(NET)在猴体内的药代动力学

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摘要:

利用HPLC分离和液闪测定放射性的方法,研究了恒河猴iv和鼻饲NETO和NET的药代动力学。鼻饲后NETO和NET吸收迅速,24 h内均基本消除。鼻饲或ivNETO后,一部分迅速转变为NET,一部分以原药形式存在。两药的血药浓度一时间曲线符合po或iv的二室开放模型。二原药的主要药代动力学参数无显著差异。NETO与NET的鼻饲绝对生物利用度分别为64.46±34.60与35.02±26.49%。

关键词: 炔诺酮肟 炔诺酮 恒河猴 药代动力学

PHARMACOKINETICS OF NORETHINDRONE-3-OXIME AND NORETHINDRONE IN RHESUS MONKEYS

GM Fu; MD Zuo; DZ Li. MY Zhou; XL Zhao; GP Wang; ZN Wei.; XL Wang and ZX Li

Abstract:

After intravenous administration or nasal feeding of $(6, 7-^3H.)$ -labelled norethindrone-3-oxime (NETO) or norethindrone (NET) to rhesus monkeys, the serum concentrations were determined by measuring the radioactivity after separation with HPLC. The serum concentrations of total extractable radioactivity were also measured without HPLC separation. In cases of nasal feeding, NETO and NET were quickly absorbed, and almost all of them were eliminated within 24 hours. NETO, when given via both routes, was partly metabolized to NET and partly remained in original form. The blood concentration-time curves for NETO and NET were adequately fitted to two compartment models. No significant difference in pharmacokinetic parameters between the two drugs was observed. The absolute bioavailability for NETO and NET were found to be $64.46 \pm 34.60\%$ and 35.02 + 26.49% respectively.

Keywords: Norethindrone Rhesus Monkey Pharmacokinetics Norethindrone-3-oxime

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