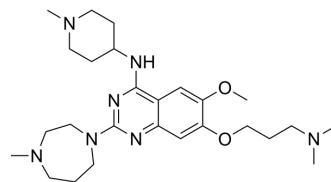


UNC0224

Cat. No.:	HY-10929		
CAS No.:	1197196-48-7		
Molecular Formula:	C ₂₆ H ₄₃ N ₇ O 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)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions	1 mM	2.0590 mL	10.2951 mL
		5 mM	0.4118 mL	2.0590 mL
		10 mM	0.2059 mL	1.0295 mL
	Please refer to the solubility information to select the appropriate solvent.			
In Vivo	<ol style="list-style-type: none"> 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 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 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]} .			
IC₅₀ & Target	EHMT1/GLP/KMT1D 20-58 nM (IC ₅₀)	G9a 15 nM (IC ₅₀)	G9a 2.6 nM (K _i)	G9a 23 nM (K _d)

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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