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产品名称: **L-TRANS-EPOXYSUCCINYL-ILE-PRO-OH PROPYLAMIDE**
产品别名: **CA-074**

生物活性:				
Description	CA-074 is a potent inhibitor of cathepsin B with a K_i of 2 to 5 nM.			
IC ₅₀ & Target	K_i : 2 to 5 nM (Cathepsin B)[1]			
In Vitro	CA-074 is a synthetic analogue of E-64, a natural peptidyl epoxide that irreversibly inhibits most known lysosomal cysteine proteinases, and is developed by means of rational drug design, exploiting the dipeptidylcarboxypeptidase activity of cathepsin B. CA-074 can be used to selectively inhibit cathepsin B within living cells, as long as the experimental conditions permit significant fluid-phase endocytosis of the drug ^[2] . CA-074 inhibits cathepsin B with a K_i of 2 to 5 nM, whereas the initial K_i s for cathepsin H and L are about 40-200 μ M. CA-074 exhibits 10000-30000 times greater inhibitory effects on purified rat cathepsin B than on cathepsin H and L ^[1] .			
In Vivo	Intraperitoneally injection of compound CA-074 into rats potently and selectively inhibits cathepsin B activity[1]. Intravenously administration of CA-074 immediately after the ischaemic insult saves 67% of CA1 neurons from delayed neuronal death on day 5 in eight monkeys undergoing 20 min brain ischaemia: the extent of inhibition is excellent in three of eight and good in five of eight monkeys[3].			
Solvent&Solubility	In Vitro: DMSO : 125 mg/mL (326.00 mM; Need ultrasonic)			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	2.6080 mL	13.0399 mL
		5 mM	0.5216 mL	2.6080 mL
		10 mM	0.2608 mL	1.3040 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。			
	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: \geq 2.08 mg/mL (5.42 mM); Clear solution 此方案可获得 \geq 2.08 mg/mL (5.42 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀, 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE- β -CD in saline)			



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	<p>Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (5.42 mM, 饱和度未知) 的澄清溶液。</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 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (5.42 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>
References	<p>[1]. Towatari T, et al. Novel epoxysuccinyl peptides. A selective inhibitor of cathepsin B, in vivo. FEBS Lett. 1991 Mar 25;280(2):311-5.</p> <p>[2]. Montaser M, et al. CA-074, but not its methyl ester CA-074Me, is a selective inhibitor of cathepsin B within living cells. Biol Chem. 2002 Jul-Aug;383(7-8):1305-8.</p> <p>[3]. Yamashima T, et al. Inhibition of ischaemic hippocampal neuronal death in primates with cathepsin B inhibitor CA-074: a novel strategy for neuroprotection based on 'calpain-cathepsin hypothesis'. Eur J Neurosci. 1998 May;10(5):1723-33.</p>
实验参考:	
Animal Administration	<p>Rats: Compound CA-074 or CA-030 or E-64 is injected intraperitoneally as a solution in saline containing DMSO. A dose of 8 mg/100 g body weight is injected. The rats are killed by a blow to the head 6 h after the injection, and their liver is perfused with saline, removed, weighed and chilled on ice. Samples of 4 g of liver are minced and homogenized. The homogenate is centrifuged at 800 xg for 15 min and the supernatant is centrifuged at 12000 xg for 30 min. The precipitate is suspended in 2 mL of 0.05 M acetate buffer, pH 5.0, and freeze-thawed for measurements of cathepsin B, H and L activities[1].</p>
References	<p>[1]. Towatari T, et al. Novel epoxysuccinyl peptides. A selective inhibitor of cathepsin B, in vivo. FEBS Lett. 1991 Mar 25;280(2):311-5.</p> <p>[2]. Montaser M, et al. CA-074, but not its methyl ester CA-074Me, is a selective inhibitor of cathepsin B within living cells. Biol Chem. 2002 Jul-Aug;383(7-8):1305-8.</p> <p>[3]. Yamashima T, et al. Inhibition of ischaemic hippocampal neuronal death in primates with cathepsin B inhibitor CA-074: a novel strategy for neuroprotection based on 'calpain-cathepsin hypothesis'. Eur J Neurosci. 1998 May;10(5):1723-33.</p>