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产品名称:

N-[2-[2-(Hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]-3-methyl-L-valyl-N-(2-aminoethyl)-L-alanina

产品别名: **TAPI-2; TNF Protease Inhibitor 2**

生物活性:

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Description	TAPI-2 (TNF Protease Inhibitor 2) is a broad-spectrum inhibitor of matrix metalloprotease (MMP), tumour necrosis factorα-converting enzyme (TACE) and a disintegrin and metalloproteinase (ADAM), with an IC50 of 20±10 μM for MMP.				
IC50 & Target	IC50: 20±10 μM (MMP)[1] Ki: 1.5±0.27 nM (MMPs)[1]				
In Vitro	The hydroxamate-based metalloprotease inhibitor TAPI-2 binds to hmeprin with inhibition constants IC50 20±10 μM for hmeprin β subunit and 1.5±0.27 nM for hmeprin α subunit. Generally, hmeprin α is inhibited more strongly than the β subunit[1]. Without affecting ADAM17 expression, TAPI-2 dramatically decreases the protein levels of NICD and its downstream target HES-1 in both HCP-1 and HT29 cells. Moreover, treating cells with TAPI-2 significantly decreases the CSC phenotype by -50% in both CRC cell lines. The dose-dependent effects of TAPI-2 on the sphere formation and protein levels of NICD and HES-1 confirm that the concentration used (20 μM) is within the effective dose range of TAPI-2 (5–40 μM)[2].				
Solvent&Solubility	In Vitro: DMSO : ≥ 22 mg/mL (52.94 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	SolventMassConcentration	1 mg	5 mg	10 mg
		1 mM	2.4066 mL	12.0328 mL	24.0657 mL
		5 mM	0.4813 mL	2.4066 mL	4.8131 mL
		10 mM	0.2407 mL	1.2033 mL	2.4066 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。					
References	[1]. Kruse MN, et al. Human meprin alpha and beta homo-oligomers: cleavage of basement membrane proteins and sensitivity to metalloprotease inhibitors. Biochem J. 2004 Mar 1;378(Pt 2):383-9. [2]. Wang R, et al. A Disintegrin and Metalloproteinase Domain 17 Regulates Colorectal Cancer Stem Cells and Chemosensitivity Via Notch1 Signaling. Stem Cells Transl Med. 2016 Mar;5(3):331-8.				
实验参考:					
Cell Assay	TAPI-2 is dissolved in DMSO and diluted with appropriate medium before use. All experiments are performed using 20 μM TAPI-2. Cells are cultured with or without TAPI-2 for 48 hours and then seeded at 3,000 cells per well in 96-well plates. After pretreatment, increasing doses of 5-fluorouracil (5-FU) that are relevant to the recommended clinical dose (up to 2 μg/mL) are added, with or without TAPI-2, for 72 hours. Cell viability is assessed by adding MTT substrate (0.25% in phosphate-buffered saline [PBS]) in growth medium (1:5 dilution) to cells for 1 hour at 37°C. The cells are ished with PBS, and 100 μL of dimethyl				



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	sulfoxideis added. Optical density is measured at 570 nM, and relative MTT is presented as a percentage of control ^[2] .
Kinase Assay	Meprin activities are determined using N-benzoyl-L-tyrosyl p-aminobenzoic acid as substrate. The substrate concentration is 40 mM, and the enzyme concentration is always at least 10 times below K_i . Inhibitors are employed in a concentration range from 5 pM to 5 mM, depending on their inhibitory effect. Each inhibitor is tested over a concentration range covering at least ten different concentrations from $K_i/5$ to $5 \times K_i$. All reactions are carried out at 37°C in 50 mM Tris/HCl, pH 7.5, and 0.5 mM $MgCl_2$ ^[1] .
References	[1]. Kruse MN, et al. Human meprin alpha and beta homo-oligomers: cleavage of basement membrane proteins and sensitivity to metalloprotease inhibitors. Biochem J. 2004 Mar 1;378(Pt 2):383-9. [2]. Wang R, et al. A Disintegrin and Metalloproteinase Domain 17 Regulates Colorectal Cancer Stem Cells and Chemosensitivity Via Notch1 Signaling. Stem Cells Transl Med. 2016 Mar;5(3):331-8.

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