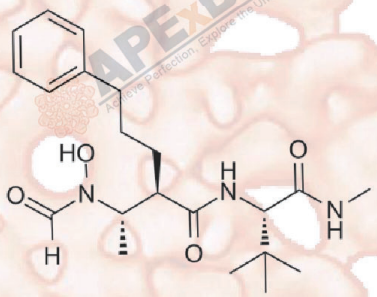
Product Data Sheet

GI 254023X

Cat. No.:	A4436
CAS No.:	260264-93-5
Formula:	C21H33N3O4
M.Wt:	391.5
Synonyms:	
Target:	Proteases
Pathway:	MMP
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥42.6 mg/mL in DMSO; ≥46.1 mg/mL in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.5543 mL	12.7714 mL	25.5428 mL
5 mM	0.5109 mL	2.5543 mL	5.1086 mL
10 mM	0.2554 mL	1.2771 mL	2.5543 mL

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

Biological Activity

Shortsummary	Selective inhibitor of ADAM10 metalloprotease
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Jurkat cells; Human pulmonary artery endothelial cells (HPAECs)
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	20 μM, 16-18h
Applications:	In Jurkat cells, GI 254023X inhibited cell proliferation and increased apoptosis

		in a concentration-dependent manner. Compared with control group, GI 254023X up-regulated the expression of Notch1 while down-regulated the expression of cleaved Notch1 in a time-dependent way. GI254023X also reduced the levels of MCL-1 and Hes-1 mRNA transcripts. In human pulmonary artery endothelial cells (HPAECs), GI254023X inhibited VE-cadherin cleavage and completely protected HPAECs from Hla-mediated barrier disruption.
In Vivo	**Animal experiment**	
	Animal models:	BALB/c mice injected with endotoxin-free recombinant Hla
	Dosage form:	200 mg/kg/day, dilution in 0.1 M carbonate buffer, 3-day period, intraperitoneal injection
	Applications:	In BALB/c mice injected with endotoxin-free recombinant Hla, GI254023X enhanced vascular integrity, manifest by limited dye extravasation, suggested that GI254023X may afford protection against lethal infection. Mice were treated with either DMSO or GI254023X then infected with 5×107 CFUs S. aureus Newman. GI254023X-treated mice were less ill in appearance and demonstrated prolongation of time to death.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Gao Y, Yang F, et al. "β1,6 GlcNAc branches-modified protein tyrosine phosphatase Mu attenuates its tyrosine phosphatase activity and promotes glioma cell migration through PLCγ-PKC pathways." Biochem Biophys Res Commun. 2018 Oct 28;505(2):569-577.PMID:30274773

2. Feng L, Wang Y, et al. "ADAM10-Notch signaling governs the recruitment of ovarian pregranulosa cells and controls folliculogenesis in mice." J Cell Sci. 2016 Jun 1;129(11):2202-12.PMID:27084580

See more customer validations on www.apexbt.com.

References

[1]. Ma S1,2, Xu J1, Wang X1,2, et al. Effect of ADAM10 Inhibitor GI254023X on Proliferation and Apoptosis of Acute T-Lymphoblastic Leukemia Jurkat Cells In Vitro and Its Possible Mechanisms. Zhongguo Shi Yan Xue Ye Xue Za Zhi. 2015 Aug;23(4):950-5.

[2] Powers ME, Kim HK, Wang Y, Bubeck Wardenburg J.ADAM10 mediates vascular injury induced by Staphylococcus aureus α-hemolysin. J Infect Dis. 2012;206(3):352-6.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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