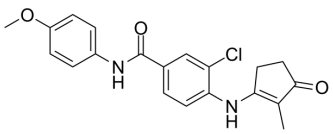
MS402

Cat. No.:	HY-120000
CAS No.:	1672684-68-2
Molecular Formula:	$C_{20}H_{19}ClN_2O_3$
Molecular Weight:	370.83
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (269.67 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6967 mL	13.4833 mL	26.9665 mL
5 mM	0.5393 mL	2.6967 mL	5.3933 mL
10 mM	0.2697 mL	1.3483 mL	2.6967 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 (6.74 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MS402 is a BD1-selective BET BrD inhibitor with K_is of 77 nM, 718 nM, 110 nM, 200 nM, 83 nM, and 240 nM for BRD4(BD1), BRD4(BD2), BRD3(BD1), BRD3(BD2), BRD2(BD1) and BRD2(BD2), respectively. MS402 blocks Th17 cell differentiation and ameliorates colitis in mice[1].

IC_{50} & Target

BRD4-BD1 77 nM (Ki)	BRD2-BD1 83 nM (Ki)	BRD3-BD1 110 nM (Ki)	BRD3-BD2 200 nM (Ki)
BRD2-BD2 240 nM (Ki)	BRD4-BD2 718 nM (Ki)		

In Vivo

Reconstitution with naïve $CD4^{+}CD45RB^{hi}$ cells isolated from spleen and lymph nodes of C57BL/6 mice, $Rag1^{-/-}$ mice begin losing weight after 4 week. MS402 (10 mg/kg; intraperitoneally twice a week for 3 weeks) shows much less weight loss[1].

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

Animal Model:	C57BL/6 mice, $Rag1^{-/-}$ mice with T-cell transfer-induced colitis model[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneally twice a week for 3 weeks
Result:	Showed much less weight loss.

CUSTOMER VALIDATION

- Stem Cell Rev Rep. 2020 Dec;16(6):1280-1291.

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REFERENCES

[1]. Cheung K, et al. BET N-terminal bromodomain inhibition selectively blocks Th17 cell differentiation and ameliorates colitis in mice. Proc Natl Acad Sci U S A. 2017 Mar 14;114(11):2952-2957.

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