

Catalog # 10-1394 GSK J4

1373423-53-0

 $N-[2-(2-Pyridinyl)-6-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-4-pyrimidinyl]-\beta-alanine ethyl ester Lot # X106319$

Histone demethylase JMJD3/UTX inhibitor. Inhibits demethylation of histone H3K27. Reduces LPS-induced proinflammatory cytokine production in primary human macrophages ($IC_{50} = 9 \mu M$ for the inhibition of TNF α release). Cell permeable, ethyl ester of GSK J1¹. Rescues newborn pups from embryonic lethality in BRAF knockin mice which recapitulate major features of RASopathies².

- 1) Kruidenier et al. (2012), Selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response; Nature, **488** 404
- 2) Inoue et al. (2014) New Braf knockin mice provide a pathogenic mechanism of developmental defects and therapeutic approach in cardio-facio-cutaneous syndrome; Hum. Mol. Genet., **July 17**, Epub ahead of print

PHYSICAL DATA

 $\begin{array}{ll} \mbox{Molecular Weight:} & 417.48 \\ \mbox{Molecular Formula:} & C_{24}\mbox{H}_{27}\mbox{N}_5\mbox{O}_2 \\ \mbox{Purity:} & 99\% \ \mbox{by TLC} \end{array}$

NMR: (Conforms)

Solubility: DMSO (up to 40 mg/ml) or Ethanol (up to 40 mg/ml)

Physical Description: Yellow solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO or ethanol may be stored at -20°C for up to 3 months.

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