# Leupeptin hemisulfate

**Cat. No.:** HY-18234A

**CAS No.:** 103476-89-7

**Molecular Formula:** $C_{20}H_{38}N_6O_4 \cdot {}^1/_2H_2SO_4$

**Molecular Weight:** 476

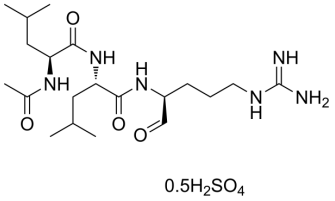
**Sequence Shortening:** Ac-LLR-CHO

**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)




## SOLVENT & SOLUBILITY

**In Vitro**

$H_2O$ : 83.33 mg/mL (175.06 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1008 mL | 10.5042 mL | 21.0084 mL |
| | 5 mM | 0.4202 mL | 2.1008 mL | 4.2017 mL |
| | 10 mM | 0.2101 mL | 1.0504 mL | 2.1008 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 (210.08 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 $M^{pro}$ (the main protease of SARS-CoV-2) and also has anti-inflammatory activity[1][2][3].

**$IC_{50}$ & 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 [1]

Leupeptin hemisulfate inhibits RNA levels of SARS-CoV-2 in Vero cells, with an $EC_{50}$ value of 42.34 μM[1].
Leupeptin hemisulfate has some inhibitory activity against $M^{pro}$, with an $IC_{50}$ value of 127.2 μM[1].
Leupeptin hemisulfate againsts human coronavirus strain 229E with an $IC_{50}$ value of 0.4 μg/mL (about 1 μM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

| | |
|---|---|
| Cell Line: | Vero cells |
| Concentration: | 0.06-200 μM |
| 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[2].

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

| | |
|---|---|
| Animal Model: | C57BL/6NCrl male mice (6-8 weeks old, 20-25 g)[1] |
| 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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