

产品名称: **CB-839**
 产品别名: **Telaglenastat**

生物活性:				
Description	Telaglenastat (CB-839) is a first-in-class, reversible and orally bioavailable glutaminase 1 (GLS1) inhibitor. Telaglenastat (CB-839) selectively inhibits GLS1 splice variants KGA (kidney-type glutaminase) and GAC (glutaminase C) compared to GLS2. The IC50s are 23 and 28 nM for endogenous glutaminase in mouse kidney and brain, respectively. Antitumor activity[1].			
	IC50: 23 nM (GLS1 in kidney), 28 nM (GLS1 in brain), >1 μM (GLS2 in liver)[1]			
In Vitro	Telaglenastat (CB-839) (0.1-1000 nM; 72 hours) has antiproliferative activity in HCC1806 and MDA-MB-231 cells with IC50s of 49 nM and 26 nM, respectively[1]. Telaglenastat (CB-839) (1 μM; 72 hours) activates caspase 3/7 and induces apoptosis in MDA-MB-231 and HCC1806 cells[1].			
	Cell Proliferation Assay[1]			
	Cell Line:	HCC1806, MDA-MB-231 cells		
	Concentration:	0.1, 1, 10, 100, 1000 nM		
	Incubation Time:	72 hours		
	Result:	Has a potent effect on the proliferation of the two TNBC cell lines (IC50 of 49 nM and 26 nM for HCC1806 and MDA-MB-231 cells).		
	Apoptosis Analysis[1]			
	Cell Line:	MDA-MB-231, HCC1806 cells		
	Concentration:	1 μM		
	Incubation Time:	72 hours		
	Result:	Caspase 3/7 activation.		
In Vivo	Telaglenastat (CB-839) (200 mg/kg; p.o.; twice daily for 28 days) has antitumor activity in xenograft models of TNBC[1]			
	Animal Model:	Female nu/nu mice with age 4–6 weeks (TNBC patient-derived xenograft model)[1]		
	Dosage:	200 mg/kg		
	Administration:	Oral administration; twice daily for 28 days		
	Result:	Suppressed tumor growth by 61% relative to vehicle control at the end of study.		
In Vitro: DMSO : ≥ 30 mg/mL (52.49 mM) H2O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7496 mL	8.7478 mL	17.4957 mL
	5 mM	0.3499 mL	1.7496 mL	3.4991 mL
	10 mM	0.1750 mL	0.8748 mL	1.7496 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。				

<p>Solvent&Solubility</p>	<p><i>In Vivo:</i></p> <p>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：</p> <p>——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</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 2.08 mg/mL (3.64 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.08 mg/mL (3.64 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例，取 100 μL 20.8 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。</p> <p>2..请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: \geq 2.08 mg/mL (3.64 mM); Clear solution</p> <p>此方案可获得 \geq 2.08 mg/mL (3.64 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p> <p>3.请依序添加每种溶剂： 20% HP-β-CD/10 mM citrate pH 2.0 Solubility: 1 mg/mL (1.75 mM); Clear solution; Need ultrasonic</p>
<p>References</p>	<p>[1]. Gross MI, et al. Antitumor activity of the glutaminase inhibitor CB-839 in triple-negative breast cancer. <u>Mol Cancer Ther.</u> 2014 Apr;13(4):890-901.</p> <p>[2]. Biancur DE, et al. Compensatory metabolic networks in pancreatic cancers upon perturbation of glutaminemetabolism. <u>Nat Commun.</u> 2017 Jul 3;8:15965.</p>

源叶生物