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Effects of various pharmaceutical excipients on the intestinal transport and absorption of sulfasalazine, a typical substrate of BCRP transporter

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[Purpose] The purpose of this study is to improve the intestinal transport and absorption of sulfasalazine, a typical BCRP substrate, by using various pharmaceutical excipients. [Methods] Intestinal transport of sulfasalazine was examined by using Caco-2 cells monolayers and an *in vitro* diffusion chamber system. In addition, intestinal absorption of sulfasalazine was studied by an *in situ* closed loop method. Moreover, intestinal membrane toxicity of these pharmaceutical excipients was evaluated by measuring the activities of lactate dehydrogenase (LDH). [Results and Discussion] In the *in vitro* diffusion chamber studies, the secretory transport of sulfasalazine was about 5.3 times higher than its absorptive transport, suggesting that the transport of sulfasalazine was preferentially secretory direction, which might be due to the efflux system of BCRP transporter. Among various types of pharmaceutical excipients, 0.05% and 0.075% BL-9EX increased the absorptive transport of sulfasalazine and decreased its secretory transport across the intestinal membrane. In addition, the efflux ratios of sulfasalazine were reduced in the presence of 0.05% and 0.075% BL-9EX. Therefore, BL-9EX might be an effective pharmaceutical excipient to inhibit the function of BCRP in the intestine. In the Caco-2 cells transport studies, similar results were also observed in the presence of 0.05% and 0.1% Tween20. Furthermore, 0.1% Brij97 and 0.1% BL-9EX increased the intestinal absorption of sulfasalazine by the *in situ* closed loop experiment and they did not cause serious intestinal membrane damage. These findings suggested that 0.1% Brij97 and 0.1% BL-9EX might be effective excipients to increase the intestinal absorption of BCRP substrates including sulfasalazine.