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论文

喜树碱固体脂质纳米粒的研究

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摘要：

目的：为提高喜树碱的疗效，降低毒副作用，制备了该药的固体脂质纳米粒。方法：采用热融分散技术制备了喜树碱固体脂质纳米粒，反相高效液相色谱—荧光检测法测定了体外和体内喜树碱的浓度。结果：纳米粒平均粒径为d_{ln}=196.8 nm，载药量为4.8%，包封率为99.5%，表面带有负电荷，在pH 7.4的磷酸缓冲溶液中体外释药符合Weibull方程。以喜树碱溶液为对照组，Poloxamer 188包衣的喜树碱固体脂质纳米粒静脉注射后药物在血液中的滞留时间显著延长，小鼠脑、心、肝、脾、血浆、肾和肺中的分布显著增加。结论：喜树碱固体脂质纳米粒在体内具有良好的靶向性，对提高药物的疗效，降低药物毒副作用等方面有重大意义。

关键词： 喜树碱；固体脂质纳米粒；靶向性

STUDIES ON CAMPTOTHECIN SOLID LIPID NANOPARTICLES

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Abstract:

AIM: To improve the treatment efficacy and reduce the side effect of camptothecin (CA), the antitumor drug was incorporated into solid lipid nanoparticles (SLN). METHODS: An innovative, injectable camptothecin preparation of solid lipid nanoparticles (CA-SLN) was prepared by hot dispersion technique. Concentrations of camptothecin in plasma and various organs were determined by reversed phase high performance liquid chromatography with fluorescence detection. RESULTS: The characteristic data showed that the mean particle size of the prepared CA-SLN was d_{ln}=196.8 nm, drug loading was 4.8%, entrapment efficiency was 99.5%, and the particles carried negative charge. In pH 7.4 phosphate buffer solution, the *in vitro* release characteristics were well in accord with Weibull distribution. Compared with camptothecin control solution, CA-SLN coated with Poloxamer 188 showed high concentration in brain, heart, liver, spleen, lung, kidney and plasma, leading to a prolonged plasma mean residence time (MRT). CONCLUSION: The results indicated that CA-SLN have a good targeting efficiency $_{in\ vivo}$, and the biodegradable CA-SLN may decrease the camptothecin side effects and improve its treatment efficacy.

Keywords: solid lipid nanoparticles targeting camptothecin

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