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UNC0224

Histone modifier; Inhibits euchromatic histone methyltransferase 1 (EHMT1) and 2 (EHMT2)

Catalog #100-1653 5 mg

Product Description

UNC02244 is a potent inhibitor of the euchromatic histone methyltransferase 1 (EHMT1; IC_{50} = 39 nM) and EHMT2 (IC_{50} = 15 nM). EHMT1 and EHMT2 can mono-, di-, and tri-methylate the lysine 9 residue of histone 3 (H3K9) to impact gene regulation (Leenders et al.; Liu et al.). EHMT1 and EHMT2 can heterodimerize to maintain H3K9 methylation in embryonic stem cells (Shinkai & Tachibana; Zhang et al.). Overexpression of EHMT1 and EHMT2 in several cancer types is associated with metastasis, stemness, and therapy resistance (Nachiyappan et al.).

Alternative Names: UNC 0224

CAS Number: 1197196-48-7

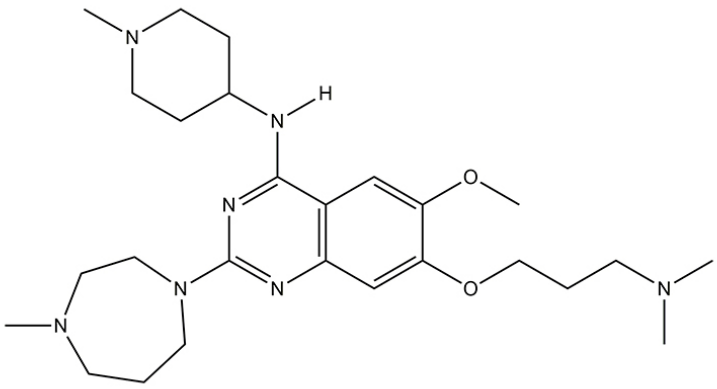
Chemical Formula: $C_{26}H_{43}N_7O_2$

Molecular Weight: 485.7 g/mol

Purity: ≥ 98%

Chemical Name: 7-[3-(Dimethylamino)propoxy]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6-methoxy-N-(1-methyl-4-piperidinyl)-4-quinazolinamine

Structure:



Properties

Product Format: A white to off-white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- DMSO ≤ 100 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 206 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING

- Reprograms human somatic cells to pluripotent stem cells in combination with other small molecules (Guan et al.).

References

Guan J et al. (2022) Chemical reprogramming of human somatic cells to pluripotent stem cells. Nature 605(7909): 325–31.
Leenders R et al. (2019) Novel SAR for quinazoline inhibitors of EHMT1 and EHMT2. Bioorg Med Chem Lett 29(17): 2516–24.
Liu F et al. (2009) Discovery of a 2,4-diamino-7-aminoalkoxyquinazoline as a potent and selective inhibitor of histone lysine methyltransferase G9a. J Med Chem 52(24): 7950–3.
Nachiyappan A et al. (2022) EHMT1/EHMT2 in EMT, cancer stemness and drug resistance: emerging evidence and mechanisms. FEBS J 289(5): 1329–51.
Shinkai Y & Tachibana M. (2011) H3K9 methyltransferase G9a and the related molecule GLP. Genes Dev 25(8): 781–8.
Zhang T et al. (2016) G9a/GLP complex maintains imprinted DNA methylation in embryonic stem cells. Cell Rep 15(1): 77–85.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.