

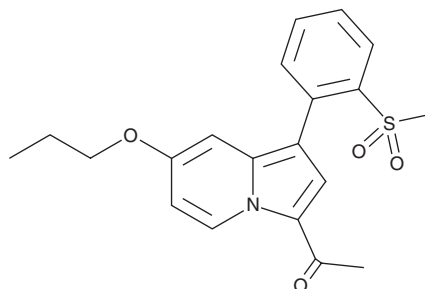
PRODUCT INFORMATION



GSK2801

Item No. 14120

CAS Registry No.: 1619994-68-1
Formal Name: 1-[1-[2-(methylsulfonyl)phenyl]-7-propoxy-3-indoliziny]-ethanone
MF: C₂₀H₂₁NO₄S
FW: 371.5
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 257, 372 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

GSK2801 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2801 in the solvent of choice, which should be purged with an inert gas. GSK2801 is soluble in the organic solvent DMSO at a concentration of approximately 10 mg/ml.

GSK2801 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

BAZ2A/B are bromodomain-containing proteins whose biological function is believed to function similarly to ACF1, the *Drosophila* BAZ2B ortholog. ACF complexes play roles in establishing regular nucleosome spacing during chromatin assembly and influencing different remodeling outcomes at target loci.^{1,2} BAZ2A and BAZ2B constitute the central scaffolding protein of the nucleolar remodeling complex (NoRC) that regulates the expression of noncoding RNAs. GSK2801 is a selective, cell-permeable inhibitor of the BAZ2 family of bromodomain-containing proteins (IC₅₀s = 9-350 nM in a BAZ2B AlphaScreen).^{3,4} It binds to BAZ2A and BAZ2B with K_d values of 0.26 and 0.14 μM, respectively, and does not interact with the bromodomains of BRD4(BD1), CREBBP, TRIM24/TIF1α, PB1(BD5), PCAF, or ATAD2.^{3,4} See the Structural Genomics Consortium (SGC) website for more information.

References

1. Clapier, C.R. and Cairns, B.R. The biology of chromatin remodeling complexes. *Annu. Rev. Biochem.* **78**, 273-304 (2009).
2. He, X., Fan, H.-Y., Garlick, J.D., *et al.* Diverse regulation of SNF2h chromatin remodeling by noncatalytic subunits. *Biochemistry* **47**, 7025-7033 (2008).
3. Chen, P., Chaikuad, A., Bamborough, P., *et al.* Discovery and characterization of GSK2801, a selective chemical probe for the bromodomains BAZ2A and BAZ2B. *J. Med. Chem.* (2015).
4. Gallenkamp, D., Gelato, K.A., Haendler, B., *et al.* Bromodomains and their pharmacological inhibitors. *ChemMedChem* **9**(3), 438-464 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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