中国实验方剂学杂志
China Journal of Experimental Traditional Medical Formulae

复方盐酸小檗碱结肠定位片在大鼠体内的释药行为研究

投稿时间： 2012-04-08 点此下载全文

引用本文：邹海艳,李朝霞,王玉蓉,王地.复方盐酸小檗碱结肠定位片在大鼠体内的释药行为研究[J].中国实验方剂学杂志,2012,18(19):132~136

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基金项目:国家"十一"五科技支撑计划项目(2006BAI09B08-14)

中文摘要:目的:研究复方盐酸小檗碱结肠定位片在大鼠体内的释药行为,评价其结肠定位释药特性。方法:分别将复方盐酸小檗碱结肠定位片(Φ=3mm)和盐酸小檗碱混悬液大鼠灌胃给药,以巴马汀为内标,采用HPLC分别测定大鼠血浆和各段胃肠道组织中盐酸小檗碱的含量,分析22h内各时间点药物在胃肠道组织中的分布和血浆药物浓度,计算药物的相对靶向释药指数(DDI)。结果:盐酸小檗碱在血浆和胃肠道组织中的线性范围分别为0.02~0.40mg·L^{-1}(r>0.998)和0.05~10.0mg·L^{-1}(r>0.999);复方结肠定位片组药物释放行为具有明显的时滞,药物主要分布于大鼠盲肠和结肠组织,结肠组织的C_{max}和AUC_{0-22h}分别为混悬液组的3.1,3.6倍,大鼠胃、近端小肠、远端小肠、盲肠和结肠组织的DDI值依次为0.00,0.23,1.17,2.36,8.55。结论:复方盐酸小檗碱结肠定位片具有较好的结肠定位释药特性。

中文关键词:复方结肠定位片 盐酸小檗碱 组织分布 相对靶向释药指数

Study on Release Characteristics of Compound Berberine Hydrochloride Colon Specific Tablets in Rats

Abstract:Objective: To evaluate the release characteristics of compound berberine hydrochloride colon specific tablets in rats. **Method:**The rats were administrated orally with the colon-specific tablets of diameter 3 mm and berberine hydrochloride (BH) suspension respectively. After administration, the rat's plasma and gastrointestinal tissues were collected at different time points within 22 h. The berberine in biosamples was determined by HPLC, using palmatine as internal standard. Drug delivery index (DDI) was calculated. **Result:**BH in plasma and gastrointestinal tissues showed good linear relationship in the range of 0.02-0.40 mg·L^{-1} (r>0.998) and 0.05-10.0 mg·L^{-1} (r>0.999) respectively. The release of BH in tablets mainly distributed in rat's caecum and colon and showed an obvious lag time. The value of $C_{max}$and $AUC_{0-22\,h}$ in rat's colon were 3.1 times and 3.6 times of BH suspension group respectively. The DDI of the tablets was 0.00, 0.23, 1.17, 2.36, 8.55 in the rats' gastric, proximal and distal small intestinal, caecal, colonic tissue, respectively. **Conclusion:**The compound berberine hydrochloride tablet is a carrier for targeting the drug to the colon.

keywords:compound colon specific tablets berberine hydrochloride tissue distribution drug delivery index

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