

Product Data Sheet

Product Name: KP-457
Cat. No.: GC32452

Chemical Properties

Cas. No. 1365803-52-6

SMILES CS(=O)(NCC1=CC=C(C(N(C=O)O)CS(=O)(C2=CC=C(OCC#CC)C=C2)=O)C=C1)=O

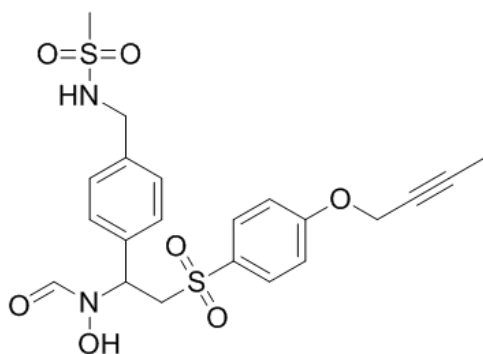
Formula $C_{21}H_{24}N_2O_7S_2$ M.Wt 480.55

Solubility DMSO : 125 mg/mL (260.12 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Cell experiment [1]:	
Cell lines	RBL-2H3 cells (rat basophilic leukemia cell line, tumor analog of mucosal mast cells)

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Preparation Method	RBL-2H3 cells were maintained in DMEM complete medium containing 4.5g/L D-Glucose, 110mg/L sodium pyruvate, 1x Glutamax, and 10% heat-inactivated FBS at 37°C and 5% CO ₂ . Cells were sensitized with 0.5µg/mL mouse anti-TNP IgE for 3 hours, then stimulated with 25ng/mL TNP(26)-BSA along with specified amounts of KP-457 (0.3µM, 1µM, 3µM, or 10µM) or solvent DMSO for 1 hour. After stimulation, β-hexosaminidase activities and TNF levels in the supernatant and cell lysates were quantified.
Reaction Conditions	0.3-10µM; 1h
Applications	KP-457 did not affect the exocytosis of β-hexosaminidase. KP-457 significantly reduced the amount of soluble TNF protein released into the supernatant. KP-457 inhibited the overall level of total TNF in allergen-triggered RBL-2H3 cells.
References: [1] Ayo TE, Adhikari P, Xu H. TNFR1 Links TNF Exocytosis to TNF Production in Allergen-Activated RBL-2H3 Cells. Cell Signal. 2023 May;105:110607.	

Background

KP-457 is a selective inhibitor of A disintegrin and metalloproteinase 17 (ADAM17) with an IC₅₀ of 11.1nM. KP-457 inhibits ADAM10 (IC₅₀=748nM), MMP2 (IC₅₀=717nM), MMP3 (IC₅₀=9760nM), MMP7 (IC₅₀=2200nM), MMP9 (IC₅₀=5410nM), MMP13 (IC₅₀=930nM), MMP14 (IC₅₀=2140nM), and MMP17 (IC₅₀=7100nM). KP-457 blocks Zn²⁺ chelation in the catalytic domain of ADAM17, inhibits GPIIb/IIIa shedding, and effectively enhances the production of functional iPSC-derived platelets. KP-457 can be used for research related to protease/MMP pathways and iPSC-derived platelets^[1-4].

In vitro, co-treatment of anti-TNP IgE-sensitized RBL-2H3 cells with 0.3-10µM KP-457 and TNP(26)-BSA for 1 hour significantly reduced soluble TNF protein release into the supernatant and decreased total TNF protein levels in allergen-triggered RBL-2H3 cells^[5]. Co-treatment of L929 cells with 1nM-10µM KP-457 and 20µM (-)-vinigrol for 16 hours reversed the antagonistic effect of (-)-vinigrol on

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TNF- α -induced cytotoxicity^[6]. Treatment of mouse germinal vesicle stage oocytes with greater than 10 μ M KP-457 for 1.5 hours had no significant effect on oocyte-zona pellucida detachment scores^[7].

References:

- [1] Hirata S, Murata T, Suzuki D, et al. Selective Inhibition of ADAM17 Efficiently Mediates Glycoprotein Ibx Retention During Ex Vivo Generation of Human Induced Pluripotent Stem Cell-Derived Platelets. *Stem Cells Transl Med*. 2017 Mar;6(3):720-730.
- [2] Tang R, Jin L, Chen C, et al. Mapping cell type-resolved transcriptomic profiles to patient survival in pancreatic cancer. *Cancer Cell*. 2026 Aug 10;44(8):1623-1638.e11.
- [3] Lee DH, Yao C, Bhan A, et al. Integrative Genomic Analysis Reveals Four Protein Biomarkers for Platelet Traits. *Circ Res*. 2020 Oct 9;127(9):1182-1194.
- [4] Six KR, Debaene C, Van den Hauwe M, et al. GPIbx shedding in platelets is controlled by strict intracellular containment of both enzyme and substrate. *J Thromb Haemost*. 2023 Aug;21(8):2223-2235.
- [5] Ayo TE, Adhikari P, Xu H. TNFR1 links TNF exocytosis to TNF production in allergen-activated RBL-2H3 cells. *Cell Signal*. 2023 May;105:110607.
- [6] Zhu Y, Wang L, Li J, et al. Photoaffinity labeling coupled with proteomics identify PDI-ADAM17 module is targeted by (-)-vinigrol to induce TNFR1 shedding and ameliorate rheumatoid arthritis in mice. *Cell Chemical Biology*. 2024 Mar 21;31(3):452-464.
- [7] Macaulay AD, Ortman CS, Moore KR, et al. Initial detachment of the mouse oocyte from the zona pellucida is mediated by metallopeptidase activity. *Biol Reprod*. 2023 Jan 4;108(1):81-97.

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