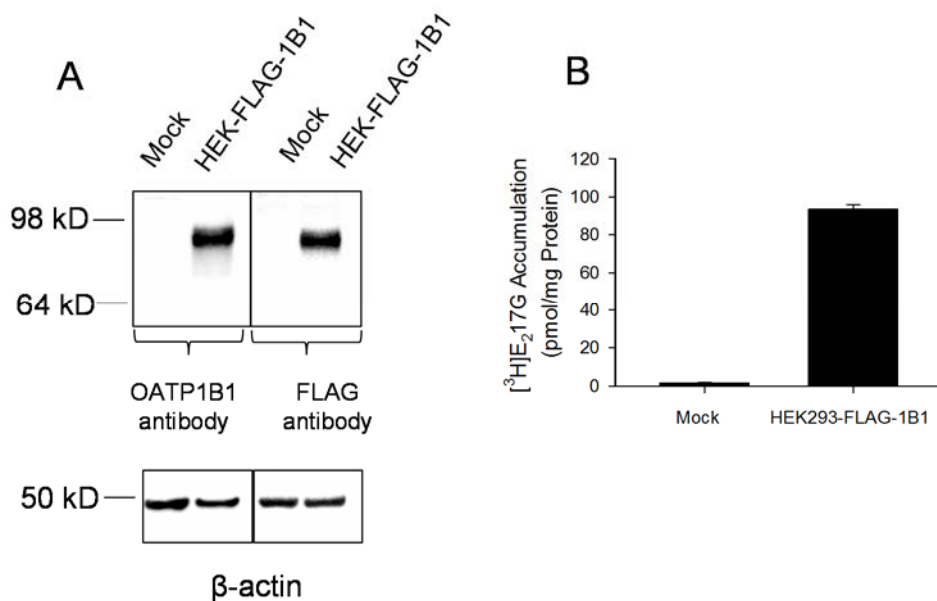**Fig. S1 Characterization of HEK293-FLAG-OATP1B1 stable cell line.** (A) Immunoblot of OATP1B1 and FLAG in HEK293-FLAG-OATP1B1 cells. Whole cell lysates were prepared by adding ice cold lysis buffer directly onto the culture plate after aspirating the culture medium. Immunoblot was performed with the custom generated OATP1B1 antibody and FLAG antibody with β-actin as the loading control. Representative images are shown. (B) Accumulation of [^{3}H]E$_2$17G (1 µM, 2 min) in HEK-FLAG-1B1 and Mock cells. Data represent mean ± standard deviation (*SD*), *n=1* in triplicate.
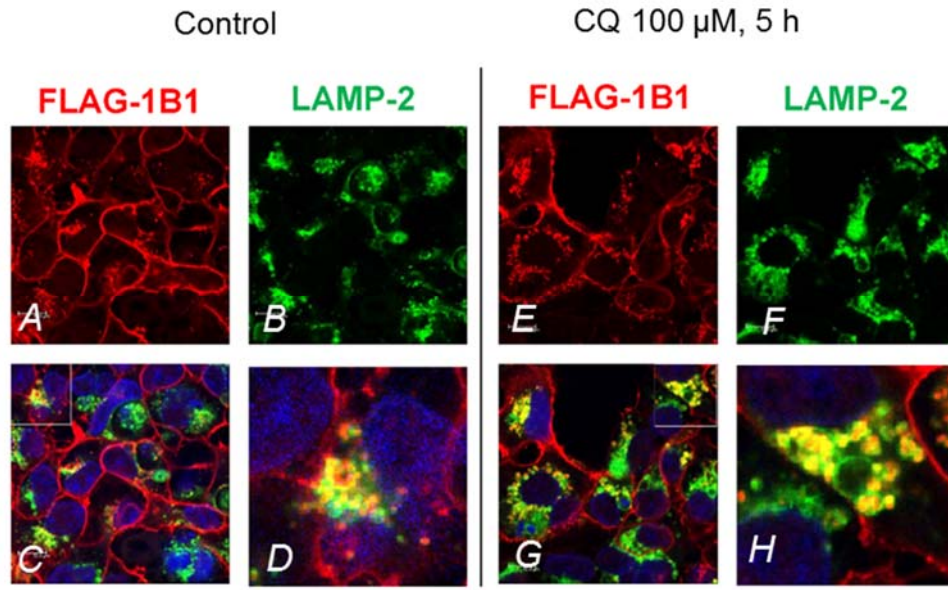
Fig. S2 Co-localization of FLAG-OATP1B1 with LAMP-2 in HEK293-FLAG-OATP1B1 cells.

