



上海源叶生物科技有限公司
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产品名称: **ML-210**
CAS 号: **1360705-96-9**
产品货号: **S87664**

生物活性:

Description	ML-210 是一种选择性, 共价的谷胱甘肽过氧化物酶 4 (GPX4) 抑制剂, EC50 为 30 nM。ML-210 结合 GPX4 硒代半胱氨酸残基。ML210 具有抗癌活性。			
IC50 & Target[1]	Glutathione Peroxidase 4 (GPX4)			
Cellular Effect	Cell Line	Type	Value	Description
	BJ	IC ₅₀	107 nM	Cytotoxicity against human BJ cells expressing HRAS G12V mutant with alternative oncogenic constructs after 48 hrs by alamar blue assay
	HCT-116	IC ₅₀	> 1 μ M	Cytotoxicity against human HCT-116 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	HeLa	IC ₅₀	0.253 μ M	Cytotoxicity against human HeLa cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	HT-1080	IC ₅₀	0.022 μ M	Cytotoxicity against human HT-1080 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	MCF7	IC ₅₀	> 20 μ M	Antiproliferative activity against human MCF7 cells assessed as reduction in cell growth incubated for 48 hrs in presence of Fer-1 by CCK8 assay
	MCF7	IC ₅₀	0.076 μ M	Antiproliferative activity against human MCF7 cells assessed as reduction in cell growth incubated for 48 hrs by CCK8 assay
	MDA-MB-231	IC ₅₀	0.02 μ M	Cytotoxicity against human MDA-MB-231 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	MDA-MB-468	IC ₅₀	0.066 μ M	Cytotoxicity against human MDA-MB-468 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	MEF	IC ₅₀	0.016 μ M	Cytotoxicity against mouse MEF cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	NCI-H522	IC ₅₀	0.044 μ M	Cytotoxicity against human NCI-H522 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis
	NCI-H522	IC ₅₀	34.515 μ M	Cytotoxicity against human NCI-H522 cells assessed as reduction in cell viability incubated for 3 days in presence of ferroptosis inhibitor, liproxstatin-1 by methylene blue staining based analysis
	U2OS	IC ₅₀	0.822 μ M	Cytotoxicity against human U2OS cells assessed as reduction



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				in cell viability incubated for 3 days by methylene blue staining based analysis	
	WI-38	IC ₅₀	0.06 μM	Cytotoxicity against human WI-38 cells assessed as reduction in cell viability incubated for 3 days by methylene blue staining based analysis	
In Vitro	ML-210 在一组 821 种癌细胞系 (WM88、LOX-IMVI、CJM、U257、CAKI2、A498、HT1080、MC38、PANC02) 中表现出细胞杀伤活性。ML-210 是一种前药, 需要细胞激活才能结合 GPX4[1]。 ML-210 对 BJeLR (HRASV12)、BJeH-LT 的 IC ₅₀ 分别为 71 nM、272 nM 和 107 nM (无 HRASV12) 和 DRD 细胞系, 分别为[2]。				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 25 mg/mL (52.60 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	2.1038 mL	10.5192 mL	21.0385 mL
		5 mM	0.4208 mL	2.1038 mL	4.2077 mL
		10 mM	0.2104 mL	1.0519 mL	2.1038 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。 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 (5.26 mM); 悬浊液; 超声助溶 此方案可获得 2.5 mg/mL 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 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 ddH ₂ 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% Corn Oil Solubility: ≥ 2.08 mg/mL (4.38 mM); 澄清溶液 此方案可获得 ≥ 2.08 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 20.8 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]. John K. Eaton, et al. Targeting a Therapy-Resistant Cancer Cell State Using Masked Electrophiles as GPX4 Inhibitors. Biorxiv. 2018.
- [2]. Weïwer M, et al. Development of small-molecule probes that selectively kill cells induced to express mutant RAS. Bioorg Med Chem Lett. 2012 Feb 15;22(4):1822-6..

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。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.1038 mL	10.5192 mL	21.0385 mL	52.5961 mL
	5 mM	0.4208 mL	2.1038 mL	4.2077 mL	10.5192 mL
	10 mM	0.2104 mL	1.0519 mL	2.1038 mL	5.2596 mL
	15 mM	0.1403 mL	0.7013 mL	1.4026 mL	3.5064 mL
	20 mM	0.1052 mL	0.5260 mL	1.0519 mL	2.6298 mL
	25 mM	0.0842 mL	0.4208 mL	0.8415 mL	2.1038 mL
	30 mM	0.0701 mL	0.3506 mL	0.7013 mL	1.7532 mL
	40 mM	0.0526 mL	0.2630 mL	0.5260 mL	1.3149 mL
	50 mM	0.0421 mL	0.2104 mL	0.4208 mL	1.0519 mL