LS-102

®

MedChemExpress

Cat. No.:	HY-135844	
CAS No.:	1456891-34-1	
Molecular Formula:	$C_{24}H_{36}N_{8}O$	$\sim_{\sf N} \sim$
Molecular Weight:	452.6	= N N
Target:	E1/E2/E3 Enzyme	
Pathway:	Metabolic Enzyme/Protease	Н Н
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)	

SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (220.95 mM; Need ultrasonic)						
		Solvent Mass Concentration	1 mg	5 mg	10 mg		
	Preparing Stock Solutions	1 mM	2.2095 mL	11.0473 mL	22.0946 mL		
		5 mM	0.4419 mL	2.2095 mL	4.4189 mL		
		10 mM	0.2209 mL	1.1047 mL	2.2095 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 (5.52 mM); Clear solution						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.52 mM); Clear solution						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.52 mM); Clear solution						

Description	LS-102 is a selective E3 ubiquitin ligase synoviolin (Syvn1) inhibitor. LS-102 inhibits the autoubiquitination of synoviolin with an IC ₅₀ of 35 μM. LS-102 has the potential for rheumatoid arthritis treatment ^{[1][2]} .			
In Vitro	LS-102 inhibits proliferation of RSCs with an IC ₅₀ of 5.4 μM ^[1] . LS-102 suppresses proliferation of rheumatoid synovial cells (RSCs) in a Syvn1-dependent manner. LS-102 suppresses polyubiquitination of target proteins of Syvn1, including nuclear factor erythroid 2-related factor 2 (NRF2), V247M α- sarcoglycan mutant, and PGC-1β. LS-102 inhibits E3 ligase activity of Synoviolin (Syvn1) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

Product Data Sheet

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	Cell Viability Assay ^[1]			
	Cell Line:	Rheumatoid synovial cells (RSCs)		
	Concentration:	20, 40, 60 μM		
	Incubation Time:	12 hours		
	Result:	Inhibited proliferation of RSCs with an IC $_{50}$ of 5.4 $\mu\text{M}.$		
In Vivo	LS-102 (1.3-4 mg/kg; i.p.; daily for 4 weeks) reduces clinical severity scores in a CIA model ^[1] .			
	Animal Model:	7-week-old DBA/1 male mice (CIA model) ^[1]		
	Dosage:	1.3, 4.0 mg/kg		
	Administration:	I.p.; daily for 4 weeks		
	Result:	Reduced the clinical severity scores.		

CUSTOMER VALIDATION

- J Nutr Biochem. 2022 Oct 10;109178.
- bioRxiv. 2023 Jun 5.

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REFERENCES

[1]. Fujita H, et al. Identification of the inhibitory activity of walnut extract on the E3 ligase Syvn1. Mol Med Rep. 2018 Dec;18(6):5701-5708.

[2]. Yagishita N, et al. RING-finger type E3 ubiquitin ligase inhibitors as novel candidates for the treatment of rheumatoidarthritis. Int J Mol Med. 2012 Dec;30(6):1281-6.

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

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