

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

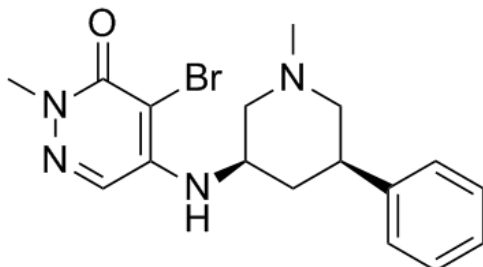
Formula $C_{17}H_{21}BrN_4O$ M.Wt 377.28

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

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

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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].

[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.

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