

Table S1. List of substrates and non-substrates of wild-type BCRP used in this study**Substrates of wild-type BCRP**

Compound	Reference	Predicted property	data set
2-amino-3-methylimidazo[4,5-f] quinoline (IQ)	[1]	S	tr
2-chloro-2'-deoxyadenosine (Cladribine)	[2, 3]	S	tr
3,5-di-O-caffeoylquinic acid	[4]	S	tr
3-amino-1,4-dimethyl-5H-pyrido[4,3-b] indole (Trp-P-1)	[1]	S	tr
4-methylumbelliferone glucuronide	[5]	S	tr
6-MP	[2]	S	tr
7-ethyl-10-hydroxy-camptothecin (SN-38)	[6]	S	tr
7-hydroxy-methotrexate	[7]	S	tr
9-aminocamptothecin	[8]	S	tr
A771726 (an active metabolite of leflunomide)	[9]	S	tr
Acetaminophen glucuronide	[10]	S	tr
Acetaminophen sulfate	[10]	S	tr
Acyclovir	[11]	S	tr
AflatoxinB1	[1]	S	tr
Axitinib	[12]	S	tr
Azidopine	[13]	S	tr
AZT5'-monophosphate	[14, 15]	S	tr
Becatecarin (NSC655649)	[16]	S	tr
Bicalutamide	[17]	S	tr
Biochanin A sulfate	[18]	S	tr
Bisantrene	[19]	S	tr
BN80915 (a difluoro derivative of homocamptothecin)	[20]	S	tr
BODIPY-dihydropyridine	[13]	S	tr
BODIPY-prazosin	[21]	S	tr
BP-3-glucuronide	[22]	S	tr
C-1310	[23]	S	tr
C-1336	[23]	S	tr
C-1584	[23]	S	tr
Canertinib (CI1033)	[24]	S	tr
cGMP	[25]	S	tr
Chlorin e6 (Ce6)	[26]	S	tr
Chlorothiazide	[27]	S	tr
Ciprofloxacin	[28]	S	tr
Clofarabine	[2]	S	tr
Coumestrol	[29]	S	tr
CP-671305	[30]	S	tr
Daidzein sulfate	[31]	S	tr
Dasatinib	[32]	S	tr

E3040-glucuronide	[5]	S	tr
E3040-sulfate	[5]	S	tr
Enrofloxacin	[33]	S	tr
Estrone-3-sulfate (E ₁ S)	[5, 34]	S	tr
Etoposide	[35]	S	tr
Furosemide	[35]	S	tr
Ganciclovir	[36]	S	tr
Genistein	[29, 37]	S	tr
Genistein sulfate	[31]	S	tr
Gimatecan	[38]	S	tr
Glutathione	[39]	S	tr
Glyburide	[40]	S	tr
GW1843	[41]	S	tr
Harmol sulfate	[10]	S	tr
Hoechst33342	[42]	S	tr
Homocamptothecin	[20]	S	tr
Imatinib mesylate (STI-571)	[43]	S	tr
Iodoarylazidoprazosin (IAAP)	[13]	S	tr
Irinotecan (CPT-11)	[44, 45]	S	tr
J-107088	[46]	S	tr
JNJ-7706621	[47]	S	tr
Kaempferol	[48]	S	tr
Lamivudine (3TC)	[14, 15]	S	tr
Lapatinib	[49]	S	tr
MelQx	[50]	S	tr
Methotrexate-Glu ₂	[51, 52]	S	tr
Methotrexate-Glu ₃	[51, 52]	S	tr
Mitoxantrone	[19, 21]	S	tr
NB-506	[46]	S	tr
N-desethyl sunitinib	[53]	S	tr
NSC107392	[54]	S	tr
NSC349156	[54]	S	tr
NSC73306	[55]	S	tr
Ofloxacin	[28]	S	tr
Pantoprazole	[56]	S	tr
Pemetrexed	[57]	S	tr
PMEA	[3]	S	tr
Prazosin	[19]	S	tr
Resveratrol-3-sulfate	[58]	S	tr
Riboflavin (VitaminB2)	[59]	S	tr
SN-355	[60]	S	tr
Sorafenib	[61]	S	tr
Sulfasalazine	[62]	S	tr
Sunitinib	[63, 64]	S	tr
Taurocholic acid	[65]	S	tr

Taurolithocholic acid-3-sulfate (TLC-S)	[5]	S	tr
Teniposide	[35]	S	tr
Topotecan	[19]	S	tr
Triamterene	[50]	S	tr
Uric acid (urate)	[66]	S	tr
Vemurafenib (PLX4032)	[67]	S	tr
Bupropion	[68]	NS	tr
CdAMP	[2]	NS	tr
Cholic acid	[65]	NS	tr
Cimetidine	[69]	NS	tr
DHEAS	[5]	NS	tr
Docetaxel	[70]	NS	tr
E ₂ 17βG	[5, 71]	NS	tr
Erythromycin	[35]	NS	tr
Fluvastatin	[35]	NS	tr
Moxidectin	[72]	NS	tr
Pheophorbide a	[73]	NS	tr
Phytoporphyrin	[74]	NS	tr
Pravastatin	[75]	NS	tr
Pyropheophorbide-amethylester (MMPa)	[26]	NS	tr
Temocapril	[76]	NS	tr
Abacavir (ABC)	[77, 78]	S	te
Albendazole sulfoxide	[79]	S	te
Atorvastatin	[80]	S	te
Belotecan	[81]	S	te
BODIPY-nilotinib	[82]	S	te
Cediranib	[83]	S	te
Cholylglycylamido fluorescein	[65]	S	te
d-Luciferin	[84]	S	te
Edaravone sulfate	[85]	S	te
Erlotinib	[86]	S	te
Grepafloxacin	[87]	S	te
GV196771	[88]	S	te
Harmol glucuronide	[10]	S	te
Leflunomide	[9]	S	te
ME3277	[89]	S	te
Nitrofurantoin	[90]	S	te
Norfloxacin	[28]	S	te
Olmesartan medoxomil	[91]	S	te
Plumbagin	[92]	S	te
PNU-288034	[93]	S	te
Protoporphyrin IX	[94]	S	te
Quercetin	[48]	S	te
Resveratrol	[7]	S	te
Resveratrol-3-glucuronide	[58]	S	te

Rosiglitazone	[95]	S	te
Rosuvastatin	[35]	S	te
SN-398	[60]	S	te
Tandutinib	[96]	S	te
Tomudex	[41]	S	te
Vandetanib	[97]	S	te
Vitamin K3	[92]	S	te
Butyrate	[98]	NS	te
Cerivastatin	[75]	NS	te
Glycocholic acid	[65]	NS	te
Troglitazone sulfate	[99]	NS	te
2-amnio-1-methyl-6-phenylimidazo(4,5-b)pyridine(PhIP)	[100]	S	e
Apigenin glucuronide	[101]	S	e
Befloxatone	[102]	S	e
Benzo[a]pyrene-3-sulfate (BP-3-sulfate)	[22]	S	e
CP-724714	[103]	S	e
Daidzen glucuronide	[31]	S	e
Dantrolene	[50]	S	e
Diclofenac	[104]	S	e
Dipyridamole	[105]	S	e
Gefitinib	[86]	S	e
Genistein glucuronide	[31, 101]	S	e
Indolocarbazole	[106]	S	e
Oxfendazole (fenbandazole-sulfoxide)	[79]	S	e
Pitavastatin	[107]	S	e
Puromycin	[3]	S	e
Riluzole	[108]	S	e
ST1968	[109]	S	e
Symadex (C-1311)	[23]	S	e
Ulifloxacin	[87]	S	e
17 β -estradiol sulfate	[34]	NS	e
5-aminolevulinic acid	[26]	NS	e
NSC265473	[54]	NS	e
Phenethyl isothiocyanate (PEITC)	[110]	NS	e
Sphingosine 1-phosphate	[111]	NS	e
TH-337	[112]	NS	e

Non-substrates of wild-type BCRP

Compound	Reference	Predicted property	Data set
(99m) Tc-Tetrofosmin	[113]	NS	tr
Aclarubicin	[114]	NS	tr

