



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: YHO-13177
产品别名: YHO-13177

生物活性:

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 inhibitor in 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].

In Vitro:

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

H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass	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	

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.75 mM); Clear solution

Solvent&Solubility



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	此方案可获得 ≥ 2.5 mg/mL (6.75 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。
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.



源叶生物