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论文

pH依赖-时滞型5-FU微丸大鼠结肠定位释药研究

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摘要:

目的 验证pH依赖-时滞型5-氟尿嘧啶(5-FU)微丸结肠定位释放效果。方法 大鼠灌胃pH依赖-时滞型5-FU微丸,于不同时间取出大鼠消化道不同部位的微丸,通过扫描电镜观察微丸表面形态,采用UV法测定微丸中5-FU的含量,采用HPLC法测定大鼠结肠内容物中5-FU的含量,考察微丸在消化道内不同部位、不同时间的释药性。结果 大鼠口服pH依赖-时滞型5-FU微丸后,在进入盲肠之前,从上消化道中解剖得到的微丸含量均大于95%;5~16h在结肠内容物中可检测到5-FU,其含量最高可达到给药剂量的84.26%。结论 pH依赖-时滞型包衣微丸提高了结肠局部的药物浓度,实现了5-FU口服结肠定位的效果。

关键词: 5-氟尿嘧啶; pH依赖-时滞型微丸; 结肠定位给药系统

Colon-specific drug release from pH- and time-based pellets of 5-fluorouracil in rats

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Abstract:

Objective To evaluate colon-specific release properties of pH-and time-based pellets of 5-fluorouracil(5-FU) in vivo. Methods pH-and time-based colon-specific 5-FU pellets were administered to rats by gavage. The rats were sacrificed at different time, and the pellets in different regions of the gastrointestinal tract were collected. Then the morphology of pellets was observed by a scanning electron microscopy, and contents of 5-FU in the pellets and the colonic content were determined by UV and HPLC, respectively. The release characteristics of pellets in different regions of the gastrointestinal tract at different time were evaluated. Results The content of 5-FU was over 95% in pellets collected in the upper gastrointestinal tract before arriving at the cecum. Content of 5-FU could be detected in the colonic content between 5h and 16h, and was up to 84.26% of the total dosage. Conclusion Drug concentration of pH- and time-based 5-FU colon-specific pellets is enhanced in the colon, and the colon-specific effect is exerted by oral administration.

Keywords: 5-Fluorouracil; pH- and time-based pellets; Colon-specific drug delivery system

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