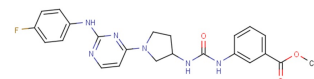


GSK1379725A

**Chemical Properties**

CAS No.: 1802251-00-8  
Formula: C<sub>23</sub>H<sub>23</sub>FN<sub>6</sub>O<sub>3</sub>  
Molecular Weight: 450.47  
Appearance: N/A  
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

Description	GSK1379725A is a selective BPTF ligand (K <sub>d</sub> : 2.8 μM). It also shows no binding activity for Brd4.
Targets(IC <sub>50</sub> )	Others: None
In vitro	Although GSK1379725A has been demonstrated to be selective over Brd4, a full selectivity panel against other bromodomains will be needed. A database search using ChEMBL only showed GSK1379725A to be active in five cellular assays (EC <sub>50</sub> : 500 nM) carried out. Additionally, no kinase activity has been reported for GSK1379725A despite the growing screening use of the PKIS library[1]. From the NMR titration of GSK1379725A, the bound and unbound resonances are separated by 171 Hz, providing an upper bound for the chemical exchange rate. Assuming an association rate of 1×10 <sup>8</sup> M <sup>-1</sup> s <sup>-1</sup> as a high end for a range of protein-small molecule interactions, (e.g., chymotrypsin: proflavin k <sub>1</sub> =1.2×10 <sup>8</sup> /(M·s)), an upper K <sub>d</sub> of 8 μM is estimated from this experiment. For a more accurate determination with a non-fluorinated protein, ITC is used as a complementary direct binding assay using unlabeled BPTF. A K <sub>d</sub> of 2.8 μM is obtained, consistent with our intermediate exchange resonance broadening by ProOF NMR.

**Solubility Information**

Solubility	DMSO: 83.33 mg/mL (184.98 mM) ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.22 mL	11.1 mL	22.199 mL
5 mM	0.444 mL	2.22 mL	4.44 mL
10 mM	0.222 mL	1.11 mL	2.22 mL
50 mM	0.044 mL	0.222 mL	0.444 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. Urick AK, et al. Dual Screening of BPTF and Brd4 Using Protein-Observed Fluorine NMR Uncovers New Bromodomain Probe Molecules. ACS Chem Biol. 2015 Oct 16;10(10):2246-56.

Inhibitors · Natural Compounds · Compound Libraries

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