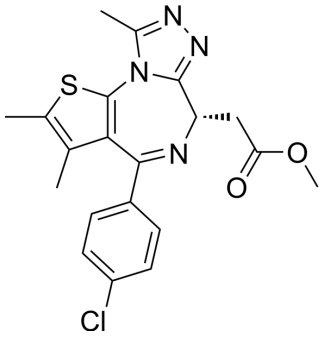
MS417

Cat. No.:	HY-111139
CAS No.:	916489-36-6
Molecular Formula:	$C_{20}H_{19}ClN_4O_2S$
Molecular Weight:	414.91
Target:	Epigenetic Reader Domain; HIV
Pathway:	Epigenetics; Anti-infection
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 50 mg/mL (120.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4102 mL	12.0508 mL	24.1016 mL
	5 mM	0.4820 mL	2.4102 mL	4.8203 mL
	10 mM	0.2410 mL	1.2051 mL	2.4102 mL

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

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MS417 is a selective BET-specific BRD4 inhibitor, binds to BRD4-BD1 and BRD4-BD2 with IC_{50}s of 30, 46 nM and K_ds of 36.1, 25.4 nM, respectively, with weak selectivity at CBP BRD (IC_{50}, 32.7 μM).

IC_{50} & Target

IC50: 30 nM (BRD4-BD1), 46 nM (BRD4-BD2), 32.7 μM (CBP BRD)[1]
Kd: 36.1 nM (BRD4-BD1), 25.4 nM (BRD4-BD2)[1]

In Vitro

MS417 is a BET-specific BRD4 inhibitor, binds to BRD4-BD1 and BRD4-BD2 with IC_{50}s of 30, 46 nM and K_ds of 36.1, 25.4 nM, respectively, with less selectivity at CBP BrD (IC_{50}, 32.7 μM). MS417 effectively blocks BRD4 binding to NF-κB, almost completely suppresses TNFα-induced NF-κB transcription activation in human embryonic kidney 293T cells at 1 μM and also

	reduces NF-κB p65 acetylation in the HIV-infected RTECs. MS417 (1 μM) modulation of gene transcription in HIV-infected human primary renal tubular epithelial cells. In addition, MS417 suppresses NF-κB-targeted cytokines and chemokines[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MS417 (0.08 mg/kg) markedly improves renal function, reduces proteinuria and decreases glomerulosclerosis, tubular injury, and infiltration of inflammatory cells in the kidney of Tg26 mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2022 May 31;13(1):3016.
- Curr Biol. 2022 Sep 7;S0960-9822(22)01381-1.
- Stem Cell Rev Rep. 2020 Dec;16(6):1280-1291.

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REFERENCES

[1]. Zhang G, et al. Down-regulation of NF-κB transcriptional activity in HIV-associated kidney disease by BRD4 inhibition. J Biol Chem. 2012 Aug 17;287(34):28840-51.

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