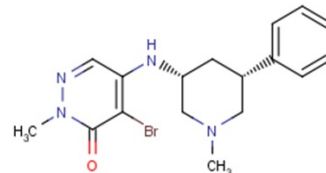


GSK 4027

Chemical Properties

CAS No.: 2079896-25-4
Formula: C₁₇H₂₁BrN₄O
Molecular Weight: 377.28
Appearance: N/A
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

Description	GSK 4027 is a PCAF/GCN5 bromodomain chemical probe. In a time-resolved fluorescence resonance energy transfer (TR-FRET) assay, it has a pIC ₅₀ of 7.4±0.11 for PCAF.
Targets(IC ₅₀)	PCAF: (pic ₅₀)7.4±0.11
In vitro	The selectivity of GSK 4027 against the wider bromodomain family is assessed in the BROMOscan panel (pK _i s: 8.9 and 8.9 for PCAF and GCN5). GSK 4027 displays equipotent activity against PCAF and GCN5 (K _i : 1.4 nM for both bromodomains). GSK 4027 also demonstrates potency toward BRD4 BD1 and BRD9 in TR-FRET assay (pIC ₅₀ s: <4.3 and 5.1±0.08). 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 pIC ₅₀ 7.2 (IC ₅₀ : 60 nM).

Solubility Information

Solubility	DMSO: 50 mg/mL (132.53 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.651 mL	13.253 mL	26.506 mL
5 mM	0.53 mL	2.651 mL	5.301 mL
10 mM	0.265 mL	1.325 mL	2.651 mL
50 mM	0.053 mL	0.265 mL	0.53 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

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.

Inhibitors · Natural Compounds · Compound Libraries

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