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Short Communication

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Scutellarein Exhibited Strong Inhibition towards Intestinal UDP-Glucuronosyltransferases (UGTs)

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***SUMMARY*. Scutellarin is the main bioactive ingredient of breviscapine, which is a clinic natural drug containing total flavonoids of *Erigeron breviscapus* (Vant.) Hand-Mazz. (Compositae). Scutellarein is its aglycone and the major bioactive ingredient in serum after administration of scutellarin. Given that scutellarein is a good substrate of UDP-glucuronosyltransferases (UGTs), the present study aims to evaluate the inhibition of scutellarein towards specific UGT isoforms in intestine, including UGT1A7, 1A8 and 1A10. Recombinant UGT-catalyzed 4-methylumbelliferone (4-MU) glucuronidation reaction was used as probe reaction. Scutellarein was demonstrated to exert competitive inhibition towards UGT1A7 and UGT1A8, and noncompetitive inhibition towards UGT1A10. The inhibition kinetic parameters were calculated to be 4.5, 27.0, and 7.2 μM for UGT1A7, 1A8 and 1A10, respectively. Using *in vivo* maximum plasma concentration (C_{max}), the area under the plasma concentration-time curve (AUC) of co-administrated drugs was predicted to increase by 15.6, 2.6, and 9.7 %, respectively. All these results remind us the necessary monitoring of the risk of scutellarein administration due to its inhibition towards intestinal UGT isoforms.**

KEY WORDS: Drug-drug interaction, Intestinal UDP-glucuronosyltransferase (UGT), Scutellarein.

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