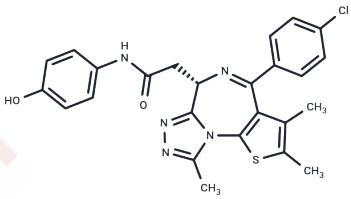
Data Sheet (Cat.No.T6032)

TargetMol

Birabresib

Chemical Properties



CAS No. : 202590-98-5

Formula: C25H22ClN5O2S

Molecular Weight: 491.99

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	Birabresib (MK-8628) is a synthetic, small molecule inhibitor of the BET (Bromodomain and Extra-Terminal) family of bromodomain-containing proteins 2, 3 and 4 with potential antineoplastic activity.
Targets(IC50)	Epigenetic Reader Domain
In vitro	Birabresib inhibits the binding of BRD2, BRD3, and BRD4 to AcH4 with IC50 ranging from 92 to 112 nM, and inhibits the growth of a variety of human cancer cell lines with GI50 ranging from 60 to 200 nM. [1] Birabresib results in rapid down-regulation of c-MYC expression, and show the synergistic anti-proliferative effects in combination with ALK inhibitors in ALKpos ALCL cell lines. [2]
In vivo	OTX015 (p.o.) significantly inhibits the growth of Ty82 BRD-NUT midline carcinoma tumors in nude mice by 79% at 100 mg/kg qd and 61% at 10 mg/kg bid, respectively. [1]
Kinase Assay	TR-FRET Assay [1]: To assess binding of OTX015 to BRD2, BRD3, and BRD4, BRD-expressing CHO cell lysate (from CHO cells transfected with expression plasmids for Flag-tagged BRD2, BRD3, or BRD4 or vector alone), europium-conjugated anti-Flag antibody, XL-665-conjugated streptavidin, and biotinylated OTX015 are incubated at room temperature for 0.2 to 2 h. Fluorescence is measured by TR-FRET using an EnVision 2103 Multilabel Reader and EC50 for binding is calculated by nonlinear regression using PRISM version 5.02.
Cell Research	Effects of OTX015 on cancer cell proliferation are evaluated by incubating human tumor cells for 72 h with increasing concentrations of OTX015 and assessing proliferation using a tetrazolium salt (WST-8)-based colorimetric assay.(Only for Reference)

Solubility Information

Solubility	Ethanol: 9.8 mg/mL (19.92 mM),Sonication is recommended. DMSO: 255 mg/mL (518.3 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 (4.07 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0326 mL	10.1628 mL	20.3256 mL
5 mM	0.4065 mL	2.0326 mL	4.0651 mL
10 mM	0.2033 mL	1.0163 mL	2.0326 mL
50 mM	0.0407 mL	0.2033 mL	0.4065 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

Noel JK, et al. Mol Cancer Ther. 2013, 12, C244.
Zhang G M, Huang S S, Ye L X, et al. Reciprocal positive regulation between BRD4 and YAP in GNAQ-mutant uveal melanoma cells confers sensitivity to BET inhibitors. Pharmacological Research. 2022: 106464.
Boi M, et al. Mol Cancer Ther. 2013, 12, A219.