Supplementary Figure 1

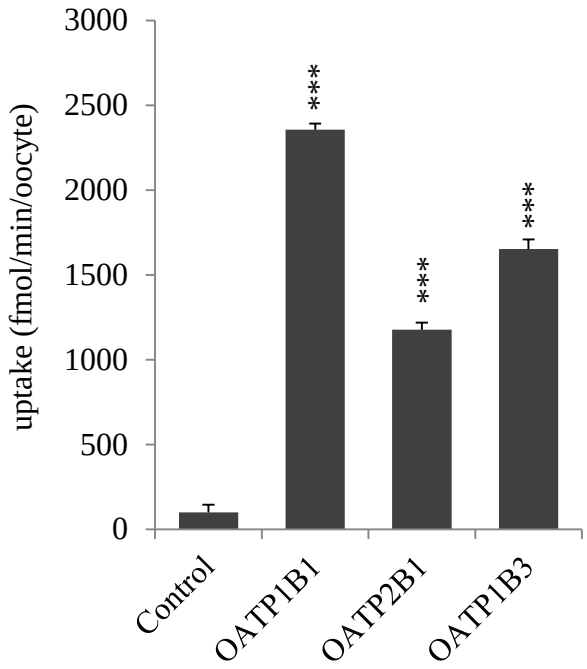

Figure S1. Uptake of 45 nM [^{3}H]-ES in hOATP1B1- and 60 nM [^{3}H]-E2G uptake in hOATP1B3 cRNA injected x. oocytes and noni njected cRNA x. oocytes termed as control. The probe substrate uptake showed the cRNA injected x. oocytes were capable to perf orm further uptake inhibition study. Data represent the mean ± SD of three or more independent experiments (n = 3, * P < 0.05, * * P < 0.01, *** P < 0.001, significantly different compared with uptake in control.

