

磷脂种类对多烯紫杉醇脂质体大鼠体内药物动力学的影响

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摘要

目的 使用不同磷脂制备多烯紫杉醇脂质体, 研究磷脂的种类对多烯紫杉醇脂质体大鼠体内药物动力学的影响。方法 大鼠随机分为3组, 分别尾静脉注射游离多烯紫杉醇 (docetaxel, 10 mg·kg⁻¹)、多烯紫杉醇脂质体A (豆磷脂制备, DOC-L) 和多烯紫杉醇脂质体B (Lipoid HSPC制备, DOC-HL), 于不同时间点采血, HPLC法测定其血浆药物浓度, 应用3p97 药物动力学程序拟合, 求算药物动力学参数。结果 Docetaxel、DOC-L和DOC-HL大鼠静脉注射给药, 体内表观消除半衰期分别为26.1、39.0、71.6 min, 药时曲线下面积 (AUC) 分别为194.6、306.2、1 033.4 mg·min·L⁻¹。可见脂质体组药物体内表观消除半衰期显著延长, 分别是游离药的1.5 倍和2.7 倍, AUC显著提高。DOC-L与DOC-HL相比, DOC-HL体内消除半衰期延长, AUC显著提高, 清除率显著下降。结论 不同磷脂制备的脂质体的体内消除特征有明显差异, 氢化磷脂制备的多烯紫杉醇脂质体的体内消除半衰期显著长于豆磷脂制备的脂质体。脂质体可显著改变多烯紫杉醇体内的药物动力学特征。

关键词 [药剂学](#) [脂质体](#) [药物动力学](#) [多烯紫杉醇](#) [磷脂种类](#)

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Influence of phospholipid type on the pharmacokinetics of docetaxel liposomes in rats

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Abstract

Objective To investigate the influence of phospholipid type on the pharmacokinetics of docetaxel liposomes in rats. Methods Docetaxel injection, docetaxel liposomes A (prepared with natural phospholipid, DOC-L) and docetaxel liposomes B (prepared with Lipoid HSPC, DOC-HL), were intravenously administrated to rats at a dose of 10 mg·kg⁻¹, respectively. Plasma concentrations of docetaxel at different time points were measured by HPLC. Pharmacokinetic parameters were evaluated with program 3p97. Results The apparent elimination half life (t_{1/2β}) of docetaxel injection, DOC-L and DOC-HL were 26.1 min, 39.0 min, 71.6 min respectively, and the area under the plasma concentration time curve (AUC) were 194.6 mg·L⁻¹·min, 306.2 mg·L⁻¹·min, 1033.4 mg·L⁻¹·min, respectively. t_{1/2β} of DOC-L and DOC-HL were 1.5 and 2.7 times higher than that of docetaxel injection, respectively. Moreover, compared to the docetaxel injection group, the AUC of both DOC-L and DOC-HL groups increased significantly, and the latter was 3 times larger than the former. Conclusion Liposomes prepared with different type of phospholipid had significantly different distribution and elimination in vivo. Compared with DOC-L, DOC-HL had a much lower elimination rate in rats.

Key words [pharmaceutics](#) [liposome](#) [pharmacokinetics](#) [docetaxel](#) [phospholipids type](#)

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