

Comparison of the Inhibition Capability of Baicalin and Baicalein towards Intestinal UDP-Glucuronosyltransferase (UGT) 1A10

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SUMMARY. Baicalin, the important flavonoid component isolated from *Scutellariae radix*, has been demonstrated to exhibit multiple pharmacological activities, and its anti-tumor activity has been drawing more and more attention in recent years. Baicalin can be metabolized into baicalein in intestine. The present study aims to compare the inhibition capability of baicalin and baicalein towards the specific intestinal drug metabolizing enzyme UDP-glucuronosyltransferase (UGT) 1A10. Baicalein showed stronger inhibition than baicalin towards UGT1A10, with the residual activity to be 46.5 and 5.5% of control activity for 100 μ M of baicalin and baicalein, respectively. Noncompetitive inhibition was demonstrated for the inhibition of baicalein towards UGT1A10, and the inhibition kinetic parameter (K_i) was calculated to be 8.1 μ M. All these results showed the stronger inhibition capability of baicalin than baicalein towards intestinal UDP-glucuronosyltransferase (UGT) 1A10.

KEY WORDS: Baicalein, Baicalin, UDP-glucuronosyltransferases (UGTs).

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