Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **32** (8): 1249-51 (2013)

Short Communication
Received: July 2, 2013
Revised version: July 17, 2013
Accepted: July 18, 2013

Deglycosylation of Glucoaurantio-Obtusin Affects its Inhibition Capability Towards Drug Metabolizing Enzymes (DMEs)

Xiangyu CAO [1], Baomin LI [1], Sheng LI [1], Jun WANG [1], Xinfeng LIU [1] & Weiping LI [2]*

[1] *Department of Neurosurgery,* [2] *Department of Gynecology and Obstetrics, PLA General Hospital, Beijing, 100853, China*

***SUMMARY*. Intestinal bacteria play a key role to change the properties of drugs or herbal components, including therapeutic role, toxicity and pharmacokinetic behaviour. The present study aims to investigate the role of intestinal bacteria in changing the inhibitory potential of herbal components towards drug-metabolizing enzymes (DMEs) through comparing the inhibition of UDP-glucuronosyltransferase (UGT) 1A7 by glucoaurantio-obtusin and aurantio-obtusin. The results showed that the activity of UGT1A7-catalyzed 4-MU glucuronidation reaction was inhibited by 60.4% and 80.5% at 100 μM of glucoaurantio-obtusin and aurantio-obtusin, indicating the importance of deglycosylation process for strengthening the inhibitory effect of glucoaurantio-obtusin towards UGT1A7. Noncompetitive inhibition was demonstrated for the inhibition of aurantio-obtusin towards UGT1A7, with the inhibition kinetic parameter (K_i) to be 23.8 μM. Given that low activity of UGT1A7 was related with the occurrence of some diseases (*e.g.* cancer, etc.), the inhibition of aurantio-obtusin towards UGT1A7 should be given much attention in clinical application of glucoaurantio-obtusin and aurantio-obtusin.**

KEY WORDS: Aurantio-obtusin, Drug-metabolizing enzymes (DMEs), Glucoaurantio-obtusin, Herb-drug interaction.

* Author to whom correspondence should be addressed. *E-mail:* liweipingpla@163.com