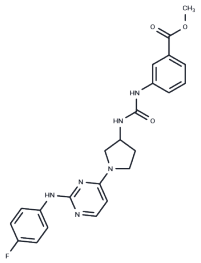
Data Sheet (Cat.No.T15424)

TargetMol

GSK1379725A

Chemical Properties



CAS No. : 1802251-00-8

Formula: C23H23FN6O3

Molecular Weight: 450.47

Appearance: no data available

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

Biological Description

Description	GSK1379725A is a selective BPTF ligand [Kd = 2.8 μM].
Targets(IC50)	Epigenetic Reader Domain
In vitro	GSK1379725A has proven to be selective towards Brd4, though comprehensive evaluation against other bromodomains is necessary. Searches in the ChEMBL database reveal its activity in only five cellular assays, indicating a potency (EC50) of 500 nM, with no kinase activity noted despite extensive screenings, especially with the PKIS library[1]. NMR titration data showed a separation of 171 Hz between bound and unbound resonances of GSK1379725A, suggesting a maximum chemical exchange rate. Based on an assumed high association rate (e.g., similar to chymotrypsin: proflavin at 1.2×108 M-1 s-1), the dissociation constant (Kd) is approximately 8 μM. For more precise Kd measurement, ITC was employed with unlabeled BPTF, yielding a Kd of 2.8 μM, aligning with the observed intermediate exchange resonance broadening detected by PrOF NMR, thus confirming the specificity and binding characteristics of GSK1379725A.

Solubility Information

Solubility	DMSO: 50 mg/mL (111 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2199 mL	11.0995 mL	22.199 mL
5 mM	0.444 mL	2.2199 mL	4.4398 mL
10 mM	0.222 mL	1.110 mL	2.2199 mL
50 mM	0.0444 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. Please use it as soon as possible.

Reference

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.