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Short Communication

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In Vitro Risk Evaluation of the Inhibitory Effects of Aloe Emodin towards UDP-Glucuronosyltransferases (UGTs)

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***SUMMARY*. The aim of the present study is to evaluate the inhibition of aloe emodin towards important UDP-glucuronosyltransferases (UGTs) isoforms in the liver and intestine. The recombinant UGTs-catalyzed 4-methylumbelliferone (4-MU) glucuronidation reaction was employed. The results showed that 100 μM of aloe emodin inhibited the 4-MU glucuronidation activity by 61.1, 12.1, 26.8, 46.7, -10.2, 53.9, 30.3, -19.1, -29.6, and 29.2 % for UGT1A1, 1A3, 1A6, 1A7, 1A8, 1A9, 1A10, 2B4, 2B7, and 2B15, respectively. Data fitting using the reaction rate vs. concentration of substrates and inhibitors was carried out for UGT1A1 due to the strongest inhibition of UGT1A1 by aloe emodin. The Dixon plot and Lineweaver-Burk plot showed that aloe emodin competitively inhibited the UGT1A1-catalyzed 4-MU glucuronidation reaction, and the second plot using the slopes obtained from Lineweaver-Burk vs. concentrations of aloe emodin was used to calculate the kinetic parameter (K_i), which resulted to be 22 μM. Reduced activity of UGT1A1 by aloe emodin might induce Gilbert's syndrome, Crigler-Najjar type I and II, and drug-drug interaction with some important clinical drugs including topoisomerase I inhibitor irinotecan, the topoisomerase II inhibitor etoposide, and the oral contraceptive steroid 17-ethinyl estradiol.**

KEY WORDS: Aloe emodin, Drug-drug interaction, UDP-glucuronosyltransferases (UGTs).

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