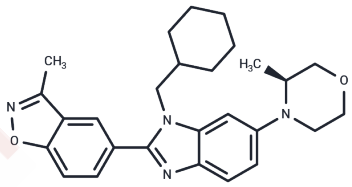
Data Sheet (Cat.No.T13363)

TargetMol

Y06137

Chemical Properties



CAS No. : 2226534-49-0

Formula: C27H32N4O2

Molecular Weight: 444.57

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	Y06137 is an effective and selective BET inhibitor with a Kd of 81 nM for BRD4(1) bromodomain. Y06137 can be used for research on the treatment of castration-resistant prostate cancer.
Targets(IC50)	Epigenetic Reader Domain,PKC
In vitro	Treatment of AR-positive prostate cancer cell lines 22Rv1 cells with Y06137 (1, 2, 4, 8, and 16 μM, 48 hours) results in significant down-regulation of both full-length (AR-fl) and AR variants levels. Y06137 (0.001-100 nM) exhibits low micromolar or nanomolar potencies in the four androgen receptor (AR)-positive prostate cancer cell lines LNCaP, C4-2B, 22Rv1, and VCaP cells with IC50s of 0.47, 0.84, 0.70, 0.29 μM, respectively[1].
In vivo	Y06137 (50 mg/kg, i.p., 100 μL) exhibited potent antitumor activity in a C4-2B CRPC xenograft tumor model in mice, achieving a tumor growth inhibition (TGI) of 51% during a 25-day treatment period[1].

Solubility Information

Solubility	DMSO: 45 mg/mL (101.22 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 (4.5 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	2.2494 mL	11.2468 mL	22.4936 mL
5 mM	0.4499 mL	2.2494 mL	4.4987 mL
10 mM	0.2249 mL	1.1247 mL	2.2494 mL
50 mM	0.045 mL	0.2249 mL	0.4499 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

Zhang M, et al. Structure-Based Discovery and Optimization of Benzo[d]isoxazole Derivatives as Potent and Selective BET Inhibitors for Potential Treatment of Castration-Resistant Prostate Cancer (CRPC). J Med Chem. 2018 Apr 12;61(7):3037-3058.