Product Data Sheet

Product Name: TAPI-1
Cat. No.: GC12344

Chemical Properties

Cas. No.	163847-77-6		
Chemical Name	N1-((R)-1-(((R)-1-((2-aminoethyl)amino)-1-oxopropan-2-yl)amino)-3-(naphthalen-2-yl)-1-oxopropan-2-yl)-N4-hydroxy-2-isobutylsuccinamide		
SMILES	NCCNC([C@@H](C)NC([C@H](NC(C(CC(C)C)CC(NO)=O)=O)CC1=CC2=CC=CC=C2C=C1)=O)=O		
Formula	$C_{26}H_{37}N_5O_5$	M.Wt	499.6
Solubility	≥ 24.98mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	TAPI-1		

Protocol

Cell experiment [1]:

Cell lines	TE-1、Eca109 cells
Preparation Method	TE-1 and Eca109 cells were exposed tovarying doses of TAPI-1 (0, 1.25, 2.5, 5, 10, and 20μM) for 24h, following which, cell viability was determined using CCK-8 assay.
Reaction Conditions	0, 1.25, 2.5, 5, 10, 20μM; 24h

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Applications	Following exposure of TAPI-1, TE-1, and Eca109 cell viability was inhibited in a dose dependent manner.

Animal experiment [2]:

Animal models	Adult Swiss albino male mice
Preparation Method	TAPI-1 was diluted in 5% DMSO in sterile saline solution. The final concentration used was 10mg/kg of body weight. The first dose of TAPI-1 was administered intraperitoneally into each mouse 24h after *S.aureus* infection and repeated at day 4, day 7, day 10 and day 13 post infection. Control mice received a single dose of TAPI-1 two days prior to sacrifice.
Dosage form	10mg/kg; i.p.
Applications	TAPI-1 administration significantly reduced paw swelling in mice with Staphylococcus aureus-induced arthritis. Following TAPI-1 treatment, the concentrations of superoxide, nitric oxide, and hydrogen peroxide were all reduced in the arthritic joints.

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References:
[1] Gao L, Li L, Zhang D, et al. TAPI-1 exhibits Anti-tumor efficacy in human esophageal squamous cell carcinoma cells via suppression of NF-κB signaling pathway[J]. Digestive Diseases and Sciences, 2024, 69(1): 81-94.
[2]Sultana S, Bishayi B. Potential anti-arthritic and anti-inflammatory effects of TNF-α processing inhibitor-1 (TAPI-1): A new approach to the treatment of S. aureus arthritis[J]. Immunobiology, 2020, 225(2): 151887.

Background

TAPI-1 is a TACE (tumor necrosis factor-α converting enzyme) inhibitor that blocks the shedding of the extracellular domain of cell membrane proteins (amphiregulin (AREG)) mediated by TACE by inhibiting its proteolytic activity[1, 2]. TAPI-1 is also a metalloproteinase (MMP) inhibitor[3]. TAPI-1 can reduce cellular oxidative stress and the production of inflammatory factors[4].

In vitro, TAPI-1 (0-20μM) treatment of TE-1 and Eca109 cells for 24h inhibited cell viability, migration, and invasion in a dose-dependent manner, and promoted cisplatin-induced apoptosis[5]. TAPI-1 (20μM) treatment of A549 cells for 24h reduced cell proliferation and inhibited EGFR and Notch1 mRNA expression[6]. TAPI-1 (5, 10μM) treatment of NCI-H292 cells for 6 and 24h blocked the shedding of amphiregulin (AREG) from the cell membrane stimulated by diacetyl[7].

In vivo, TAPI-1 (10mg/kg) administered by intraperitoneal injection to mice with

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Staphylococcus aureus-induced arthritis significantly reduced paw swelling and decreased the concentrations of superoxide, nitric oxide, and hydrogen peroxide in joint tissues[8].

References:
[1] Slack B E, Ma L K, Seah C C. Constitutive shedding of the amyloid precursor protein ectodomain is up-regulated by tumour necrosis factor-α converting enzyme[J]. Biochemical Journal, 2001, 357(3): 787-794.
[2] Liu C, Xu P, Lamouille S, et al. TACE-mediated ectodomain shedding of the type I TGF-β receptor downregulates TGF-β signaling[J]. Molecular cell, 2009, 35(1): 26-36.
[3] Koon H W, Zhao D, Na X, et al. Metalloproteinases and transforming growth factor-α mediate substance P-induced mitogen-activated protein kinase activation and proliferation in human colonocytes[J]. Journal of Biological Chemistry, 2004, 279(44): 45519-45527.
[4] Bae E H, Kim I J, Choi H S, et al. Tumor necrosis factor α-converting enzyme inhibitor attenuates lipopolysaccharide-induced reactive oxygen species and mitogen-activated protein kinase expression in human renal proximal tubule epithelial cells[J]. The Korean Journal of Physiology & Pharmacology, 2018, 22(2): 135-143.
[5] Gao L, Li L, Zhang D, et al. TAPI-1 exhibits Anti-tumor efficacy in human esophageal squamous cell carcinoma cells via suppression of NF-κB signaling pathway[J]. Digestive Diseases and Sciences, 2024, 69(1): 81-94.
[6] Pancewicz J, Golec P. The effect of TAPI-1 treatment in non-small cell lung cancer cells[J].
[7] Kelly F L, Sun J, Fischer B M, et al. Diacetyl induces amphiregulin shedding in pulmonary epithelial cells and in experimental bronchiolitis obliterans[J]. American journal of respiratory cell and molecular biology, 2014, 51(4): 568-574.
[8] Sultana S, Bishayi B. Potential anti-arthritic and anti-inflammatory effects of TNF-α processing inhibitor-1 (TAPI-1): A new approach to the treatment of S. aureus arthritis[J]. Immunobiology, 2020, 225(2): 151887.

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