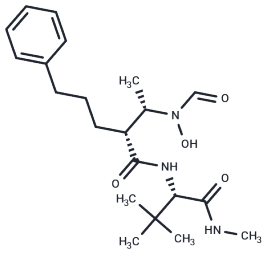
Data Sheet (Cat.No.T7522)

TargetMol

GI254023X

Chemical Properties



CAS No. : 260264-93-5

Formula: C21H33N3O4

Molecular Weight: 391.5

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GI254023X is a MMP9 and ADAM10 inhibitor with IC50 values of 2.5 and 5.3 nM, respectively. GI254023X can also significantly inhibit the proliferation of Jurkat cells and induce apoptosis.
Targets(IC50)	MMP,Immunology/Inflammation related
In vitro	**METHODS**: Mouse mesothelioma cells were treated with GI254023X (5 μM, 4, 24, 48, 72 hours), and the proliferation of AB12 and PM27 cells was measured by CYQUANT analysis; the percentage of AB12 or PM27 cells in different phases of the cell cycle (G1, S or G2) was measured by FACS analysis and wound healing assay was performed to study its effects on mesothelioma cell proliferation, migration and invasion. **RESULTS** GI254023X had no effect on the proliferation of either cell type; mouse mesothelioma cells treated with GI254023X showed weaker migration properties in transwell chambers; GI254023X showed a significant reduction in migration of mouse mesothelioma cells in the scratch assay (4, 6 and 8 hours); and the invasion of AB12 and PM27 cells measured in the spheroid assay was also significantly reduced. [3]
In vivo	**METHODS**: C57BL/6N mice were subjected to a controlled cortical impact (CCI) model of TBI or sham surgery and received GI254023X or vehicle (40, 100 mg/kg, intraperitoneal injection, 30 minutes and 24 hours after TBI) in the acute phase of injury. The expression of brain mRNA and some inflammatory factors was measured by quantitative PCR to study its effects on neurological and histopathological outcomes in mice after experimental traumatic brain injury (TBI). **RESULTS** GI254023X treatment did not improve neurological deficits from 1 to 7 days post-injury (DPI), but animals treated with GI254023X showed less brain damage compared with vehicle treatment. Brain mRNA expression measured by quantitative PCR showed that TBI-induced upregulation of Adam10 and Adam17 was not affected by GI254023X, but upregulation of matrix metalloproteinase genes Mmp2 and Mmp9 was attenuated; GI254023X also attenuated upregulation of proinflammatory markers Il6, Trfa, and Lcn2, but not pan-microglial marker Aif1, M2 microglial marker Arg1, and reactive astrocyte marker Gfap.[1]
Cell Research	Cell death is quantified based on plasma membrane permeabilization. When applying the ADAM10 (a-secretase) inhibitor GI254023X (5 mM), slices are cultured in the serum-/glucose-free medium for 48 h containing the inhibitor or its respective carrier (DMSO) as control. Round circles of identical size (? 500mm) are positioned in equivalent

Cell Research	locations within the CA1 region of each hippocampus image and all PI-stained cells are counted using the software. Cell viability assays are performed with a commercial kit according to the manufacturer's instructions. The assay quantitates ATP levels, an indicator of metabolically active cells, photometrically with a fluorescence plate reader. Additionally, the live-dead cell staining kit are applied according to the manual. Cells are simultaneously stained with green fluorescent calcein-AM (4mM; ex/em: 495/515 nm) to detect intracellular esterase activity (viable cells) and red fluorescent ethidium homodimer-3 (2mM; ex/em: 530/635 nm) to indicate loss of plasma membrane integrity (dead cells) [3].

Solubility Information

Solubility	DMSO: 117.5 mg/mL (300.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (10.22 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	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
50 mM	0.0511 mL	0.2554 mL	0.5109 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Appel D, et al. Pharmacologic Inhibition of ADAM10 Attenuates Brain Tissue Loss, Axonal Injury and Pro-inflammatory Gene Expression Following Traumatic Brain Injury in Mice. Front Cell Dev Biol. 2021 Mar 15;9:661462.
Metz VV,et al. Induction of RAGE shedding by activation of G protein-coupled receptors. PLoS One. 2012;7(7): e41823.
Sépult C, et al. ADAM10 mediates malignant pleural mesothelioma invasiveness. Oncogene. 2019 May;38(18): 3521-3534.
Ma S, 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481