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产品名称: **CMLD-2**
CAS 号: **958843-91-9**
产品货号: **S89954**

生物活性:

Description	CMLD-2, an inhibitor of HuR-ARE interaction, competitively binds HuR protein disrupting its interaction with adenine-uridine rich elements (ARE)-containing mRNAs ($K_i=350$ nM). CMLD-2 induces apoptosis exhibits antitumor activity in different cancer cells as colon, pancreatic, thyroid and lung cancer cell lines. Hu antigen R (HuR) is an RNA binding protein, can regulate target mRNAs stability and translation[1][2].																																
IC50 & Target	HuR[1]																																
In Vitro	CMLD-2 (1-75 μM; 24-72 h) 抑制甲状腺癌细胞活力[2]。 CMLD-2 (20-30 μM; 24-48 h) 激活半胱天冬酶并在 H1299 和 A549 细胞中诱导凋亡细胞死亡[3]。 CMLD-2 (30 μM; 24-48 小时) 在 H1299 和 A549 细胞中诱导 G1 细胞周期停滞和线粒体扰动[3]。 CMLD-2 (30 μM; 24-48 小时) 降低 H1299 细胞中 HuR 和 HuR 调节的 mRNA 和蛋白质的表达[3]。 CMLD-2 (35 μM; 72 小时) 降低 SW1736、8505C、BCPAP 和 K1 细胞的定向迁移能力。CMLD-2 可显著降低 SW1736、8505C、BCPAP 和 K1 细胞中的 MAD2 mRNA 水平[2]。 Cell Viability Assay[2] <table><tr><td>Cell Line:</td><td>SW1736, 8505C, BCPAP and K1 cells</td></tr><tr><td>Concentration:</td><td>1, 5, 10, 25, 35, 50, 75 μM</td></tr><tr><td>Incubation Time:</td><td>24, 48, 72 hours</td></tr><tr><td>Result:</td><td>Reduced the viability of all the four cell lines when used at 35, 50 and 75 μM concentration and at different time points.</td></tr></table> Apoptosis Analysis[3] <table><tr><td>Cell Line:</td><td>H1299, A549, H1975, HCC827, MRC-9 and CCD16 cells</td></tr><tr><td>Concentration:</td><td>20, 30 μM</td></tr><tr><td>Incubation Time:</td><td>24, 48 hours</td></tr><tr><td>Result:</td><td>Marked activated the caspase-9 and -3 in lung tumor cells. Induce the cleavage of PARP in lung tumor cells. Significantly increased the annexin-V-positive staining in lung tumor cells.</td></tr></table> Cell Cycle Analysis[3] <table><tr><td>Cell Line:</td><td>H1299, A549, MRC-9 and CCD16 cells</td></tr><tr><td>Concentration:</td><td>30 μM</td></tr><tr><td>Incubation Time:</td><td>24, 48 hours</td></tr><tr><td>Result:</td><td>Induced greater G1 phase cell cycle arrest in H1299 and A549 cells than in MRC-9 and CCD16 cells.</td></tr></table> Western Blot Analysis[3] <table><tr><td>Cell Line:</td><td>H1299, A549, H1975, HCC827, CCD16 and MRC-9 cells</td></tr><tr><td>Concentration:</td><td>20, 30 μM</td></tr><tr><td>Incubation Time:</td><td>24, 48 hours</td></tr><tr><td>Result:</td><td>Diminished protein expression of HuR, Bcl-2, Cyclin E and Bcl-XL and increased expression of p27 and BAX in lung tumor cells.</td></tr></table>	Cell Line:	SW1736, 8505C, BCPAP and K1 cells	Concentration:	1, 5, 10, 25, 35, 50, 75 μ M	Incubation Time:	24, 48, 72 hours	Result:	Reduced the viability of all the four cell lines when used at 35, 50 and 75 μ M concentration and at different time points.	Cell Line:	H1299, A549, H1975, HCC827, MRC-9 and CCD16 cells	Concentration:	20, 30 μ M	Incubation Time:	24, 48 hours	Result:	Marked activated the caspase-9 and -3 in lung tumor cells. Induce the cleavage of PARP in lung tumor cells. Significantly increased the annexin-V-positive staining in lung tumor cells.	Cell Line:	H1299, A549, MRC-9 and CCD16 cells	Concentration:	30 μ M	Incubation Time:	24, 48 hours	Result:	Induced greater G1 phase cell cycle arrest in H1299 and A549 cells than in MRC-9 and CCD16 cells.	Cell Line:	H1299, A549, H1975, HCC827, CCD16 and MRC-9 cells	Concentration:	20, 30 μ M	Incubation Time:	24, 48 hours	Result:	Diminished protein expression of HuR, Bcl-2, Cyclin E and Bcl-XL and increased expression of p27 and BAX in lung tumor cells.
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Solvent&Solubility	DMSO 中的溶解度 : 50 mg/mL (97.36 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)				
	Solvent Mass Concentration Preparing Stock Solutions		1 mg	5 mg	10 mg
		1 mM	1.9471 mL	9.7356 mL	19.4712 mL
		5 mM	0.3894 mL	1.9471 mL	3.8942 mL
		10 mM	0.1947 mL	0.9736 mL	1.9471 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。 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 → 40% PEG300 → 5% Tween-80 → 45% Saline Solubility: ≥ 2.5 mg/mL (4.87 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。 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; 再向上述体系中加入 50 μL Tween-80, 混合均匀; 然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH₂ O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂: 10% DMSO → 90% (20% SBE-β-CD in Saline) Solubility: ≥ 2.5 mg/mL (4.87 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。 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。 2 g SBE-β-CD (磺丁基醚 β-环糊精) 粉末定容于 10 mL 的生理盐水中, 完全溶解至澄清透明。 方案 三 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (4.87 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]. Wu X, et, al. Identification and validation of novel small molecule disruptors of HuR-mRNA interaction. ACS Chem Biol. 2015 Jun 19;10(6):1476-84.				
	[2]. Allegri , et, al. The HuR CMLD-2 inhibitor exhibits antitumor effects via MAD2 downregulation in				



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thyroid cancer cells. Sci Rep. 2019 May 14;9(1):7374.

[3]. Muralidharan R, et, al. HuR-targeted small molecule inhibitor exhibits cytotoxicity towards human lung cancer cells. Sci Rep. 2017 Aug 30;7(1):9694.

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	1.9471 mL	9.7356 mL	19.4712 mL	48.6779 mL
	5 mM	0.3894 mL	1.9471 mL	3.8942 mL	9.7356 mL
	10 mM	0.1947 mL	0.9736 mL	1.9471 mL	4.8678 mL
	15 mM	0.1298 mL	0.6490 mL	1.2981 mL	3.2452 mL
	20 mM	0.0974 mL	0.4868 mL	0.9736 mL	2.4339 mL
	25 mM	0.0779 mL	0.3894 mL	0.7788 mL	1.9471 mL
	30 mM	0.0649 mL	0.3245 mL	0.6490 mL	1.6226 mL
	40 mM	0.0487 mL	0.2434 mL	0.4868 mL	1.2169 mL
	50 mM	0.0389 mL	0.1947 mL	0.3894 mL	0.9736 mL
	60 mM	0.0325 mL	0.1623 mL	0.3245 mL	0.8113 mL
	80 mM	0.0243 mL	0.1217 mL	0.2434 mL	0.6085 mL