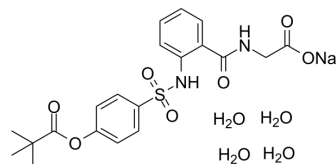


## Sivelestat sodium tetrahydrate

<b>Cat. No.:</b>	HY-17443B
<b>CAS No.:</b>	201677-61-4
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>29</sub> N <sub>2</sub> NaO <sub>11</sub> S
<b>Molecular Weight:</b>	528.51
<b>Target:</b>	Elastase; SARS-CoV
<b>Pathway:</b>	Metabolic Enzyme/Protease; Anti-infection
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 250 mg/mL (473.03 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.8921 mL	9.4606 mL	18.9211 mL
		<b>5 mM</b>		0.3784 mL	1.8921 mL	3.7842 mL
<b>10 mM</b>		0.1892 mL	0.9461 mL	1.8921 mL		
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.73 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Sivelestat (EI546) sodium tetrahydrate is a competitive inhibitor of human neutrophil elastase, with an IC <sub>50</sub> of 44 nM and a K <sub>i</sub> of 200 nM. Sivelestat (EI546) sodium tetrahydrate has the potential for the study of acute lung injury/acute respiratory distress syndrome or disseminated intravascular coagulation in COVID-19 <sup>[1][2][3][4]</sup> .
<b>In Vitro</b>	Sivelestat (ONO-5046) does not inhibit trypsin, thrombin, plasmin, plasma kallikrein, pancreas kallikrein, chymotrypsin and cathepsin G even at 100 μM <sup>[1]</sup> . Sivelestat (ONO-5046) exhibits IC <sub>50</sub> values of 44 nM, 36 nM, 19 nM, 37 nM and 49 nM for human, rabbit, rat, hamster and mouse neutrophil elastase, respectively <sup>[1]</sup> . 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 (ID<sub>50</sub> = 82 pg/kg) by intratracheal administration and increase of skin capillary permeability in guinea pig (ID<sub>50</sub> = 9.6 mg/kg) by intravenous administration, both of which are induced by human neutrophil elastase<sup>[1]</sup>.

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

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

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 <sup>[1]</sup> .
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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 <sup>[2]</sup> .
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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- $\alpha$ and iNOS gene.
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Animal Model:	Male Sprague Dawley rats, 8 weeks old and weighing 250-320 g <sup>[3]</sup> .
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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

[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.

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[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 Sahebnaasagh, 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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