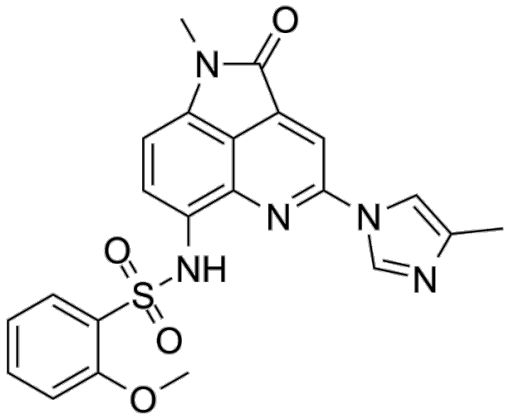
Product Data Sheet

Product Name: LT052
Cat. No.: GC62591

Chemical Properties

Cas. No.	2543545-44-2		
Formula	$C_{22}H_{19}N_5O_4S$	M.Wt	449.48
Solubility	DMSO : 10.42 mg/mL (23.18 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

LT052 is a highly selective BET BD1 inhibitor with an IC50 of 87.7 nM. LT052 exhibits nanomolar BRD4 BD1 potency and 138-fold selectivity over BRD4 BD2 (IC50=12.130 μM). LT052 has anti-inflammatory activity and can be used for acute gout arthritis research[1].

Caution: Product has not been fully validated for medical applications. For research use only.
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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) (IC50: 87.7±4.9 nM), BRD3(1) (IC50: 246.3±20.2 nM), and BRDT(1) (IC50: 357.1±8.3 nM). LT052 also has inhibitory activities against BRPF1b (IC50: 567.5±16.9 nM). Additionlly, LT052 shows a 238-fold selectivity toward BD1 over BD2 with Kd 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].

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].

[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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