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Produktinformation

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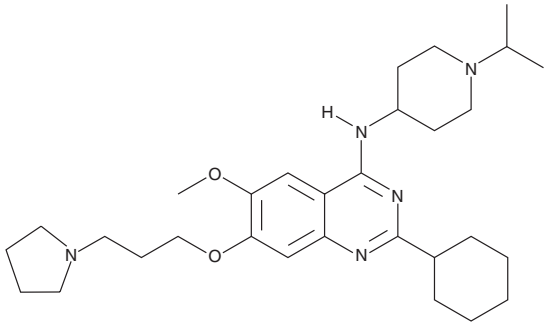
PRODUCT INFORMATION

UNC0638

Item No. 10734

CAS Registry No.:	1255580-76-7
Formal Name:	2-cyclohexyl-6-methoxy-N-[1-(1-methylethyl)-4-piperidinyl]-7-[3-(1-pyrrolidinyl)propoxy]-4-quinazolinamine
MF:	$C_{30}H_{47}N_5O_2$
FW:	509.7
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 216, 245, 320, 333 nm



Laboratory Procedures

For long term storage, we suggest that UNC0638 be stored as supplied at -20°C. It should be stable for at least two years.

UNC0638 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC0638 in the solvent of choice. UNC0638 is soluble in DMSO, which should be purged with an inert gas. The solubility of UNC0638 in DMSO is approximately 20 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The methylation of lysine residues on histones plays a central role in determining euchromatin structure and gene expression. The histone methyltransferase (HMTase) G9a can mono- or dimethylate lysine 9 on histone 3 (H3), contributing to early embryogenesis, genomic imprinting, and lymphocyte development.[1-3] UNC0638 is a potent G9a HMTase inhibitor, exhibiting an IC_{50} value of <15 nM *in vitro*. UNC0638 also inhibits GLP, a closely-related H3K9 HMTase, with an IC_{50} value of 19 nM, but is more than 10,000-fold selective against SET7/9 (a H3K4 HMTase), SET8 (a H4K20 HMTase), PRMT3, and SUV39H2. UNC0638 inhibits H3K9 dimethylation in MDA-MB231 cells with an IC_{50} value of 81 nM and demonstrates favorable separation of functional and toxic effects.[4] See the Structural Genomics Consortium (SGC) website for more information.

References

1. Tachibana, M., Sugimoto, K., Nozaki, M., *et al*. G9a histone methyltransferase plays a dominant role in euchromatic histone H3 lysine 9 methylation and is essential for early embryogenesis. *Genes Dev.* **16**, 1779-1791 (2002).
2. Wagschal, A., Sutherland, H.G., Woodfine, K., *et al*. G9a histone methyltransferase contributes to imprinting in the mouse placenta. *Mol. Cell. Biol*. **28(3)**, 1104-1113 (2008).
3. Thomas, L.R., Miyashita, H., Cobb, R.M., *et al*. Functional analysis of histone methyltransferase G9a in B and T lymphocytes. *J. Immunol*. **181**, 485-493 (2008).
4. G9a/GLP selective methyltransferase chemical probe, UNC0638. from http://www.thesgc.org/chemical_probes/UNC0638/request.php.

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