Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **32** (8): 1252-4 (2013)

Short communication
Received: July 21, 2013
Revised version: July 27, 2013
Accepted: July 28, 2013

Glucoaurantio-Obtusin Deglycosylation Biotransformation Process Strongly Influences the Clinical Safety of Hypnotic Agent Propofol

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SUMMARY. **Drug-drug interaction and herb-drug interaction have been frequently reported when patients take propofol in combination with other important clinical drugs or herbs. Intestinal bacteria-catalyzed biotransformation process might significantly change the properties of compounds, such as the efficiency, toxicity, and the pharmacokinetic behaviours. The present study aims to investigate whether the intestinal bacteria that catalyzed biotransformation of glucoaurantio-obtusin can influence the inhibitory capability towards the metabolism of the hypnotic agent, and propofol was selected as the example. The results showed that aurantio-obtusin exhibited stronger inhibition than glucoaurantio-obtusin towards propofol glucuronidation at various tested concentrations. Furthermore, the noncompetitive inhibition of aurantio-obtusin towards propofol glucuronidation was demonstrated, and the inhibition parameter (K_i) was calculated to be 78.7 μM. All these information will be helpful to understand the clinical safety when propofol is co-administered with herbs.**

KEY WORDS: Deglycosylation biotransformation, Drug-herb interaction, Hypnotic agent, Propofol.

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ISSN 0326-2383