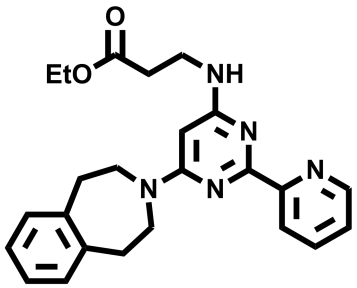
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

H3K27 Histone Demethylases UTX and JMJD3 Inhibitor – GSK-J4

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



Molecular Weight:	417.50
Formula:	$C_{24}H_{27}N_5O_2$
Purity:	≥98%
CAS#:	1373423-53-0
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

GSK-J4 is an ethyl ester derivative of GSK-J1, which is the first selective and potent inhibitor of the H3K27 histone demethylases UTX and JMJD3. The highly polar carboxylate group of GSK-J1 restricts its cellular permeability, therefore GSK-J4 was developed as a pro-drug, masking the polarity of the acid group of the GSK-J1, for cellular assays. GSK-J4 could significant reduce LPS-induced pro-inflammatory cytokine production in primary human macrophages (IC_{50} ~9 μM for the inhibition of TNFα release). Together with GSK-J1, GSK-J4 could be a unique small molecule to allow selective pharmacological intervention across the JMJ family.

How to Use:

In vitro: GSK-J4 was used at 30 μM final concentration in cellular assays.

In vivo: n/a

Reference:

1. Kruidenier L, et al. A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response (2012) Nature. 488(7411):404-8.
2. SGC website: http://www.thesgc.org/scientists/chemical_probes/GSKJ1