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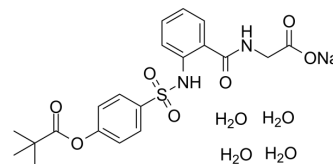
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Sivelestat sodium tetrahydrate

Cat. No.:	HY-17443B
CAS No.:	201677-61-4
Molecular Formula:	$C_{20}H_{29}N_2NaO_{11}S$
Molecular Weight:	528.51
Target:	Elastase; SARS-CoV
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	4°C, sealed storage, away from moisture
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 250 mg/mL (473.03 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM	1.8921 mL	9.4606 mL	18.9211 mL	
		5 mM	0.3784 mL	1.8921 mL	3.7842 mL	
		10 mM	0.1892 mL	0.9461 mL	1.8921 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	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

Description	Sivelestat (EI546) sodium tetrahydrate is a competitive inhibitor of human neutrophil elastase, with an IC_{50} of 44 nM and a K_i 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 ^{[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 μ M ^[1] . Sivelestat (ONO-5046) exhibits IC_{50} 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):D1113-D1121.
- 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.

[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

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

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