



Kinetic Parameters of Efflux and Uptake Transporter Assays

Description of construct	Parental Cell line	Gene	Substrates	Kinetic parameters	Kinetic parameters for inhibitors
MDR1	LLC-PK1	ABCB1	1 µM Digoxin	Km: >100 µM	IC50 Verapamil :1.6 µM IC50 Cyclosporin A: 0.94µM
BCRP	LLC-PK1	ABCG2	10 nM Prazosin	KM: 19.6 µM	IC50 Ko143: 0.0344 µM
	Vesicle		1 µM Estrone sulfate	KM: 6.77 µM (14.2)	IC50 Ko143: 0.0186 µM (0.026)
BSEP	Vesicle	ABCB11	2 µM Taurocholic acid	KM: 19.1 µM	IC50 Cyclosporine A: 6.68 µM
MRP2	Vesicle	ABCC2	0.1 µM Leukotriene C ₄	KM: 5.79 µM (0.24-5.9)	IC50 BSP: 10.0 µM IC50 Benzbromarone: 11.1 µM (7.3-8.3)
OATP1B1	HEK	SLCO1B1	50 nM Estradiol glucuronide	KM: 3.94 µM (3.7-8.3)	IC50 Rifampicin: 0.243 µM(0.94) IC50 Cyclosporin A: 0.215 µM
OATP1B3	HEK	SLCO1B3	50 nM Estradiol glucuronide	KM: 26.5 µM (5-25)	IC50 Rifampicin: 0.388µM (1.5) IC50 Cyclosporin A: 0.386 µM
			20 nM CCK-8	KM: 18.6 µM	IC50 Rifampicin: 1.06 µM IC50 Cyclosporin A: 0.612 µM
OATP2B1	HEK	SLCO2B1	50 nM Estrone sulfate	KM: 14.5 µM (7-21)	IC50 Rifampicin: 20.6µM (90) IC50 Cyclosporin A: 1.05 µM
OAT1	HEK	SLC22A6	1000 nM p-Aminohippurate	KM: 11.9 µM (4-22)	IC50 Probenecid: 4.70 µM (6.3-12.5) IC50 Indomethacin: 1.95 µM
	S ₂		1000 nM p-Aminohippurate	KM: 24.6 µM (4-22)	IC50 Probenecid: 11.7 µM (6.3-12.5)
OAT2	S ₂	SLC22A7	50 nM PGF2α	KM: 0.137 µM (0.4-0.8)	IC50 Mefenamic acid: 11.7 µM (21.7)
OAT3	HEK	SLC22A8	50 nM Estrone sulfate	KM: 22.8 µM (2-7)	IC50 Probenecid: 2.70 µM (4-30) IC50 Cimetidine: 264 µM
	S ₂		50 nM Estrone sulfate	KM: 1.59 µM (2-7)	IC50 Probenecid: 5.33 µM (4-30)
OAT4	HEK	SLC22A11	50 nM Estrone sulfate	KM: 15.8 µM (1-10)	IC50 BSP: 0.377 µM (<5)
	S ₂		50 nM Estrone sulfate	KM: 7.42 µM (1-10)	IC50 Probenecid: 81.8 µM (25.4)
OAT7	HEK	SLC22A9	50 nM Estrone sulfate	KM: 12.9 µM (8.7-40)	IC50 BSP: 0.121 µM
OCT1	HEK	SLC22A1	5 µM Tetraethylammonium bromide	KM: 576 µM (160-1600)	IC50 Quinidine: 4.57 µM (5.4-23.4) IC50 Verapamil: 0.272 µM
	S ₂		10 µM Metformin	KM: 899 µM	IC50 Quinidine: 13.8 µM
OCT2	HEK	SLC22A2	5 µM Tetraethylammonium bromide	KM: 453 µM (160-1600)	IC50 Quinidine: 17.9 µM (5.4-23.4)
			10 µM Metformin	KM: 3600 µM (990)	IC50 Quinidine: 88.6 µM (1 mM 5-20%)
			5 µM Tetraethylammonium bromide	KM: 723 µM	IC50 Quinidine: 93.7 µM IC50 Verapamil : 192 µM
			10 µM Metformin	KM: 12500 µM (990)	IC50 Quinidine: 104 µM
OCT3	HEK	SLC22A3	5 µM Tetraethylammonium bromide	KM: 35.1 µM	IC50 Verapamil : 298 µM
	S ₂		5 µM Histamine	KM: 536 µM (+)	IC50 Verapamil: 6.21 µM (24) IC50 Quinidine : 12.7 µM
OCTN1	S ₂	SLC22A4	5 µM Tetraethylammonium bromide	KM: 261 µM(180-420)	IC50 Verapamil: 11.6 µM (24)
OCTN2	S ₂	SLC22A5	5 µM Tetraethylammonium bromide	KM: 315 µM (199-1280)	IC50 Verapamil: 12.3 µM (8.4)
NTCP1	HEK	SLC10A1	30 nM Carnitine	KM: 4.73 µM (2-66)	IC50 Verapamil: 5.19 µM (500 µM 2.4%)
NTCP2 (ASBT)	HEK	SLC10A2	50 nM Taurocholate	KM: 10.2 µM (6.2-10)	IC50 Cyclosporin A: 1.20 µM (1)
NPT1	HEK	SLC17A1	200 nM Taurocholate	KM: 15.8 µM (9.4-20)	IC50 Chenodeoxycholic acid: 3.48 µM (Ki 3.3)
PEPT1	HEK	SLC15A1	50 nM Estradiol glucuronide	KM: 6.68 µM	IC50 Indomethacin: 2.17 µM IC50 Probenecid : 69.1 µM
PEPT2	HEK	SLC15A2	100 nM Glycylsarcosine	KM: 556 µM (290-3130)	IC50 Cephalixin: 1460 µM (5200-13700)
URAT1	HEK	SLC22A12	100 nM Glycylsarcosine	KM: 59.4 µM (74)	IC50 Cephalixin: 124 µM (10mM 0%)
			50 µM Uric acid	KM: 1050 µM (371)	IC50 Benzbromarone: 0.0334 µM (0.3) IC50 Probenecid : 80.0 µM
MATE1	HEK	SLC47A1	10 µM Metformin	KM: 73.4 µM (220)	IC50 Cimetidine: 1.32 µM (<20)
			5 µM Tetraethylammonium bromide	KM: 29.9 µM	IC50 Cimetidine : 1.10 µM IC50 Quinidine : 1.40 µM
MATE2-K	HEK (Transient)	SLC47A2	10 µM Metformin	KM: 252 µM	IC50 Cimetidine: 4.95 µM
			5 µM Tetraethylammonium bromide	KM: 109 µM	IC50 Cimetidine : 36.6 µM IC50 Quinidine : 16.3 µM
rUrat1	HEK	Slc22a12	Uric acid	KM: 677 µM	IC50 Benzbromarone : 1.88 µM
OSTα/β	HEK	SLC51	Taurocholic acid	KM: 7960 µM	IC50 Indomethacin : 262 µM

