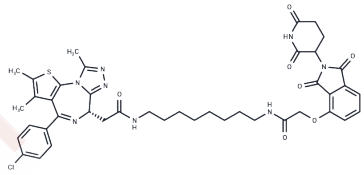
Data Sheet (Cat.No.T5130)

TargetMol

dBET6

Chemical Properties



CAS No. : 1950634-92-0

Formula: C42H45ClN8O7S

Molecular Weight: 841.37

Storage: Keep away from direct sunlight
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	dBET6 is a selective and cell-permeable degrader of BET based on PROTAC (IC50: 14 nM). It has antitumor activity.
Targets(IC50)	Apoptosis,Epigenetic Reader Domain,PROTACs
In vitro	dBET6 (100 nM) exhibits antitumor activity against T cell acute lymphoblastic leukemia (T-ALL) lines via degradation of BRD4.
In vivo	dBET6 (7.5 mg/kg, p.o., BID) reduces leukemic burden in a disseminated mouse model of T-ALL.
Animal Research	MOLT4 human T-ALL cells are intravenously injected into NSG mice (2×106 cells/mouse). Luminescence is utilized to monitor engraftment (evident at day 6), at which point mice are randomized into three cohorts that receive dBET6 (7.5 mg/kg BID, n = 8), JQ1 (20 mg/kg QD, n = 9) or vehicle (captisol, n = 9) treatment for 14 days. Survival of all three cohorts is subsequently monitored using hind limb paralysis caused by a high femoral leukemic burden as a defined endpoint. SUPT11 human T-ALL cells (mCherry+ and Luciferase+) are intravenously injected into NSG mice (2.52×106 cells/mouse). Luminescence is used to monitor successful engraftment, occurring 10 days after injection. At this point, animals are randomized into three cohorts that receive dBET6 (7.5 mg/kg BID, n = 7), JQ1 (7.5 mg/kg BID, n = 7) or vehicle (captisol, n = 7) treatment for 18 days. Treatment burden is assessed via total body luminescence imaging as well as by bone marrow infiltration by mCherry+ T-ALL cells.

Solubility Information

Solubility	DMSO: 112.5 mg/mL (133.71 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 1 mg/mL (1.19 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	1.1885 mL	5.9427 mL	11.8854 mL
5 mM	0.2377 mL	1.1885 mL	2.3771 mL
10 mM	0.1189 mL	0.5943 mL	1.1885 mL
50 mM	0.0238 mL	0.1189 mL	0.2377 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

Winter GE, et al. BET Bromodomain Proteins Function as Master Transcription Elongation Factors Independent of CDK9 Recruitment. Mol Cell. 2017 Jul 6;67(1):5-18.e19.
Shi Y, Liao Y, Liu Q, et al.BRD4-targeting PROTAC as a unique tool to study biomolecular condensates.Cell Discovery.2023, 9(1): 1-13.