产品名称：伊洛马司他
产品别名：Ilomastat

生物活性：

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	MMP-2	MMP-1	MMP-3	Fibroblast collagenase
0.5 nM (IC_{50})	1.1 nM (IC_{50})	1.5 nM (IC_{50})	1.9 nM (IC_{50})	0.4 nM (Ki, Human skin)
Thermolysin	Eastase			
20 nM (Ki)	20 nM (Ki)			

In Vitro	Ilomastat (GM6001) inhibits human skin fibroblast collagenase, thermolysin and elastase with Kis 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].
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].

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.44 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.44 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.44 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.44 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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. For biochemical studies, iliac artery tissue is removed under general anesthetic, followed by a fatal intracardiac injection of thiopentol. For histomorphometric studies, iliac arteries are perfusion-fixed in 10% buffered formalin for 20 min at a perfusion pressure of 80 mm Hg. [3]
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.