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生物药剂学与药物动力学

替硝唑大鼠在体肠吸收动力学的研究

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摘要
目的 考察替硝唑大鼠在体肠吸收的动力学特征。方法：采用大鼠在体单向灌流法，利用HPLC法测定替硝唑的含量，研究替硝唑在小肠和结肠的吸收情况，并考查药物浓度对替硝唑吸收的影响。结果：小肠段与结肠段药物吸收速率常数之间存在显著性差异（P<0.05）；小肠段与结肠段表观吸收系数Papp均无明显差异（P>0.05）；质量浓度在1.5 mg·L-1和6 mg·L-1, ka和Papp无明显影响（P>0.05），而在质量浓度6 mg·L-1和15 mg·L-1, ka有显著性差异（P<0.05），Papp无显著性差异（P>0.05）。结论：替硝唑在全肠道均有吸收，且无特定吸收部位；替硝唑在结肠段的吸收存在自身浓度抑制作用，提示替硝唑吸收主要为主动转运机制。

关键词 药剂学 替硝唑 小肠吸收 单向灌流法 重量法

分类号 R94

In situ intestinal absorption kinetics of tinidazole in rats

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Abstract
Objective To study the in situ intestinal absorption kinetics of tinidazole in rats. Methods: The absorption of tinidazole in small intestine and colon of rats was investigated using in situ single-pass perfusion method and the drug content was measured by HPLC. The effects of drug concentration on the intestinal absorption were investigated. Results: The ka values of tinidazole in the small intestine and colon had significant difference (P<0.05). In contrast, the Papp values of tinidazole in the small intestine and colon had no significant difference (P>0.05). Drug concentration had no significant influence on the ka and the Papp values (P>0.05) in the range from 1.5-6 mg·L-1. However, significant effect on the ka value (P<0.05) was found in the concentration range of 6-15 mg·L-1, but no significant effect on the Papp value （P>0.05）was found.Conclusion: Tinidazole could be absorbed at all segments of the intestine in rats and had no special absorption window. The saturated absorption phenomenon was observed, suggesting that tinidazole could be absorbed by active transport mechanism.

Key words pharmaceutics tinidazole intestinal absorption single-pass intestinal perfusion gravimetric method

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