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生物药剂学与药物动力学

紫杉醇亚微乳注射液在大鼠体内药动学及组织分布研究

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摘要

目的 研究自制紫杉醇亚微乳注射液(PSME) 在大鼠体内的药动学及组织分布情况。方法 以市售紫杉醇注射液(安效TM)为参比，研究紫杉醇亚微乳注射液在大鼠体内的药动学及组织分布情况；以 3p87 软件计算药动学模型；以统计矩法计算药动学和组织分布动力学参数；以相对摄取率(re)来评价紫杉醇在大鼠体内的组织分布及靶向性。结果 PSME 的平均粒径为(135.5±47.7) nm，Zeta 电位为－39.10 mV；两种紫杉醇制剂在大鼠体内的药动学过程均符合双隔室模型，药动学参数均无显著性差异(n=6, P＞0.05)。在大鼠肝、脾、肺、肾中，PSME 组相对于市售紫杉醇注射液组的 re值分别为 1.25、 1.28、1.24、1.33。结论 制备的紫杉醇亚微乳注射液与市售紫杉醇注射液具有相似的大鼠体内药动学过程，在肝、脾、肺、肾仅有轻微蓄积，没有显著改变药物在大鼠体内的组织分布。

关键词 药剂学 紫杉醇 亚微乳 药动学 组织分布

分类号 R94

Pharmacokinetics and tissue distribution of paclitaxel submicro-emulsion injection in rats

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Abstract

Objective To study the pharmacokinetics and tissue distribution of paclitaxel submicro-emulsion injection (PSME) in rats. Methods High pressure homogenization method was used to prepare PSME. The commercial paclitaxel injection was used as a reference to evaluate the pharmacokinetics and tissue distribution of PSME. The 3p87 computer program was used to analyze the pharmacokinetic model, and the pharmacokinetic parameters were calculated using the statistical moment method. The relative tissue exposure values (re) were used to evaluate the tissue targeting of PSME. Results The mean particle size and Zeta potential of PSME were (135.5±47.7) nm and -39.10 mV , respectively. The pharmacokinetic data obtained with both preparations fitted a two-compartment model, and the main pharmacokinetic parameters exhibit no statistically significant difference (n=6, P >0.05). Compared to the commercial paclitaxel group, the re values of liver, spleen, lung, kidney in PSME group were 1.25, 1.28, 1.24 and 1.33 , respectively. Conclusions The PSME had a similar pharmacokinetic characteristics as the commercial paclitaxel injection. There is only a little accumulation of PSME in liver, spleen, lung and kidney without remarkable influence on the tissue distribution of paclitaxel in rats.

Key words pharmaceutics paclitaxel submicro-emulsion pharmacokinetics tissue distribution

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