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### Role of transporters in permeability of drugs – Options for testing, modulation and targeting

Physiological cellular barriers of pharmaceutical importance express a large number of membrane transporters. Most membrane transporters either catalyze cellular influx or cellular efflux but some are bidirectional. The role of membrane transporters in modulation of permeability is increasingly recognized and is particularly critical for BCS/BDDCS Class II-IV drugs. There is a significant cross-talk between transporters and enzymes of xenobiotic metabolism. The 2 systems may play complementary or compensatory roles. A number of assay systems are available to study transporter-drug interactions as well as transporter mediated drug-drug interactions (tDDI). Cellular assays as well as membrane assays are available. It is important that the expression systems used in transfectants mimic the physiological membrane environment. Physicochemical properties of drugs are important determinants of assay selections as transcellular transport assays (monolayer assays) and may work even for intermediate-to- high passive permeability drugs but may not work for very low passive permeability drugs. In contrast, membrane uptake assays are ideal for low passive permeability substrates. Apically located intestinal efflux transporters (e.g. P-gp, BCRP) may limit absorption of substrate drugs. Inhibition of the efflux transporters by excipients may increase absorption and bioavailability. Targeting influx transporters may increase absorption and may play a role in tissue targeting too. Importantly, low influx transporter expression in target cells/tissue may lead to resistance to substrate drugs. Exploratory strategies to target influx transporters expressed in the blood-brain barrier have been described.

### Biography

Peter Krajcsi received his PhD in Medical Biology from the University in Szeged. He is currently the Chief Scientific Officer of Solvo Biotechnology- A manufacturer and service provider in the field of pharmaceutical and nutraceutical applications of membrane transporter technologies. He has published more than 75 papers in reputed journals and has been serving as an Editorial Board Member of 3 journals.

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