



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyyssw@sina.com

产品名称: **Alsterpaullone**
CAS 号: **237430-03-4**
产品货号: **S87875**

生物活性:						
Description	Alsterpaullone (9-Nitropauullone) 是一种高效的 CDK 抑制剂,作用于 CDK1/cyclin B、CDK2/cyclin A、CDK2/cyclin E 和 CDK5/p35 的 IC50 值分别为 35 nM、15 nM、200 nM 和 40 nM。Alsterpaullone 是 ATP 竞争性的 GSK-3alpha/GSK-3beta 抑制剂,且作用的 IC50 值都为 4 nM。Alsterpaullone 具有抗肿瘤活性,有用于神经退行性和增生性疾病研究的潜力。Alsterpaullon 诱导白血病细胞凋亡 (apoptosis)。					
IC50 & Target[1]	Cdk1/cyclin B	cdk2/cyclin A	CDK2/Cyc E	CDK5/p35	GSK-3α	GSK-3β
	35 nM (IC50)	15 nM (IC50)	200 nM (IC50)	40 nM (IC50)	4 (IC50)	4 nM (IC50)
Cellular Effect	Cell Line	Type	Value	Description		
	DU-145	IC50	1.1 μM	Cytotoxicity against human DU145 cells after 24 hrs by MTT assay		
	HCT-15	IC50	0.62 μM	Cytotoxicity against human HCT15 cells after 48 hrs by SRB assay		
	K562	IC50	1.58 μM	Cytotoxicity against human K562 cells after 48 hrs by SRB assay		
	LoVo	IC50	< 1 μM	Cytotoxicity against human LoVo cells after 24 hrs by MTT assay		
	MCF7	IC50	1.24 μM	Cytotoxicity against human MCF7 cells after 48 hrs by SRB assay		
	MCF7	IC50	2.7 μM	Cytotoxicity against human MCF7 cells after 24 hrs by MTT assay		
	PC-3	IC50	1.12 μM	Cytotoxicity against human PC3 cells after 48 hrs by SRB assay		
	RAW264.7	IC50	2.2 μM	Cytotoxicity against mouse RAW264.7 cells after 24 hrs by MTT assay		
	U-251	IC50	0.68 μM	Cytotoxicity against human U251 cells after 48 hrs by SRB assay		
In Vitro	Alsterpa μ Llone (0.3, 1, 3 μM; 8 小时) 诱导白血病细胞系凋亡[2]。					
	Alsterpa μ Llone (5, 10, 15, 20, 25, 30 μM; 48 和 72 小时) 以剂量和时间依赖性方式抑制 HeLa 细胞的生长。用 Alsterpa μ Llone 处理也会导致细胞生长的时间依赖性抑制[3]。					
	Alsterpa μ Llone (20 μM) 根据半胱天冬酶活性诱导细胞死亡[3]。					
	Cell Viability Assay[3]					
	Cell Line:	HeLa cells				
	Concentration:	5, 10, 15, 20, 25, 30 μM				
	Incubation Time:	48 and 72 hours				
Result:	The growth of HeLa cells was inhibited in a dose-dependent manner for 48 h and 72 h ranging from 0 to 30 μM.					
Apoptosis Analysis[2]						



	Cell Line:	Jurkat cell line				
	Concentration:	0.3, 1, 3 μM				
	Incubation Time:	8 hours				
	Result:	Induced dose-dependent apoptosis. 1 μM was sufficient to cause apoptosis, 3 μM demonstrated maximal apoptotic effects ^[2] .				
	Western Blot Analysis ^[3]					
	Cell Line:	HeLa cells				
	Concentration:	2, 4, 6, 12, 24 hours				
	Incubation Time:	8 hours				
	Result:	The cleavage of PARP started at 4 h, while the activation of caspase-3 occurred at 2 h.				
In Vivo	Alsterpa μ Llone (30 mg/kg, 皮下注射, 每日一次, 持续 2 周) 可减少髓母细胞瘤异种移植物的肿瘤生长并增加存活率 ^[4] 。					
	Animal Model:	5-6 week old athymic nude mice ^[4]				
	Dosage:	30 mg/kg				
	Administration:	Subcutaneous injections, daily for 2 weeks				
	Result:	Antitumor effect in Group 3 medulloblastomas.				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 5 mg/mL (17.05 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 Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		3.4097 mL	17.0486 mL	34.0971 mL
		5 mM		0.6819 mL	3.4097 mL	6.8194 mL
		10 mM		0.3410 mL	1.7049 mL	3.4097 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, 2 years; -20°C, 1 year。 -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。					
参考文献	[1]. Leost M, et al. Paullones are potent inhibitors of glycogen synthase kinase-3β and cyclin-dependent kinase 5/p25. Eur J Biochem. 2000 Oct;267(19):5983-94.					
	[2]. Lahusen T, et al. Alsterpaullone, a novel cyclin-dependent kinase inhibitor, induces apoptosis by activation of caspase-9 due to perturbation in mitochondrial membrane potential. Mol Carcinog. 2003 Apr;36(4):183-94.					
	[3]. Chunying Cui, et al. Alsterpaullone, a Cyclin-Dependent Kinase Inhibitor, Mediated Toxicity in HeLa Cells through Apoptosis-Inducing Effect. J Anal Methods Chem. 2013;2013:602091.					
	[4]. Claudia C Faria, et al. Identification of alsterpaullone as a novel small molecule inhibitor to target group 3 medulloblastoma. Oncotarget. 2015 Aug 28;6(25):21718-29.					
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, 2 years; -20° C, 1 year。 -80° C 储存时, 请在 2 年内使用, -20° C 储存时, 请在 1 年内使用。						



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可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	3.4097 mL	17.0486 mL	34.0971 mL	85.2428 mL
	5 mM	0.6819 mL	3.4097 mL	6.8194 mL	17.0486 mL
	10 mM	0.3410 mL	1.7049 mL	3.4097 mL	8.5243 mL
	15 mM	0.2273 mL	1.1366 mL	2.2731 mL	5.6829 mL



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