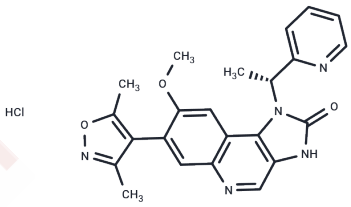
Data Sheet (Cat.No.T2120)

TargetMol

I-BET151

Chemical Properties



CAS No. : 1300031-49-5

Formula: C23H21N5O3

Molecular Weight: 415.44

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	I-BET151 (GSK1210151A) (GSK1210151A) is a specific BET inhibitor for BRD2/3/4 (IC50: 0.5/0.25/0.79 μM, in cell-free assays).
Targets(IC50)	Epigenetic Reader Domain
In vitro	I-BET151 administration (30 mg/kg/day) in mice significantly inhibits the growth of MLL-AF9 murine and MLL-AF4 human leukemia tumors and notably prolongs lifespan.
In vivo	When interacting with HL60 nuclear extracts, I-BET151 at concentrations of 0.5 or 5 μM inhibits the binding of BETs (BRD2/3/4/9) to acetylated histone peptides without affecting 23 other bromodomain proteins. This compound demonstrates potent inhibitory effects on cell lines with various MLL fusions, such as MV4;11, RS4;11, MOLM13, and NOMO1 cells (IC50: 15-192 nM). I-BET151 shows broad selectivity in inhibiting multiple protein types, including COX-2, P450, Aurora B, GSK3β, PI3K-γ, GPCR, ion channels, and transporters. Similar to I-BET762 (GSK525762A), I-BET151 possesses a high binding affinity for BRD2/3/4 (Kd: 0.02-0.1 μM) and significantly inhibits the production of the IL-6 cytokine in response to lipopolysaccharide stimulation in human peripheral blood mononuclear cells, whole blood, and rat WB (IC50: 0.16/1.26/1.26 μM).
Kinase Assay	Fluorescence anisotropy (FP) ligand displacement assay: All components are dissolved in buffer of composition 50 mM HEPES pH 7.4, 150 mM NaCl and 0.5 mM CHAPS with final concentrations of BRD 2/3/4 75 nM, fluorescent ligand 5 nM. 10 μL of this reaction mixture is added using a micro multidrop to wells containing 100 nL of various concentrations of I-BET151 or DMSO vehicle (1% final) in Greiner 384 well Black low volume microtitre plate and equilibrated in the dark for 60 minutes at room temperature. Fluorescence anisotropy is read in Envision (lex = 485 nm, lEM = 530 nm; Dichroic = 505 nM).
Cell Research	Cells are exposed to various concentrations of I-BET151 for 24 or 72 hours in 384-well or 96-well plates. For cell growth inhibition assays, plates are added with CellTiter-Glo reagent using a volume equivalent to the cell culture volume in the wells, shaken for approximately 2 minutes and chemiluminescent signal is read on the Analyst GT or EnVision Plate Reader. For cell proliferation assays, CellTiter-Aqueous One is added to each well and plates are incubated for 4 hours at 37 °C. Absorbance is read at 490 nm on a SpectraMax Gemini reader (Only for Reference)

Solubility Information

Solubility	DMSO: 55.5 mg/mL (133.59 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 (4.81 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	2.4071 mL	12.0354 mL	24.0709 mL
5 mM	0.4814 mL	2.4071 mL	4.8142 mL
10 mM	0.2407 mL	1.2035 mL	2.4071 mL
50 mM	0.0481 mL	0.2407 mL	0.4814 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

Dawson MA, et al. Nature, 2011, 478(7370), 529-533.
Maksylewicz A, Bysiek A, BET bromodomain inhibitors suppress inflammatory activation of gingival fibroblasts and epithelial cells from periodontitis patients. Frontiers in Immunology. 2019 Apr 30;10:933
Xiong C, Deng J, Wang X, et al. Pharmacologic Targeting of BET Proteins Attenuates Hyperuricemic Nephropathy in Rats. Frontiers in Pharmacology. 2021, 12: 147.
Xiong C, Deng J, Wang X, et al. Pharmacologic Targeting of BET Proteins Attenuates Hyperuricemic Nephropathy in Rats[J]. Frontiers in Pharmacology. 2021, 12: 147.
Maksylewicz A, Bysiek A. BET bromodomain inhibitors suppress inflammatory activation of gingival fibroblasts and epithelial cells from periodontitis patients[J]. Frontiers in Immunology. 2019 Apr 30;10:933.