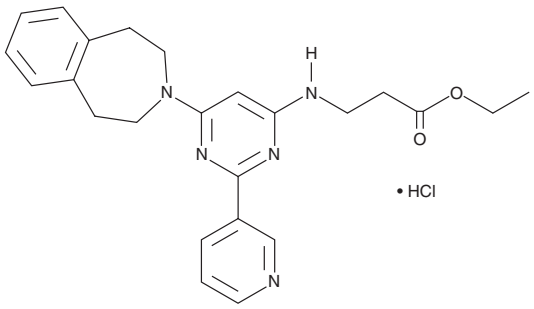
PRODUCT INFORMATION

GSK-J5 (hydrochloride)

Item No. 12074

CAS Registry No.: 1797983-32-4
Formal Name: N-[2-(3-pyridinyl)-6-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-4-pyrimidinyl]-β-alanine, ethyl ester, monohydrochoride
MF: $C_{24}H_{27}N_5O_2 \bullet HCl$
FW: 454.0
Purity: ≥95%
UV/Vis.: λ_{max}: 202, 254 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

GSK-J5 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK-J5 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GSK-J5 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK-J5 (hydrochloride) in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

GSK-J5 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK-J5 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK-J5 (hydrochloride) has a solubility of approximately 0.1 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The histone H3 lysine 27 (H3K27) demethylase JMJD3 plays important roles in the transcriptional regulation of cell differentiation, development, the inflammatory response, and cancer.[1,2] GSK-J4 (Item No. 12073) is a cell-permeable prodrug which is modified by intracellular esterases to give GSK-J1 (Item No. 12054), an inhibitor of JMJD3. GSK-J5 is a pyridine regio-isomer of GSK-J4. Like GSK-J4, this isomer is cell-permeable and hydrolyzed to a free base.[3] However, the free base is a weak inhibitor of JMJD3 (IC_{50} > 100 µM), making it an ideal inactive control molecule for elucidating the functional role of JMJD3 inhibition.[3]

References

1. Agger, K., Cloos, P.A.C., Christensen, J., *et al.* UTX and JMJD3 are histone H3K27 demethylases involved in HOX gene regulation and development. *Nat. Lett.* **449(7163)**, 731-4 (2011).
2. Hübner, M.R. and Spector, D.L. Role of H3K27 demethylases JMJD3 and UTX in transcriptional regulation. *Cold Spring Harb. Symp. Quant. Biol.* **75** (2011).
3. Kruidenier, L., Chung, C.-W., Cheng, Z., *et al.* A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. *Nature* **488(7411)**, 404-408 (2012).

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.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 02/27/2024

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM