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Pharmacokinetics and Tissue Distribution of Cefquinome Sulfate in Rats After I.V. Administration of Liposomal and Injectable Formulations

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***SUMMARY*. A novel cefquinome sulfate liposome (CSL) was prepared by a solid dispersion and effervescent techniques. A simple and sensitive HPLC method was established and validated for the determination of cefquinome sulfate (CS) in rat plasma and tissue samples. The analysis method was successfully applied to pharmacokinetics and tissue distribution studies of CSL and CS injection solution (CS-S) after i.v. administration to the rats. Following administration, CSL showed significant improvement of $t_{1/2\beta}$, and MRT $_{0\text{-}\infty}$ ($P < 0.01$) compared with those of CS-S. And The $t_{1/2\beta}$, $AUC_{0\text{-}\infty}$ and MRT(0-∞) markedly increased by about 2.30-fold, 1.76-fold and 2.15-fold, respectively. The drug concentration in all tissues decreased with respect to CS-S, except in the lung and liver. A max drug level of 14.81 ± 2.16 μg/mL was gained at 0.5 h after i.v. administration and also decreased much slower, result in a longer action time. All these results demonstrated that CS making into liposome formulation had palpable characteristics of sustained-release, as a result of prolonging the duration of drug concentration, reducing drug given bits and enhancing therapeutic efficiency. To further evaluate the targeting property of liposomal CS, we investigated RE, TE and Ce of the two formulations. It can be found that RE, TE and Ce for CSL in lung were 8.86, 2.61, and 1.61, respectively, which were the highest among other tissues, indicating a special scattering in lung.**

KEY WORDS: Cefquinome sulfate, Liposome, Lung targeting, Pharmacokinetics, Tissue distribution.

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\# Qiang Fu and Hua-lin Fu contributed equally to this work.
\## Luo Huan provides part of animal experiments

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