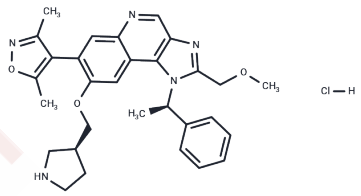
Data Sheet (Cat.No.T9703L)

TargetMol

GSK778 hydrochloride

Chemical Properties



CAS No. : 2863657-79-6

Formula: C30H34ClN5O3

Molecular Weight: 548.08

Storage: Pure form: -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 hydrochloride hydrochloride is a potent and selective BD1 bromodomain inhibitor of the BET proteins, with IC50s of 75 nM (BRD2 BD1), 41 nM (BRD3 BD1), 41 nM (BRD4 BD1), and 143 nM (BRDT BD1), respectively. GSK778 hydrochloride hydrochloride phenocopies the effects of pan-BET inhibitors in cancer models[1].
Targets(IC50)	Apoptosis,Epigenetic Reader Domain
In vitro	GSK778 hydrochloride inhibits BRD BD2 with the IC50s of 3950 nM (BRD2 BD2), 1210 nM (BRD3 BD2), 5843 nM (BRD4 BD2), and 17451 nM (BRDT BD2), respectively[1]. GSK778 hydrochloride (0.01-10 μM; 72 hours) inhibits the proliferative activity of human primary CD4+ T cells and the production of effector cytokines including IFNγ, IL-17A and IL-22[1]. GSK778 hydrochloride (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[1]. GSK778 hydrochloride (1000 nM; 72 hours) inhibits proliferation, induces a cell cycle arrest and apoptosis in MV4-11, MOLM13, MDA-MB-231 and MB453 cells[1]. GSK778 hydrochloride (1000 nM; 12 days) reduces the clonogenic capacity of primary human AML cells[1].
In vivo	GSK778 hydrochloride (15?mg/kg/BID; i.p. for 30 days) offers a superior survival advantage to iBET-BD2 in the aggressive MLL-AF9 AML model[1]. GSK778 hydrochloride (15?mg/kg/BID; s.c. for 14 days) reduces the production of anti-keyhole limpet hemocyanin (KLH) IgM and is well tolerated[1]. GSK778 hydrochloride exhibits Cmax (85 ng/mL), Tmax (1.48 h) and AUC∞ (132 ng.h/mL) following oral administration (10? mg/kg) in mice[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (91.23 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8246 mL	9.1228 mL	18.2455 mL
5 mM	0.3649 mL	1.8246 mL	3.6491 mL
10 mM	0.1825 mL	0.9123 mL	1.8246 mL
50 mM	0.0365 mL	0.1825 mL	0.3649 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.