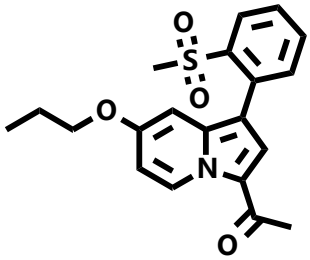
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

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

Bromodomain BAZ2A/B Inhibitor – GSK2801

Chemical Name: 1-(1-(2-(methylsulfonyl)phenyl)-7-propoxyindolizin-3-yl)ethan-1-one



Molecular Weight:	371.45
Formula:	$C_{20}H_{21}NO_4S$
Purity:	≥98%
CAS#:	1619994-68-1
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

GSK2801 is a potent, selective and cell permeable inhibitor of the bromodomain BAZ2A and BAZ2B. It binds to BAZ2 bromodomains with dissociation constants (KD) of 136 and 257 nM for BAZ2B and BAZ2A, respectively. Crystal structures of GSK2801 demonstrated a canonical acetyl-lysine competitive binding mode. It does not interact with the bromodomains of BRD4(BD1), CREBBP, TRIM24/TIF1α, PB1(BD5), PCAF, or ATAD2. A pharmacokinetic study in mice showed that GSK2801 had reasonable in vivo exposure after oral dosing, with modest clearance and reasonable plasma stability. GSK2801 represents a versatile tool compound for cellular and in vivo studies to understand the role of BAZ2 bromodomains in chromatin biology.

How to Use:

In vitro: GSK2801 was used at 10 μM final concentration in vitro and in cellular assays.

In vivo: GSK2801 could be dosed to mice orally or by IP injection at 30 mg/Kg.

Reference:

1. Chen P, et al. Discovery and Characterization of GSK2801, a Selective Chemical Probe for the Bromodomains BAZ2A and BAZ2B. (2015) J Med Chem. In press.
2. http://www.thesgc.org/chemical-probes/GSK2801/teaser