BCRP

Breast cancer resistance protein; ABCG2

Breast cancer resistance protein (BCRP/ABCG2/MXR/ABCP) is an ATP-dependent efflux transporter, which belongs to the large ATP-binding cassette (ABC) transporter family present on cell membranes, and it is classified into the G subfamily of these transporters. BCRP is expressed in a variety of normal cells and acts as a xenobiotic efflux transporter. BCRP is often associated with cancer chemotherapeutic resistance. BCRP confers multidrug resistance (MDR) to a series of antitumor agents such as Mitoxantrone, Daunorubicin, SN-38, and Topotecan, and often limits the efficacy of chemotherapy.

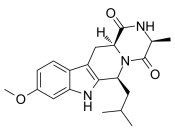
BCRP physiologically functions as a part of a self-defense mechanism for the organism. It enhances elimination of toxic xenobiotic substances and harmful agents in the gut and biliary tract, as well as through the blood-brain, placental, and possibly blood-testis barriers. BCRP recognizes and transports numerous anticancer drugs including conventional chemotherapeutic and targeted small therapeutic molecules relatively new in clinical use. Thus, BCRP expression in cancer cells directly causes MDR by active efflux of anticancer drugs. Because BCRP is also known to be a stem cell marker, its expression in cancer cells could be a manifestation of metabolic and signaling pathways that confer multiple mechanisms of drug resistance, self-renewal (stemness), and invasiveness (aggressiveness), and thereby impart a poor prognosis. Therefore, blocking BCRP-mediated active efflux may provide a therapeutic benefit for cancers.

BCRP Inhibitors

(S)-ML753286

Cat. No.: HY-100390

(S)-ML753286 is a breast cancer resistance protein (**BCRP**) inhibitor with an IC_{50} of 0.6 μM on BCRP efflux transporter.



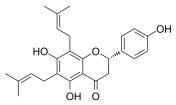
Purity: 98.90%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

6,8-Diprenylnaringenin

(Lonchocarpol A; Senegalensin)

Cat. No.: HY-122416

6,8-Diprenylnaringenin (Lonchocarpol A; Senegalensin), a hop prenylflavonoid, is a inhibitor of **breast cancer resistance protein (BCRP/ABCG2)**.

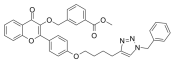


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

Ac32Az19

Cat. No.: HY-132934

Ac32Az19 is a potent, nontoxic, and highly selective BCRP inhibitor with an EC_{50} value of 13 nM in the BCRP-overexpressed HEK293/R2 cells.

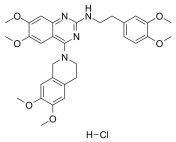


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CP-100356 hydrochloride

Cat. No.: HY-108347

CP-100356 hydrochloride is an orally active dual **MDR1 (P-gp)/BCRP** inhibitor, with an IC_{50}s of 0.5 and 1.5 μM for inhibiting MDR1-mediated Calcein-AM transport and BCRP-mediated Prazosin transport, respectively.



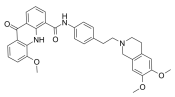
Purity: 99.68%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

Elacridar

(GF120918; GW0918; GG918; GW120918)

Cat. No.: HY-50879

Elacridar (GF120918) is a potent **P-glycoprotein (Pgp)** and **BCRP** inhibitor.



Purity: 99.80%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

FD 12-9

(Ac12Az9)

Cat. No.: HY-128685

FD 12-9 is a flavonoid dimer, acts as a dual inhibitor of **P-gp** and **BCRP**, with EC_{50}s of 285 nM and 0.9 nM, respectively. Anti-glioblastoma activity.

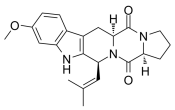
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Fumitremorgin C

(12α-Fumitremorgin C)

Cat. No.: HY-N2143

Fumitremorgin C is a potent and selective **ABCG2/BRCP** inhibitor.

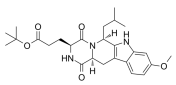


Purity: 98.26%
Clinical Data: No Development Reported
Size: 250 μg, 1 mg

Ko 143

Cat. No.: HY-10010

Ko 143 is a potent and selective **ATP-binding cassette subfamily G member 2 (ABCG2/BCRP)** inhibitor. Ko 143 displays >200-fold selectivity over P-gp and MRP-1 transporters.

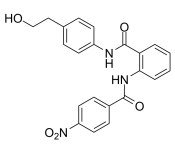


Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

KS176

Cat. No.: HY-19753

KS176 is a potent and selective inhibitor of the breast cancer resistance protein (BCRP) multidrug transporter (IC50 values are 0.59 and 1.39 μM in Pheo A and Hoechst 33342 assays respectively). Displays no inhibitory activity against P-gp or MRP1.



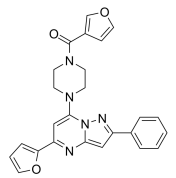
Purity: 98.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ML230

(CID44640177; SID 88095709)

Cat. No.: HY-111678

ML230 (CID44640177; SID 88095709) is a selective inhibitor of **ATP-binding cassette (ABC) transporter ABCG2**, and 36-fold selective for ABCG2 over ABCB1 with EC_{50}s values of 0.13 μM and 4.65 μM, respectively.



