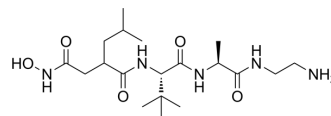


TAPI-2

Cat. No.:	HY-100211
CAS No.:	187034-31-7
Molecular Formula:	C ₁₉ H ₃₇ N ₅ O ₅
Molecular Weight:	415.53
Target:	MMP; SARS-CoV
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 100 mg/mL (240.66 mM; Need ultrasonic)
 Ethanol : 50 mg/mL (120.33 mM; Need warming)
 DMSO : ≥ 22 mg/mL (52.94 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (5.01 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (5.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAPI-2 (TNF Protease Inhibitor 2) is a broad-spectrum inhibitor of matrix metalloprotease (MMP), tumour necrosis factor- α -converting enzyme (TACE) and a disintegrin and metalloproteinase (ADAM), with an IC₅₀ of 20 μ M for MMP^[1]. TAPI-2 blocks the entry of infectious SARS-CoV^[2].

IC₅₀ & Target

MMP
20 μ M (IC₅₀)

In Vitro

The hydroxamate-based metalloprotease inhibitor TAPI-2 bounds to hmeprin with inhibition constants IC₅₀ 20±10 μ M 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 μ M) is within the effective dose range of TAPI-2 (5-40 μ M)^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay^[3]

TAPI-2 is dissolved in DMSO and diluted with appropriate medium before use. All experiments are performed using 20 μ M 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 μ g/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 washed with PBS, and 100 μ L of dimethyl sulfoxide is added. Optical density is measured at 570 nm, and relative MTT is presented as a percentage of control^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- J Exp Clin Canc Res. 2020 Jul 29;39(1):145.
- Clin Sci (Lond). 2019 Mar 1;133(5):611-627.
- J Cell Biochem. 2018 Mar;119(3):2911-2922.

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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.
- [3]. Shiori Haga, et al. TACE Antagonists Blocking ACE2 Shedding Caused by the Spike Protein of SARS-CoV Are Candidate Antiviral Compounds. *Antiviral Res.* 2010 Mar;85(3):551-5.

Caution: Product has not been fully validated for medical applications. For research use only.

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