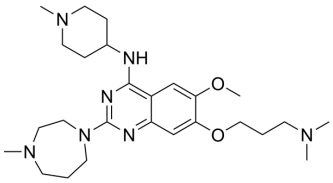
UNC0224

Cat. No.:	HY-10929
CAS No.:	1197196-48-7
Molecular Formula:	$C_{26}H_{43}N_7O_2$
Molecular Weight:	485.67
Target:	Histone Methyltransferase
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 : 16.67 mg/mL (34.32 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0590 mL	10.2951 mL	20.5901 mL
	5 mM	0.4118 mL	2.0590 mL	4.1180 mL
	10 mM	0.2059 mL	1.0295 mL	2.0590 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 (3.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC0224 is a potent and selective histone methyltransferase G9a inhibitor with a K_i of 2.6 nM, an IC_{50} of 15 nM and a K_d of 23 nM. UNC0224 also potently inhibits b>GLP with assay-dependent IC_{50} values of 20-58 nM. UNC0224 is inactive against SET7/9, SET8/PreSET7, PRMT3 and JMJD2E[1][2].

IC_{50} & Target	EHMT1/GLP/KMT1D 20-58 nM (IC_{50})	G9a 15 nM (IC_{50})	G9a 2.6 nM (Ki)	G9a 23 nM (Kd)

In Vitro	In G9a ECSD and CLOT assays, the IC_{50} values of 43 nM and 57 nM for UNC0224 (Compound 10), respectively. In GLP ECSD and CLOT assays, the IC_{50} values of 50 nM and 58 nM for UNC0224, respectively. In ITC experiments, the K_d value of UNC0224 to the G9a protein is 23 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Stem Cell. 2023 Apr 6;30(4):450-459.e9.

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REFERENCES

[1]. Liu F, et al. Discovery of a 2,4-diamino-7-aminoalkoxyquinazoline as a potent and selective inhibitor of histone lysine methyltransferase G9a. J Med Chem. 2009 Dec 24;52(24):7950-3.

[2]. Liu F, et al. Protein lysine methyltransferase G9a inhibitors: design, synthesis, and structure activity relationships of 2,4-diamino-7-aminoalkoxy-quinazolines. J Med Chem. 2010 Aug 12;53(15):5844-57.

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

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