Dietary Flavonoids Induced the Activity of P-glycoprotein, an Efflux Drug Transporter

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Abstract

Flavonoids are widely present in fruits, vegetables and dietary supplements. P-glycoprotein (P-gp) is an efflux transporter associated with drug absorption and drug resistance. This study investigated the effect of dietary flavonoids on the transport activity of P-gp. Six flavonoid aglycones and their respective glycosides were compared for their influence on the intracellular accumulation of rhodamine 123, a typical P-gp substrate, in LS 180 cells. The results showed that all flavonoids significantly decreased the intracellular accumulation of rhodamine 123. Among them, hesperetin and naringenin exhibited stronger acute activation effects, while for longer incubation daidzein and genistein were stronger activators. According to the *in vitro* results, soybean and miso were investigated for the effects on the pharmacokinetics of CSP, a P-gp probe drug, in rat. The *in vivo* study indicated that soybean and miso significantly decreased the bioavailability of CSP. In conclusion, dietary flavonoids enhanced the efflux activity of P-gp and might result in decreased absorption of P-gp substrates.