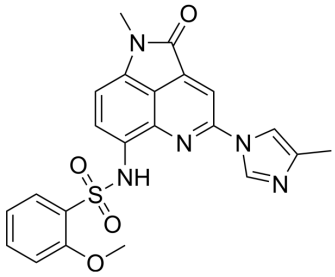
LT052

Cat. No.:	HY-130622
CAS No.:	2543545-44-2
Molecular Formula:	$C_{22}H_{19}N_5O_4S$
Molecular Weight:	449.48
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
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 : 10.42 mg/mL (23.18 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.2248 mL	11.1240 mL	22.2479 mL
	5 mM	0.4450 mL	2.2248 mL	4.4496 mL
	10 mM	0.2225 mL	1.1124 mL	2.2248 mL

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

BIOLOGICAL ACTIVITY

Description

LT052 is a highly selective BET BD1 inhibitor with an IC_{50} of 87.7 nM. LT052 exhibits nanomolar BRD4 BD1 potency and 138-fold selectivity over BRD4 BD2 (IC_{50}=12.130 μM). LT052 has anti-inflammatory activity and can be used for acute gout arthritis research[1].

IC_{50} & Target				
	BRD4 BD1 87.7 nM (IC_{50})	BRD3 BD1 246.3 nM (IC_{50})	BRDT BD1 357.1 nM (IC_{50})	BRPF1b 567.5 nM (IC_{50})

In Vitro

LT052 (1 μM) inhibits NF-κB transcriptional activity in HUVECs cells and inhibit nitric oxide (NO) production (inhibition rate: 101.89%) in RAW264.7 cells. In the evaluation of in vitro inflammatory activity, LT052 maintains comparable or better anti-inflammatory activity than the pan-BET inhibitor (JQ1) compared to the protein weak activity[1].

LT052 displays the highinhibitory activity against BRD4(1) (IC_{50}: 87.7±4.9 nM), BRD3(1) (IC_{50}: 246.3±20.2 nM), and BRDT(1) (IC_{50}: 357.1±8.3 nM). LT052 also has inhibitory activities against BRPF1b (IC_{50}: 567.5±16.9 nM). Additionlly, LT052 shows a 238-fold selectivity toward BD1 over BD2 with K_d of 105 nM and >25 μM for BD1 and BD2, respectively[1].

LT052 (1 μM; 1 hour) inhibits MSU-induced pyroptosis of THP-1 cells through BRD4/NF-κB/NLRP3 signaling pathways[1].

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

In Vivo

LT052 (1 mg/kg; intra-articular) suppresses synovial hyperplasia as well as severe neutrophil infiltration, and has a good therapeutic effect on MSU-induced acute gouty arthritis[1].

LT052 suppresses pyroptosis of macrophages in rat synovial tissues through regulating BRD4/NF-κB/NLRP3 signaling pathway[1].

LT052 has a high clearance rate in the range of 93.517 μL/min/mg proteins to 146.685 μL/min/mg proteins in liver microsomes of multiple species (human, monkey, dog, rat). Overall, LT052 exhibits moderately stable levels of in vitro liver microsomal metabolism[1].

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

Animal Model:	Male Adult Sprague-Dawley rats (250-280 g) (acute gouty arthritis animal models)[1]
Dosage:	1 mg/kg
Administration:	Intra-articular injection
Result:	Restored the joint circumference to normal level.

REFERENCES

[1]. Jiang F, et al. Discovery of Benzo[cd]indol-2(1H)-ones and Pyrrolo[4,3,2-de]quinolin-2(1H)-ones as Bromodomain and Extra-Terminal Domain (BET) Inhibitors with Selectivity for the First Bromodomain with Potential High Efficiency against Acute Gouty Arthritis. J Med Chem. 2019 Dec 26;62(24):11080-11107.

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