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Inhibitory effects of ginsenoside-Rh2 epimers on organic anion transporting polypeptide (OATP)1B3-mediated uptake

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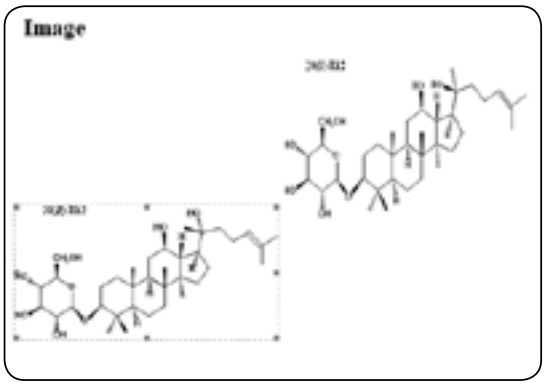
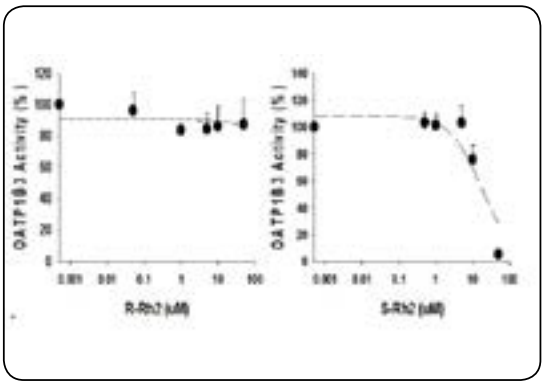
Organic anion transporting polypeptide (OATP) 1B3 plays an essential role in the hepatic uptake of many drugs and the assessment of OATP1B3-mediated drug-drug interactions is recently emphasized. The main active components of ginseng are ginsenosides, a diverse group of triterpenoid saponins that exert a variety of pharmacological activities including anti-inflammatory, anti-cancer, anti-diabetic, and cardioprotective effects. Of these, ginsenoside Rh2 is mainly recognized as an anti-cancer compound, and contains the two epimeric forms, 20(R)-Rh2 and 20(S)-Rh2. It was reported that the stereochemistry of the C-20 hydroxyl group [i.e. 20(R)-Rh2 and 20(S)-Rh2] not only plays a role in the pharmacodynamics of ginsenosides but also in their pharmacokinetic properties. The aim of this study was to evaluate the human organic anion transporting polypeptide 1B3 (OATP1B3)-mediated drug-drug interaction potential of ginsenoside Rh2 (Rh2) epimers, 20(R)-Rh2 and 20(S)-Rh2, using human embryonic kidney 293 (HEK293) cells overexpressing OATP1B3 (HEK293-OATP1B3). The inhibition of estradiol 17β-D-glucuronide transport by ginsenoside Rh2 epimers were assessed in HEK293-OATP1B1. Our results showed that both 20(R)-Rh2 and 20(S)-Rh2 exhibited different potencies of stereoselective inhibition on the OATP1B3 uptake. 20(S)-Rh2 exerted marked inhibition with and IC_{50} value of 17.1±7.10 μM, whereas their (R)-isomer did not inhibit OATP1B3 uptake activity.





Biography

Chae Bin Lee is a graduate student with major in Pharmacology/Pharmacokinetics from The Catholic University of Korea. Her research interest include: in vitro herb-drug interaction, nonclinical pharmacokinetics and bio analysis development.

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