



Significant Inhibition of UDP-Glucuronosyltransferase (UGT) 1A1 by Plant Flavonoid Alpinetin

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SUMMARY. The interaction between flavonoids and UDP-glucuronosyltransferase (UGT) has been always speculated. The aim of the present study is to investigate the inhibition of many important UGT isoforms by alpinetin which is a bioactive component isolated from *Alpinia katsumadai* Hayata. Among tested UGT isoforms, alpinetin strongly inhibited the activity of UGT1A1 but showed negligible influence towards other UGT isoforms. Furthermore, the inhibition kinetic type and parameters were determined for the inhibition of alpinetin towards UGT1A1-catalyzed glucuronidation reaction. The intersection in the vertical axis for Lineweaver-Burk plot and in the second quadrant for Dixon plot indicated the competitive inhibition of alpinetin toward UGT1A1. The second plot using the slopes from the Lineweaver-Burk plot towards the concentrations of alpinetin was used to calculate the inhibition parameter (K_i) to be $3.0 \mu\text{M}$. All these results indicated the potential adverse effects induced by the inhibition of UGT1A1 by alpinetin.

KEY WORDS: Alpinetin, Inhibition, UDP-glucuronosyltransferase (UGT) 1A1,

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