# 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:  $C_{30}H_{47}N_5O_2$ 509.7 FW:

**Purity:** 

 $\lambda_{\text{max}}$ : 216, 245, 320, 333 nm UV/Vis.:

Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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

## **Laboratory Procedures**

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

#### 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.<sup>1-3</sup> 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<sub>50</sub> 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<sub>50</sub> 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(14), 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).
- Thomas, L.R., Miyashita, H., Cobb, R.M., et al. Functional analysis of histone methyltransferase G9a in B and T lymphocytes. J. Immunol. 181(1), 485-493 (2008).
- G9a/GLP selective methyltransferase chemical probe, UNC0638. In: Structural Genomics Consortium (SGC) [Internet]. (2010). Available from http://www.thesgc.org/chemical\_probes/UNC0638/request.php.

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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