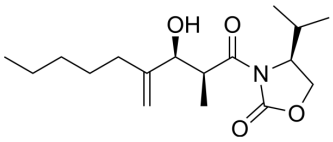
LMT-28

Cat. No.:	HY-102084
CAS No.:	1239600-18-0
Molecular Formula:	$C_{17}H_{29}NO_4$
Molecular Weight:	311.42
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
Storage:	Pure form -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 (321.11 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2111 mL	16.0555 mL	32.1110 mL
	5 mM	0.6422 mL	3.2111 mL	6.4222 mL
	10 mM	0.3211 mL	1.6055 mL	3.2111 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 (8.03 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.03 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LMT-28 is an orally active and the first synthetic IL-6 inhibitor that functions through direct binding to gp130. LMT-28 shows low toxicity and selectively inhibits IL-6-induced phosphorylation of STAT3, JAK2, and gp130[1].

IC_{50} & Target

IL-6

In Vitro

LMT-28 reduces IL-6-induced luciferase activity by ~90% at a concentration of 50 μM and exhibits an IC_{50} value of 5.9 μM. LMT-28 (1-10 μM; 72 hours) inhibits IL-6-induced proliferation of the human erythroleukemic cell line TF-1[1].

LMT-28 (1-100 μM; 1 hour) selectively inhibits IL-6-induced phosphorylation of STAT3, JAK2, and gp130[1].

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

Cell Proliferation Assay[1]

Cell Line:	TF-1 cells (1 ng/mL IL-6-induced)
Concentration:	1, 10, 100, 1000, 10000 nM
Incubation Time:	72 hours
Result:	Markedly inhibited IL-6–induced TF-1 proliferation with an IC50 value of 7.5 μM.

Western Blot Analysis[1]

Cell Line:	HepG2 cells (treated with 10 ng/mL IL-6)
Concentration:	1, 3, 10, 30, and 100 μM
Incubation Time:	1 hour
Result:	Inhibits IL-6-induced phosphorylation of STAT3, JAK2, and gp130.

In Vivo

LMT-28 (0-0.5 mg/kg; p.o.; once daily for 15 days) alleviates CIA in mice[1].

LMT-28 (0.25 or 1 mg/kg; p.o.) ameliorates the progression of pancreatitis in mice. LMT-28 binds directly and specifically to gp130, and thereby inhibits the interaction of gp130 with the IL-6/IL-6Rα complex[1].

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

Animal Model:	Six-week-old male DBA/1J mice (collagen-induced arthritis mice, CIA)[1]
Dosage:	0-0.5 mg/kg
Administration:	Oral; once daily for 15 days
Result:	Markedly reduced the serum levels of cartilage oligomeric matrix protein (COMP) by 50%, serum amyloid P (SAP) by 55%, and anti-CII IgG by 62%.

CUSTOMER VALIDATION

- Redox Biol. 2021 Jul;43:101994.
- Sci Total Environ. 2022 Jul 10;829:154437.
- Int J Mol Sci. 2022 Nov 9;23(22):13805.
- Cancer Manag Res. 2021 Sep 21;13:7355-7363.
- Mediat Inflamm. 2023.

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REFERENCES

[1]. Hong SS, et al. A Novel Small-Molecule Inhibitor Targeting the IL-6 Receptor β Subunit, Glycoprotein 130. J Immunol. 2015 Jul 1;195(1):237-45.

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

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