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论文

# 奥拉西坦在大鼠和小鼠的药代动力学研究

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摘要：

目的： 研究奥拉西坦(ORC)在大鼠和小鼠的药代动力学。方法： 采用HPLC法测定生物样品中ORC浓度, 在大鼠和小鼠进行其药代动力学、吸收、分布、排泄试验。结果： 大鼠灌胃给ORC 100,200和400 mg.kg$^{-1}$后表明, 本品po吸收速率快，达峰时间短,药物自血清消除较快。小鼠1次ig给药100 mg.kg$^{-1}$后，80%以上药物在给药后3 h内由消化道消失;药物吸收后能分布到各种组织脏器， 给药后36 h累计尿排泄原形药物量约为药物剂量的80%; 蛋白结合率低,平均结合率为10.5%。结论： 奥拉西坦是一吸收快、消除快、主要以原形药物由尿排泄、蛋白结合率低的药物。

关键词： 奥拉西坦 药代动力学 蛋白结合率

## PHARMACOKINETICS OF OXIRACETAM IN RATS AND MICE

Liu Changxiao; Gu Yibao and Li Quansheng

Abstract:

AIM: To study the pharmacokinetics, absorption, distribution and excretion of oxiracetam(ORC) in rats and mice. METHODS: The drug concentration was determined by using an HPLC system with pre-treatment column for biological samples. RESULTS: The concentration-time curves in rat serum showed an open one-compartment model after ig doses of 100, 200 and 400 mg.kg-1. The half-life of absorption phase($T_{1/2ka}$) and peak time ($T$peak)were found to be 0.11～0.36 h and 0.79～1.41 h, respectively. The elimination half-life($T_{1/2ke}$) and mean residence time(MRT) were 3.18～4.05 h and 3.38～4.18 h, respectively. The mouse test with ig dose of 100 mg.kg$^{-1}$ showed that 80% of the administered dosage was eliminated from the gastro-intestinal tract 3 h after administration. One hour after dosing, ORC was widely distributed to various tissues and the tissue drug levels from high to low were heart, liver, kindey, brain, muscle, spleenm, intestine, stomach, testis, fat, lungs and ovary. ORC was excreted by about 80% of the administered dosage from urine within a 36 h period. Plasma protein binding ratio of ORC was found to be 10.5% at the concentration range of 50～200 μg.ml$^{-1}$. CONCLUSION: ORC is well absorbed when given orally and rapidly eliminated via the urine. The protein binding was found to be low.

Keywords: pharmacokinetics plasma protein binding ratio oxiracetam

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