

论著

载5-FU纳米微粒抗肿瘤的实验研究

黄开红¹,刘建华¹,王凌云¹,朱兆华^{1△},陈其奎¹,闵军²,陈汝福²

中山大学附属第二医院 1 消化内科,2 普通外科,广东 广州 510120

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摘要 目的: 研究抗癌药5-FU纳米控释静脉注射微粒的制备工艺及其体内外抗肿瘤作用。方法: 以聚乳酸(PLA)作为基质材料,采用超声乳化-溶剂挥发法制备PLA包载5-FU的纳米微粒(5-FU-NPs)。扫描电镜观察5-FU-NPs形态,通过激光光散射实验测定5-FU-NPs的粒径分布。利用高效液相色谱(HPLC)测定5-FU-NPs的载药率,以MTT方法检测5-FU-NPs体外杀伤癌细胞效应,用5-FU-NPs不同剂量、给药频度条件下体内抑瘤实验。结果: 电镜观察5-FU-NPs为表面光滑的球形微粒,粒径分布平均值是191.1 nm,呈正态分布。5-FU-NPs载药率为15.2%。体外MTT实验提示5-FU-NPs作用明显优于5-FU(P<0.05)。体内抑瘤实验表明:5-FU-NPs间隔给药疗效优于未包载药物每日给药的疗效,量-效关系明显,且毒性减低。结论:5-FU-NPs可以作为5-FU的有效载体,实现药物控制释放并减低毒性,发挥药物更佳的抗肿瘤作用。

关键词 [纳米微粒](#); [氟尿嘧啶](#); [肿瘤](#); [缓释作用](#)

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Experimental study of 5-fluorouracil loaded polylactic acid nanoparticles control-releasing preparation on tumor

HUANG Kai-hong¹,LIU Jian-hua¹,WANG Ling-yun¹,ZHU Zhao-hua¹,CHEN Qi-kui¹,MIN Jun²,CHEN Ru-fu²

1 Institute of Gastroenterology,2 Department of General Surgery,The Second Affiliated Hospital of Sun Yat-sen University,Guangzhou 510120,China.E-mail: huangkaih@21cn.com

Abstract

AIM: To investigate the preparation techniques and anti-tumor effects both in vitro and in vivo of a novel nanoparticles control-releasing preparation of 5-fluorouracil (5-FU) by intravenous injection.
METHODS: With polylactic acid (PLA) as matrix materials,we adopted ultrasound emulsification method to prepare PLA enveloped 5-FU nanoparticles (5-FU-NPs).Scanning electric microscopy was used to observe the morphology of 5-FU-NPs and laser optical scattering experiment was conducted to determine its diameter distribution.The drug-carrying capacity (ratio) of the nanoparticles was determined by means of high-power liquid chromatography(HPLC) and MTT test was used to observe cytotoxicity in vitro.The anti-tumor effects were determined at different dosages,frequencies of taking drugs in vivo.
RESULTS: Scanning electron microscopy showed that the 5-FU-NPs were globular particles with smooth surface in an average particle diameter of 191.9 nm with a normal distribution,and the drug-carrying capacity of 5-FU-NPs was 15.2%.5-FU-NPs had the same anti-cancer effect as unenveloped drug in vitro and showed typical dose-effect relationship.Compared to naked 5-FU,5-FU-NPs presented significant difference (P<0.05) in anti-cancer effect.
CONCLUSION: Nanoparticles may serve as effective carrier for controlled release of 5-FU,which lead to reasonable administration of 5-FU with less toxicity.

Key words [Nanoparticles](#) [Fluorouracil](#) [Neoplasms](#) [Sustained-release](#)

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