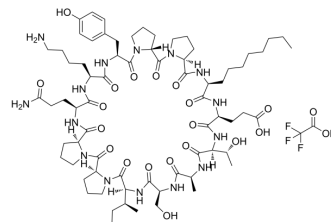


Lonodelestat TFA

Cat. No.:	HY-P3293A
Molecular Formula:	C ₇₃ H ₁₁₂ F ₃ N ₁₅ O ₂₁
Molecular Weight:	1592.75
Sequence:	cyclo[ASIPPQKYPPNle(bu)ET]
Target:	Elastase
Pathway:	Metabolic Enzyme/Protease
Storage:	Sealed storage, away from moisture and light, under nitrogen
	Powder -80°C 2 years -20°C 1 year
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 50 mg/mL (31.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	0.6278 mL	3.1392 mL	6.2784 mL
	5 mM	0.1256 mL	0.6278 mL	1.2557 mL
	10 mM	0.0628 mL	0.3139 mL	0.6278 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Lonodelestat TFA (POL6014 TFA) is a potent, orally active and selective peptide inhibitor of human neutrophil elastase (hNE). Lonodelestat TFA has the potential for the research of cystic fibrosis (CF)^{[1][2][3]}.

In Vivo

Lonodelestat (POL6014) significantly and efficiently reduced the inflammatory processes of ALI in HNE treated mice^[1]. Lonodelestat (POL6014, 0.1, 0.5, 2 and 10 mg/kg, intranasally administered) dose-dependently and significantly reduces the number of macrophages, epithelial cells, neutrophils and lymphocytes recovered in BAL. The maximum inhibition was reached at 2 mg/kg in reducing neutrophils by 65% (p<0.001), epithelial cells by 68% (p<0.001), macrophages by 33% (p<0.001) and lymphocytes by 77% (p<0.001)^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lagente V, et al. A novel Protein Epitope Mimetic (PEM) neutrophil elastase (NE) inhibitor, POL6014, inhibits human NE-induced acute lung injury in mice. ATS, San

Diego, May 15-20, 2009.

[2]. Odile Sellier-Kessler, et al. Inhibition of lung inflammation by a protein epitope mimetic (PEM) neutrophil elastase inhibitor, POL6014, in a sub-chronic tobacco smoke (TS) model in mice. *European Respiratory Journal* 2013 42: 1762.

[3]. Barth P, et al. Single dose escalation studies with inhaled POL6014, a potent novel selective reversible inhibitor of human neutrophil elastase, in healthy volunteers and subjects with cystic fibrosis. *J Cyst Fibros.* 2020 Mar;19(2):299-304.

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

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