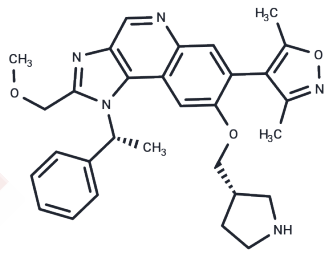
Data Sheet (Cat.No.T9703)

TargetMol

GSK778

Chemical Properties



CAS No. : 2451862-42-1

Formula: C30H33N5O3

Molecular Weight: 511.61

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	GSK778 is a potent and selective inhibitor of BD1 bromodomain such as BRD2 BD1 (IC50s = 75 nM), BRD3 BD1 (IC50s = 41 nM), BRD4 BD1 (IC50s = 41 nM), and BRDT BD1 (IC50s = 143 nM). GSK778 inhibits proliferation, induces a cell cycle arrest and apoptosis.
Targets(IC50)	Apoptosis,Epigenetic Reader Domain
In vitro	GSK778 phenocopies the effects of pan-BET inhibitors in cancer models. GSK778 inhibits BRD BD2 receptors such as BRD2 BD2 (IC50 = 3950 nM), BRD3 BD2 (IC50 = 1210 nM), BRD4 BD2 (IC50 = 5843 nM), and BRDT BD2 (IC50 = 17451 nM)[1]. GSK778 (0.001-10 μM; 5 days) has a more pronounced effect on the growth and viability of MDA-453, MOLM-13, K562, MV4-11, THP-1, and MDA-MB-231 cells. GSK778 (1000 nM; 12 days) reduces the clonogenic capacity of primary human AML cells. GSK778 (0.01-10 μM; 72 hours) inhibited the production of effector cytokines including IFNγ, IL-17A and IL-22 and the proliferative activity of human primary CD4+ T cells[1].
In vivo	GSK778 (15 mg/kg/BID; s.c. for 14 days) reduces the production of anti-keyhole limpet hemocyanin (KLH) IgM and is well tolerated. GSK778 (15 mg/kg/BID; i.p. for 30 days) offers a superior survival advantage to iBET-BD2 in the aggressive MLL-AF9 AML model. The Cmax, Tmax, and AUC∞ values are 85 ng/mL, 1.48 h, and 132 ng.h/mL, respectively [1].

Solubility Information

Solubility	DMSO: 41.67 mg/mL (81.45 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 (3.91 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	1.9546 mL	9.7731 mL	19.5461 mL
5 mM	0.3909 mL	1.9546 mL	3.9092 mL
10 mM	0.1955 mL	0.9773 mL	1.9546 mL
50 mM	0.0391 mL	0.1955 mL	0.3909 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

Omer G, et, al. Selective targeting of BD1 and BD2 of the BET proteins in cancer and immunoinflammation. Science. 2020 Apr 24; 368(6489): 387-394.