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Effects of type 2 diabetes mellitus on the pharmacokinetics of berberine in rats

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Abstract

Context: Berberine is an active alkaloid isolated from *Rhizoma coptidis* [*Coptis chinensis* Franch. (Ranunculaceae)] that is widely used for the treatment of diabetes, hyperlipidemia and hypertension. However, the pharmacokinetics of berberine in normal rats and type 2 diabetes mellitus (T2DM) model rats are not clear.

Objective: This study compares the pharmacokinetics of berberine between normal and T2DM model rats.

Materials and methods: The T2DM model rats were fed with high fat diet for 4 weeks, induced by low-dose (30 mg/kg) streptozotocin for 72 h and validated by determining the peripheral blood glucose level. Rats were orally treated with berberine at a dose of 20 mg/kg and then berberine concentration in rat plasma was determined by employing a sensitive and rapid LC-MS/MS method.

Results: The significantly different pharmacokinetic behaviour of berberine was observed between normal and T2DM model rats. When compared with the normal group, C_{max} , $t_{1/2}$ and $AUC_{(0-t)}$ of berberine were significantly increased in the model group (17.35 ± 3.24 vs 34.41 ± 4.25 $\mu\text{g/L}$; 3.95 ± 1.27 vs 9.29 ± 2.75 h; 151.21 ± 23.96 vs 283.81 ± 53.92 $\mu\text{g/h/L}$, respectively). In addition, oral clearance of berberine was significantly decreased in the model group (134.73 ± 32.15 vs 62.55 ± 16.34 L/h/kg).

Discussion and conclusion: In T2DM model rats, the pharmacokinetic behaviour of berberine was significantly altered, which indicated that berberine dosage should be modified in T2DM patients.

Keywords: Berberine; LC-MS/MS; Pharmacokinetics; T2DM.

Figures

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Figure 1. The mass spectra of (a)...

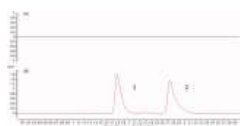


Figure 2. Chromatograms of (a) blank plasma,...

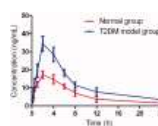


Figure 3. The pharmacokinetic profiles of berberine...

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