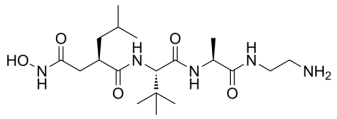
(R)-TAPI-2

Cat. No.:	HY-100211A
CAS No.:	689284-12-6
Molecular Formula:	$C_{19}H_{37}N_5O_5$
Molecular Weight:	415.53
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	(R)-TAPI-2 is the isomer of TAPI-2 (HY-100211A). 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 IC_{50} of 20 μM for MMP[1]. TAPI-2 blocks the entry of infectious SARS-CoV[2].
In Vitro	The hydroxamate-based metalloprotease inhibitor TAPI-2 bounds to hmeprin with inhibition constants IC_{50} 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)[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 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 sulfoxideis 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.

REFERENCES

[1]. 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.

[2]. 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.

[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.

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