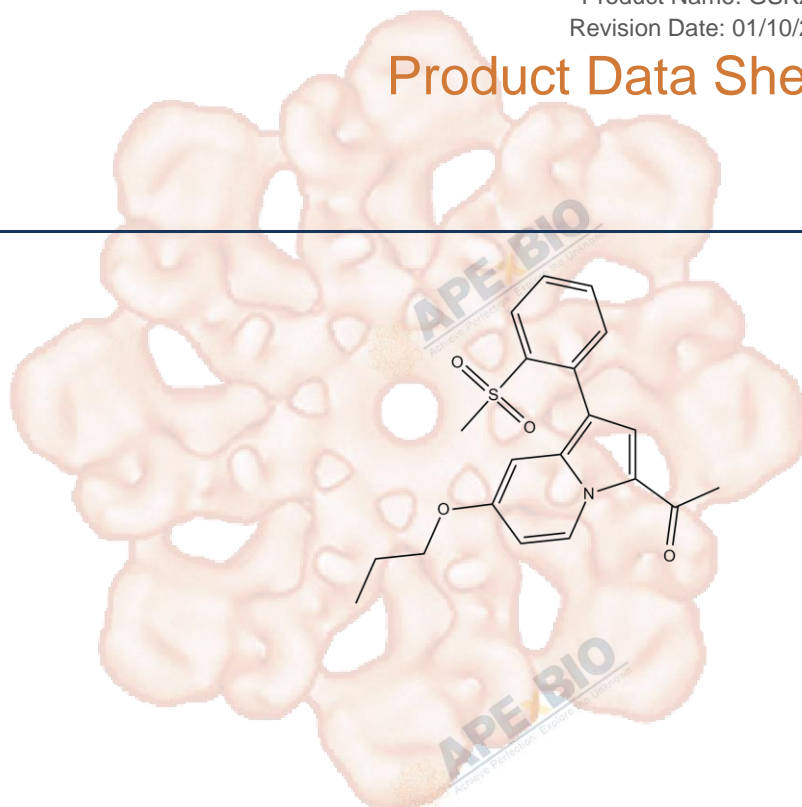


# Product Data Sheet

## GSK2801

<b>Cat. No.:</b>	B5998
<b>CAS No.:</b>	1619994-68-1
<b>Formula:</b>	C <sub>20</sub> H <sub>21</sub> NO <sub>4</sub> S
<b>M.Wt:</b>	371.45
<b>Synonyms:</b>	
<b>Target:</b>	Chromatin/Epigenetics
<b>Pathway:</b>	Bromodomain
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

insoluble in H<sub>2</sub>O; ≥18.55 mg/mL in DMSO; ≥2.82 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	2.6922 mL	13.4608 mL	26.9215 mL
	<b>5 mM</b>	0.5384 mL	2.6922 mL	5.3843 mL
	<b>10 mM</b>	0.2692 mL	1.3461 mL	2.6922 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

inhibitor of BAZ2A and BAZ2B bromodomains

IC<sub>50</sub> & Target

In Vitro

#### Cell Viability Assay

Cell Line:	U2OS cells
Preparation method:	The solubility of this compound in DMSO is > 18.6 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	1 μM; 1 hr

	Applications:	In the U2OS cells transfected with a GFP-BAZ2A fusion construct, GSK2801 reduced FRAP half-recovery time to the same extent as observed for the U2OS cells transfected with a mutant construct after SAHA treatment, which indicated that GSK2801 displaced BAZ2A from chromatin.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Male CD1 mice
	Dosage form:	30 mg/kg; i.p. or p.o.
	Applications:	In a male CD1 mouse, a single dose of GSK2801 (30 mg/kg; p.o.) resulted in reasonable in vivo exposure and plasma stability, as well as modest clearance (Tmax = 1.0 hr; Cmax = 435 ng/mL; T1/2 = 1.5 hrs), which implied that GSK2801 could be used as BAZ2A/B bromodomain inhibitor in vivo.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

[1]. Chen P, Chaikuad A, Bamborough P, Bantscheff M, Bountra C, Chung CW, Fedorov O, Grandi P, Jung D, Lesniak R, Lindon M, Müller S, Philpott M, Prinjha R, Rogers C, Selenski C, Tallant C, Werner T, Willson TM, Knapp S, Drewry DH. Discovery and Characterization of GSK2801, a Selective Chemical Probe for the Bromodomains BAZ2A and BAZ2B. J Med Chem. 2016 Feb 25;59(4):1410-24.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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**APEX BIO Technology**

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