



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: shyysw@sina.com

产品名称: **SCFSkp2-IN-2**

CAS 号: **1375060-02-8**

产品货号: **S89891**

生物活性:

Description	SCFSkp2-IN-2 (Compound AAA-237) is a Skp2 inhibitor with a KD of 28.77 μ M. AAA-237 induces apoptosis of NSCLC cells and shows antitumor activities[1].	
IC50 & Target	KD: 28.77 μ M (Skp2)[1]	
In Vitro	SCFSkp2-IN-2 (Compound AAA-237) (0.3-3 μ M; 24-72 h) 可直接与 Skp2 结合, 导致 Skp2 被蛋白酶体降解。AAA-237 对 Skp2 mRNA 表达无显著影响, 但对 NSCLC 细胞中 Skp2 蛋白表达有时间依赖性的抑制作用[1]。	
	SCFSkp2-IN-2 (0.3-3 μ M; 24-72 h) 以剂量和时间依赖的方式抑制 A549 和 H1299 细胞的增殖[1]。	
	SCFSkp2-IN-2 (0.3-3 μ M; 24-72 h) 通过调节 Skp2-Cip/Kip 和 PI3K/Akt-FOXO1 信号通路, 在 G0/G1 检查点阻止细胞周期[1]。	
	SCFSkp2-IN-2 (0.3-3 μ M; 24-72 h) 以剂量和时间依赖的方式诱导 A549 和 H1299 细胞凋亡[1]。	
	SCFSkp2-IN-2 (0.3 μ M; 1-7 days) 诱导 NSCLC 细胞衰老[1]。	
	Western Blot Analysis[1]	
	Cell Line:	A549 and H1299 cells
	Concentration:	0.3, 1 and 3 μ M
	Incubation Time:	24, 48 and 72 h
	Result:	Increased the expression levels of p21Cip1 and p27Kip1. Decreased the level of Skp2. Reduced the expression levels of CDK2, p-CDK2, cyclin E1, CDK4, p-CDK4 and cyclin D. Increased the levels of cleaved PARP, cleaved caspase 3, cleaved caspase 9 and Bax. Decreased the expression of PARP and Bcl-2.
	Cell Proliferation Assay[1]	
	Cell Line:	A549 and H1299 cells
	Concentration:	0.3, 1 and 3 μ M
	Incubation Time:	24, 48 and 72 h
	Result:	Inhibited the proliferation of A549 and H1299 cells in a dose- and time-dependent manner. The IC ₅₀ for A549 was 3 μ M at 24 h, 2.5 μ M at 48 h and 0.7 μ M at 72 h. The IC ₅₀ for H1299 was 3.9 μ M at 24 h, 1.8 μ M at 48 h and 1.1 μ M at 72 h.
	Cell Cycle Analysis[1]	
	Cell Line:	A549 and H1299 cells
	Concentration:	0.3, 1 and 3 μ M
	Incubation Time:	24, 48 and 72 h
	Result:	Arrested the cell cycle at G0/G1 in a dose- and time-dependent manner.
	Apoptosis Analysis[1]	
	Cell Line:	A549 and H1299 cells
	Concentration:	0.3, 1 and 3 μ M



	Incubation Time:	24, 48 and 72 h			
	Result:	The ratio of JC-1 monomer/JC-1 polymer was increased in A549 and H1299 cells as the concentration was increased.			
In Vivo	SCFSkp2-IN-2 (Compound AAA-237) (15 or 45 mg/kg; i.p.; daily for 14 days) 在肺癌 A549 异种移植小鼠模型中显示出抗肿瘤活性[1]。				
	Animal Model:	A549 xenograft model[1]			
	Dosage:	15 or 45 mg/kg			
	Administration:	Intraperitoneal administration, daily for 14 days			
	Result:	Significantly reduced tumor volume and weight. The tumor growth inhibition of the low dose and high dose was 55% and 64%, respectively. No obvious weight loss or abnormal behavior was observed. Reduced the expression of Ki67 in tumor tissue. Reduced the expression of Skp2 and Bcl-2 and increased the expression of p27, the cleaving of caspase 3, caspase 9, PARP and Bax.			
Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : 125 mg/mL (400.17 mM; 超声助溶 (<60°C); 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	3.2013 mL	16.0067 mL	32.0133 mL
		5 mM	0.6403 mL	3.2013 mL	6.4027 mL
		10 mM	0.3201 mL	1.6007 mL	3.2013 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。					
参考文献	[1]. Liu J, et al. Anti-tumor effects of Skp2 inhibitor AAA-237 on NSCLC by arresting cell cycle at G0/G1 phase and inducing senescence. Pharmacol Res. 2022 Jul;181:106259.				
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。-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
DMSO	1 mM	3.2013 mL	16.0067 mL	32.0133 mL	80.0333 mL
	5 mM	0.6403 mL	3.2013 mL	6.4027 mL	16.0067 mL
	10 mM	0.3201 mL	1.6007 mL	3.2013 mL	8.0033 mL
	15 mM	0.2134 mL	1.0671 mL	2.1342 mL	5.3356 mL



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	20 mM	0.1601 mL	0.8003 mL	1.6007 mL	4.0017 mL
	25 mM	0.1281 mL	0.6403 mL	1.2805 mL	3.2013 mL
	30 mM	0.1067 mL	0.5336 mL	1.0671 mL	2.6678 mL
	40 mM	0.0800 mL	0.4002 mL	0.8003 mL	2.0008 mL
	50 mM	0.0640 mL	0.3201 mL	0.6403 mL	1.6007 mL
	60 mM	0.0534 mL	0.2668 mL	0.5336 mL	1.3339 mL
	80 mM	0.0400 mL	0.2001 mL	0.4002 mL	1.0004 mL
	100 mM	0.0320 mL	0.1601 mL	0.3201 mL	0.8003 mL



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