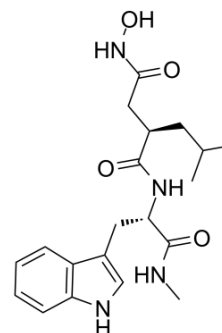


Ilomastat

Cat. No.:	HY-15768		
CAS No.:	142880-36-2		
Molecular Formula:	C ₂₀ H ₂₈ N ₄ O 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 47 mg/mL (120.99 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	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

- 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
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution
- 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 (IC₅₀s, 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).

IC₅₀ & 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	<p>Ilomastat (GM6001) inhibits human skin fibroblast collagenase, thermolysin and elastase with K_is of 0.4 nM, 20 nM, 20 nM, respectively^[1]. Ilomastat (0.1-10 nM) inhibits gelatinase A and gelatinase B produced by T-cells. Ilomastat inhibits T-cell homing^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
In Vivo	<p>Ilomastat (GM6001) (400 µg/mL) inhibits corneal ulceration after severe alkali injury in animals^[2]. Ilomastat (GM6001) significantly suppresses intimal hyperplasia and intimal collagen content. Ilomastat increases lumen area in stented arteries, shows no activity on proliferation rates in rabbit model after stenting^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

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 Commun. 2017 Mar 7;8:14483.
- Biomaterials. 2018 Aug 22;183:67-76.
- Proc Natl Acad Sci U S A. 2016 Sep 27;113(39):11004-9.
- Int J Nanomedicine. 2018 Aug 13;13:4641-4659.
- Int J Nanomedicine. 2016 Apr 22;11:1643-61.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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