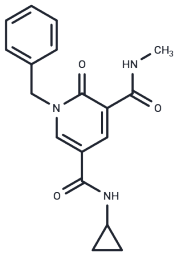
Data Sheet (Cat.No.T9020)

TargetMol

GSK620

Chemical Properties



CAS No. : 2088410-46-0

Formula: C18H19N3O3

Molecular Weight: 325.36

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK620 is a pan-BD2 inhibitor, which shows an anti-inflammatory phenotype in human whole blood with excellent broad selectivity, developability, and in vivo oral pharmacokinetics.GSK620 is highly selective for the BET-BD2 family of proteins, with >200-fold selectivity over all other bromodomains. GSK620 shows an anti-inflammatory phenotype in human whole blood.
Targets(IC50)	Epigenetic Reader Domain
In vitro	GSK620 showed an anti-inflammatory phenotype in human whole blood, confirming it cellular target engagement.?Human blood samples are stimulated with LPS, which produces a strong immune response. The monocyte chemattractant protein 1 (MCP-1/CCL2) is measured. This is a chemokine which recruits monocytes, memory T cells, and dendritic cells to sites of inflammation. GSK620 reduces the MCP-1 response in a concentration-dependent manner with (an expected) ~1 log drop off in potency relative to the biochemical BRD4 BD2 potencies observed

Solubility Information

Solubility	DMSO: 45 mg/mL (138.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (10.14 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0735 mL	15.3676 mL	30.7352 mL
5 mM	0.6147 mL	3.0735 mL	6.147 mL
10 mM	0.3074 mL	1.5368 mL	3.0735 mL
50 mM	0.0615 mL	0.3074 mL	0.6147 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Seal JT, et al. The Optimization of a Novel, Weak Bromo and Extra Terminal Domain (BET) Bromodomain Fragment Ligand to a Potent and Selective Second Bromodomain (BD2) Inhibitor. J Med Chem. 2020;63(17):9093-9126.