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Authors: Jin-Ah Lee, Sang Keun Ha, Young-Chan Kim, Inwook Choi

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Effects of friedelin on the intestinal permeability and bioavailability of apigenin

Jin-Ah Lee†, Sang Keun Ha†, Young-Chan Kim, Inwook Choi*

Research group of nutraceuticals for metabolic syndrome, Korea Food Research Institute, 1201-62, Anyangpangyoro, Seongnam, Gyeonggi 463-746, Republic of Korea

Abstract

Background: Although apigenin possesses diverse pharmacological activities, its utilization as a bioactive substance is limited by poor oral bioavailability. The aim of this study was to improve the bioavailability of apigenin by co-administration of friedelin.

Methods: To achieve this, the intestinal permeability of apigenin in the absence or presence of friedelin was investigated in both Caco-2 cells and single-pass rat intestinal perfusion models.

Results: The apparent permeability coefficients (P_{app}) of apigenin in the presence of friedelin were substantially increased by 1.63- and 1.60-fold in Caco-2 cells and single-pass rat intestinal perfusion models, respectively. Such increases in the P_{app} indicated that friedelin could significantly enhance the absorption of apigenin into the body. The increased bioavailability of apigenin in rats following the oral administration of apigenin 50 mg/kg body weight with friedelin 50 mg/kg body weight was further confirmed by increases in the peak concentration of apigenin (C_{max}), elimination half-life ($T_{1/2}$) and area under the plasma concentration-time curve (AUC).

Conclusions: Friedelin suppressed ATPase activity of P-glycoprotein (P-gp) indicated that the improved bioavailability of apigenin may be ascribed to P-gp inhibition by the co-administered friedelin.