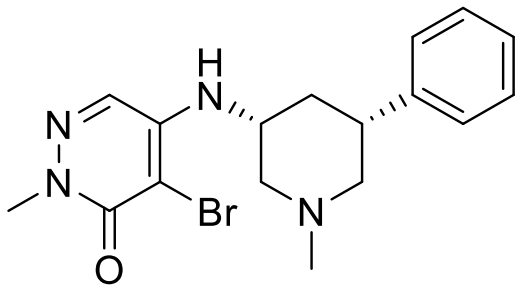
Product data sheet

MedKoo Cat#: 406992 Name: GSK4027 CAS: 2079896-25-4 Chemical Formula: $C_{17}H_{21}BrN_4O$ Exact Mass: 376.0899 Molecular Weight: 377.286	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

GSK4027 is a potent and selective chemical probe for the PCAF/GCN5 bromodomain. GSK4027 has PCAF TR-FRET pIC50 = 7.4 ; PCAF BROMOscan pKi = 8.9; GCN5 BROMOscan pKi = 8.9; PCAF NanoBRET pIC50 =7.2; BRD4 BD1 TR-FRET pIC50 < 4.3. GSK4027 shows high potency for the PCAF/GCN5 bromodomain, high solubility, cellular target engagement, and ⩾18000-fold selectivity over the BET family, together with ⩾70-fold selectivity over the wider bromodomain families. 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.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.0	132.53

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.65 mL	13.25 mL	26.51 mL
5 mM	0.53 mL	2.65 mL	5.30 mL
10 mM	0.27 mL	1.33 mL	2.65 mL
50 mM	0.05 mL	0.27 mL	0.53 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Humphreys PG, Bamborough P, Chung CW, Craggs PD, Gordon L, Grandi P, Hayhow TG, Hussain J, Jones KL, Lindon M, Michon AM, Renaux JF, Suckling CJ, Tough DF, Prinjha RK. 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. doi: 10.1021/acs.jmedchem.6b01566. Epub 2017 Jan 9. PMID: 28002667.

In vivo study

TBD

7. Bioactivity

Biological target:

GSK 4027 is a chemical probe for the PCAF/GCN5 bromodomain with an pIC_{50} of 7.4±0.11 for PCAF in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.

Product data sheet

In vitro activity

Herein, this study reports GSK4027 as a chemical probe for the PCAF/GCN5 bromodomain, together with GSK4028 as an enantiomeric negative control.

Reference: J Med Chem. 2017 Jan 26;60(2):695-709. https://pubmed.ncbi.nlm.nih.gov/28002667/

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.