CS02-3 Intestinal Membrane Transporters: Bioavailability, Interactions and Drug Delivery

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Intestinal membrane transporters include the ATP-dependent cassette (ABC) transporters involved in the efflux of drugs from intestinal cells back into the lumen and the Solute Carrier (SLC) transporters involved in the uptake of substrates into intestinal cells. The importance of transporter-mediated influx or efflux in the intestine depends primarily on two factors. The first is the contribution of transporter-mediated transport compared to the other mechanisms of drug passage across the gut wall. The second is drug concentration. Drug concentrations are generally much higher in the gut lumen compared to the systemic circulation. This causes the concentration gradient driving diffusion to be very large, but more importantly can lead to transporter saturation, to drug-drug interactions and to induction of drug transporters. Significant determinants of drug absorption and bioavailability include transporter expression and function and the potential for induction and inhibition. Food and food components, including flavonoids, significantly impact on intestinal transporter function and drug bioavailability. This presentation will focus on two transporters highly expressed in the intestine, Monocarboxylic Acid Transporter 1 (MCT1, SLC16A1) and Breast Cancer Resistance Protein (BCRP, ABCG2) highlighting their expression, interactions, regulation and role in drug delivery.