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工业药剂学

顺铂固体脂质纳米粒的制备及其在大鼠体内分布

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摘要
目的 制备顺铂固体脂质纳米粒(CDDP–SLN)，并考察其在大鼠体内的分布情况。方法 采用乳化分散-超声法制备顺铂SLN，以包封率和外观为评价指标，进行正交实验筛选最优处方，并考察其形态、粒径和zeta电位。建立起HPLC柱前衍生化法作为顺铂在体内的分析方法，进行大鼠体内组织分布研究。结果 通过正交筛选，得到的最优处方在透射电子显微镜(TEM)下呈均一球形，平均粒径为（125±17）nm，zeta电位为（－46.4±10.3）mV，包封率为63.4%。在大鼠体内组织分布实验表明，CDDP–SLN在肝脏内浓度最高，其次是血和肾，在肺中浓度最低。结论 用本工艺和处方制备的顺铂固体脂质纳米粒质量良好，在大鼠体内具有肝靶向性。

关键词 药剂学 固体脂质纳米粒 乳化分散-超声法 顺铂 体内分布 靶向性

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Preparation and tissue distribution of cisplatin loaded solid lipid nanoparticles in rats

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Abstract
Objective To prepare cisplatin loaded solid lipid nanoparticles (CDDP-SLNs) and investigate its tissue distribution in rats. Methods CDDP–SLNs was prepared by an emulsification dispersion –ultrasonication method. The optimal formulation was obtained by orthogonal experiment design based on the encapsulation efficiency (EE%) and appearance. Morphology, particle size and zeta potential of the SLNs were investigated. A precolumn derivatization HPLC method was established to measure cisplatin content in different tissues. Tissue distribution study was carried out in rats. Results The optimized SLNs were spherical and uniform under transmission electron microscopy (TEM). The mean particle size, zeta potential and EE% were (125±17) nm, (–46.4±10.3) mV and 63.4%, respectively. Tissue distribution study indicated that after intraveneous administration of CDDP-SLN, CDDP concentration was the highest in the liver, followed by plasma and kidney, and it was the lowest in lung. Conclusion CDDP-SLN prepared by this technology exhibited high quality and liver targeting effect in rats.

Key words pharmaceutics solid lipid nanoparticles emulsification dispersion–ultrasonication cisplatin tissue distribution targeting effect

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