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Stronger Inhibition of Scutellarein Towards Propofol Glucuronidation than Scutellarin

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***SUMMARY*. The present study aims to evaluate the inhibition potential of scutellarin and scutellarein towards the glucuronidation elimination of propofol which is a popular intravenous short-acting hypnotic agent for both induction/maintenance of anesthesia and sedation of mechanically ventilated adults. The *in vitro* incubation system using human liver microsomes (HLMs)-catalyzed propofol glucuronidation was employed. At the same concentration (100 μM), scutellarein showed stronger inhibitory effect than scutellarin towards the glucuronidation activity of propofol. Further kinetic study using data fitting with Dixon plot and Lineweaver-Burk plot was carried out to demonstrate the noncompetitive inhibition of scutellarein towards HLMs-catalyzed propofol glucurronidation. The inhibition kinetic parameter (K_i) was calculated to be 69.4 μM. Relatively weak *in vivo* inhibition magnitude was predicted using *in vivo* maximum plasma concentration (C_{max}) of scutellarein after administration of 400 mg/kg scutellarin for rat. However, complex herbal factors and pharmacokinetic factors might affect the *in vitro-in vivo* extrapolation (IVIVE). All these results remind us the necessary monitoring when co-administration of scutellarin or scutellarin-containing herbs with propofol.**

KEY WORDS: Scutellarin, Propofol, Glucuronidation.

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