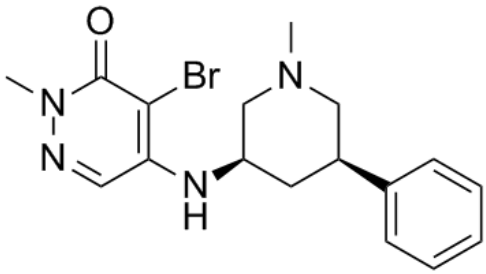
Product Data Sheet

Product Name: GSK 4027
Cat. No.: GC31731

Chemical Properties

Cas. No.	2079896-25-4		
SMILES	BrC1=C(N[C@@H]2C[C@H](C3=CC=CC=C3)CN(C)C2)C=NN(C)C1=O		
Formula	$C_{17}H_{21}BrN_4O$	M.Wt	377.28
Solubility	DMSO : 50 mg/mL (132.53 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

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.

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 inTR-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 pKi of 8.9 and 8.9 for PCAF and GCN5, respectively. GSK 4027 shows equipotent activity against PCAF and GCN5 with Ki 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].

[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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