**Background**

- Membrane transporters are major variables for disposition, efficacy and safety of many drugs.
- Organic anion transporting polypeptides (OATPs), Na\(^+\)-taurocholate co-transporting polypeptide (NTCP), organic cation transporters (OCTs) and organic anion transporters (OATs) belong to the group of uptake transporters and mediate the uptake of a broad range of substrates including several widely prescribed drugs.
- Traditionally, the function of cells has been characterised with radiolabeled prescribed drugs.
- Transporters mediate the uptake of a broad range of substrates including several widely used drugs, such as organic anion transporting polypeptides (OATPs), Na\(^+\)-taurocholate co-transporting polypeptide (NTCP), organic cation transporters (OCTs) and organic anion transporters (OATs). These assays have been conducted in the linear range of substrate uptake identified in time-dependent experiments (data not shown).

**Results**

- Results show the concentration-dependent uptake of transporter-specific fluorescent substrates as well as the inhibition of this function by inhibitory substances. These assays were conducted in the linear range of substrate uptake identified in time-dependent experiments (data not shown).
- Small diagrams – Substance uptake or inhibition in transporter-transfected HEK-293 cells and VC (vector control) cells; large diagrams – net uptake or net inhibition

**Objectives**

- To establish a cell platform using stably transfected cells to predict the substance affinity to pharmacologic relevant transporters.

**Methods**

- Expression and localization of transport proteins were analysed using immunofluorescence microscopy and Western blot (not shown).
- Transport functions of cells have been characterised using 5 fluorescent substances (Rhodamine, Fluorescein, Fluorescein methotrexate (FMTX), Cholyl-Lysyl-Fluorescein (CLF) and Dibromofluorescein (DBF)) with Rifampicin, Cholate, Quinidine and Diclofenac as inhibitors.

**Conclusions**

- The transport function of stably transfected HEK-293 cells expressing different transporter can be characterised by fluorescent substances as an unhazardous and less expensive alternative to radiolabeled chemicals.
- PRIMACY utilizes well characterised stably transfected human embryonic kidney cells (HEK293) expressing pharmacological relevant uptake transporter proteins to study affinity of drugs and chemicals in vitro.
- Drug-drug-interactions of drug candidates for human and veterinary health can be analysed with specific transporters.
- In addition, the transporter assays have been adopted for the use in primary fresh and cryopreserved human and animal hepatocytes (not shown).

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