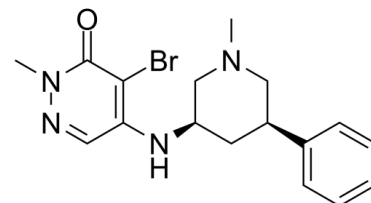


Data Sheet

Product Name:	GSK 4027
Cat. No.:	CS-0020734
CAS No.:	2079896-25-4
Molecular Formula:	C ₁₇ H ₂₁ BrN ₄ O
Molecular Weight:	377.28
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : 50 mg/mL (132.53 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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].

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.

CAIndexNames:

3(2H)-Pyridazinone, 4-bromo-2-methyl-5-[[[(3R,5R)-1-methyl-5-phenyl-3-piperidinyl]amino]-

SMILES:

BrC1=C(N[C@@H]2C[C@H](C3=CC=CC=C3)CN(C)C2)C=NN(C)C1=O

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

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