

论文

pH值对马来酸噻吗洛尔角膜透过性的影响

魏刚;徐晖;马英;李三鸣;郑俊民

沈阳药科大学 1.药理学系, 2.基础部, 辽宁 沈阳110016; 3.东宇工业技术研究院,辽宁沈阳110004

摘要:

目的 研究pH值对马来酸噻吗洛尔(TM)角膜透过性的影响,为其处方设计提供理论依据。方法 测定TM的表观油水分配系数(DC<sub>app</sub>)。用体外扩散实验考察各种pH值条件下离体兔眼角膜对TM的透过性。结果 由DC<sub>app</sub>求得TM的分配系数和pKa分别为63.63和9.17。在生理介质中, TM的表观透过系数为1.43×10<sup>-5</sup>cm·s<sup>-1</sup>。随着pH值由6.65升高至9.20, TM透过角膜的滞后时间缩短到1/20, 累积透过量增加1.3倍。计算得到游离型噻吗洛尔的角膜透过系数为解离型的3.3倍。结论 噻吗洛尔主要以分子状态经细胞内途径透过角膜,且角膜上皮是其扩散的主要屏障。

关键词: 马来酸噻吗洛尔 角膜透过性 pH值

EFFECT OF pH ON THE PERMEABILITY OF TIMOLOL MALEATE ACROSS ISOLATED RABBIT CORNEA

WEI Gang; XU Hui; MA Ying; LI San-ming; Zheng Jun-min

Abstract:

AIM To study the effect of pH on the transcorneal permeability of timolol maleate (TM). METHODS The apparent distribution coefficients of TM were determined. The permeability of TM across isolated rabbit cornea was measured using *in vitro* method at various pH. RESULTS The partition coefficient and pKa of TM were 63.63 and 9.17 respectively. At neutral pH, the apparent permeation coefficient of TM was 1.3×10<sup>-5</sup>cm·s<sup>-1</sup>. When the pH varied from 6.65 to 9.20, the cumulative amount for timolol transcorneal penetration increased 1.3 times and the lag time decreased more than 19-folds. The calculated permeability coefficients of ionized and un-ionized timolol were 1.29×10<sup>-5</sup>cm·s<sup>-1</sup> and 4.22×10<sup>-5</sup>cm·s<sup>-1</sup>, respectively. CONCLUSION Timolol penetrated corneal membrane mainly as free base by intracellular pathway, and corneal epithelium was the rate-limiting barrier.

Keywords: corneal permeability pH timolol maleate

收稿日期 2001-02-12 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

参考文献:

本刊中的类似文章

文章评论 (请注意:本站实行文责自负, 请不要发表与学术无关的内容!评论内容不代表本站观点.)

扩展功能

本文信息

- ▶ Supporting info
- ▶ PDF(136KB)
- ▶ [HTML全文]
- ▶ 参考文献

服务与反馈

- ▶ 把本文推荐给朋友
- ▶ 加入我的书架
- ▶ 加入引用管理器
- ▶ 引用本文
- ▶ Email Alert
- ▶ 文章反馈
- ▶ 浏览反馈信息

本文关键词相关文章

- ▶ 马来酸噻吗洛尔
- ▶ 角膜透过性
- ▶ pH值

本文作者相关文章

- ▶ 魏刚
- ▶ 徐晖
- ▶ 马英
- ▶ 李三鸣
- ▶ 郑俊民

PubMed

- ▶ Article by
- ▶ Article by
- ▶ Article by
- ▶ Article by
- ▶ Article by

反馈人

邮箱地址

反馈  
标题

验证码

1373