

PRODUCT INFORMATION

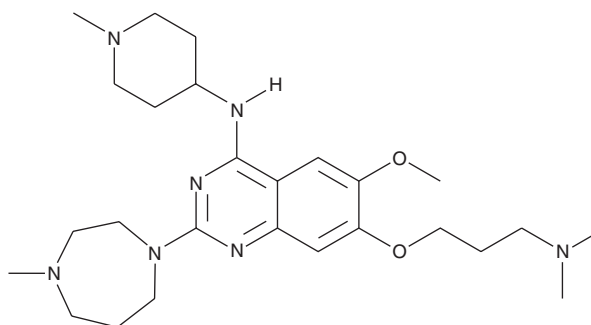


UNC0224

Item No. 13631

CAS Registry No.: 1197196-48-7
Formal Name: 7-[3-(dimethylamino)propoxy]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6-methoxy-N-(1-methyl-4-piperidinyl)-4-quinazolinamine

MF: C₂₆H₄₃N₇O₂
FW: 485.7
Purity: ≥98%
UV/Vis.: λ_{max}: 251, 346 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

UNC0224 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC0224 in the solvent of choice, which should be purged with an inert gas. UNC0224 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of UNC0224 in these solvents is approximately 15, 2, and 2.5 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of UNC0224 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of UNC0224 in PBS (pH 7.2) is approximately 2.5 mg/ml. 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 UNC0224 is a potent and selective G9a HMTase inhibitor, exhibiting an IC₅₀ value of 15 nM.⁴ Isothermal titration calorimetry revealed UNC0224 binds to G9a with a K_d value of 29 nM. UNC0224 also inhibits GLP, a closely-related H3K9 HMTase, with assay-dependent IC₅₀ values of 20-58 nM, but is more than 1,000-fold selective against SET7/9 (a H3K4 HMTase) and SET8 (a H4K20 HMTase).⁴

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).
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**(1), 485-493 (2008).
4. Liu, F., Chen, X., Allali-Hassani, A., *et al.* Discovery of a 2,4-diamino-7-aminoalkoxyquinazoline as a potent and selective inhibitor of histone lysine methyltransferase G9a. *J. Med. Chem.* **52**(24), 7950-7953 (2009).

WARNING

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

SAFETY DATA

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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