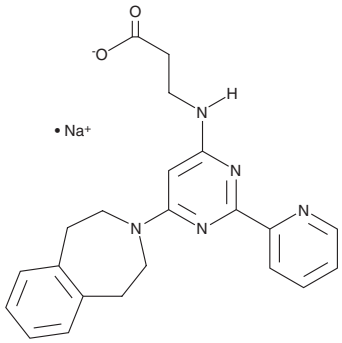
PRODUCT INFORMATION

GSK-J1 (sodium salt)

Item No. 12054

CAS Registry No.:	1797832-71-3
Formal Name:	N-[2-(2-pyridinyl)-6-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-4-pyrimidinyl]-β-alanine, monosodium salt
MF:	$C_{22}H_{22}N_5O_2 \bullet Na$
FW:	411.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 253 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK-J1 (sodium salt) is supplied as a crystalline solid. GSK-J1 (sodium salt) is sparingly soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, and also sparingly soluble in aqueous solutions. 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-J1 is a potent inhibitor of the H3K27 histone demethylases JMJD3 and UTX (IC_{50}s = 18 and 56 µM, respectively as measured by mass spectrometry; IC_{50} = 60 nM in JMJD3 antibody-based assays).[3] It is inactive against a panel of additional JMJ family demethylases, including several variants of JMJD2 and JMJD1 and, at concentrations up to 30 µM, has no effect on more than 100 different kinases or other unrelated proteins, including other chromatin-modifying enzymes such as histone deacetylases.[3] Since the highly polar carboxylate group of GSK-J1 restricts its cellular permeability, a prodrug ethyl ester, GSK-J4 (Item No. 12073) has also been developed. See the Structural Genomics Consortium (SGC) website for more information.

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**, 404-408 (2012).

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