

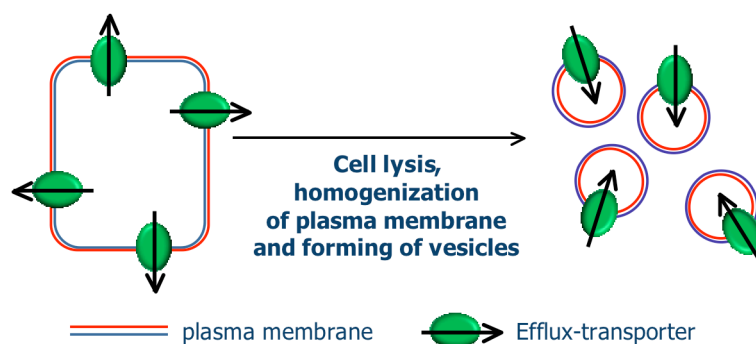
Inside out lipovesicles

Membrane transporters can be major determinants of the absorption, distribution and elimination of drugs. Moreover, drug interactions with transporters could mediate drug-drug interactions (DDIs). Therefore, the transporter-mediated transport and inhibitory effects on transporter proteins and of drugs is investigated in early stages of drug development. Stable transfected cell lines expressing clinical important transporter proteins are well established tools to characterize the inhibitory effects, the uptake and/or the efflux of drugs.

Efflux transporter assays using inside-out lipovesicles

Inside-out lipovesicles can be used to characterize efflux-transporter mediated transport kinetics of drugs. Uptake and/or competition assays can be performed similar to assays used in HEK293-cells expressing uptake transporters.

Preparation of inside-out vesicles



Stable transfected MDCK2 cells expressing the transporter protein of interest are disrupted by homogenization.

Available vesicles

Efflux transporter:

ABCB1 (MDR1, P-Glycoprotein)

ABCC2 (MRP2, Cmoat)