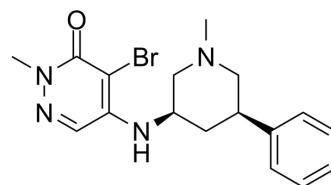


GSK 4027

Cat. No.:	HY-101027		
CAS No.:	2079896-25-4		
Molecular Formula:	C ₁₇ H ₂₁ BrN ₄ O		
Molecular Weight:	377		
Target:	Epigenetic Reader Domain; Histone Acetyltransferase		
Pathway:	Epigenetics		
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 (132.63 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.6525 mL	13.2626 mL	26.5252 mL
5 mM	0.5305 mL	2.6525 mL	5.3050 mL
10 mM	0.2653 mL	1.3263 mL	2.6525 mL

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

BIOLOGICAL ACTIVITY

Description

GSK 4027 is a chemical probe for the PCAF/GCN5 bromodomain with an pIC₅₀ of 7.4±0.11 for PCAF in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.

IC₅₀ & Target

pIC₅₀: 7.4±0.11 (PCAF)^[1]

In Vitro

GSK 4027 is a PCAF/GCN5 bromodomain chemical probe. p300/CREB binding protein associated factor (PCAF/KAT2B) and general control nonderepressible 5 (GCN5/KAT2A) are multidomain proteins that have been implicated in retroviral infection, inflammation pathways, and cancer development. GSK 4027 also demonstrates potency toward BRD4 BD1 and BRD9 in TR-FRET assay with pIC₅₀s of <4.3 and 5.1±0.08, respectively. The selectivity of GSK 4027 against the wider bromodomain family is assessed in the BROMOscan panel with pK_i of 8.9 and 8.9 for PCAF and GCN5, respectively. GSK 4027 shows equipotent activity against PCAF and GCN5 with K_i of 1.4 nM for both bromodomains. As expected due to the encouraging measured artificial membrane permeability (500 nm/s), treatment of HEK293 cells with GSK 4027 displace full length PCAF from histone H3.3 with little drop-off from the biochemical assay and a pIC₅₀ 7.2 (IC₅₀ 60 nM)^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Humphreys PG, et al. Discovery of a Potent, Cell Penetrant, and Selective p300/CBP-Associated Factor (PCAF)/General Control Nonderepressible 5 (GCN5) Bromodomain Chemical Probe. J Med Chem. 2017 Jan 26;60(2):695-709.

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

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