Ilomastat

Cat. No.: HY-15768

CAS No.: 142880-36-2

Molecular Formula: $C_{20}H_{28}N_4O_4$

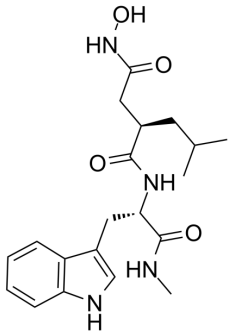
Molecular Weight: 388.46

Target: MMP

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 47 mg/mL (120.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5743 mL	12.8713 mL	25.7427 mL
	5 mM	0.5149 mL	2.5743 mL	5.1485 mL
	10 mM	0.2574 mL	1.2871 mL	2.5743 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 10 mg/mL (25.74 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ilomastat (GM6001) is a potent and broad spectrum matrix metalloprotease (MMP) inhibitor, inhibits MMPs (IC_{50}s, 1.5 nM for MMP-1; 1.1 nM for MMP-2; 1.9 nM for MMP-3; 0.5 nM for MMP-9), with a K_i of 0.4 nM for human skin fibroblast collagenase

	(MMP-1).			
IC_{50} & Target	MMP-9 0.5 nM (IC_{50})	MMP-2 1.1 nM (IC_{50})	MMP-1 1.5 nM (IC_{50})	MMP-3 1.9 nM (IC_{50})
	Fibroblast collagenase 0.4 nM (Ki, Human skin)	Thermolysin 20 nM (Ki)	Eastase 20 nM (Ki)	
In Vitro	Ilomastat (GM6001) inhibits human skin fibroblast collagenase, thermolysin and elastase with K_is of 0.4 nM, 20 nM, 20 nM, resepctively[1]. Ilomastat (0.1-10 nM) inhibits gelatinase A and gelatinase B produced by T-cells. Ilomastat inhibits T-cell homing[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Ilomastat (GM6001) (400 μg/mL) inhibits corneal ulceration after severe alkali injury in animals[2]. Ilomastat (GM6001) significantly suppresses intimal hyperplasia and intimalcollagen content. Ilomastat increases lumen area in stented arteries, shows no activity on proliferation rates in rabbit model after stenting[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

PROTOCOL

Animal Administration [3]	To assess the effects of MMP inhibition, animals are given daily injections of either vehicle (“placebo group”) or Ilomastat (GM6001) (100 mg/kg per day as subcutaneous suspension), beginning one day before the second injury until seven days after the procedure. Ilomastat (GM6001) is a nonspecific hydroxamic acid-based MMPI with potent inhibitory activity against collagenase, gelatinases and stromelysin. Animals are euthanized at either 1 week or 10 weeks after the second injury. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2021 Jul 26.
- Bioact Mater. 1 February 2022.
- Nat Commun. 2017 Mar 7;8:14483.
- J Exp Med. 2023 Feb 6;220(2):e20211422.
- J Am Chem Soc. 2021 May 12;143(18):6847-6854.

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REFERENCES

[1]. Grobelny D, et al. Inhibition of human skin fibroblast collagenase, thermolysin, and Pseudomonas aeruginosa elastase by peptide hydroxamic acids. Biochemistry. 1992 Aug 11;31(31):7152-4.

[2]. Schultz GS, et al. Treatment of alkali-injured rabbit corneas with a synthetic inhibitor of matrix metalloproteinases. Invest Ophthalmol Vis Sci. 1992 Nov;33(12):3325-31.

[3]. Li C, et al. Arterial repair after stenting and the effects of GM6001, a matrix metalloproteinase inhibitor. J Am Coll Cardiol. 2002 Jun 5;39(11):1852-8.

[4]. Leppert D, et al. T cell gelatinases mediate basement membrane transmigration in vitro. J Immunol. 1995 May 1;154(9):4379-89.

[5]. Yamamoto M, et al. Inhibition of membrane-type 1 matrix metalloproteinase by hydroxamate inhibitors: an examination of the subsite pocket. J Med Chem. 1998 Apr

9;41(8):1209-17.

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