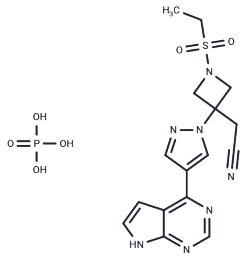
Data Sheet (Cat.No.T2360)

TargetMol

Baricitinib phosphate

Chemical Properties



CAS No. : 1187595-84-1

Formula: C16H20N7O6PS

Molecular Weight: 469.41

Storage: Store at low temperature
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	Baricitinib phosphate (INCB028050) is a selective orally bioavailable JAK1/JAK2 inhibitor.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	Baricitinib (INCB028050) effectively inhibits JAK signaling and function in various cell-based assays, showcasing its potent anti-inflammatory properties. In Peripheral Blood Mononuclear Cells (PBMCs), it impedes IL-6-induced phosphorylation of STAT3 (pSTAT3) and reduces MCP-1 chemokine production, with half-maximal inhibitory concentrations (IC50) of 44 nM and 40 nM, respectively. Further, in naive T-cells, Baricitinib blocks pSTAT3 activation by IL-23 with an IC50 of 20 nM, crucially preventing the release of inflammatory cytokines IL-17 and IL-22 from Th17 cells, at an IC50 of 50 nM. Unlike Baricitinib, the structurally similar JAK1/2 inhibitors INCB027753 and INCB029843 show no significant activity in these assays even at concentrations up to 10 μM[1].
In vivo	Baricitinib (INCB028050) treatment significantly reduces hind paw swelling in comparison to the vehicle control, achieving a 50% reduction at a dosage of 1 mg/kg and over 95% reduction at dosages of 3 or 10 mg/kg over a two-week period. This is noteworthy because paw volume measurements commence on day 0 of treatment in animals already exhibiting considerable disease symptoms, enabling observations of over 100% inhibition in cases where animals demonstrate significant swelling reductions. Furthermore, at a daily dose of 0.7 mg, Baricitinib-treated mice show markedly decreased inflammation, as evidenced by H&E staining, alongside reduced CD8 infiltration, and lowered MHC class I and II expression relative to vehicle-control treated mice. Additionally, the presence of CD8+NKG2D+ cells, pivotal in the progression of both murine and human alopecia areata (AA), is substantially reduced in Baricitinib-treated mice when compared to those treated with the vehicle control.
Kinase Assay	Enzyme assays are performed using a homogeneous time-resolved fluorescence assay with recombinant epitope tagged kinase domains (JAK1, 837-1142; JAK2, 828-1132; JAK3, 718-1124; Tyk2, 873-1187) or full-length enzyme (cMET and Chk2) and peptide substrate. Each enzyme reaction is performed with or without test compound (11-point dilution), JAK, cMET, or Chk2 enzyme, 500 nM (100 nM for Chk2) peptide, ATP (at the Km specific for each kinase or 1 mM), and 2.0% DMSO in assay buffer. The calculated IC50 value is the compound concentration required for inhibition of 50% of the fluorescent

Kinase Assay	signal. Additional kinase assays are performed at Cerep using standard conditions at 200 nM. Enzymes tested included: Abl, Akt1, AurA, AurB, CDC2, CDK2, CDK4, CHK2, c-kit, EGFR, EphB4, ERK1, ERK2, FLT-1, HER2, IGF1R, IKKα, IKKβ, JNK1, Lck, MEK1, p38α, p70S6K, PKA, PKCα, Src, and ZAP70[1].
Cell Research	Baricitinib(INCB 028050) is dissolved in stock solutions, and then diluted with appropriate media before use[1]. Human PBMCs are isolated by leukapheresis followed by Ficoll-Hypaque centrifugation. For the determination of IL-6-induced MCP-1 production, PBMCs are plated at 3.3×105 cells per well in RPMI 1640+10% FCS in the presence or absence of various concentrations of INCB028050 (1 nM, 10 nM, 100 nM, 1 μM, and 10 μM). Following preincubation with compound for 10 min at room temperature, cells are stimulated by adding 10 ng/mL human recombinant IL-6 to each well. Cells are incubated for 48 h at 37°C, 5% CO2. Supernatants are harvested and analyzed by ELISA for levels of human MCP-1. The ability of INCB028050 to inhibit IL-6-induced secretion of MCP-1 is reported as the concentration required for 50% inhibition (IC50). Proliferation of Ba/F3-TEL-JAK3 cells is performed over 3 d using Cell-Titer Glo[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (106.52 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 (4.26 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	2.1303 mL	10.6517 mL	21.3033 mL
5 mM	0.4261 mL	2.1303 mL	4.2607 mL
10 mM	0.213 mL	1.0652 mL	2.1303 mL
50 mM	0.0426 mL	0.213 mL	0.4261 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

Fridman JS, et al. Selective inhibition of JAK1 and JAK2 is efficacious in rodent models of arthritis: preclinical characterization of INCB028050. J Immunol. 2010 May 1;184(9):5298-307.
Jabbari A, et al. Reversal of Alopecia Areata Following Treatment With the JAK1/2 Inhibitor Baricitinib. EBioMedicine. 2015 Feb 26;2(4):351-5.

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