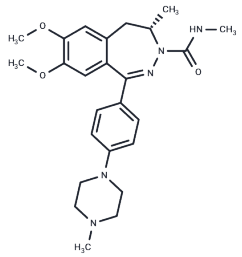
Data Sheet (Cat.No.T12660L)

TargetMol

BAY1238097

Chemical Properties



CAS No. : 1564268-08-1

Formula: C25H33N5O3

Molecular Weight: 451.56

Storage: Store under nitrogen,Store at low temperature
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	BAY1238097, a potent and selective bromodomain and extra-terminal motif (BET) inhibitor with anticancer activity, exhibits strong antiproliferative effects in AML (Acute Myeloid Leukemia) and MM (Multiple Myeloma) models. BAY1238097 is useful for studying advanced refractory malignancies.
Targets(IC50)	Epigenetic Reader Domain
In vitro	BAY 1238097 exhibits potent inhibitory activity (IC50 < 100 nM) in a TR-FRET assay utilizing BET BRD4 bromodomain 1 and an acetylated peptide derived from histone H4. In the NanoBRET assay, it inhibits the interaction between BRD4 (IC50=63 nM), BRD3, or BRD2 (IC50=609 nM), and H4 (IC50=2430 nM)[2]. BAY 1238097 demonstrates in vitro anti-tumor activity in lymphoma models. It influences the gene expression of GCB DLBCL cells. At the gene level, top downregulated genes include BTK, CCDC86, CCND2, CD19, CD27, FAIM, FCMR (FAIM3), IL7R, IRAK1, MAPK13, MYB, MYC, PDE4B, TNFRSF13B, TNFRSF17. In addition to histone-coding genes, upregulated genes include CCL5, CDKN2C, CD69, JUN, and MKNK2[1].
In vivo	BAY 1238097 demonstrates robust efficacy in AML and MM models. It exhibits in vivo anti-tumor activity in lymphoma models[1][2]. BAY 1238097 is well tolerated at doses of 10-15 mg/kg administered daily over 9-14 days in various disease models, with no apparent toxicity[1][2].

Solubility Information

Solubility	DMSO: 100 mg/mL (221.45 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: 4 mg/mL (8.86 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	2.2145 mL	11.0727 mL	22.1455 mL
5 mM	0.4429 mL	2.2145 mL	4.4291 mL
10 mM	0.2215 mL	1.1073 mL	2.2145 mL
50 mM	0.0443 mL	0.2215 mL	0.4429 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

Bernasconi E, et al. Preclinical evaluation of the BET bromodomain inhibitor BAY 1238097 for the treatment of lymphoma. Br J Haematol. 2017 Sep;178(6):936-948.

Lejeune, P., et al. (2015) Abstract 3524: BAY 1238097, a novel BET inhibitor with strong efficacy in hematological tumor models. Cancer Research, 75(15 Suppl), 884.