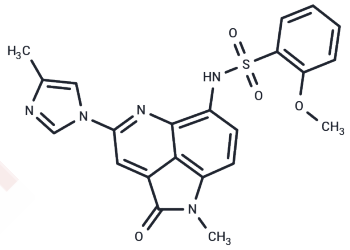
Data Sheet (Cat.No.T11887)

TargetMol

LT052

Chemical Properties



CAS No. : 2543545-44-2

Formula: C22H19N5O4S

Molecular Weight: 449.48

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	LT052 is a selective and efficient BET BD1 inhibitor with anti-inflammatory activity. It mediates the BRD4/NF-κB/NLRP3 inflammatory signaling pathway and can be used in gout arthritis research.
Targets(IC50)	Epigenetic Reader Domain
In vitro	LT052 (1 μm,1h) inhibited MSU-induced apoptosis of THP-1 cells through the BRD4/NF-κB/NLRP3 signaling pathway. LT052 showed good inhibitory activity on NO production in RAW264.7 cells. LT052 inhibits NF-κB transcriptional activity in HUVECs. LT052 inhibits scorch death of macrophages in rat synovial tissue by regulating the BRD4/NF-κB/NLRP3 signaling pathway. [1]
In vivo	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]. 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].

Solubility Information

Solubility	DMSO: 5 mg/mL (11.12 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2248 mL	11.124 mL	22.2479 mL
5 mM	0.445 mL	2.2248 mL	4.4496 mL
10 mM	0.2225 mL	1.1124 mL	2.2248 mL
50 mM	0.0445 mL	0.2225 mL	0.445 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.