# **Product data sheet**



MedKoo Cat#: 565049		
Name: Laninamivir Octanoate		$H_2N \searrow NH$
CAS: 203120-46-1 (octanoate)		
Chemical Formula: C <sub>21</sub> H <sub>36</sub> N <sub>4</sub> O <sub>8</sub>		OH
Molecular Weight: 472.539		
Product supplied as:	Powder	$\bigcap_{i \in \mathcal{N}_{i,i}} \mathcal{N}_{i,i} $
Purity (by HPLC):	≥ 98%	]
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.	OH
	In solvent: -80°C 3 months; -20°C 2 weeks.	

# 1. Product description:

Laninamivir Octanoate, also known as CS-8958, is a long acting neuraminidase inhibitor which shows superior anti-influenza virus activity. Laninamivir octanoate and artificial surfactant combination therapy significantly increases survival of mice infected with lethal influenza H1N1 Virus. A single intranasal administration of CS-8958 showed a superior reduction of virus load in lungs in mouse infection model.

# 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	97.5	206.33
Ethanol	5.0	10.58

4. Stock solution preparation table:

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Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg		
1 mM	2.12 mL	10.58 mL	21.16 mL		
5 mM	0.42 mL	2.12 mL	4.23 mL		
10 mM	0.21 mL	1.06 mL	2.12 mL		
50 mM	0.04 mL	0.21 mL	0.42 mL		

### 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

# **6. Recommended literature which reported protocols for in vitro and in vivo study** In vitro study

1. Vavricka CJ, Li Q, Wu Y, Qi J, Wang M, Liu Y, Gao F, Liu J, Feng E, He J, Wang J, Liu H, Jiang H, Gao GF. Structural and functional analysis of laninamivir and its octanoate prodrug reveals group specific mechanisms for influenza NA inhibition. PLoS Pathog. 2011 Oct;7(10):e1002249. doi: 10.1371/journal.ppat.1002249. Epub 2011 Oct 20. PMID: 22028647; PMCID: PMC3197600.

2. Yamashita M, Tomozawa T, Kakuta M, Tokumitsu A, Nasu H, Kubo S. CS-8958, a prodrug of the new neuraminidase inhibitor R-125489, shows long-acting anti-influenza virus activity. Antimicrob Agents Chemother. 2009 Jan;53(1):186-92. doi: 10.1128/AAC.00333-08. Epub 2008 Oct 27. PMID: 18955520; PMCID: PMC2612152.

# In vivo study

- 1. Tomozawa T, Hoshino K, Yamashita M, Kubo S. Efficacy of laninamivir octanoate in mice with advanced inflammation stage caused by infection of highly lethal influenza virus. J Infect Chemother. 2019 Aug;25(8):584-588. doi: 10.1016/j.jiac.2019.02.023. Epub 2019 Mar 29. PMID: 30935767.
- 2. Fukushi M, Yamashita M, Miyoshi-Akiyama T, Kubo S, Yamamoto K, Kudo K. Laninamivir octanoate and artificial surfactant combination therapy significantly increases survival of mice infected with lethal influenza H1N1 Virus. PLoS One. 2012;7(8):e42419. doi: 10.1371/journal.pone.0042419. Epub 2012 Aug 1. PMID: 22879974; PMCID: PMC3409853.

# Product data sheet



### 7. Bioactivity

Biological target:

Laninamivir octanoate (CS-8958), a prodrug of Laninamivir, is a long-acting neuraminidase (NA) inhibitor with anti-influenza virus activity. Laninamivir octanoate shows anti-influenza activity against Oseltamivir-resistant viruses, and also against the pandemic influenza viruses.

### In vitro activity

Furthermore, this study has found that the laninamivir octanoate prodrug has a unique binding mode in p09N1 that is different from that of group 2 p57N2, but with some similarities to NA-oseltamivir binding, which provides additional insight into group specific differences of oseltamivir binding and resistance.

Reference: PLoS Pathog. 2011 Oct;7(10):e1002249. https://pubmed.ncbi.nlm.nih.gov/22028647/

# In vivo activity

LAN (laninamivir octanoate) and OSE (oseltamivir phosphate) are approved to treat influenza in human adults by a single inhalation at 40 mg as a hydrate form, and by twice daily for 5 days at 98.5 mg as a phosphate form, respectively. Given that human body weight is 60 kg, the doses were calculated to be 0.69 mg/kg for LAN and 1.64 mg/kg for OSE. Virus titers in the BALF of all mice administered with either compound were significantly decreased compared to that of the control mice, as analyzed by two-way ANOVA including all time points (Fig. 2). Single administration of LAN at  $0.1 \times HD$  showed a comparable reduction of virus titers to that of repeated administration of OSE at 2.4 and  $24 \times HD$ . Moreover, LAN at  $1 \times HD$  showed significant reduction of virus titers compared to that of repeated administration of OSE at  $24 \times HD$ . (Fig. 2).

Reference: J Infect Chemother. 2019 Aug;25(8):584-588. https://pubmed.ncbi.nlm.nih.gov/30935767/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.