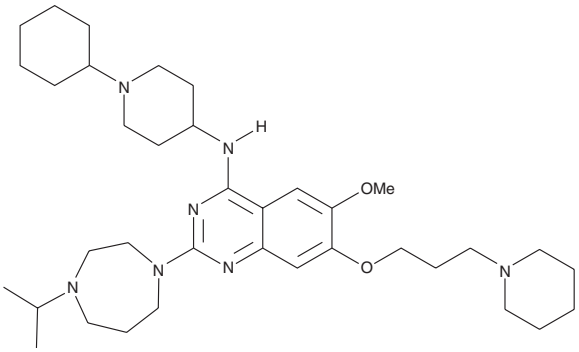
PRODUCT INFORMATION

UNC0646

Item No. 11085

CAS Registry No.:	1320288-17-2
Formal Name:	N-(1-cyclohexyl-4-piperidinyl)-2-[hexahydro-4-(1-methylethyl)-1H-1,4-diazepin-1-yl]-6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinamine
MF:	$C_{36}H_{59}N_7O_2$
FW:	621.9
Purity:	≥95%
UV/Vis.:	λ_{max}: 213, 250, 348 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

UNC0646 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC0646 in the solvent of choice, which should be purged with an inert gas. UNC0646 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of UNC0646 in ethanol and DMF is approximately 30 mg/ml and approximately 5 mg/ml in DMSO.

UNC0646 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, UNC0646 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. UNC0646 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

G9a and G9a-like protein (GLP) are euchromatic histone-lysine methyltransferases (EHMT2 and EHMT1, respectively) that can heterodimerize with each other to methylate several proteins in addition to histone H3. UNC0646 is a potent and selective inhibitor of G9a and GLP activities *in vitro* (IC_{50}s = 6 and 15 nM, respectively) and G9a/GLP-mediated dimethylation of histone 3 on lysine 9 in MDA-MB-231 cells (IC_{50} = 26 nM).[1] It is highly selective for G9a/GLP over several other protein lysine and arginine methyltransferases.[1] UNC0646 potently inhibits G9a/GLP activity in a variety of cancer cell lines as well as in the human fetal lung IMR-90 line.[1] This compound selectively targets the corepressor function of G9a without affecting its ability to act as a coactivator with glucocorticoid receptor.[2]

References

1. Liu, F., Barsyte-Lovejoy, D., Allali-Hassani, A., *et al.* Optimization of cellular activity of G9a inhibitors 7-aminoalkoxy-quinazolines. *J. Med. Chem.* **54(17)**, 6139-6150 (2011).
2. Bittencourt, D., Wu, D.Y., Jeong, K.W., *et al.* G9a functions as a molecular scaffold for assembly of transcriptional coactivators on a subset of glucocorticoid receptor target genes. *Proc. Natl. Acad. Sci. USA* **109(48)**, 19673-19678 (2012).

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