

论文

酶依赖结肠靶向地塞米松-葡聚糖酯及其片剂的研究

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摘要:

目的 研制口服结肠定位释放药物的地塞米松 葡聚糖酯及其片剂。方法 通过丁二酸酐搭桥,合成地塞米松 葡聚糖酯前体药物;紫外光谱、红外光谱、核磁共振谱和质谱法鉴定结构;湿法制粒,普通压制法制备片剂;HPLC法测定体内外地塞米松的含量。结果 合成的地塞米松葡聚糖酯为目标化合物,制得的地塞米松葡聚糖酯片剂在结肠释放出大部分原药。结论 达到了设计目标,有进一步开发研究价值

关键词: 地塞米松葡聚糖酯 地塞米松 前体药物 结肠靶向给药系统 高效液相色谱法

STUDY ON THE ENZYME DEPENDANT COLON TARGETING PRODRUG——DEXAMETHASONE SUCCINATE DEXTRAN AND ITS TABLETS

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Abstract:

AIM To develop an orally administered colon targeting drug delivery system dexamethasone succinate dextran (DSD) tablets. METHODS Dexamethasone succinate dextran was synthesized in an anhydrous environment. Using 4-dimethyl aminopyridine and 1,1'-carbonyldiimidazole as the catalyzer. The chemical structure was identified by UV, IR, NMR and MS. The contents of dexamethasone in various samples were determined by HPLC. RESULTS Dexamethasone was distributed mainly in plasma and gastric contents after the oral administration of common tablets. In contrast, after oral administration of DSD tablets, the recovery of dexamethasone in plasma and gastric contents decreased significantly, while the percentage of dexamethasone in cecum and colon increased obviously. CONCLUSION The experimental results showed the good colon targeting property of DSD prodrug compared with free dexamethasone.

Keywords: dexamethasone prodrug colon targeting drug delivery system HPLC dexamethasone succinate dextran

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