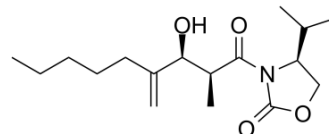


LMT-28

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)
H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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].

IC₅₀ & Target

IL-6

In Vitro

LMT-28 reduces IL-6-induced luciferase activity by ~90% at a concentration of 50 μM and exhibits an IC₅₀ value of 5.9 μM.

LMT-28 (1-10 μ M; 72 hours) inhibits IL-6-induced proliferation of the human erythroleukemic cell line TF-1^[1].
LMT-28 (1-100 μ M; 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 μ M.

Western Blot Analysis^[1]

Cell Line:	HepG2 cells (treated with 10 ng/mL IL-6)
Concentration:	1, 3, 10, 30, and 100 μ M
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-6R α 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%.

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.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA