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Pharmacokinetics and relative bioavailability of lomefloxacin preparations in 10 healthy Chinese volunteers

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ABSTRACT The pharmacokinetics of lomefloxacin tablet and capsule were determined following a single oral dose of 400 mg given to each of 10 Chinese healthy male volunteers in an open, randomized crossover study. Drug concentrations in plasma were assayed by HPLC method. The peak levels in plasma averaged 6.0±1.3 and 5.9±1.0 $\mu g \cdot ml^{-1}$ at 1.3 ±0.4 and 1.2±0.4 h, and the areas under the drug concentration curves were 43±15 and 44±13 $h \cdot \mu g \cdot ml^{-1}$ for lomefloxacin tablet and capsule, respectively. the concentration-time courses after medication conformed to a 1-compartment open model with a first order absorption. Pharmacokinetic parameters after tablet did not differ significantly from the corresponding values after capsule. the bioavailability of tablet was comparable to that of capsule.

KEY WORDS lomefloxacin; tablets; capsules; pharmacokinetics; biological availability

Lomefloxacin (Lom), 1-ethyl-6,8-difluoro-1, 4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxoquinoline-3-carboxylic acid monohydrochloride, shows a broad antibacterial spectrum against both Gram-positive and Gram-negative bacteria, including bacteria resistant to beta-lactam antibiotics and aminoglycosides. The activity of Lom is comparable to that of loxacin and norfloxacin but far exceeds that of pipemidic acid. Lom is rapidly absorbed and reaches peak levels in plasma higher than the minimal inhibitory concentration for 90% of susceptible organisms[1], and the elimination half-life (>6 h) is longer than other quinolone derivatives[2,3].

The aim of this study was to compare the pharmacokinetics of Lom tablets and capsules in healthy Chinese volunteers after a single oral dose, so as to provide a pharmacokinetic basis for clinical use.

MATERIALS AND METHODS

Drugs and instrument Lom tablets (Qidong Pharmaceutical Factory, Qidong 226200, China) and capsules (G D Searle & Co, USA) were used. Both of the preparations contained 100 mg Lom each. The HPLC instrument consisted of Waters 510 HPLC system equipped with a 490 E wavelength adjustable uv detector and a Baseline 810 data processor.

Subjects Ten healthy male Chinese volunteers aged 22.4±*s* 1.4 a and weighing 65± *s* 4 kg entered the study. Each volunteer gave a written consent and underwent thorough physical examination. There were no abnormal findings in liver and kidney functions in particular.

Protocol The protocol was approved by the Pharmaceutical Affairs Committee of Jinling Hospital, Nanjing. After 12 h of overnight fasting, the volunteers received an oral dose of 400 mg Lom tablet or capsule in an open, randomized crossover study design. Each dosing was followed by a washout period of 1 wk before the next medication.

Plasma sampling Blood samples (3.0 ml) were taken before medication and after 0.5, 1, 1.5, 2, 3, 5, 8, 12, and 24 h. Plasma, seperated by centrifugation, was frozen at −20℃ until being analyzed.

Drug analysis Lom concentration in plasma was determined using HPLC method. Following extraction into methyl cyanide and evaporation, the residue was dissolved in mobile phase (methanol 8 $mmol \cdot L^{-1}$: KH_2PO_4 0.5 $mol \cdot L^{-1}$: tetrabutylammonium bro-

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