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Zuschläge

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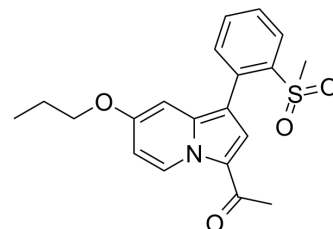
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GSK2801

Cat. No.:	HY-15658
CAS No.:	1619994-68-1
Molecular Formula:	C ₂₀ H ₂₁ NO ₄ S
Molecular Weight:	371.45
Target:	Epigenetic Reader Domain; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (134.61 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.6922 mL	13.4608 mL	26.9215 mL
		5 mM		0.5384 mL	2.6922 mL	5.3843 mL
		10 mM		0.2692 mL	1.3461 mL	2.6922 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (6.73 mM); Suspended solution; Need ultrasonic and warming					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (6.73 mM); Clear solution; Need warming					

BIOLOGICAL ACTIVITY

Description	GSK2801 is a potent, selective, orally active and cell active acetyl-lysine competitive BAZ2A and BAZ2B bromodomains inhibitor with K _d values of 136 nM and 257 nM, respectively. GSK2801 shows >50-fold selectivity for BAZ2A/B over BRD4 ^[1] .
IC ₅₀ & Target	K _d : 136 nM (BAZ2A) and 257 nM (BAZ2B) ^[1]
In Vitro	GSK2801 binds TAF1L(2) with an affinity K _B of 0.31 μM (K _D : 3.2 μM) and a binding enthalpy change ΔH of -8.6 kcal/mol. ITC experiments using the bromodomain of BRD9 results in the determination of an affinity K _B of 0.826 μM (K _D : 1.1 μM) and ΔH

of -9.8 kcal/mol ^[1].

GSK2801 or RNAi knockdown of BAZ2A/B with JQ1 selectively displaced BRD2 at promoters/enhancers of ETS-regulated genes. In 2D cultures, enhances displacement of BRD2 from chromatin by combination drug treatment induced senescence. In spheroid cultures, combination treatment induces cleaved caspase-3 and cleaved PARP characteristic of apoptosis in tumor cells. Thus, GSK2801 blocks BRD2-driven transcription in combination with BET inhibitor and induces apoptosis of TNBC^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In order to determine the suitability of GSK2801 for in vivo experiments, pharmacokinetic parameters after intraperitoneal and oral dosing to male CD1 mice is measured. GSK2801 has reasonable in vivo exposure after oral dosing, modest clearance, and reasonable plasma stability^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Carcinog. 2023 May 5.
- bioRxiv. 2023 Apr 3.

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REFERENCES

[1]. Chen P, et al. 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.

[2]. Bevil SM, et al. GSK2801, a BAZ2/BRD9 Bromodomain Inhibitor, Synergizes with BET Inhibitors to Induce Apoptosis in Triple-Negative Breast Cancer. Mol Cancer Res. 2019 Jul;17(7):1503-1518.

Caution: Product has not been fully validated for medical applications. For research use only.

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