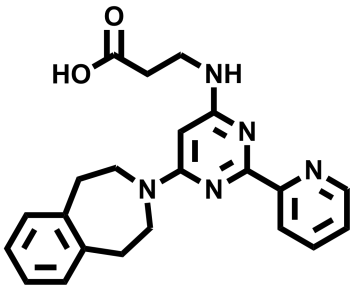
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

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-J1

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



Molecular Weight:	389.45
Formula:	$C_{22}H_{23}N_5O_2$
Purity:	≥98%
CAS#:	1373422-53-7
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

GSK-J1 is the first selective and potent inhibitor of the H3K27 histone demethylases UTX and JMJD3. It has no activity against a panel of JMJ family demethylases and 100 protein kinases. H3K27 methylations play important roles in regulating gene expression through the polycomb-repressive complex (PRC1 or PRC2). This epigenetic mark can be demethylated by lysine demethylase (KDM) UTX and JMJD3, which play important roles in cellular differentiation, development and cancer. In relevant biological assays, GSK-J1 was shown to reduce lipopolysaccharide-induced pro-inflammatory cytokine production by human primary macrophages, a process that depends on both UTX and JMJD3. GSK-J1 represents a unique small molecule tool to allow selective pharmacological intervention across the JMJ family.

Although critical for in vitro binding, the highly polar carboxylate group of GSK-J1 restricts its cellular permeability. Therefore another compound, GSK-J4, was developed as a pro-drug, masking the polarity of the acid group of the GSK-J1, for cellular assays.

How to Use:

In vitro: GSK-J1 was used at 30-100 μM final concentration in vitro.

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