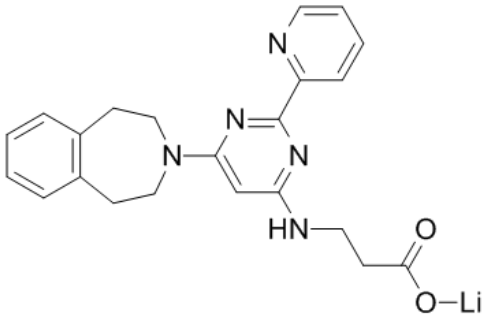
Product Data Sheet

Product Name: GSK-J1 lithium salt
Cat. No.: GC36195

Chemical Properties

Cas. No.			
SMILES	[Li]OC(CCNC1=NC(C2=NC=CC=C2)=NC(N(CC3)CCC4=C3C=CC=C4)=C1)=O		
Formula	$C_{22}H_{22}LiN_5O_2$	M.Wt	395.38
Solubility	Soluble in DMSO	Storage	Store at -20°C
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



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Background

GSK-J1 lithium salt is a potent inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A, with IC50 of 60 nM towards KDM6B. IC50: 60 nM (KDM6B)[2]

GSK-J1 is selective for H3K27 demethylases of the KDM6 subfamily and specifically binds to endogenous JMJD3. GSK-J1 inhibits TNF-α production by human primary macrophages in an H3K27-dependent manner[1]. GSK-J1 inhibits the demethylase activity of KDM5C with 8.5-fold increased potency compared with that of KDM5B at 1 mM α-ketoglutarate, with IC50 of 11 μM and 94 μM, respectively[3].

[1]. Kruidenier L, et al. A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. Nature. 2012 Aug 16;488(7411):404-8. [2]. Heinemann B, et al. Inhibition of demethylases by GSK-J1/J4. Nature. 2014 Oct 2;514(7520):E1-2. [3]. Horton JR, et al. Characterization of a Linked Jumonji Domain of the KDM5/JARID1 Family of Histone H3 Lysine 4 Demethylases. J Biol Chem. 2016 Feb 5;291(6):2631-46.

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