**Proteins** 

# **BRD9539**

Cat. No.: HY-15647 CAS No.: 1374601-41-8 Molecular Formula:  $C_{24}H_{21}N_3O_3$ Molecular Weight: 399.44

Target: Histone Methyltransferase

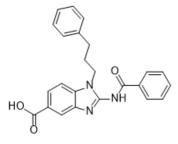
Pathway: **Epigenetics** 

Powder -20°C Storage: 3 years

 $4^{\circ}C$ 2 years

In solvent -80°C 2 years

> -20°C 1 year



### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 16.67 mg/mL (41.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5035 mL	12.5175 mL	25.0350 mL
	5 mM	0.5007 mL	2.5035 mL	5.0070 mL
	10 mM	0.2504 mL	1.2518 mL	2.5035 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: 1.67 mg/mL (4.18 mM); Suspended solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.67 mg/mL (4.18 mM); Clear solution

#### **BIOLOGICAL ACTIVITY**

Description BRD9539 is a histone methyltransferase G9a inhibitor with an IC $_{50}$  of 6.3  $\mu$ M. BRD9539 also inhibits PRC2 activity and is inactive against SUV39H1, NSD2 and DNMT1<sup>[1]</sup>. PRC2 IC<sub>50</sub> & Target G9a

In Vitro BRD9539 decreases ATP levels in a dose-dependent manner in HeLa cells<sup>[1]</sup>.

6.3 μM (IC<sub>50</sub>)

BRD9539 is a more potent biochemical inhibitor than its methyl-ester analogue BRD4770, with 20% remaining G9a activity compared to 45% of BRD4770 at screening concentration. However, BRD9539 has no activity in cell-based assays, presumably due to impaired cell permeability compared to that of BRD4770. In addition, the activities of 16 other

chromatin-modifying enzymes and 100 kinases involved in cell-cycle regulation and cancer cell biology are tested for activity in the presence of 5 or 10  $\mu$ M BRD9539; no activity is seen in any of these assays<sup>[1]</sup>.

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

## **CUSTOMER VALIDATION**

• Theranostics. 2018 Apr 15;8(10):2884-2895.

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#### **REFERENCES**

[1]. Yuan Y et al. A small-molecule probe of the histone methyltransferase G9a induces cellular senescence in pancreatic adenocarcinoma. ACS Chem Biol. 2012 Jul 20;7(7):1152-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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