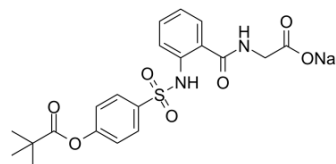


Sivelestat sodium

Cat. No.:	HY-17443A		
CAS No.:	150374-95-1		
Molecular Formula:	C ₂₀ H ₂₁ N ₂ NaO ₇ S		
Molecular Weight:	456.44		
Target:	Elastase; SARS-CoV		
Pathway:	Metabolic Enzyme/Protease; Anti-infection		
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 : 100 mg/mL (219.09 mM; Need ultrasonic)
 H₂O : 1 mg/mL (2.19 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1909 mL	10.9543 mL	21.9087 mL
	5 mM	0.4382 mL	2.1909 mL	4.3817 mL
	10 mM	0.2191 mL	1.0954 mL	2.1909 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 (5.48 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sivelestat (EI546) sodium is a competitive inhibitor of human neutrophil elastase, with an IC₅₀ of 44 nM and a K_i of 200 nM. Sivelestat (EI546) sodium 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] .
---------------	---

Dosage:	0.021-2.1 mg/kg.
---------	------------------

Administration:	Intratracheally five min before HNE injection.
-----------------	--

Result:	Significantly and dosedependently suppressed the lung hemorrhage.
---------	---

Animal Model:	Male Sprague-Dawley rats weighing 350-400 g ^[2] .
---------------	--

Dosage:	10 mg/kg.
---------	-----------

Administration:	Continuous infusion via the tail vein at 10 mg/kg/h for 60 min during the resuscitation phase.
-----------------	--

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.
---------	--

Animal Model:	Male Sprague Dawley rats, 8 weeks old and weighing 250-320 g ^[3] .
---------------	---

Dosage:	15 mg/kg or 60 mg/kg.
---------	-----------------------

Administration:	IP.
-----------------	-----

Result:	Decreased the blood flow in the bladder during reperfusion phase compared to the IR group.
---------	--

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D11113-D11121.
- Biofabrication. 2021 Feb 1.
- Oxid Med Cell Longev. 2019 Nov 23;2019:7323986.

See more customer validations on www.MedChemExpress.com

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.

[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*. 2020 Aug 28.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA