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产品名称: **ETC-1002**
 产品别名: **Bempedoic acid**

生物活性:					
Description	Bempedoic acid (ETC-1002) is an ATP-citrate lyase (ACL) inhibitor[1]. Bempedoic acid (ETC-1002) activates AMPK[2].				
IC₅₀ & Target	AMPK				
In Vitro	Bempedoic acid (ETC-1002) activates AMP-activated protein kinase in a Ca ²⁺ /calmodulin-dependent kinase β-independent and liver kinase β 1-dependent manner, without detectable changes in adenylate energy charge. Bempedoic acid is shown to rapidly form a CoA thioester in liver, which directly inhibits ATP-citrate lyase[1]. In cells treated with Bempedoic acid (ETC-1002), increased levels of AMP-activated protein kinase (AMPK) phosphorylation coincide with reduced activity of MAP kinases and decreased production of proinflammatory cytokines and chemokines[2].				
In Vivo	A marked and sustained increase in AMPK and ACC phosphorylation is found in rat livers following two weeks of treatment with Bempedoic acid (ETC-1002). Bempedoic acid is >100-fold more prevalent than the CoA thioester in rat liver and is associated with AMPK activation[1]. Bempedoic acid (ETC-1002) suppresses thioglycollate-induced homing of leukocytes into mouse peritoneal cavity. In a mouse model of diet-induced obesity, Bempedoic acid restores adipose AMPK activity, reduces JNK phosphorylation, and diminishes expression of macrophage-specific marker 4F/80[2].				
Solvent&Solubility	In Vitro: DMSO : 100 mg/mL (290.28 mM; Need ultrasonic)				
		Solvent	Mass		
		Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	2.9028 mL	14.5142 mL	29.0284 mL
	Stock Solutions	5 mM	0.5806 mL	2.9028 mL	5.8057 mL
		10 mM	0.2903 mL	1.4514 mL	2.9028 mL
<p>*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。</p> <p>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。</p> <p>In Vivo:</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</p> <p>Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.26 mM, 饱和度未知) 的澄清溶液。</p> <p>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。</p>					



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.26 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.26 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
<p>References</p>	<p>[1]. Pinkosky SL, et al. AMP-activated protein kinase and ATP-citrate lyase are two distinct molecular targets for ETC-1002, a novel small molecule regulator of lipid and carbohydrate metabolism. J Lipid Res. 2013 Jan;54(1):134-51.</p> <p>[2]. Filippov S, et al. ETC-1002 regulates immune response, leukocyte homing, and adipose tissue inflammation via LKB1-dependent activation of macrophage AMPK. J Lipid Res. 2013 Aug;54(8):2095-108.</p>
<p>实验参考:</p>	
<p>Cell Assay</p>	<p>Glucose production is measured in primary rat hepatocyte cultures. Cells are cultured in glucose- and phenol red-free DMEM, containing 10 mM lactate, 1 mM pyruvate, and nonessential amino acids. Cells are incubated with various concentrations of Bempedoic acid (0.1 to 100 μM)[1].</p>
<p>Animal Administration</p>	<p>Rats: Prior to single-dose Bempedoic acid administration, Male Wistar Han rats are fasted for 48 h and refed a high-carbohydrate diet for an additional 48 h. For two-week assessment, rats are maintained on standard chow diet and dosed by oral gavage with Bempedoic acid at 30 mg/kg/day for two weeks in the morning. Following nutritional staging and/or dosing, food is withdrawn 2 h prior to last the oral dose of vehicle control or Bempedoic acid[1].</p>
<p>References</p>	<p>[1]. Pinkosky SL, et al. AMP-activated protein kinase and ATP-citrate lyase are two distinct molecular targets for ETC-1002, a novel small molecule regulator of lipid and carbohydrate metabolism. J Lipid Res. 2013 Jan;54(1):134-51.</p> <p>[2]. Filippov S, et al. ETC-1002 regulates immune response, leukocyte homing, and adipose tissue inflammation via LKB1-dependent activation of macrophage AMPK. J Lipid Res. 2013 Aug;54(8):2095-108.</p>