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论文

# 萘哌地尔在大鼠体内的药代动力学

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摘要:

为全面了解萘哌地尔(Naf)在大鼠体内的代谢过程,用反相HPLC-UV法,给大鼠ig10,20,30mg·kg$^{-1}$Naf后,测定在不同时间各组织和体液中Naf的含量。结果表明,Naf在大鼠体内药代动力学为二室模型,$T_{1/2}\alpha$为0.47～1.01h,$T_{1/2}\beta$为4.78～7.08h,达峰时间T(peak)为0.42～0.90h,Cmax,AUC随剂量升高而增大。给药后15min,肠壁组织浓度最高,其次为肝、肺;2h以后,除睾丸、卵巢和子宫外,其余组织药物浓度逐渐降低。尿、粪及胆汁中原形药总排出量不足给药量的1%,提示Naf在大鼠体内有首过效应及代谢物生成。在100～500mg·ml$^{-1}$浓度范围内,Naf血浆蛋白结合率为82%～97%。

关键词: 萘哌地尔 药代动力学 高效液相色谱法

## PHARMACOKINETIC PROFILE OF NAFTOPIDIL IN RATS

Yu Donghui; Wan Litao and Lou Yaqing

Abstract:

Naftopidil(Naf), a novel antihypertensive drug, was determined by HPLC-UV method. The plasma concentration and pharmacokinetics of naftopidil have been investigated in rats after single oral doses of 10, 20 and 30 mg·kg$^{-1}$. The drug was found to conform to a two compartment model. *T*p was in the range of 0.42 h to 0,90 h. $T_{1/2}\beta$ was 7.08 h after the 10 mg·kg$^{-1}$ dose, 4.78 h after the 20 mg·kg$^{-1}$ dose and 5.83 h after the 30 mg·kg$^{-1}$ dose. The *C*max, *AUC* and *CL/F* appeared to be dose dependent at the doses not higher than 20 mg·kg$^{-1}$. Naf was found in many tissues after a single oral dose of 20 mg·kg$^{-1}$. The top level tissues were intestine, liver and lung at 15 minutes after administration, while the utero ovarian tissue was the highest at 6 h. Naf can be extensively metabolized since the total excretion of the parent compound in urine and faeces was less than 1% of the dose. From 82% to 97% of Naf in plasma was shown to be bound to protein.

Keywords: Pharmacokinetics HPLC Naftopidil

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