**Proteins** 



## **Product** Data Sheet

# CM-579 trihydrochloride

Cat. No.: HY-117421A Molecular Formula:  $C_{29}H_{43}Cl_3N_4O_3$ 

Molecular Weight:

Histone Methyltransferase; DNA Methyltransferase Target:

Pathway: **Epigenetics** 

Storage: 4°C, stored under nitrogen

\* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

#### **SOLVENT & SOLUBILITY**

In Vitro H<sub>2</sub>O: 37.5 mg/mL (62.29 mM; Need ultrasonic)

DMSO: 33.33 mg/mL (55.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.6611 mL	8.3056 mL	16.6113 mL
	5 mM	0.3322 mL	1.6611 mL	3.3223 mL
	10 mM	0.1661 mL	0.8306 mL	1.6611 mL

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 16.67 mg/mL (27.69 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.15 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.15 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

 $CM-579\ trihydrochloride\ is\ a\ first-in-class\ reversible,\ dual\ inhibitor\ of\ G9a\ and\ DNMT,\ with\ IC_{50}\ values\ of\ 16\ nM,\ 32\ nM\ for\ G9a$ Description and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells[1].

DNA Methyltransferase IC<sub>50</sub> & Target DNMT1 DNMT3A DNMT3B

32 nM (IC<sub>50</sub>) 1.5 nM (Kd) 92 nM (IC<sub>50</sub>) 1000 nM (IC<sub>50</sub>)

G9a 16 nM (IC<sub>50</sub>) In Vitro

The  $K_d$  of CM-579 for DNMT1 is 1.5 nM, CM-579 also inhibits DNMT3A and DNMT3B, with IC<sub>50</sub>s of 92 nM and 1000 nM, respectively<sup>[1]</sup>.

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

#### **REFERENCES**

[1]. San José-Enériz E, et al. Discovery of first-in-class reversible dual small molecule inhibitors against G9a and DNMTs in hematological malignancies. Nat Commun. 2017 May 26;8:15424.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA