

GSK 4027

## Chemical Properties

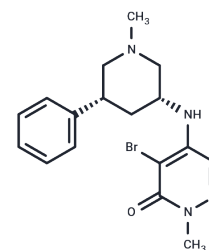
CAS No. : 2079896-25-4

Formula: C<sub>17</sub>H<sub>21</sub>BrN<sub>4</sub>O

Molecular Weight: 377.28

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## 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 <sub>50</sub> of 7.4±0.11 for PCAF.
Targets(IC <sub>50</sub> )	Others,Epigenetic Reader Domain,Histone Acetyltransferase
In vitro	The selectivity of GSK 4027 against the wider bromodomain family is assessed in the BROMOscan panel (pK <sub>i</sub> of 8.9 and 8.9 for PCAF and GCN5, respectively). GSK 4027 displays equipotent activity against PCAF and GCN5 (K <sub>i</sub> : 1.4 nM for both bromodomains). GSK 4027 also demonstrates potency toward BRD4 BD1 and BRD9 inTR-FRET assay with pIC <sub>50</sub> s of <4.3 and 5.1±0.08, respectively. 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 <sub>50</sub> 7.2 (IC <sub>50</sub> 60 nM)[1].

## Solubility Information

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

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	2.6506 mL	13.2528 mL	26.5055 mL
5 mM	0.5301 mL	2.6506 mL	5.3011 mL
10 mM	0.2651 mL	1.3253 mL	2.6506 mL
50 mM	0.053 mL	0.2651 mL	0.5301 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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.

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Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481