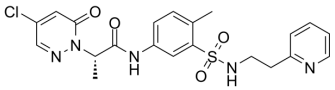
BRD0639

Cat. No.:	HY-132309
CAS No.:	2760881-74-9
Molecular Formula:	$C_{21}H_{22}ClN_5O_4S$
Molecular Weight:	475.95
Target:	Histone Methyltransferase
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 : 250 mg/mL (525.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1011 mL	10.5053 mL	21.0106 mL
	5 mM	0.4202 mL	2.1011 mL	4.2021 mL
	10 mM	0.2101 mL	1.0505 mL	2.1011 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: ≥ 2.08 mg/mL (4.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BRD0639 is a first-in-class inhibitor of the PRMT5-substrate adaptor interaction. BRD0639 is a PRMT5 binding motif (PBM)-competitive agent that can support studies of PBM dependent PRMT5 activities[1].

IC_{50} & Target

PRMT5

In Vitro

BRD0639 is a first-in-class PBM-competitive small molecule that can support studies of PBM dependent PRMT5 activities and the development of novel PRMT5 inhibitors that selectively target these functions. BRD0639 can engage the cellular target

and effectively outcompete binding between full-length PRMT5 and RIOK1 proteins with an IC_{50} of 7.5 uM and 16 uM in permeabilized and living cells, respectively. BRD0639 reduces SDMA in the same subset of proteins also affected by genetic perturbation of the PRMT5 binding motif (PBM) binding site[1].

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

CUSTOMER VALIDATION

- J Biol Chem. 2022 Aug 27;102434.

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REFERENCES

[1]. McKinney DC, et al. Discovery of a First-in-Class Inhibitor of the PRMT5-Substrate Adaptor Interaction. J Med Chem. 2021;64(15):11148-11168.

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