# LHVS

**Cat. No.:** HY-128971

**CAS No.:** 170111-28-1

**Molecular Formula:** $C_{28}H_{37}N_3O_5S$

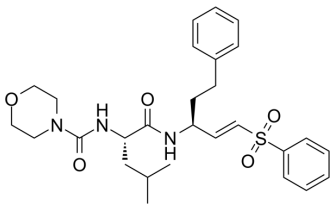
**Molecular Weight:** 527.68

**Target:** Cathepsin; Parasite

**Pathway:** Metabolic Enzyme/Protease; Anti-infection

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (189.51 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.8951 mL | 9.4754 mL | 18.9509 mL |
| | 5 mM | 0.3790 mL | 1.8951 mL | 3.7902 mL |
| | 10 mM | 0.1895 mL | 0.9475 mL | 1.8951 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.74 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

LHVS is a potent, non-selective, irreversible, cell-permeable cysteine protease and cathepsin inhibitor. LHVS decreases actin ring formation. LHVS inhibits T. gondii invasion with an $IC_{50}$ of 10 μM[1][2][3].

| $IC_{50}$ & Target | | | | |
|---|---|---|---|---|
| | cathepsin S | cathepsin K | cathepsin L | Cathepsin B |

**In Vitro**

LHVS (5 μM, 2 h) results in a 50% reduction of actin ring formation in wild-type osteoclasts when compared with untreated osteoclasts[1].

LHVS acts in a dose-dependent manner on osteoclasts and at 5 μM, LHVS inhibits cathepsins K, L, S, and B[1].
LHVS (1-5 nM) can inhibit specifically cathepsin S in HOM2 cells, leaving other cysteine proteases functionally active[3].
LHVS impairs tachyzoite attachment by blocking the release of at least two key invasion proteins, MIC2 and M2AP, from the micronemes[2].
LHVS (50 μM) selectively impairs microneme protein secretion[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

LHVS (3-30 mg/kg, SC, once) shows anti-hyperalgesic effect in neuropathic rats[4].
LHVS (30 nmol per rat, spinal delivery, daily) is antinociceptive in neuropathic rats[5].
LHVS (1-50 nmol per rat, Intrathecal injection, daily) reverses established neuropathic mechanical hyperalgesia in 14-day neuropathic rats[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male Wistar rats (180-220 g)[4] |
| Dosage: | 3-30 mg/kg |
| Administration: | SC, once |
| Result: | Produced a dose-dependent reversal of the mechanical hyperalgesia which lasted up to 3 h in neuropathic rats. In contrast, a single systemic administration of LHVS did not reverse mechanical allodynia in neuropathic rats. |

| | |
|---|---|
| Animal Model: | Male Wistar rats received a partial ligation of the left sciatic nerve (PNL)[5] |
| Dosage: | 30 nmol per rat |
| Administration: | Spinal delivery, Daily |
| Result: | Failed to prevent the development of allodynia when continuous delivery from day 0 to day 7 post-PNL, but significantly reversed allodynia on day 7 post-PNL. In addition, the delivery of LHVS from day 7 to day 14 post-PNL significantly reversed established mechanical allodynia from day 8. |

| | |
|---|---|
| Animal Model: | Male Wistar rats received a partial ligation of the left sciatic nerve (PNL)[5] |
| Dosage: | 1, 10 or 50 nmol per rat |
| Administration: | Intrathecal injection, Daily |
| Result: | Reduced established mechanical hyperalgesia. This effect was dose-dependent and remained significant until 3 h after administration of the highest dose. |

## REFERENCES


[1]. Riese RJ, et al. Essential role for cathepsin S in MHC class II-associated invariant chain processing and peptide loading. Immunity. 1996 Apr;4(4):357-66.

[2]. Barclay J, et al. Role of the cysteine protease cathepsin S in neuropathic hyperalgesia. Pain. 2007 Aug;130(3):225-234.

[3]. Clark AK, et al. Inhibition of spinal microglial cathepsin S for the reversal of neuropathic pain. Proc Natl Acad Sci U S A. 2007 Jun 19;104(25):10655-60.

[4]. Wilson SR, et al. Cathepsin K activity-dependent regulation of osteoclast actin ring formation and bone resorption. J Biol Chem. 2009 Jan 23;284(4):2584-92.

[5]. Teo CF, et al.Cysteine protease inhibitors block Toxoplasma gondii microneme secretion and cell invasion. Antimicrob Agents Chemother. 2007 Feb;51(2):679-88.



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