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Oral Bioavailability of Novel Genistein Sulfonates and their Pre-Clinical Pharmacokinetics

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SUMMARY. The oral bioavailability of genistein (GE) in its benzensulfonates was studied in search for new drugs or food functional ingredients. The plasma were collected at different points of time after the intragastric or intravenous administration of genistein benzensulfonate (GBS) 40 mg/kg to rats. The GBS and GE contents in plasma were determined by HPLC. The compartment model was fitted and pharmacokinetic parameters were calculated by DAS 2.1.1. The result indicated that the dynamic process of GE was consistent with two compartment model after intragastric or intravenous administration of two GBS prodrugs to rats. The relative oral bioavailability of GE in two prodrugs GBS1 and GBS2 were 159.2 and 253.8 %, respectively. In conclusion, the above results demonstrated that the oral bioavailability of GE in two prodrugs had been improved. Meanwhile, GBS2 was proven to have a higher relative bioavailability prodrug of GE than GBS1.

KEY WORDS: Bioavailability, Genistein, Pharmacokinetics, Progrug, Sulfonate.

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