

Contains Nonbinding Recommendations

Draft – Not for Implementation

APPENDIX A- Tables

Table 1. Major human transporters ^(1,2)

Gene	Aliases	Tissue	Drug Substrate	Inhibitor	Inducer
<i>ABCB1</i>	P-gp, MDR1	intestine, liver, kidney, brain, placenta, adrenal, testes	digoxin, fexofenadine, indinavir, vincristine, colchicine, topotecan, paclitaxel	ritonavir, cyclosporine, verapamil, erythromycin, ketoconazole, itraconazole, quinidine, elacridar (GF120918) LY335979 valsopodar (PSC833)	rifampin, St John's wort
<i>ABCB4</i>	MDR3	liver	digoxin, paclitaxel, vinblastine		
<i>ABCB11</i>	BSEP	liver	vinblastine		
<i>ABCC1</i>	MRP1	intestine, liver, kidney, brain	adefovir, indinavir		
<i>ABCC2</i>	MRP2, CMOAT	intestine, liver, kidney, brain	indinavir, cisplatin,	cyclosporine	
<i>ABCC3</i>	MRP3, CMOAT2	intestine, liver, kidney, placenta, adrenal	etoposide, methotrexate, tenoposide		
<i>ABCC4</i>	MRP4				
<i>ABCC5</i>	MRP5				
<i>ABCC6</i>	MRP6	liver, kidney	cisplatin, daunorubicin		
<i>ABCG2</i>	BCRP	intestine, liver, breast, placenta	daunorubicin, doxorubicin, topotecan, rosuvastatin, sulfasalazine	elacridar (GF120918), gefitinib	
<i>SLCO1B1</i>	OATP1B1, OATP-C, OATP2	liver	rifampin, rosuvastatin, methotrexate, pravastatin, thyroxine	cyclosporine, rifampin	
<i>SLCO1B3</i>	OATP1B3, OATP8,	liver	digoxin, methotrexate, rifampin,		
<i>SLCO2B1</i>	SLC21A9, OATP-B	intestine, liver, kidney, brain	pravastatin		
<i>SLC10A1</i>	NTCP	liver, pancreas	rosuvastatin		

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<i>SLC10A2</i>	ASBT	ileum, kidney, biliary tract			
<i>SLC15A1</i>	PEPT1	intestine, kidney	ampicillin, amoxicillin, captopril, valacyclovir		
<i>SLC15A2</i>	PEPT2	kidney	ampicillin, amoxicillin, captopril, valacyclovir		
<i>SLC22A1</i>	OCT-1	liver	acyclovir, amantadine, desipramine, ganciclovir, metformin	disopyramide, midazolam, phenformin, phenoxybenzamine, quinidine, quinine, ritonavir, verapamil	
<i>SLC22A2</i>	OCT2	kidney, brain	amantadine, cimetidine, memantine	desipramine, phenoxybenzamine, quinine	
<i>SLC22A3</i>	OCT3	skeletal muscle, liver, placenta, kidney, heart	cimetidine	desipramine, prazosin, phenoxybenzamine	
<i>SLC22A4</i>	OCTN1	kidney, skeletal muscle, placenta, prostate, heart	quinidine, verapamil		
<i>SLC22A5</i>	OCTN2	kidney, skeletal muscle, prostate, lung, pancreas, heart, small intestine, liver	quinidine, verapamil		
<i>SLC22A6</i>	OAT1	kidney, brain	acyclovir, adefovir, methotrexate, zidovudine	probenecid, cefadroxil, cefamandole, cefazolin,	
<i>SLC22A7</i>	OAT2	liver, kidney	zidovudine		
<i>SLC22A8</i>	OAT3	kidney, brain	cimetidine, methotrexate, zidovudine	probenecid, cefadroxil, cefamandole, cefazolin,	

⁽¹⁾ Note that this is not an exhaustive list. For an updated list, see the following link <http://www.fda.gov/cder/drug/drugInteractions/default.htm>

⁽²⁾ ABC:ATP-binding cassette transporter superfamily; SLC: solute-linked carrier transporter family; SLCO: solute-linked carrier organic anion transporter family; MDR1: multi-drug resistance; MRP: multi-drug resistance related protein; BSEP:bile salt export pump; BCRP: breast cancer resistance protein; OAT: organic anion transporter; OCT: organic cation transporter; NTCP: sodium taurocholate co-transporting polypeptide; ASBT: apical sodium-dependent bile salt transporter.