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Pharmacokinetics (PK) of anti-tuberculosis drug (FS-1) on healthy volunteers: Results from the phase I clinical trial

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Introduction: FS-1 is a bactericidal and bacteriostatic drug. Starting dose of 5 mg/kg was selected based on preclinical toxicity models. The objective of the study was to characterize the PK on healthy volunteers.

Methods: Three groups (n=27) of volunteers were administered single oral FS-1 in doses of 5, 10 and 15 mg/kg. Blood samples for PK were collected before and after administration at 0, 0.08, 0.17, 0.33, 0.5, 1, 2, 3, 4, 6, 12, 24, 36 and 48 h calculation of Cmax, CL, tmax, Vbeta, t1/2, MRT, AUC and k_beta.

Results: Dose 5 mg/kg of FS-1: 29.6 ng/ml, 13.4 ml*h/kg, 2.5 h, 338 ml/kg, 16 h-1, 21.3 h, 340 ng*h/ml and 0.04. Dose 10 mg/kg of FS-1: 41.0 ng/ml, 25.8 ml*h/kg, 1.6 h, 586 ml/kg, 17 h-1, 16.3 h, 402 ng*h/ml and 0.03 h-1. Dose 15 mg/kg of FS-1: 54.4 ng/ml, 24.3 ml*h/kg, 1.4 h, 536 ml/kg, 14 h-1, 15.0 h, 619.3 ng*h/ml and 0.06 h-1.

Conclusion: FS-1 PK parameters were consistent with preclinical studies. Character of the relationship between the dose of the FS-1 and the AUC, as well as the constancy of FS-1 invariant PK parameters indicates that the dynamics of ADME of the drug is subject to the basic principles of linear pharmacokinetics. Values microconstants adsorption rate, interchange tissue and blood and elimination found modeling methods indicate that the ratio between their values subject to the condition: ka>k10 ≥k12, k21, suggests that the FS-1 does not tend to accumulate in tissues and organs. It also indicates a middle volume of distribution values and the relationship between drug half-life and average time of its retention.

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