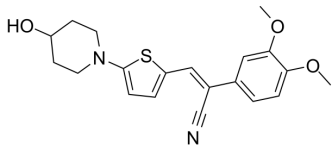
YHO-13177

Cat. No.:	HY-12757
CAS No.:	912287-56-0
Molecular Formula:	$C_{20}H_{22}N_2O_3S$
Molecular Weight:	370.47
Target:	BCRP
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (89.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6993 mL	13.4964 mL	26.9927 mL
	5 mM	0.5399 mL	2.6993 mL	5.3985 mL
	10 mM	0.2699 mL	1.3496 mL	2.6993 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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.IC50 value:Target: BCRP inhibitorin vitro: YHO-13177 potentiated the cytotoxicity of SN-38, mitoxantrone, and topotecan in both BCRP-transduced human colon cancer HCT116 (HCT116/BCRP) cells and SN-38–resistant human lung cancer A549 (A549/SN4) cells that express BCRP, but had little effect in the parental cells. In addition, YHO-13177 potentiated the cytotoxicity of SN-38 in human lung cancer NCI-H460 and NCI-H23, myeloma RPMI-8226, and pancreatic cancer AsPC-1 cells that intrinsically expressed BCRP. In contrast, it had no effect on P-glycoprotein–mediated paclitaxel resistance in MDR1-transduced human leukemia K562 cells and multidrug resistance-related protein 1–mediated doxorubicin resistance in MRP1-transfected human epidermoid cancer KB-3-1 cells. YHO-13177 increased the intracellular accumulation of Hoechst 33342, a substrate of BCRP, at 30 minutes and partially suppressed the expression of BCRP protein at more than 24 hours after its treatment in both HCT116/BCRP and A549/SN4 cells [1].in vivo: In mice, YHO-13351 was rapidly converted into YHO-13177 after its oral or intravenous administration. Coadministration of irinotecan with YHO-13351 significantly increased the survival time of mice

inoculated with BCRP-transduced murine leukemia P388 cells and suppressed the tumor growth in an HCT116/BCRP xenograft model, whereas irinotecan alone had little effect in these tumor models [1].

CUSTOMER VALIDATION

- Drug Deliv. 2017 Nov;24(1):1453-1459.
- Crit Rev Anal Chem. 2021 Mar 10;1-15.

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REFERENCES

[1]. Yamazaki R, et al. Novel acrylonitrile derivatives, YHO-13177 and YHO-13351, reverse BCRP/ABCG2-mediated drug resistance in vitro and in vivo. Mol Cancer Ther. 2011 Jul;10(7):1252-63.

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