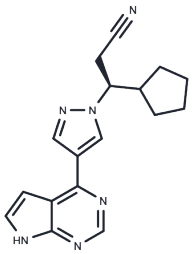
Data Sheet (Cat.No.T6156)

TargetMol

Ruxolitinib (S enantiomer)

Chemical Properties



CAS No. : 941685-37-6

Formula: C17H18N6

Molecular Weight: 306.36

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	Ruxolitinib S enantiomer (INCB18424) is the S-enantiomer of Ruxolitinib. Ruxolitinib is the first potent, selective JAK1/2 inhibitor.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	INCB018424 (180 mg/kg, orally, twice daily) significantly reduced spleen enlargement and the circulation of inflammatory cytokines in a JAK2V617F-driven mouse model, preferentially targeting and eliminating tumor cells, notably prolonging survival without causing bone marrow suppression or immunosuppression. The survival rate exceeded 90% on Day 22 for these mice. Additionally, in myelofibrosis patients, a 15 mg dosage of Ruxolitinib administered twice daily for 48 weeks resulted in at least a 35% reduction in spleen volume in 28% of patients. Patients in the Ruxolitinib group experienced an overall improvement in quality of life and a reduction in symptoms associated with myelofibrosis.
In vivo	INCB018424 significantly induces apoptosis in Ba/F3 cells in a dose-dependent manner and effectively and selectively inhibits JAK2V617F-mediated signaling and proliferation in both Ba/F3 and HEL cells. At a concentration of 64 nM, INCB018424 doubles mitochondrial depolarization in Ba/F3 cells. It inhibits the proliferation of erythroid progenitor cells derived from both healthy donors and patients with polycythemia vera, with IC50 values of 407 nM and 223 nM, respectively. Furthermore, INCB018424 demonstrates potent activity in inhibiting the formation of erythroid colonies, with an IC50 of 67 nM.
Kinase Assay	Recombinant proteins expressed with Sf21 cells and baculovirus vectors are purified with affinity chromatography. JAK kinase assay is done by a homogeneous time-resolved fluorescence assay with the peptide substrate (-EQEDEPEGDYFEWLE). Each enzyme reaction is carried out with Ruxolitinib or control, JAK enzyme, 500 nM peptide, adenosine triphosphate (ATP; 1 mM), and 2% dimethyl sulfoxide (DMSO) for 1 hour. IC50 is the INCB018424 concentration required for inhibition of 50% of the fluorescent signal.
Cell Research	Cell lines: Ba/F3 and HEL cells. Concentrations: 3 μM. Method: Cells are seeded at 2×103/well of white bottom 96-well plates,treated with INCB018424 from DMSO stocks (0.2% final DMSO concentration),and incubated for 48 hours at 37 ℃ in an atmosphere containing 5% CO2.Viability is measured by cellular ATP determination using the Cell-Titer Glo luciferase reagent or viable cell counting.Values are transformed to percent inhibition relative to vehicle control,and IC50 curves are fitted according to nonlinear

Cell Research	regression analysis of the data using PRISM GraphPad.
Animal Research	Animal Models: JAK2V617F-driven mouse modelFormulation & . Dosages: 5% dimethyl acetamide,0.5% methylcellulose.180 mg/kg. Administration: Oral gavage

Solubility Information

Solubility	DMSO: 250 mg/mL (816.03 mM),Sonication is recommended. Ethanol: 57 mg/mL (186.06 mM),Sonication is recommended. H2O: 5 mg/mL (16.32 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 (6.53 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	3.2641 mL	16.3207 mL	32.6413 mL
5 mM	0.6528 mL	3.2641 mL	6.5283 mL
10 mM	0.3264 mL	1.6321 mL	3.2641 mL
50 mM	0.0653 mL	0.3264 mL	0.6528 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

Quintas-Cardama A, et al. Blood, 2010, 115(15), 3109-3117.
Verstovsek S, et al. N Engl J Med, 2012, 366(9), 799-807.
Harrison C, et al. N Engl J Med, 2012, 366(9), 787-798.

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