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Propofol Exhibits Inhibitory Effect Towards Human Liver Microsomes (HLMs)- Catalyzed Glucuronidation of Thienorphine

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***SUMMARY*. Drug-drug interaction (DDI) is a challenging problem in the process of drug utilization. Inhibition of glucuronidation reaction of drugs is a major reason for DDI. The aim of the present study is to predict propofol-thienorphine interaction from the perspective of propofol's inhibition towards thienorphine glucuronidation. The human liver microsomes (HLMs) incubation system supplemented with uridine 5'-diphosphoglucuronic acid (UDPGA) was used. The results showed that propofol inhibited HLMs-catalyzed thienorphine glucuronidation in a concentration-dependent manner. Both Dixon plot and Lineweaver-Burk plot showed that the inhibition of thienorphine glucuronidation by propofol was best fit to competitive inhibition, and the second plot using slopes from Lineweaver-Burk plot versus thienorphine concentration was used to determine the inhibition kinetic parameter (K_i) value to be 365.9 μM. Whether the *in vitro* inhibition of propofol towards thienorphine glucuronidation can induce the *in vivo* propofol-thienorphine interaction might be influenced by many factors, including various pharmacokinetic factors influencing the *in vivo* concentration of propofol. These data should be carefully explained due to complicated factors influencing the *in vitro-in vivo* extrapolation (IVIVE) results.**

KEY WORDS: Drug-drug interaction (DDI), Propofol, Thienorphine.

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