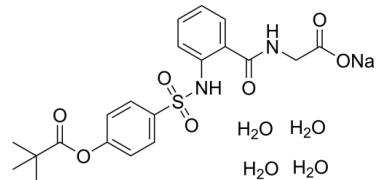


Data Sheet

Product Name:	Sivelestat (sodium tetrahydrate)
Cat. No.:	CS-3380
CAS No.:	201677-61-4
Molecular Formula:	C ₂₀ H ₂₉ N ₂ NaO ₁₁ S
Molecular Weight:	528.51
Target:	Elastase; SARS-CoV
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Solubility:	DMSO : 250 mg/mL (473.03 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Sivelestat (EI546) sodium tetrahydrate is a competitive inhibitor of **human neutrophil elastase**, with an **IC₅₀** 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 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].

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].

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 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*. 2020 Aug 28.

CAIndexNames:

Propanoic acid, 2,2-dimethyl-, 4-[[[2-[(carboxymethyl)amino]carbonyl]phenyl]amino]sulfonyl]phenyl ester, sodium salt, hydrate (1:1:4)

SMILES:

CC(C)(C)C(OC1=CC=C(S(=O)(NC2=CC=CC=C2C(NCC(O[Na])=O)=O)=O)C=C1)=O.O.O.O.O

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

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