Supplementary figure 2

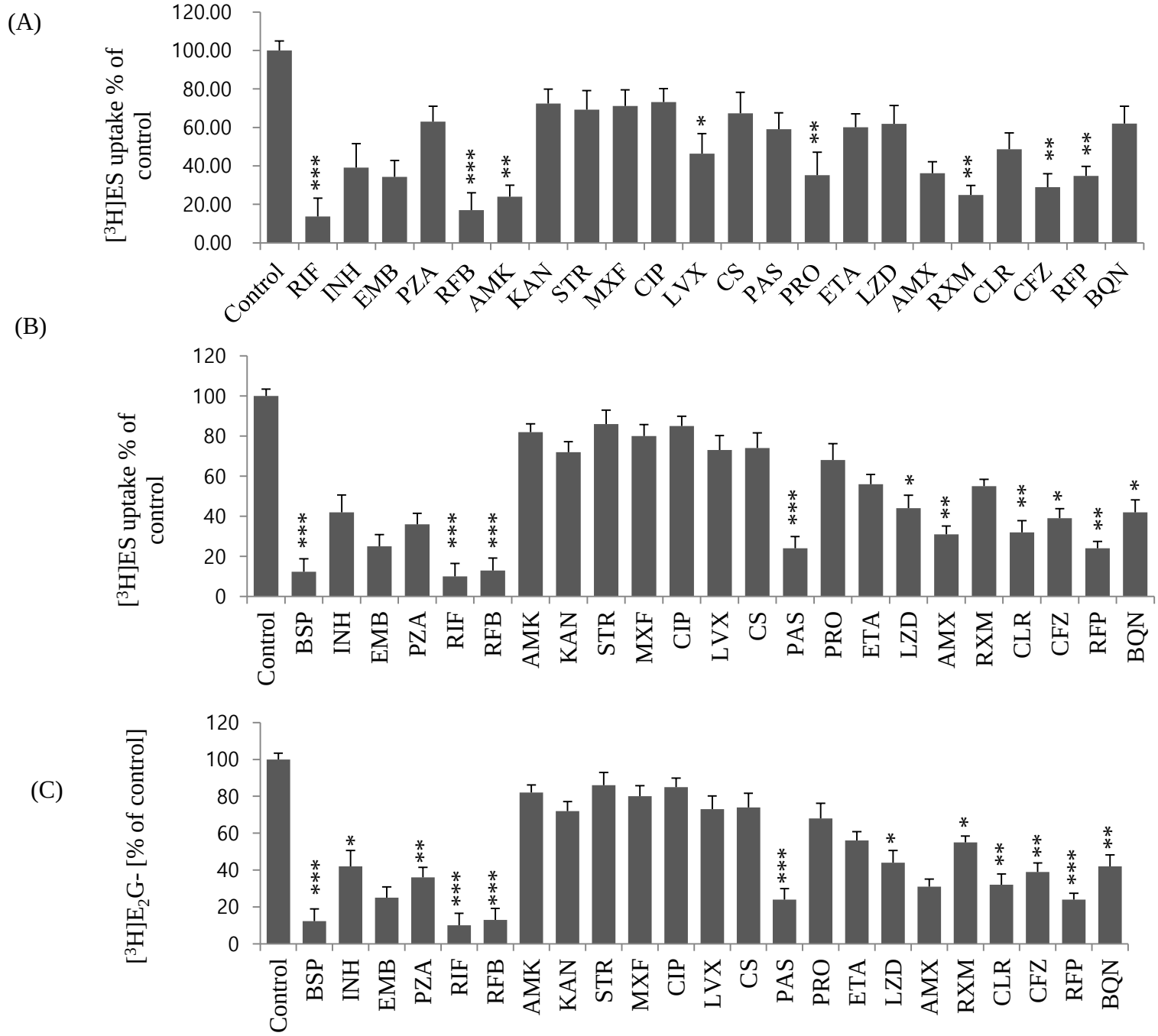

Figure S2. Screening of the inhibitory effects of 22 anti-TB drugs on OATP mediated uptake. (A) 45nM [^{3}H]-ES in hOATP1B1 an d (B) hOATP1B1 and 60 nM [^{3}H]-E2G uptake in hOATP1B3 cRNA injected x. oocytes and noninjected cRNA x. oocytes termed as control. The probe substrate uptake showed the cRNA injected x. oocytes were capable to perform further uptake inhibition stu dy. Data represent the mean ± SD of three or more independent experiments (n = 3, * P < 0.05, ** P < 0.01, *** P < 0.001, signific antly different compared with uptake in control.

Supplementary Figure 3

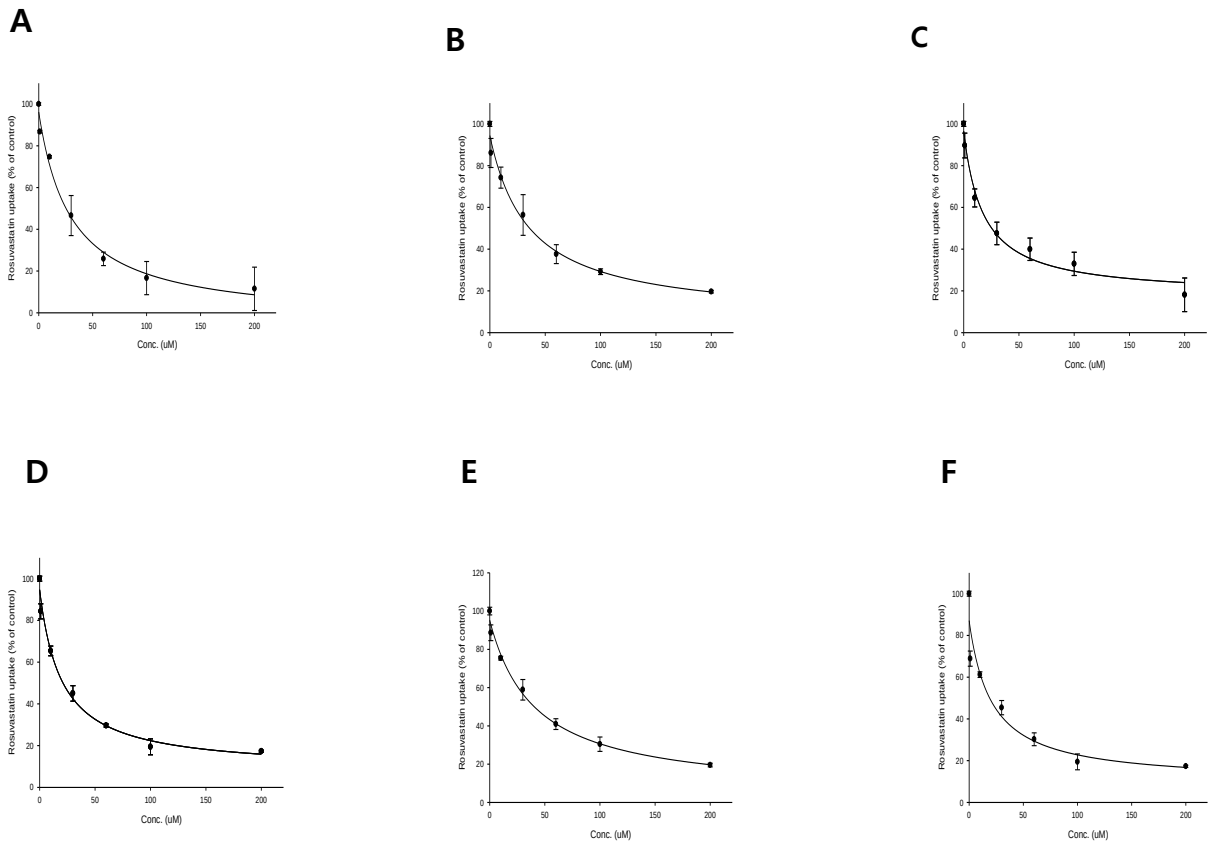

Figure S3. Estimation of inhibitory kinetics (IC_{50}) of (A) ethambutol, (B) linezolid, (C) rifapentine, (D) rifabutin, (E) PAS, and (F) amoxicillin on rosuvastatin uptake in hOATP1B1-overexpressing HEK293 cells. The estimated IC_{50} was calculated by non-linear kinetics using Winnonlin 6.0. Data are presented as the mean value, relative to the control (no inhibitor), of triplicate experiments.

Supplementary table: 1

Pharmacokinetic parameters of inhibitors used for the calculation of R-values. $[I]_{u,inlet,max}$ (estimated maximum unbound inhibitor concentrations at the inlet to the liver) of anti-TB drugs, were calculated by the equation, as described under *Materials and Methods*, in which ka of 0.1 min-1, Fa×Fg of 1, and Qh of 1500mL/min were used. The blood to plasma concentration ratios of the inhibitors were assumed to be unity in the $[I]_{u,inlet,max}$ calculations.

Drugs	Dose	Fu	C_{max}	$[I]_{u,inlet,max}$
	mg	%	uM	uM
PAS	8000	0.50(42)	881(41)	1745
Amoxicillin	500	0.82(49)	32.8(48)	117.8
Rifampin	600	0.15(55)	23(54)	10.7
Rifabutin	300	0.15(44)	0.9(43)	3.6
Ethambutol	440	0.75(46)	22.02(45)	310
Linezolid	600	0.89(47)	52.4(36)	25.6
Clofazimine	200	0.01(53)	8.61(52)	0.36
Amikacin	600	0.90(56)	126.5(56)	175.3
Kanamycin	250	0.90(58)	24.5(57)	53.0
Streptomycin	800	0.65(58)	74.9(59)	108.3
Roxithromycin	150	0.10(61)	7.88(60)	1.92
Clarithromycin	500	0.50(51)	48.8(50)	46.6
Rifapentine	600	0.014(62)	17.7(62)	1.78

Supplementary table 1. Pharmacokinetic parameters of the anti-TB drugs were collected from clinical studies on healthy and p atient populations from the references those were reported by others.