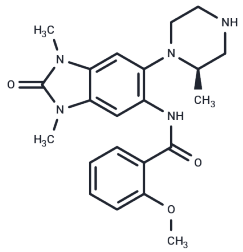
Data Sheet (Cat.No.T3311)

TargetMol

GSK6853

Chemical Properties



CAS No. : 1910124-24-1

Formula: C22H27N5O3

Molecular Weight: 409.48

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	GSK6853 is a potent, soluble, cell-active, and highly selective inhibitor of the BRPF1 bromodomain.
Targets(IC50)	Epigenetic Reader Domain
In vitro	Screening GSK6853 against a panel of 48 unrelated assays reveals only off-target activities that are relatively weak compared to the BRPF1 potency. However, to minimize the chance of off-target effects , the recommended concentration is no higher than 1 μM in cell-based assays[1].
In vivo	In male CD1 mouse, following IV administration (1 mg/kg), GSK6853 demonstrates a high blood clearance of 107 mL/min/kg, a moderate volume of distribution (5.5 L/kg) and a moderate terminal half-life of 1.7 h. Oral administration (PO, 3 mg/kg) achieves a moderate systemic exposure, with a Cmax of 42 ng/mL and Tmax of 1.5 h, resulting in a bioavailability of 22%. The intraperitoneal route of administration (IP, 3 mg/kg) reaches a Cmax of 469 ng/mL and Tmax of 0.25 h, resulting in a bioavailability of 85%. The results indicate that the IP route of administration would be suitable for dosing this molecule in potential PKPD models[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (134.32 mM),Sonication is recommended. Ethanol: 81 mg/mL (197.81 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: 2 mg/mL (4.88 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	2.4421 mL	12.2106 mL	24.4212 mL
5 mM	0.4884 mL	2.4421 mL	4.8842 mL
10 mM	0.2442 mL	1.2211 mL	2.4421 mL
50 mM	0.0488 mL	0.2442 mL	0.4884 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

Bamborough P, et al. ACS Med Chem Lett. 2016, 7(6):552-7.