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产品名称: IRAK-1-4 抑制剂 I

产品别名: IRAK-1-4 Inhibitor I; IRAK-1/4 Inhibitor I

生物活性:					
Description	IRAK-1-4 Inhibitor I is an inhibitor of interleukin-1 receptor-associated kinase 1/4 (IRAK 1/4) with IC ₅₀ s of 0.2 μM and 0.3 μM, respectively.				
IC ₅₀ & Target	IC50: 0.2 μM (IRAK-4), 0.3 μM (IRAK-1)[1]				
In Vitro	IRAK-1-4 Inhibitor I has IC ₅₀ greater than the highest concentration tested (10 μM) against a panel of 27 other kinases, including the most closely homologous (outside of the IRAK family) Lck and pp60 ^{SRC} . Additionally, IRAK-1-4 Inhibitor I does not show any signs of cytotoxicity in a 72 h proliferation assay in HeLa cells (ED ₅₀ >30 μM). Significant inhibition of IRAK-1 is observed with IRAK-1-4 Inhibitor I (IRAK-1 IC ₅₀ =0.3 μM)[1]. IRAK-1/4 inhibitor eliminates the LPS-induced increases in Bcl10, NF-κB, and IL-8. IRAK-1/4 mediates LPS-induced IL-8 activation and functions upstream of Bcl10. The LPS-induced increase in Bcl10 declines by 73% (from 5.18±0.22 to 2.36±0.08 ng/mL), and the IL-8 response decline by 60% (from 2.64±0.31 to 1.14±0.08 ng/mL)[2].				
Solvent&Solubility	In Vitro: DMSO : 14.29 mg/mL (36.14 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5290 mL	12.6451 mL	25.2902 mL	
	5 mM	0.5058 mL	2.5290 mL	5.0580 mL	
	10 mM	0.2529 mL	1.2645 mL	2.5290 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: 1.43 mg/mL (3.62 mM); Suspended solution; Need ultrasonic 此方案可获得 1.43 mg/mL (3.62 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 14.29999 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。				
	[1]. Powers JP, et al. Discovery and initial SAR of inhibitors of interleukin-1 receptor-associated kinase-4. Bioorg Med Chem Lett. 2006 Jun 1;16(11):2842-2845. [2]. Bhattacharyya S, et al. Bcl10 mediates LPS-induced activation of NF-kappaB and IL-8 in human				

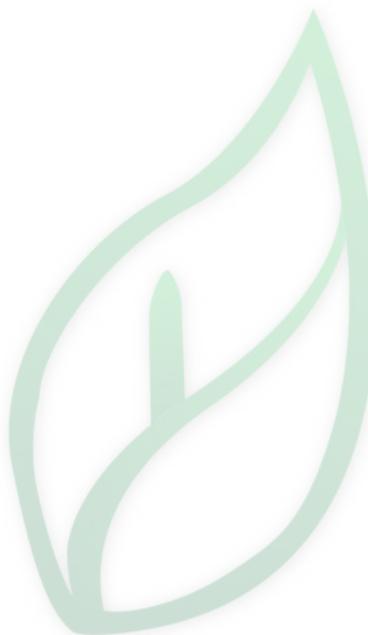


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intestinal epithelial cells. Am J Physiol Gastrointest Liver Physiol. 2007 Aug;293(2):G429-37.

实验参考:

Cell Assay	NCM460 cells, grown in 24-well plates, are incubated with 50 μ M IRAK-1/4 inhibitor for 2 h. After 2 h, the media are changed, and new media with or without LPS (10 ng/mL) added. Treatment is terminated at 6 h, and spent media and cells are collected for IL-8 and other assays[2].
References	[1]. Powers JP, et al. Discovery and initial SAR of inhibitors of interleukin-1 receptor-associated kinase-4. Bioorg Med Chem Lett. 2006 Jun 1;16(11):2842-2845. [2]. Bhattacharyya S, et al. Bcl10 mediates LPS-induced activation of NF-kappaB and IL-8 in human intestinal epithelial cells. Am J Physiol Gastrointest Liver Physiol. 2007 Aug;293(2):G429-37.



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