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GSK-J4 (Hydrochloride)

Histone modifier; Inhibits Jumonji domain-containing protein D3 (JMJD3)

Catalog #100-1642 25 mg

Product Description

GSK-J4 (Hydrochloride) is an inhibitor of the Jumonji domain-containing protein D3 (JMJD3) histone demethylase (IC_{50} = 9 µM). JMJD3 demethylates the tri-methylated lysine 27 on histone H3 (H3K27me3) to activate transcription (Kruidenier et al.). Dysregulation of H3K27me3 marks have been associated with different cancers. By inhibiting JMJD3, GSK-J4 can impact key pathways such as cell proliferation, cell cycle, and apoptosis that are often targeted by anti-cancer agents (Dalpatraj et al.).

Alternative Names: Not applicable

CAS Number: 1797983-09-5

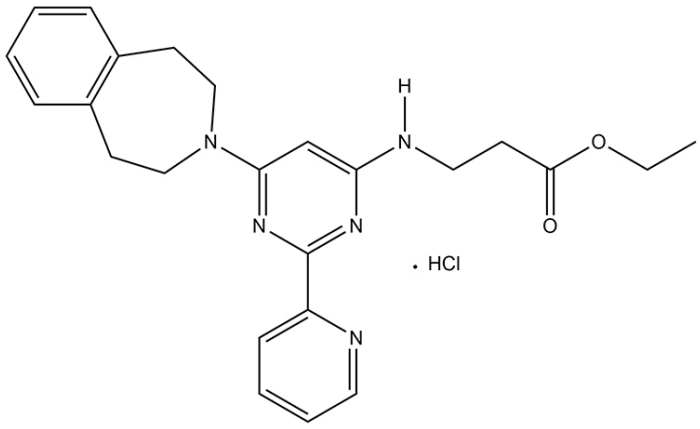
Chemical Formula: $C_{24}H_{27}N_5O_2$ • HCl

Molecular Weight: 454 g/mol

Purity: ≥ 98%

Chemical Name: N-[2-(2-Pyridinyl)-6-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-4-pyrimidinyl]-β-alanine, ethyl ester, hydrochloride

Structure:



Properties

Product Format: A yellow 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:

- Water ≤ 20 mM
- DMSO ≤ 75 mM

For example, to prepare a 10 mM stock solution in water, resuspend 10 mg in 2.2 mL of water. If not fully dissolved, warm the 10 mM stock solution in a 37°C water bath or incubator with periodic mixing until the solution is clear.

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.

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

CANCER RESEARCH

- Inhibits cell proliferation and migration and induces apoptosis in human glioma cell lines (Sui et al.).
- Induces apoptosis and cell cycle arrest in human acute myeloid leukemia cells and slows disease progression in a leukemia mouse model (Chu et al.; Li et al.).
- Reduces cell proliferation of castration-resistant human prostate cancer cells (Morozov et al.).
- Induces cell cycle arrest and inhibits cell proliferation of human and mouse medulloblastoma cell lines (Deng et al.).
- Increases differentiation, endoplasmic reticulum stress, and apoptosis in human neuroblastoma cell lines and reduces tumor growth in a mouse xenograft model (Lochmann et al.).

References

Chu X et al. (2020) GSK-J4 induces cell cycle arrest and apoptosis via ER stress and the synergism between GSK-J4 and decitabine in acute myeloid leukemia KG-1a cells. Cancer Cell Int 20(1): 209.
Dalpatraj N et al. (2023) GSK-J4: An H3K27 histone demethylase inhibitor, as a potential anti-cancer agent. Int J Cancer 153(6): 1130–8.
Deng H et al. (2022) Targeting H3K27me3 demethylase to inhibit Shh signaling and cholesterol metabolism in medulloblastoma growth. Front Oncol 12: 1057147.
Kruidenier L et al. (2012) A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. Nature 488 (7411): 404–8.
Li Y et al. (2018) Therapeutic potential of GSK-J4, a histone demethylase KDM6B/JMJD3 inhibitor, for acute myeloid leukemia. J Cancer Res Clin Oncol 144(6): 1065–77.
Lochmann TL et al. (2018) Targeted inhibition of histone H3K27 demethylation is effective in high-risk neuroblastoma. Sci Transl Med 10(441): eaoo4680.
Morozov VM et al. (2017) Inhibitor of H3K27 demethylase JMJD3/UTX GSK-J4 is a potential therapeutic option for castration resistant prostate cancer. Oncotarget 8(37): 62131–42.
Sui A et al. (2017) The pharmacological role of histone demethylase JMJD3 inhibitor GSK-J4 on glioma cells. Oncotarget 8(40): 68591–8.

Related Products

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