

Product Data Sheet

Product Name: GSK J5
Cat. No.: GC17118

Chemical Properties

Cas. No. 1394854-51-3

Chemical Name ethyl 3-((6-(4,5-dihydro-1H-benzo[d]azepin-3(2H)-yl)-2-(pyridin-3-yl)pyrimidin-4-yl)amino)propanoate

SMILES CCOC(CCNC1=CC(N2CCCC3=CC=CC=C3CC2)=NC(C4=CN=CC=C4)=N1)=O

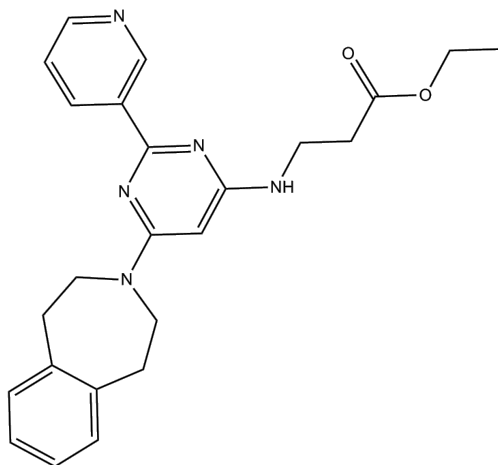
Formula $C_{24}H_{27}N_5O_2$ M.Wt 417.5

Solubility 20mg/mL in ethanol, 30mg/mL in DMSO or in DMF Storage Store at RT

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

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 is a cell-permeable prodrug which is modified by intracellular esterases to give GSK-J1, an inhibitor of JMJD3. GSK-J5 is a pyridine regio-isomer of GSK-J4. Like GSK-J4, this isomer is cell-permeable

Caution: Product has not been fully validated for medical applications. For research use only.

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and hydrolyzed to a free base.³ However, the free base is a weak inhibitor of JMJD3 ($IC_{50} > 100 \mu M$), making it an ideal inactive control molecule for elucidating the functional role of JMJD3 inhibition.^[3]

Reference:

- [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), 731-734 (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, 43-49 (2010).
- [3]. Kruidenier, L., Chung, C.W., Cheng, Z., et al. A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. *Nature* 488, 404-408 (2012).

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