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论文

糖皮质激素前体药在大鼠胃肠道中定位转释的药代动力学

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摘要：

目的探讨以葡聚糖(平均分子量26万)为载体的地塞米松前体药在大鼠胃肠道内的转释特性。方法前体药按5μmol·kg^{-1}地塞米松(Dex)给大鼠ig，采用HPLC监测前体药在大鼠胃肠道不同部位释放出Dex的动力学过程及血药浓度。结果前体药ig后，Dex集中分布在盲肠和结肠内容物及粘膜中，C_{peak}为32μg·L^{-1}；Dexig后,主要分布在胃、小肠近端及远端内容物和粘膜中，C_{peak}为2120μg·L^{-1}。结论该前体药可将Dex特异地转运到结肠和盲肠，是一种治疗炎症性肠病的潜在药物。

关键词： 前体药 地塞米松 葡聚糖 药代动力学

PHARMACOKINETICS OF SITE-SPECIFIC DELIVERY OF DEXAMETHASONE-DEXTRAN PRODRUG IN RAT GASTROINTESTINAL TRACT

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Abstract:

AIM To explore whether dexamethasone-dextran (260 000) has the characteristics of site-specific delivery in rat gastrointestinal tract. METHODS Dexamethasone prodrug and dexamethasone were administered to rat ig at the dose of 5 μmol·kg^{-1}. The distribution of dexamethasone in the contents and mucosa of different parts of the rat GI tract at different time intervals and its concentration in plasma were determined by HPLC. RESULTS Dexamethasone was mainly released in the cecum and colon contents and mucosa after oral administration of dexamethasone prodrug. The absorption was reduced significantly. The peak time of the drug in plasma was 8.1 h, and the peak concentration was 32 μg·L^{-1}. However, free dexamethasone was found mainly in the contents and mucosa of the stomach, proximal and distal small intestine. The peak time of the drug in plasma was 2.2 h, and the peak concentration was 2120 μg·L^{-1}. CONCLUSION Dexamethasone can be specifically delivered to the large intestine by using dexamethasone-dextran (260 000). It appears that the prodrug has a potential in the treatment of inflammatory bowel disease.

Keywords: dexamethasone dextran pharmacokinetics prodrug

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