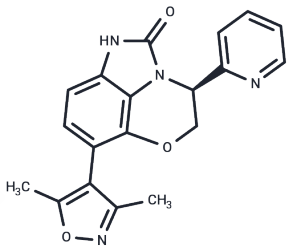
Data Sheet (Cat.No.T22345)

TargetMol

INCB054329

Chemical Properties



CAS No. : 1628607-64-6

Formula: C19H16N4O3

Molecular Weight: 348.36

Storage: Store at low temperature,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	INCB054329, a structurally distinct bromodomain and extraterminal domain (BET) inhibitor, inhibits BRD2-BD1, BRD2-BD2, BRD3-BD1, BRD3-BD2, BRD4-BD1, BRD4-BD2, BRDT-BD1 and BRDT-BD2 with IC50 values of 44 nM, 5 nM, 9 nM, 1 nM, 28 nM, 3 nM, 119 nM and 63 nM respectively.
Targets(IC50)	Epigenetic Reader Domain
In vitro	INCB054329 shows no significant inhibitory activity against 16 non-BET bromodomains at 3 μM. In a panel of 32 hematologic cancer cell lines derived from acute myeloid leukemia, non-Hodgkin lymphoma, and multiple myeloma, the GI50 of INCB054329 is 152 nM (range, 26-5000 nM). In contrast to tumor cell lines, the GI50 against T cells isolated from non-diseased donors stimulated ex vivo with IL-2 is 2.435 μM. Growth inhibition correlates with a concentration-dependent accumulation of cells in the G1 phase of the cell cycle. INCB054828 is also a selective kinase inhibitor of the FGFR 1, 2, and 3[1]. Treatment with INCB054329 inhibits expression of c-MYC and induced HEXIM1 in myeloma cell lines. In both lymphoma and AML cell lines, INCB054329 induces apoptosis consistent with increased expression of pro-apoptotic regulators[2]. INCB054329 reduces expression of Homologous recombination (HR) components and co-operatively reduces cell growth and increases DNA damage and apoptosis induced by cisplatin and PARPi [3].
In vivo	INCB054329 exhibits high clearance in mice leading to a short half-life. At exposures that effectively suppressed c-MYC, INCB054329 is found to be efficacious and well tolerated in both the MM1.S and KMS-12-BM xenograft models[1]. In vivo, oral administration of INCB054329 inhibits tumor growth in several hematologic cancers models[2].
Cell Research	Cell lines: DLBCL, AML, Myeloma cells. Incubation Time: 72 h. Method: Cell viability assay
Animal Research	Animal Models: KMS-12-BM tumors established in female Nu/Nu mice. Dosages: 3, 10, 30, or 100 mg/kg. Administration: oral gavage.

Solubility Information

Solubility	DMSO: 100 mg/mL (287.06 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 (11.48 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	2.8706 mL	14.353 mL	28.7059 mL
5 mM	0.5741 mL	2.8706 mL	5.7412 mL
10 mM	0.2871 mL	1.4353 mL	2.8706 mL
50 mM	0.0574 mL	0.2871 mL	0.5741 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

Stubbs MC, et al. Clin Cancer Res. 2019, 25(1):300-311.
Phillip CC Liu, et al. AACR Cancer Res. 2015, 75(15 Suppl):Abstract nr 3523.
Wilson AJ, et al. Gynecol Oncol. 2018, 149(3):575-584.

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