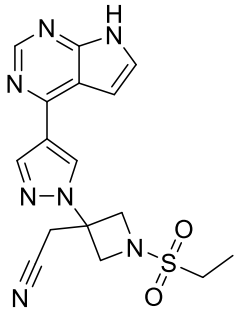
Product data sheet

MedKoo Cat#: 205893 Name: Baricitinib CAS#: 1187594-09-7 (free base) Chemical Formula: $C_{16}H_{17}N_7O_2S$ Exact Mass: 371.11644 Molecular Weight: 371.41688	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Baricitinib, also known as INCB028050 or LY3009104, is a selective orally bioavailable JAK1/JAK2 inhibitor with nanomolar potency against JAK1 (5.9 nM) and JAK2 (5.7 nM). INCB028050 inhibits intracellular signaling of multiple proinflammatory cytokines including IL-6 and IL-23 at concentrations <50 nM. INCB028050 was also effective in multiple murine models of arthritis, with no evidence of suppression of humoral immunity or adverse hematologic effects. Baricitinib was approved for the treatment of rheumatoid arthritis (RA) in the United States.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	74	199.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.69 mL	13.46 mL	26.92 mL
5 mM	0.54 mL	2.69 mL	5.38 mL
10 mM	0.27 mL	1.35 mL	2.69 mL
50 mM	0.05 mL	0.27 mL	0.54 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Fridman JS, Scherle PA, Collins R, Burn TC, Li Y, Li J, Covington MB, Thomas B, Collier P, Favata MF, Wen X, Shi J, McGee R, Haley PJ, Shepard S, Rodgers JD, Yeleswaram S, Hollis G, Newton RC, Metcalf B, Friedman SM, Vaddi K. 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. doi: 10.4049/jimmunol.0902819. Epub 2010 Apr 2. PMID: 20363976.

In vivo study

1. Fridman JS, Scherle PA, Collins R, Burn TC, Li Y, Li J, Covington MB, Thomas B, Collier P, Favata MF, Wen X, Shi J, McGee R, Haley PJ, Shepard S, Rodgers JD, Yeleswaram S, Hollis G, Newton RC, Metcalf B, Friedman SM, Vaddi K. 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. doi: 10.4049/jimmunol.0902819. Epub 2010 Apr 2. PMID: 20363976.

2. Jabbari A, Dai Z, Xing L, Cerise JE, Ramot Y, Berkun Y, Sanchez GA, Goldbach-Mansky R, Christiano AM, Clynes R, Zlotogorski A. Reversal of Alopecia Areata Following Treatment With the JAK1/2 Inhibitor Baricitinib. EBioMedicine. 2015 Feb 26;2(4):351-5. doi: 10.1016/j.ebiom.2015.02.015. PMID: 26137574; PMCID: PMC4486197.

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7. Bioactivity

Biological target:

Baricitinib (LY3009104; INCB028050) is a selective JAK1 and JAK2 inhibitor with IC50s of 5.9 nM and 5.7 nM, respectively.

In vitro activity

In cell-based assays, Baricitinib (INCB028050) proves to be a potent inhibitor of JAK signaling and function. In PBMCs, Baricitinib inhibits IL-6-stimulated phosphorylation of the canonical substrate STAT3 (pSTAT3) and subsequent production of the chemokine MCP-1 with IC50 values of 44 nM and 40 nM, respectively. In isolated naive T-cells, INCB028050 also inhibits pSTAT3 stimulated by IL-23 (IC50=20 nM). Importantly, this inhibition prevented the production of two pathogenic cytokines (IL-17 and IL-22) produced by Th17 cells-a subtype of helper T cells with demonstrable inflammatory and pathogenic properties-with an IC50 value of 50 nM. In stark contrast, the structurally similar but ineffective JAK1/2 inhibitors INCB027753 and INCB029843 has no significant effect in any of these assays systems when tested at concentrations up to 10 μM

Reference: J Immunol. 2010 May 1;184(9):5298-307. http://www.jimmunol.org/cgi/pmidlookup?view=long&pmid=20363976

In vivo activity

Three sets of in vivo experiments were conducted to investigate the mechanisms of action of baricitinib for AA. C3H/HeJ grafted alopecic mice were treated with systemically administered baricitinib or vehicle/placebo control either prior to (Supplementary Fig. 2) or following the establishment of alopecia (Supplementary Fig. 3). Furthermore, C3H/HeJ grafted alopecic mice were treated with a topical formulation of baricitinib or vehicle control after the mice developed alopecia (Fig. 2). In all three cases, hair growth was consistently observed in baricitinib-treated mice, compared with no clinical evidence of hair regrowth in vehicle control treated mice (Fig. 2 and Supplementary Figs. 2 and 3). Skin biopsies were taken 12 weeks after the start of treatment and assessed for immune cell infiltration and loss of immune privilege. Baricitinib treated mice exhibited substantially reduced inflammation as assessed by H&E staining, reduced CD8 infiltration, and reduced MHC class I and class II expression when compared with vehicle-control treated mice (Fig. 2). CD8+NKG2D+ cells, critical effectors of disease in murine and human AA, were greatly diminished in baricitinib treated mice compared with vehicle control treated mice (Fig. 2).

Reference: EBioMedicine. 2015 Feb 26;2(4):351-5. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/26137574/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.