Supplementary Materials

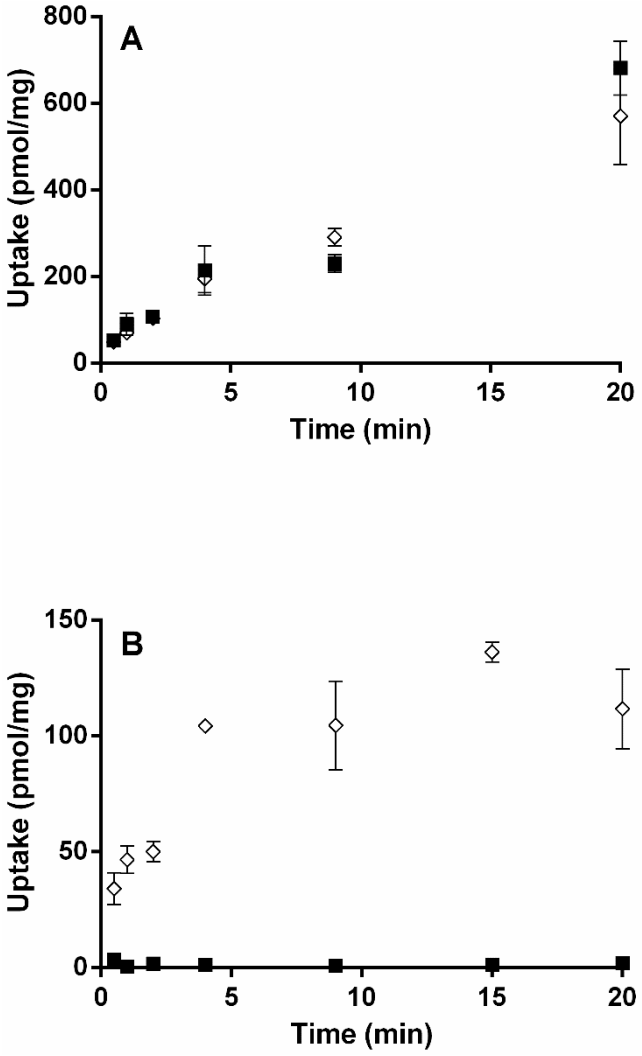

Figure S1. Time-dependent uptake of Montelukast (1 μM) and $E_1$3S (0.5 μM) in HEK-OATP2B1 and HEK-mock at pH 6.5. Results are given as mean ± S.D., n = 3.

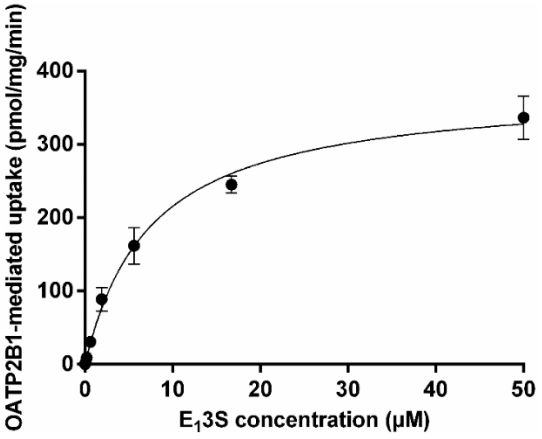

Figure S2. Concentration-dependent uptake of $E_1$3S in HEK-OATP2B1 and HEK-mock at pH 6.5. OATP2B1-mediated uptake, calculated by subtracting the average uptake in HEK-mock from the average uptake in HEK-OATP2B1, was fitted to a Michaelis–Menten equation for K_m and V_{max} determination.

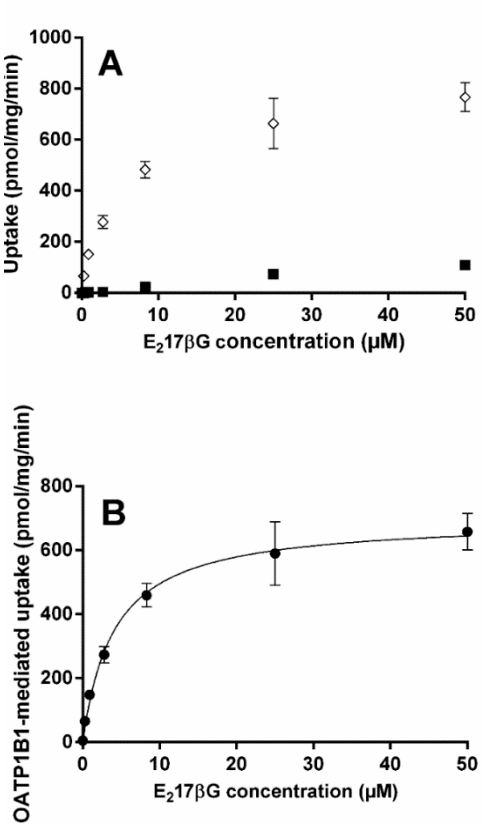

Figure S3. (**A**) Concentration-dependent uptake of $E_2$17βG in HEK-OATP1B1 (◊) and HEK-mock (■) cells. Results are given as mean ± S.D., n = 3. (**B**) OATP1B1-mediated uptake at pH 7.4, plotted against concentration of $E_2$17βG. OATP1B1-mediated uptake, calculated by subtracting the average uptake in HEK-mock from the average uptake in HEK-OATP1B1, was fitted to a Michaelis–Menten equation for K_m and V_{max} determination.

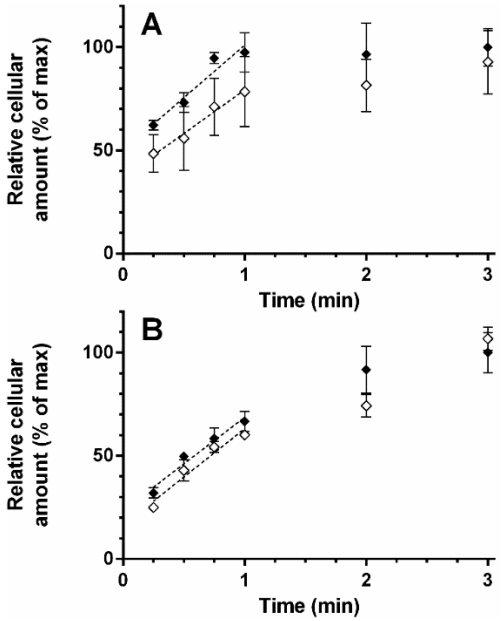

Figure S4. Time-dependent uptake of (**A**) montelukast and (**B**) $E_1$3S into human hepatocytes. Incubations were performed with substrate alone (♦) and in presence of 4 μM erlotinib (◊). Relative initial uptake rates were assessed from linear fit to data obtained from 15 to 60 s (dashed). Results are given as mean ± S.D., n = 3.