The values in parentheses show the values from references.

The chart above displays experimental conditions for Drug Transporter services as provided through our parent company Sekisui Medical.

Contact us to learn more at www.xenotech.com or call 913.438.7450.

Portfolio of Available Drug Transporter Assays

	Cell Lines	Vesicle	Double Transfected	Oocyte	Hepatocyte	Animal Model
P-gp (MDR1)	LLC-PK1, MDCKII, Caco-2	✓				
MRP1		✓				
MRP2		✓	OATP1B1			
MRP3		✓				
MRP4		✓				
BCRP	LLC-PK1, MDCKII, Caco-2	✓				
BSEP		✓				
OATP1A2				✓		
OATP1B1	HEK293		MRP2	✓	✓	
OATP1B3	HEK293			✓	✓	
OATP2B1	HEK293			✓		
OAT1	HEK293, S ₂			✓		
OAT2	S ₂					
OAT3	HEK293, S ₂			✓		
OAT4	HEK293, S ₂			✓		
OAT7	HEK293					
OCT1	HEK293, S ₂			✓		
OCT2	HEK293, S ₂			✓		
OCT3	HEK293, S ₂					
OCTN1	S ₂					
OCTN2	S ₂					
OST α/β	HEK293					
PEPT1	HEK293					
PEPT2	HEK293					
URAT1	HEK293					
NTCP1	HEK293			✓	✓	
NTCP2 (ASBT)	HEK293					
MATE1	HEK293					
MATE2-K	HEK293 (TEA & Metformin)					
NPT1	HEK293					
ENT1	MDCKII					
ENT2	MDCKII					
ENT4	MDCKII					
CNT1	MDCKII					
CNT2	MDCKII					
CNT3	MDCKII					
GLUT1				✓		
GLUT2				✓		
Mouse Mdr1a	LLC-PK1					KO animal
Mouse Mdr1b	LLC-PK1					KO animal
Rat Mdr1a	LLC-PK1					KO animal
Rat Mdr1b	LLC-PK1					
Rat Urat1	HEK293			✓		
Rat Oatp4/Oatp1b2				✓		
Dog Mdr1	LLC-PK1					
Cynomolgus Mdr1	LLC-PK1					
Rhesus Mdr1	LLC-PK1					