PRODUCT INFORMATION



Baricitinib

Item No. 16707

CAS Registry No.: 1187594-09-7

Formal Name: 1-(ethylsulfonyl)-3-[4-(7H-pyrrolo[2,3-d]

pyrimidin-4-yl)-1H-pyrazol-1-yl]-3-

azetidineacetonitrile

Synonyms: INCB 028050, LY3009104

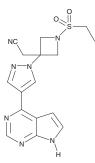
MF: $C_{16}H_{17}N_7O_2S$

FW: 371.4 **Purity:**

UV/Vis.: λ_{max} : 225, 250, 310 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Baricitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the baricitinib in the solvent of choice, which should be purged with an inert gas. Baricitinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of baricitinib in these solvents is approximately 30 and 50 mg/ml, respectively.

Baricitinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, baricitinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Baricitinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Baricitinib is an inhibitor of JAK1 and JAK2 (IC $_{50}$ s = 0.0059 and 0.0057 μ M, respectively).¹ It is selective for JAK1 and JAK2 over JAK3, TYK2, c-Met, and checkpoint kinase 2 (Chk2; IC50s = >0.4, 0.053, >10, and >1 μM, respectively). Baricitinib inhibits IL-6-induced phosphorylation of STAT3 in isolated human peripheral blood mononuclear cells (PBMCs) and rat whole blood (IC $_{50}$ s = 0.044 and 0.128 μ M, respectively). It reduces disease severity in a type II collagen-induced mouse model of arthritis when administered at doses of 3 and 10 mg/kg. Baricitinib (4 mg/animal) also decreases lung macrophage infiltration and disease severity in rhesus monkeys infected with severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2).² Formulations containing baricitinib have been used in the treatment of rheumatoid arthritis.

References

- 1. Fridman, J.S., Scherle, P.A., Collins, R., et al. Selective inhibition of JAK1 and JAK2 is efficacious in rodent models of arthritis: Preclinical characterization of INCB028050. J. Immunol. 184(9), 5298-5307 (2010).
- 2. Hoang, T.N., Pino, M., Boddapati, A.K., et al. Baricitinib treatment resolves lower-airway macrophage inflammation and neutrophil recruitment in SARS-CoV-2-infected rhesus macaques. Cell 184(2), 460-475 (2021).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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