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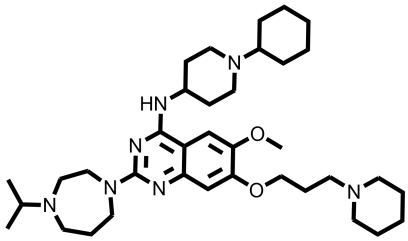
G9a/GLP HMTase Inhibitor - UNC0646

Chemical Name: N-(1-cyclohexylpiperidin-4-yl)-2-(4-isopropyl-1,4-diazepan-1-yl)-6-methoxy-7-(3-(piperidin-1-yl)propoxy)quinazolin-4-amine



Molecular Weight:	621.90
Formula:	$C_{36}H_{59}N_7O_2$
Purity:	≥98%
CAS#:	1320288-17-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

UNC0646 is a novel potent, selective and cell permeable inhibitor of the homologous protein lysine methyltransferases, G9a and GLP, with IC_{50} ~6 nM and 15 nM for G9a and GLP, respectively. It potently inhibits G9a/GLP methyltransferase activity in cells (IC_{50} ~10 nM in MCF7 cells), and exhibits low toxicity. It is highly selective for G9a/GLP over several other protein lysine and arginine methyltransferases. UNC0646 did not affect G9a co-activator function but selectively decreased G9a co-repressor function for endogenous target genes.

How to Use:

In vitro: UNC0646 was used at 2 μM in vitro and cellular assays.

In vivo: n/a

Reference:

1. Liu F, et al. Optimization of cellular activity of G9a inhibitors 7-aminoalkoxy-quinazolines. (2011) J Med Chem. 54(17):6139-50.
2. Bittencourt D, et al. G9a functions as a molecular scaffold for assembly of transcriptional coactivators on a subset of glucocorticoid receptor target genes. (2012) Proc Natl Acad Sci USA. 109(48):19673-8.