Aldosterone	[69]	NS	tr
amino BPU	[115]	NS	tr
Bisoprolol	[95]	NS	tr
C1315	[23]	NS	tr
C1379	[23]	NS	tr
C1554	[23]	NS	tr
Calcein	[19]	NS	tr
Calcein-AM	[19]	NS	tr
Cisplatinum	[116]	NS	tr
Curcumin	[117]	NS	tr
Cyclosporin A	[118]	NS	tr
Dexamethasone	[69]	NS	tr
Digoxin	[69]	NS	tr
Doxorubicin	[114]	NS	tr
Edaravone glucuronide	[85]	NS	tr
Epirubicin	[114]	NS	tr
Estrone	[34]	NS	tr
Indinavir	[119]	NS	tr
Ixabepilone	[70]	NS	tr
Metoprolol	[95]	NS	tr
Nelfinavir	[120]	NS	tr
Nivalenol	[121]	NS	tr
Norbuprenorphine	[122]	NS	tr
Phenobarbital	[123]	NS	tr
Phenytoin	[123]	NS	tr
Salinomycin	[124]	NS	tr
Saquinavir	[120]	NS	tr
Sitosterol	[125]	NS	tr
SN-343	[60]	NS	tr
Valporic acid	[123]	NS	tr
Verapamil	[19]	NS	tr
Vinblastine	[19]	NS	tr
Vitamin B12 (Cyanocobalamin)	[59]	NS	tr
Vitamin B6 (Pyridoxine)	[59]	NS	tr
Vitamin C (Ascorbic acid)	[59]	NS	tr
Vitamin K1 (Phylloquinone)	[59]	NS	tr
5-Fluorouracil	[116]	S	tr
Actinomycin D	[35]	S	tr
Ara-C	[126]	S	tr
C1212	[23]	S	tr
C1375	[23]	S	tr
Cefadroxil	[127]	S	tr
Cidofovir	[128]	S	tr
Fenbendazole	[79]	S	tr
Lamotrigine	[123]	S	tr

Leucovorin	[71]	S	tr
LY294002	[129]	S	tr
Metoprine	[116]	S	tr
Midazolam	[130]	S	tr
m-THPC	[26]	S	tr
Paclitaxel	[19]	S	tr
Prodigiosin	[131]	S	tr
Rhodamine 123	[21]	S	tr
S39625	[132]	S	tr
SN-22	[60]	S	tr
SN-348	[60]	S	tr
SN-351	[60]	S	tr
SN-353	[60]	S	tr
SN-397	[60]	S	tr
SN-443	[60]	S	tr
SN-444	[60]	S	tr
(99m)Tc-Sestamibi	[113]	NS	te
C1213	[23]	NS	te
C1266	[23]	NS	te
Corticosterone	[69]	NS	te
Cortisol	[34]	NS	te
Daunorubicin	[21]	NS	te
Primidone	[123]	NS	te
SN-352	[60]	NS	te
Tacrolimus	[118]	NS	te
Vitamin A (Retinol)	[59]	NS	te
Vitamin B1 (Thiamine)	[59]	NS	te
Albendazole	[79]	S	te
Amonafide L-malate	[133]	S	te
Amprenavir	[120]	S	te
C1176	[23]	S	te
C1503	[23]	S	te
C1558	[23]	S	te
Carbamazepine	[123]	S	te
Clonazepam	[123]	S	te
LysoTrackerGreen	[21]	S	te
mmBPU	[115]	S	te
Adefovir	[128]	NS	e
Buprenorphine	[122]	NS	e
Colchicine	[35]	NS	e
Ethosuximide	[123]	NS	e
OH-bupropion	[68]	NS	e
Propranolol	[95]	NS	e
Ritonavir	[120]	NS	e
Sirolimus	[118]	NS	e

Vincristine	[134]	NS	e
Vitamin E (Tocophenol)	[59]	NS	e
C1415	[23]	S	e
Dimethyl benzoylphenylurea (BPU)	[115]	S	e
Nutlin-3a	[135]	S	e
SN-349	[60]	S	e
YM155	[136]	S	e

Abbreviations: **tr** indicates the compounds in the training set used in the selected model of this study. **te** indicates the compounds in the test set used in the selected model. **e** indicates the compounds in the external validation set used in the selected model. **S** and **NS** denote substrates and non-substrates of wild-type BCRP, respectively. The compounds in the external validation set are highlighted with blue shade.

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