# **Product** Data Sheet



# Leupeptin hemisulfate

Cat. No.: HY-18234A CAS No.: 103476-89-7

Molecular Formula:  $C_{20}H_{38}N_6O_4.1/2H_2SO_4$ 

475.59 Molecular Weight:

Sequence Shortening: Ac-LLR-CHO

Target: Cathepsin; Ser/Thr Protease; Virus Protease

Pathway: Metabolic Enzyme/Protease; Anti-infection Storage: -20°C, sealed storage, away from moisture

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

0.5H<sub>2</sub>SO<sub>4</sub>

### **SOLVENT & SOLUBILITY**

In Vitro

H<sub>2</sub>O: 83.33 mg/mL (175.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1027 mL	10.5133 mL	21.0265 mL
	5 mM	0.4205 mL	2.1027 mL	4.2053 mL
	10 mM	0.2103 mL	1.0513 mL	2.1027 mL

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

In Vivo

1. Add each solvent one by one: PBS

Solubility: 100 mg/mL (210.27 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

#### **BIOLOGICAL ACTIVITY**

Description Leupeptin hemisulfate is a broad-spectrum, membrane-permeable protease inhibitor. Leupeptin hemisulfate potently inhibits serine, cysteine and threonine proteases. Leupeptin hemisulfate inhibits M<sup>pro</sup> (the main protease of SARS-CoV-2)

and also has anti-inflammatory activity<sup>[1][2][3]</sup>.

IC<sub>50</sub> & Target Cathepsin B, Cathepsin H, Cathepsin L, Ser/Thr Protease, Mpro $^{[1][2][3]}$ .

In Vitro Leupeptin hemisulfate (0.06-200 μM; 72 h) significantly decreases copy numbers of SARS-CoV-2 viral RNA (vRNA) in Vero cells

Leupeptin hemisulfate inhibits RNA levels of SARS-CoV-2 in Vero cells, with an EC<sub>50</sub> value of 42.34  $\mu$ M<sup>[1]</sup>. Leupeptin hemisulfate has some inhibitory activity against  $M^{pro}$ , with an  $IC_{50}$  value of 127.2  $\mu M^{[1]}$ .

Leupeptin hemisulfate againsts human coronavirus strain 229E with an IC $_{50}$  value of 0.4  $\mu$ g/mL (about 1  $\mu$ M) $^{[1]}$ .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR<sup>[1]</sup>

Cell Line:	Vero cells	
Concentration:	0.06-200 μΜ	
Incubation Time:	72 h	
Result:	Significantly decreased copy numbers of SARS-CoV-2 viral RNA (vRNA).	

#### In Vivo

Leupeptin hemisulfate (0, 9, 18, 36 mg/kg; i.p.; single) is well tolerated by the animals and produces a strong, dose-dependent increase in LC3b-II in both the total tissue extracts and the lysosome and autophagosome-enriched pellet fraction<sup>[2]</sup>.

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Animal Model:	C57BL/6NCrl male mice (6-8 weeks old, 20-25 g) <sup>[1]</sup>	
Dosage:	0, 9, 18, 36 mg/kg	
Administration:	Intraperitoneal injection; single	
Result:	Promoted the accumulation of LC3b-II in mouse liver.	

## **CUSTOMER VALIDATION**

- Nature. 2023 Jun;618(7966):799-807.
- Natl Sci Rev. 2021 Feb 10;8(7):nwab024.
- Neuro Oncol. 2022 Jun 21;noac157.
- J Clin Invest. 2022 Mar 1;132(5):e152170.
- Sci Adv. 2021 Jan 1;7(1):eabe1340.

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#### **REFERENCES**

- [1]. Fu L, et al. Mechanism of Microbial Metabolite Leupeptin in the Treatment of COVID-19 by Traditional Chinese Medicine Herbs. mBio. 2021 Oct 26;12(5):e0222021.
- [2]. Haspel J, et al. Characterization of macroautophagic flux in vivo using a leupeptin-based assay. Autophagy. 2011 Jun;7(6):629-42.
- [3]. Aoyagi T, et al. Biological activities of leupeptins. J Antibiot (Tokyo). 1969 Nov;22(11):558-68.
- [4]. Aoyagi T, et al. Biological activities of leupeptins. J Antibiot (Tokyo). 1969 Nov;22(11):558-68.

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

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