



Tripterygium wilfordii Hook F. Bioactive Component Shows Significant Inhibition Towards Metabolic Clearance Of 4-Methylumbelliferone (4-MU)

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SUMMARY. *Tripterygium wilfordii* Hook F., called lei gong teng in Chinese, is a vine used in traditional medicine to treat fever, chills, edema and carbuncle. The present study aims to investigate the inhibition of UGT1A3-catalyzed 4-methylumbelliferone (4-MU) glucuronidation by demethylzeylasteral which is an important bioactive component isolated from *Tripterygium wilfordii* Hook F., trying to indicate clinical adverse effects of demethylzeylasteral-4-MU interaction. Recombinant UGT1A3-catalyzed 4-methylumbelliferone (4-MU) glucuronidation reaction was employed as probe reaction to evaluate the inhibitory potential of demethylzeylasteral. About 87.8 % activity of 4-methylumbelliferone (4-MU) glucuronidation was inhibited at 100 μ M of demethylzeylasteral, and data fitting results using Dixon and Lineweaver-Burk plots indicated the competitive inhibition of demethylzeylasteral towards UGT1A3-catalyzed 4-methylumbelliferone (4-MU) glucuronidation reaction with the K_i value to be 1.9 μ M. All these experimental results reminds us that much attention should be given to the utilization of demethylzeylasteral and demethylzeylasteral-containing herbs (e.g. *Tripterygium wilfordii* Hook F.) due to its potential UGT inhibition based herb-drug interaction.

KEY WORDS: Herb-drug interaction, Metabolic clearance, *Tripterygium wilfordii* Hook F.

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