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产品名称: YHO-13351
产品别名: YHO-13351

生物活性:				
Description	<p>YHO-13351 is the water-soluble prodrug of YHO-13177, which is a potent and specific inhibitor of BCRP. 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].</p>			
Solvent&Solubility	In Vitro: DMSO : * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent	Mass	
		Concentration	1 mg	5 mg
				10 mg
		1 mM	1.7249 mL	8.6247 mL
		5 mM	0.3450 mL	1.7249 mL
		10 mM	0.1725 mL	0.8625 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°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。			
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.			