Co-immunofluorescence staining of FLAG-OATP1B1 (red) and LAMP-2 (green) was performed in HEK293-FLAG-OATP1B1 cells treated with 100 μM chloroquine (CQ) or fresh medium control (CTL) for 5 h. Nuclei were counterstained with DAPI (blue). Images were taken using a Leica SP2 MP confocal microscope. Representative images from 3 experiments are shown.
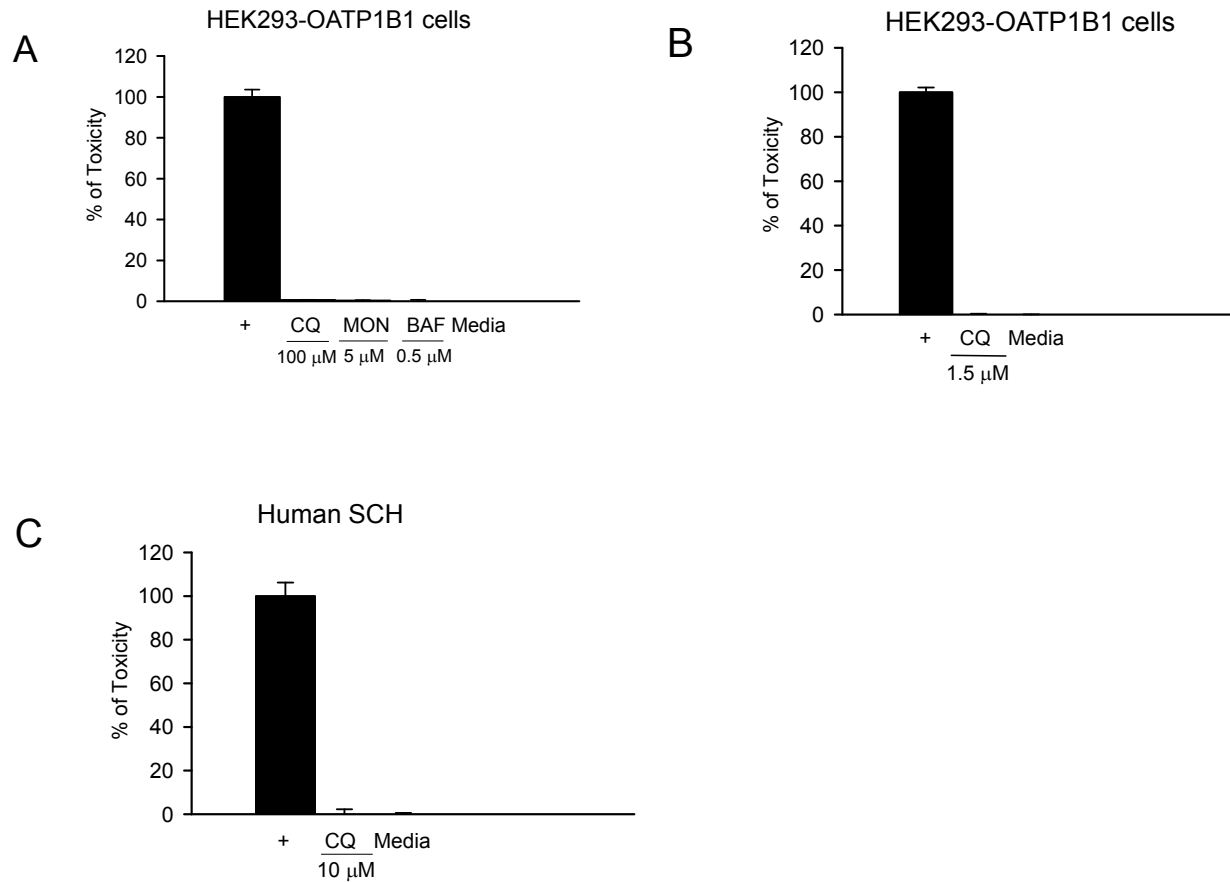
Fig. S3. Cytotoxicity in HEK293-OATP1B1 cells and human SCH following pre-treatment with CQ, monensin or bafilomycin A1. LDH assay was performed to measure cytotoxicity in HEK293-OATP1B1 cells and human SCH. (A) Cytotoxicity in HEK293-OATP1B1 cells pre-treated with CQ (100 μM, 5 h), monensin (MON) (5 μM, 2 h) and bafilomycin A1 (BAF) (0.5 μM, 5 h), respectively. (B) Cytotoxicity in HEK293-OATP1B1 cells pre-treated with 25 μM CQ for 2 h followed by treatment with 1.5 μM CQ for 24 h. (C) Cytotoxicity in human SCH pre-treated with CQ (10 μM, 5 h). Triton-X (2%)-treated cells (+) and media-treated cells served as the 100% cytotoxicity positive control and negative control, respectively. Data represent mean ± *SD* (*n*= 1 in triplicate).