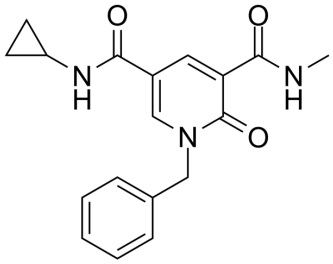
GSK620

Cat. No.:	HY-137892
CAS No.:	2088410-46-0
Molecular Formula:	$C_{18}H_{19}N_3O_3$
Molecular Weight:	325.36
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (192.09 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0735 mL	15.3676 mL	30.7352 mL
	5 mM	0.6147 mL	3.0735 mL	6.1470 mL
	10 mM	0.3074 mL	1.5368 mL	3.0735 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK620 is a potent and orally active pan-BD2 inhibitor 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[1].

In Vitro

GSK620 shows an anti-inflammatory phenotype in human whole blood. 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[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Highlighting the utility of GSK620 as an in vivo tool, efficacy is observed in separate models of inflammatory arthritis, psoriasis, and hepatitis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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