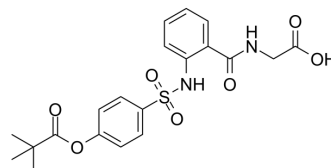


Sivelestat

Cat. No.:	HY-17443
CAS No.:	127373-66-4
Molecular Formula:	C ₂₀ H ₂₂ N ₂ O ₇ S
Molecular Weight:	434.46
Target:	Elastase; SARS-CoV
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (230.17 mM)
 Ethanol : 3.03 mg/mL (6.97 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.3017 mL	11.5085 mL	23.0171 mL
	5 mM	0.4603 mL	2.3017 mL	4.6034 mL
	10 mM	0.2302 mL	1.1509 mL	2.3017 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 (5.75 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.75 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sivelestat (EI546) is a competitive inhibitor of human neutrophil elastase, with an IC₅₀ of 44 nM and a K_i of 200 nM. Sivelestat (EI546) has the potential for the study of acute lung injury/acute respiratory distress syndrome or disseminated intravascular coagulation in COVID-19^{[1][2][3][4]}.

In Vitro

Sivelestat (ONO-5046) does not inhibit trypsin, thrombin, plasmin, plasma kallikrein, pancreas kallikrein, chymotrypsin and cathepsin G even at 100 μM^[1].
 Sivelestat (ONO-5046) exhibits IC₅₀ values of 44 nM, 36 nM, 19 nM, 37 nM and 49 nM for human, rabbit, rat, hamster and

mouse neutrophil elastase, respectively^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Sivelestat (ONO-5046, 0.021-2.1 mg/kg, intratracheally) suppresses lung hemorrhage in hamster (ID₅₀ = 82 pg/kg) by intratracheal administration and increase of skin capillary permeability in guinea pig (ID₅₀ = 9.6 mg/kg) by intravenous administration, both of which are induced by human neutrophil elastase^[1].

Sivelestat (10 mg/kg, infusion via the tail vein) ameliorates lung injury after hemorrhagic shock in rats^[2].

Sivelestat (15, 60 mg/kg, ip) prevents ischemia-reperfusion injury in the rat bladder^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Golden hamsters, weighing 90 to 110 g ^[1] .
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Dosage:	0.021-2.1 mg/kg.
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Administration:	Intratracheally five min before HNE injection.
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Result:	Significantly and dosedependently suppressed the lung hemorrhage.
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Animal Model:	Male Sprague-Dawley rats weighing 350-400 g ^[2] .
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Dosage:	10 mg/kg.
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Administration:	Continuous infusion via the tail vein at 10 mg/kg/h for 60 min during the resuscitation phase.
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Result:	Greatly suppressed lung injury, as revealed by the reduced histological damage. Significantly ameliorated HSR-induced lung injury. Markedly decreased the levels of TNF- α and iNOS gene.
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Animal Model:	Male Sprague Dawley rats, 8 weeks old and weighing 250-320 g ^[3] .
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Dosage:	15 mg/kg or 60 mg/kg.
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Administration:	IP.
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Result:	Decreased the blood flow in the bladder during reperfusion phase compared to the IR group.
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CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D11113-D11121.
- Biofabrication. 2021 Feb 1.
- Cancers (Basel). 2022, 14(3), 515.
- Oxid Med Cell Longev. 2019 Nov 23;2019:7323986.
- Cell Biosci. 2022 Jul 22;12(1):114.

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REFERENCES

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- [1]. Kawabata K, et al. ONO-5046, a novel inhibitor of human neutrophil elastase. *Biochem Biophys Res Commun.* 1991 Jun 14;177(2):814-20.
- [2]. Yuichiro Toda, et al. A neutrophil elastase inhibitor, sivelestat, ameliorates lung injury after hemorrhagic shock in rats. *Int J Mol Med.* 2007 Feb;19(2):237-43.
- [3]. Tomoharu Kono, et al. Neutrophil elastase inhibitor, sivelestat sodium hydrate prevents ischemia-reperfusion injury in the rat bladder. *Mol Cell Biochem.* 2008 Apr;311(1-2):87-92.
- [4]. Adeleh Sahebnasagh, et al. Neutrophil elastase inhibitor (sivelestat) may be a promising therapeutic option for management of acute lung injury/acute respiratory distress syndrome or disseminated intravascular coagulation in COVID-19. *J Clin Pharm Ther.* 2
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Caution: Product has not been fully validated for medical applications. For research use only.

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