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产品名称：ZLDI-8
CAS 号：667880-38-8
产品货号：S89130

生物活性：

Description

ZLDI-8 是一种 Notch 活化/裂解酶 ADAM-17 抑制剂，可抑制 Notch 蛋白的裂解，并降低促存活/抗凋亡和上皮-间质转化相关蛋白的表达。ZLDI-8 还是一种竞争性和不可逆的酪氨酸磷酸酶 (Lyp) 抑制剂，IC50 为 31.6 μM，Ki 为 26.22 μM。ZLDI-8 以 IC50 为 5.32 μM 抑制 MHCC97-H 细胞的生长。

IC50 & Target

ADAM-17[1]

IC50: 31.6 μM (Tyrosine phosphatase)[1]

Ki: 26.22 μM (Tyrosine phosphatase)[1]

In Vitro

ZLDI-8 (0.03-30 μM; 6-72 hours; MHCC97-H cells) treatment reduces cell viability in a time- and dose-dependent manner[1].

ZLDI-8 (1-10 μM; 6-72 hours; MHCC97-H cells) significantly decreases the level of NICD and the accumulation of NICD in the nucleus. ZLDI-8 could also reduce the expression of pro-survival/anti-apoptosis regulators, Survivin and cIAP1/2. And also increases the expression of epithelial marker E-Cadherin and reduced mesenchymal markers N-Cadherin and Vimentin[1].

ZLDI-8 enhances chemotherapy effects on tumor cell proliferation blockage, induction of apoptosis and cell-cycle arrest by inhibiting Notch pathway and blocking chemical resistance[1]。

Cell Viability Assay[1]

Cell Line:	MHCC97-H cells
Concentration:	0.03 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM, 10 μM, 30 μM
Incubation Time:	6 hours, 12 hours, 24 hours, 48 hours, 72 hours
Result:	Emerged cytotoxic effect on MHCC97-H cells in a time- and dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	MHCC97-H cells
Concentration:	1 μM, 3 μM, 10 μM
Incubation Time:	6 hours, 12 hours, 24 hours, 48 hours, 72 hours
Result:	Significantly decreased the level of NICD and the accumulation of NICD in the nucleus. Also reduced the expression of pro-survival/anti-apoptosis regulators, Survivin and cIAP1/2

In Vivo

ZLDI-8 (0.2-2 mg/kg; intraperitoneal injection; every two days; for 20 days; nude mice) treatment enhances the effect of Sorafenib on inhibiting tumor growth in nude HCC-bearing mice model[1].

Animal Model:	Nude mice with MHCC-97H cells[1]
Dosage:	2 mg/kg, 1 mg/kg, 500 μg/kg, or 200 μg/kg
Administration:	Intraperitoneal injection; every two days; for 20 days
Result:	Inhibited tumor growth in nude HCC-bearing mice model.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：62.5 mg/mL (144.17 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	1 mg	5 mg	10 mg

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	Preparing Stock Solutions	1 mM	2.3067 mL	11.5335 mL	23.0670 mL
		5 mM	0.4613 mL	2.3067 mL	4.6134 mL
		10 mM	0.2307 mL	1.1533 mL	2.3067 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]. Zhang Y, et al. Novel ADAM-17 inhibitor ZLDI-8 enhances the in vitro and in vivo chemotherapeutic effects of Sorafenib on hepatocellular carcinoma cells. Cell Death Dis. 2018 Jul 3;9(7):743. [2]. Hou X, et al. Fast identification of novel lymphoid tyrosine phosphatase inhibitors using target-ligand interaction-based virtual screening. J Med Chem. 2014 Nov 26;57(22):9309-22.				

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**	2.3067 mL	11.5335 mL	23.0670 mL	57.6675 mL
	5 mM	0.4613 mL	2.3067 mL	4.6134 mL	11.5335 mL
	10 mM	0.2307 mL	1.1533 mL	2.3067 mL	5.7667 mL
	15 mM	0.1538 mL	0.7689 mL	1.5378 mL	3.8445 mL
	20 mM	0.1153 mL	0.5767 mL	1.1533 mL	2.8834 mL
	25 mM	0.0923 mL	0.4613 mL	0.9227 mL	2.3067 mL
	30 mM	0.0769 mL	0.3844 mL	0.7689 mL	1.9222 mL
	40 mM	0.0577 mL	0.2883 mL	0.5767 mL	1.4417 mL
	50 mM	0.0461 mL	0.2307 mL	0.4613 mL	1.1533 mL
	60 mM	0.0384 mL	0.1922 mL	0.3844 mL	0.9611 mL
	80 mM	0.0288 mL	0.1442 mL	0.2883 mL	0.7208 mL
	100 mM	0.0231 mL	0.1153 mL	0.2307 mL	0.5767 mL