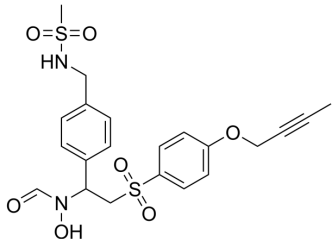
KP-457

Cat. No.:	HY-110397
CAS No.:	1365803-52-6
Molecular Formula:	$C_{21}H_{24}N_2O_7S_2$
Molecular Weight:	480.55
Target:	MMP
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (260.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0809 mL	10.4047 mL	20.8095 mL
	5 mM	0.4162 mL	2.0809 mL	4.1619 mL
	10 mM	0.2081 mL	1.0405 mL	2.0809 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KP-457 is a selective a disintegrin and metalloproteinase 17 (ADAM17) inhibitor, with higher selectivity for ADAM17 than for other MMPs and ADAM10, and IC_{50}s are 11.1 nM (ADAM17), 748 nM (ADAM10), 717 nM (MMP2), 9760 nM (MMP3), 2200 nM (MMP8), 5410 nM (MMP9), 930 nM (MMP13), 2140 nM (MMP14), and 7100 nM (MMP17), respectively.

IC_{50} & Target

ADAM17 11.1 nM (IC_{50})	ADAM10 748 nM (IC_{50})	MMP2 717 nM (IC_{50})	MMP13 930 nM (IC_{50})
MMP14	MMP8	MMP9	MMP17

	2140 nM (IC_{50})	2200 nM (IC_{50})	5410 nM (IC_{50})	7100 nM (IC_{50})
	MMP3 9760 nM (IC_{50})			
In Vitro	KP-457 is a selective metalloproteinase 17 (ADAM17) inhibitor, with higher selectivity for ADAM17 than for other MMPs and ADAM10, and IC_{50}s are 11.1 nM (ADAM17), 748 nM (ADAM10), 717 nM (MMP2), 9760 nM (MMP3), 2200 nM (MMP8), 5410 nM (MMP9), 930 nM (MMP13), 2140 nM (MMP14), and 7100 nM (MMP17), respectively. KP-457 blocks Zn2+ chelation of the catalytic domain of ADAM17. KP-457 (15 μM) retains the expression of GPIbα on iPSC-derived platelets[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	In a thrombus formation model using immunodeficient mice after platelet transfusion, induced pluripotent stem cells (iPSCs) platelets generated with KP-457 exerts good hemostatic function[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

PROTOCOL

Cell Assay [1]	Briefly, 3×10^4 hematopoietic progenitor cells (HPCs) derived from iPS-sacs on C3H10T1/2 feeder cells in the presence of 20 ng/mL vascular endothelial growth factor are transferred on day 14 of culture onto C3H10T1/2 feeder cells in differentiation medium supplemented with 50 ng/mL stem cell factor, 100 ng/mL thrombopoietin, 25 U/mL heparin sodium, and KP-457 at 24°C or 37°C. The medium is refreshed every 3 days, and nonadherent cells are collected and analyzed on days 22-24[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Circ Res. 2020 Oct 9;127(9):1182-1194.
- J Thromb Haemost. 2023 Mar 29;S1538-7836(23)00251-9.
- Biol Reprod. 2022 Sep 30;ioac185.

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REFERENCES

[1]. Hirata S, et al. Selective Inhibition of ADAM17 Efficiently Mediates Glycoprotein Ibα Retention During Ex Vivo Generation of Human Induced Pluripotent Stem Cell-Derived Platelets. Stem Cells Transl Med. 2017 Mar;6(3):720-730.

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