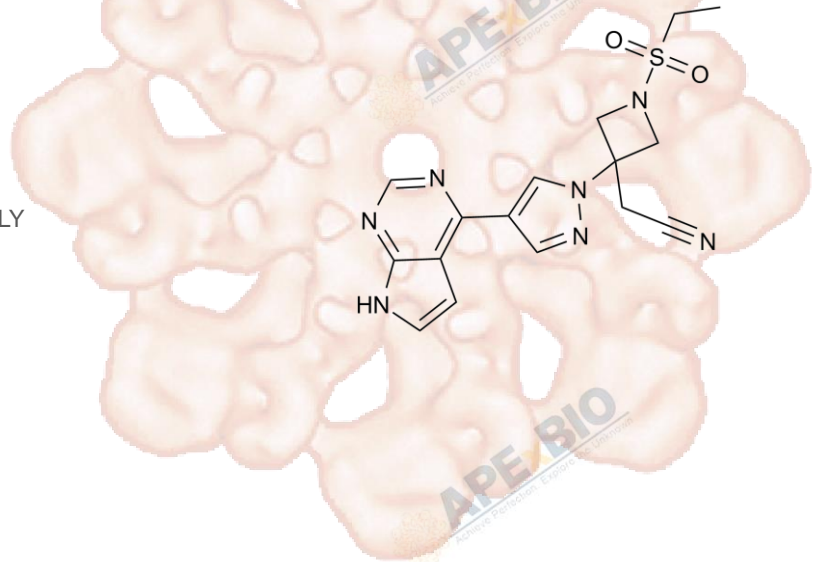


Product Data Sheet

Baricitinib (LY3009104, INCB028050)

Cat. No.:	A4141
CAS No.:	1187594-09-7
Formula:	C ₁₆ H ₁₇ N ₇ O ₂ S
M.Wt:	371.42
Synonyms:	INCB 028050, INCB-028050, LY3009104, LY-3009104
Target:	Chromatin/Epigenetics
Pathway:	JAK
Storage:	Store at -20°C



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥18.57 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.6924 mL	13.4618 mL	26.9237 mL
	5 mM		0.5385 mL	2.6924 mL	5.3847 mL
	10 mM		0.2692 mL	1.3462 mL	2.6924 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

JAK1/JAK2 inhibitor, selective orally bioavailable

IC₅₀ & Target

5.7 nM (JAK2), 5.9 nM (JAK1), 53 nM (TYK2), >400 nM (JAK3), >1 μM (Chk2), >10 μM (c-Met)

Cell Viability Assay

In Vitro

Cell Line:	Human PBMCs; PHA-stimulated T cells.
Preparation method:	Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	10, 100, 1000, 10000 nM; 48 h.

	Applications:	In PBMCs, INCB028050 inhibits STAT3 phosphorylation stimulated by IL-6 and the production of chemokine MCP-1 with IC50 values of 44 and 40 nM, respectively. In isolated naive T-cells, INCB028050 inhibits STAT3 phosphorylation with IC50 value of 20 nM and inhibits the production of IL-17 and IL-22 with IC50 value of 50 nM.
In Vivo	Animal experiment	
	Animal models:	Female rats; adjuvant-induced arthritis rats; DBA/1j arthritis mice induced by bovine type II collagen.
	Dosage form:	Female rats: 10 mg/kg; 24 h; oral gavage. Adjuvant-induced arthritis rats: 1, 3, or 10 mg/kg; once daily for 2 wk; administered orally. Collagen-induced arthritis (CIA) model: 1, 3, or 10 mg/kg; twice daily for 15 d; administered orally.
	Applications:	In female rats, INCB028050 (10 mg/kg) inhibits JAK1/2 signaling by $\geq 50\%$ for 8 h. In rat adjuvant-induced arthritis, INCB028050 (1, 3, or 10 mg/kg) reduces disease scores by 24%, 57% and 81% respectively and inhibits the increase of hind paw volumes by 50%, >95% and >95%, respectively. Also, INCB028050 reduced bone resorption. In CIA mice model, INCB028050 (1, 3, or 10 mg/kg) reduces clinical scores by 19%, 67% and 61% respectively and inhibits IL-6 and IL-23 signaling and function.
	Preparation method:	Suspended in 0.5% methylcellulose and given by oral gavage at 10 ml/kg.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Yang L, Dong Y, et al. "IL-10 derived from M2 macrophage promotes cancer stemness via JAK1/STAT1/NF- κ B/Notch1 pathway in non-small cell lung cancer." Int J Cancer. 2019 Jan 22. PMID:30671927
2. Choi J, Cooper ML, et al. "Baricitinib-induced blockade of interferon gamma receptor and interleukin-6 receptor for the prevention and treatment of graft-versus-host disease." Leukemia. 2018 Apr 2. PMID:29691471

See more customer validations on www.apexbt.com.

References

- [1]. Fridman JS, Scherle PA, Collins R, et al. Selective inhibition of JAK1 and JAK2 is efficacious in rodent models of arthritis: preclinical characterization of INCB028050. J Immunol, 2010, 184(9): 5298-5307.

Caution

FOR RESEARCH PURPOSES ONLY.



NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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