



上海源叶生物科技有限公司
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产品名称: **CAY10404**
CAS 号: **340267-36-9**
产品货号: **S89026**

生物活性:

Description	CAY10404 是一种有效且高度选择性的环氧合酶 2 (COX-2) 抑制剂, IC50 为 1 nM, 选择性指数 (SI; COX-1 IC50/COX-2 IC50) 为 >500000。CAY10404 是有效的 PKB/Akt 和 MAPK 信号通路抑制剂, 可诱导非小细胞肺癌 (NSCLC) 细胞凋亡 (apoptosis)。CAY10404 是一种二芳基异恶唑, 具有良好的止痛, 抗炎和抗癌活性。	
IC50 & Target	COX-2 1 nM (IC50)	COX-1 >500 μM (IC50)
In Vitro	CAY10404 (compound 7) exhibits no inhibition of COX-1 (IC50>500 μM)[1].	
	CAY10404 (10-100 μM; for 3 days) inhibits the growth of NSCLC cell lines in a concentration-dependent manner and has an average 50% inhibitory concentration (IC50) of 60-100 μM[3].	
	CAY10404 (20-100 μM; for 3 days) induces apoptosis in NSCLC cells[3].	
	CAY10404 (80 μM; for 3 days) induces a concentration-dependent decrease in the level of the anti-apoptotic proteins (Bcl-2 and Bcl-XL) and pAkt and pGSK-3β[3].	
	CAY10404 (20, 50, 80, 100 μM; for 14 days) compromises the ability of H460 cells to form colonies in anchorage-independent growth in a concentration-dependent manner[3].	
	Cell Viability Assay[3]	
	Cell Line:	Non-small cell lung cancer (NSCLC) cells (H1703, H358, H460)
	Concentration:	10-100 μM
	Incubation Time:	For 3 days
	Result:	Inhibited the growth of NSCLC cell lines in a concentration-dependent manner.
	Apoptosis Analysis[3]	
	Cell Line:	H460 cells
	Concentration:	20, 50, 100 μM
	Incubation Time:	For 3 days
	Result:	Induced apoptosis.
Western Blot Analysis[3]		
Cell Line:	NSCLC cells (H358, H460)	
Concentration:	80 μM	
Incubation Time:	For 3 days	
Result:	Induced a concentration-dependent decrease in the level of the anti-apoptotic proteins (Bcl-2 and Bcl-XL) and pAkt and pGSK-3β, without changing the level of the pro-apoptotic protein (Bax) and total Akt and GSK-3β protein levels.	
In Vivo	CAY10404 (50 mg/kg/day; ip; for 4 days) decreases lung inflammation in HTV mice and attenuates ventilator-induced lung injury[2].	
	Animal Model:	Adult male C57Bl/6J mice weighing 24-30 g[2]
	Dosage:	50 mg/kg
	Administration:	IP; daily; for 4 days



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	Result:	Attenuated cyclooxygenase activity, significantly decreasing BAL PGE2 and 6-keto PGF1α.			
		Decreased lung inflammation in HTV mice (high tidal volume; 20 ml/kg; for 4 hours) and attenuates ventilator-induced lung injury.			
Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : 100 mg/mL (272.23 mM); 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	2.7223 mL	13.6114 mL	27.2227 mL
		5 mM	0.5445 mL	2.7223 mL	5.4445 mL
	10 mM	0.2722 mL	1.3611 mL	2.7223 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 (stored under nitrogen)。-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。 动物实验: 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 方案 一 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (6.81 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。 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。					
参考文献		[1]. A G Habeeb, et al. Design and synthesis of 4,5-diphenyl-4-isoxazolines: novel inhibitors of cyclooxygenase-2 with analgesic and antiinflammatory activity. J Med Chem. 2001 Aug 30;44(18):2921-7. [2]. Joshua A Robertson, et al. The role of cyclooxygenase-2 in mechanical ventilation-induced lung injury. Am J Respir Cell Mol Biol. 2012 Sep;47(3):387-94. [3]. Yongseon Cho, et al. Effects of CAY10404 on the PKB/Akt and MAPK pathway and apoptosis in non-small cell lung cancer cells. Respiriology. 2009 Aug;14(6):850-8.			
完整储备液配制表:					
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 (stored under nitrogen)。-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。					
可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg



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DMSO	1 mM	2.7223 mL	13.6114 mL	27.2227 mL	68.0568 mL
	5 mM	0.5445 mL	2.7223 mL	5.4445 mL	13.6114 mL
	10 mM	0.2722 mL	1.3611 mL	2.7223 mL	6.8057 mL
	15 mM	0.1815 mL	0.9074 mL	1.8148 mL	4.5371 mL
	20 mM	0.1361 mL	0.6806 mL	1.3611 mL	3.4028 mL
	25 mM	0.1089 mL	0.5445 mL	1.0889 mL	2.7223 mL
	30 mM	0.0907 mL	0.4537 mL	0.9074 mL	2.2686 mL
	40 mM	0.0681 mL	0.3403 mL	0.6806 mL	1.7014 mL
	50 mM	0.0544 mL	0.2722 mL	0.5445 mL	1.3611 mL
	60 mM	0.0454 mL	0.2269 mL	0.4537 mL	1.1343 mL
	80 mM	0.0340 mL	0.1701 mL	0.3403 mL	0.8507 mL
	100 mM	0.0272 mL	0.1361 mL	0.2722 mL	0.6806 mL

