



上海源叶生物科技有限公司
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产品名称:

2-Methyl-1-[3-(4-morpholinyl)propyl]-5-phenyl-N-[3-(trifluoromethyl)phenyl]-1H-pyrrole-3-carboxamide

产品别名: **HC-067047**

生物活性:

Description	HC-067047 is a potent and selective TRPV4 antagonist and reversibly inhibits currents through the human, rat, and mouse TRPV4 orthologs with IC ₅₀ values of 48 nM, 133 nM, and 17 nM, respectively[1].	
IC₅₀ & Target	IC ₅₀ : 48 nM (human TRPV4), 133 nM (rat TRPV4), 17 nM (mouse TRPV4)[1]	
In Vitro	HC-067047 (1 μ M; 24 hours; HEI-OC1 cells) treatment significantly decreases mRNA expression in high glucose cultured HEI-OC1 cells[2].	
	HC-067047 (1 μ M; 24 hours; HEI-OC1 cells) treatment significantly decreases the expression of TRPV4 protein[2].	
	HC-067047 (1 μ M; 48 hours; HEI-OC1 cells) treatment inhibits cell proliferation[2].	
	HC-067047 (1 μ M; 48 hours; HEI-OC1 cells) treatment promotes cell apoptosis[2].	
	RT-PCR[2]	
	Cell Line:	HEI-OC1 cells
	Concentration:	1 μ M
	Incubation Time:	24 hours
	Result:	The mRNA expression was significantly decreased.
	Western Blot Analysis[2]	
	Cell Line:	HEI-OC1 cells
	Concentration:	1 μ M
	Incubation Time:	24 hours
	Result:	The expression of TRPV4 protein was significantly decreased.
	Cell Proliferation Assay[2]	
	Cell Line:	HEI-OC1 cells
	Concentration:	1 μ M
	Incubation Time:	48 hours
	Result:	Inhibited cell proliferation.
	Apoptosis Analysis[2]	
	Cell Line:	HEI-OC1 cells
	Concentration:	1 μ M
	Incubation Time:	48 hours
	Result:	Promoted cell apoptosis.
In Vivo	HC-067047 (0-50 mg/kg; intraperitoneal injection; for 30 minutes; cyclophosphamide-treated WT and Trpv4 ^{-/-} mice, and naive WT mice) treatment increases functional bladder capacity and reduces micturition frequency in WT mice with cystitis. HC-067047 do not affect bladder function in Trpv4 ^{-/-} mice[1].	
	Animal Model:	Cyclophosphamide-treated WT and Trpv4 ^{-/-} mice, and naive WT mice[1]
	Dosage:	0 mg/kg, 1 mg/kg, 10 mg/kg, 50 mg/kg



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	Administration:	Intraperitoneal injection; for 30 minutes			
	Result:	Increased functional bladder capacity and reduces micturition frequency in WT mice with cystitis and did not affect bladder function in Trpv4 ^{-/-} mice.			
Solvent&Solubility	In Vitro:				
	DMSO : 50 mg/mL (106.04 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.1208 mL	10.6042 mL	21.2085 mL
		5 mM	0.4242 mL	2.1208 mL	4.2417 mL
		10 mM	0.2121 mL	1.0604 mL	2.1208 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: ≥ 2.5 mg/mL (5.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.30 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。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.30 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中,混合均匀。 3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.30 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。 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。				
References	[1]. Everaerts W et al. Inhibition of the cation channel TRPV4 improves bladder function in mice and rats with cyclophosphamide-induced cystitis.Proc Natl Acad Sci U S A. 2010 Nov 2;107(44):19084-9. [2]. Xing Y, et al. Decreased Expression of TRPV4 Channels in HEI-OC1 Cells Induced by High Glucose Is Associated with Hearing Impairment. Yonsei Med J. 2018 Nov;59(9):1131-1137.				