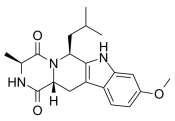
Purity: 99.12%
Clinical Data: No Development Reported
Size: 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

ML753286

Cat. No.: HY-116494

ML753286 is an orally active and selective **BCRP (Breast cancer resistance protein)** inhibitor with an IC_{50} of 0.6 μM. ML753286 has high permeability and low to medium clearance in rodent and human liver S9 fractions, and is stable in plasma cross species.

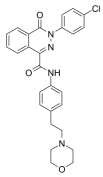


Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

P-gp/BCRP-IN-1

Cat. No.: HY-144393

P-gp/BCRP-IN-1 (compound 19) is a potential, relatively safe, orally active and efficient efflux transporter (**P-gp** and **BCRP**) inhibitor. P-gp/BCRP-IN-1 exerts resistance reversal by inhibiting the efflux function of **P-gp** and **BCRP**.

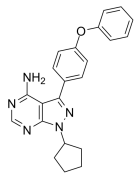


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PCI 29732

Cat. No.: HY-18010

PCI 29732 is a potent, orally active, reversible BTK inhibitor with K_i^{app} values of 8.2, 4.6, and 2.5 nM for BTK, Lck and Lyn, respectively. PCI 29732 shows only modest inhibitory activity against Itk, another Tec family kinase.

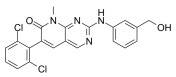


Purity: 99.68%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PD166326

Cat. No.: HY-118144

PD166326 is a pyridopyrimidine-type inhibitor of **receptor tyrosine kinases**, with IC_{50}s of 6 nM and 8 nM for **Src** and **Abl**, respectively. PD166326 exhibits antileukemic activity.



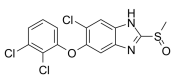
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Triclabendazole sulfoxide

(TCBZ-SO)

Cat. No.: HY-136450

Triclabendazole sulfoxide (TCBZ-SO) is the main plasma metabolite of Triclabendazole, and exhibits anti-parasite effects. Triclabendazole sulfoxide can inhibit membrane transporter **ABCG2/BCRP**.



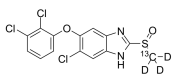
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Triclabendazole sulfoxide-13C,d3

(TCBZ-SO-13C,d3)

Cat. No.: HY-136450S1

Triclabendazole sulfoxide-13C,d3 is the 13C- and deuterium labeled. Triclabendazole sulfoxide (TCBZ-SO) is the main plasma metabolite of Triclabendazole, and exhibits anti-parasite effects. Triclabendazole sulfoxide can inhibit membrane transporter ABCG2/BCRP.



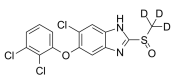
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Triclabendazole sulfoxide-d3

(TCBZ-SO-d3)

Cat. No.: HY-136450S

Triclabendazole sulfoxide-d3 (TCBZ-SO-d3) is the deuterium labeled Triclabendazole sulfoxide. Triclabendazole sulfoxide (TCBZ-SO) is the main plasma metabolite of Triclabendazole, and exhibits anti-parasite effects. Triclabendazole sulfoxide can inhibit membrane transporter **ABCG2/BCRP**.

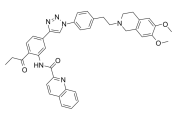


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

UR-MB108

Cat. No.: HY-146676

UR-MB108 (Compound 57) is a potent, selective **ABCG2 (BCRP)** inhibitor with an IC_{50} of 79 nM. UR-MB108 is stable in blood plasma.

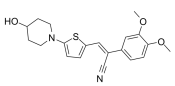


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

YHO-13177

Cat. No.: HY-12757

YHO-13177 is a potent and specific inhibitor of BCRP; potentiated the cytotoxicity of SN-38 in cancer cells and no effect on P-glycoprotein–mediated paclitaxel resistance in MDR1-transduced human leukemia K562 cells.

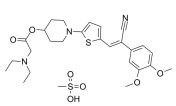


Purity: 98.27%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

YHO-13351

Cat. No.: HY-12758

YHO-13351 is the prodrug of YHO-13177, which is a potent and specific inhibitor of BCRP.

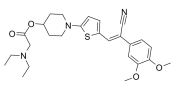


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

YHO-13351 free base

Cat. No.: HY-12758A

YHO-13351 free base is the prodrug of YHO-13177, which is a potent and specific inhibitor of BCRP.



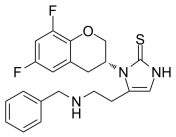
Purity: 98.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Zamicastat

(BIA 5-1058)

Cat. No.: HY-106004

Zamicastat (BIA 5-1058) is a **dopamine β-hydroxylase (DBH)** inhibitor and can cross the blood-brain barrier (BBB) to cause central as well as peripheral effects.



Purity: 95.36%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg