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Transport of Organic Cations across Caco-2 Cell Monolayers

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Apical to basal transport of organic cations (OCs) such as tributylmethylammonium (TBuMA), triethylmethylammonium (TEMA), 1-methyl-4-phenylpyridinium (MPP), and berberine across Caco-2 cell monolayers was measured to elucidate the intestinal absorption of OCs. Basal to apical transport of MPP and berberine was larger than apical to basal transport and showed temperature dependency and concentration dependency, indicating that MPP and berberine are secreted into the intestinal lumen. Basal to apical transport of TBuMA and TEMA, however, was comparable to apical to basal transport, respectively. The apical to basal permeability of OCs across Caco-2 cell monolayers, which mimic the intestinal absorption, was berberineFrom these results, we suggested that the accelerated secretion of TBuMA and berberine by ion-pair formation make the bioavailability of them smaller than TEMA and MPP.

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Effects of BuOH Extract of the Root of *Aralia elata* as an Absorption Enhancer on the Transport of Chondroitin Sulfate and Its Digestion Products *In Vitro* and *In Vivo*

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We investigated the absorption enhancing effect of BuOH extract of the root of *Aralia elata* (BERAE) in Caco-2 cell monolayers and rats. At the concentration of both 0.04% and 0.08% (w/v), BERAE decreased the transepithelial electrical resistance (TEER) values and increased the permeability of intact chondroitin sulfate (CS) and its digestion products as hydrophilic macromolecules in a dose dependent manner. We also evaluated the cytotoxicity of BERAE for the determination of a proper concentration as an absorption enhancer. MTT assay and trypan blue exclusion test indicated that the cytotoxicity of BERAE at the concentration below 0.1% (w/v) could be negligible. *In vivo* studies, CS was orally administered with or without BERAE to rats. Quantitative analysis of CS in rat plasma showed that the oral administration of BERAE (250 mg/kg) increased the intestinal absorption of CS, resulting in a 5-fold increase at 1h compared to the controls. A histological examination of the gastrointestinal tissue indicated that BERAE did not cause any tissue damage. In conclusion, our *in vitro* and *in vivo* results suggest that BERAE can be applied as an efficient absorption enhancer to make it easier for the hydrophilic molecules to permeate the intestinal epithelium.

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Preparation and stability of N-terminal PEGylated Recombinant Human Epidermal Growth Factor

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