

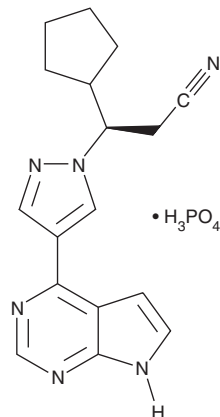
PRODUCT INFORMATION



Ruxolitinib (phosphate)

Item No. 23215

CAS Registry No.: 1092939-17-7
Formal Name: β R-cyclopentyl-4-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1H-pyrazole-1-propanenitrile, monophosphate
Synonyms: INC 424 (phosphate), INCB 018424 (phosphate)
MF: $C_{17}H_{18}N_6 \cdot H_3PO_4$
FW: 404.4
Purity: $\geq 98\%$
UV/Vis.: λ_{max} : 217, 224, 254, 311 nm
Supplied as: A crystalline solid
Storage: $-20^\circ C$
Stability: ≥ 4 years



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

Laboratory Procedures

Ruxolitinib (phosphate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ruxolitinib (phosphate) in the solvent of choice, which should be purged with an inert gas. Ruxolitinib (phosphate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ruxolitinib (phosphate) in ethanol is approximately 1 mg/ml and approximately 33 mg/ml in DMSO and DMF.

Description

Ruxolitinib is a potent ATP mimetic that inhibits both JAK1 and JAK2 with IC_{50} values of 2.7 and 4.5 nM, respectively, and is relatively less selective for JAK3 ($IC_{50} = 322$ nM).¹ It blocks interleukin-6 (IL-6) signaling ($IC_{50} = 281$ nM) and proliferation of JAK2^{V617F+} Ba/F3 cells ($IC_{50} = 127$ nM).² In primary cultures, ruxolitinib preferentially suppresses erythroid progenitor colony formation from JAK2^{V617F+} polycythemia vera patients ($IC_{50} = 67$ nM) versus healthy donors ($IC_{50} > 400$ nM). In a mouse model of JAK2^{V617F+} myeloproliferative neoplasms (MPN), 90 mg/kg ruxolitinib reduces splenomegaly, decreases circulating levels of IL-6 and TNF- α , eliminates neoplastic cells, and prolongs survival.

References

1. Verstovsek, S. Therapeutic potential of JAK2 inhibitors. *Hematology Am. Soc. Hematol. Educ. Program* **2009**(1), 636-642 (2009).
2. Quintás-Cardama, A., Vaddi, K., Liu, P., et al. Preclinical characterization of the selective JAK1/2 inhibitor INCB018424: Therapeutic implications for the treatment of myeloproliferative neoplasms. *Blood* **115**(15), 3109-3117 (2010).

WARNING

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

SAFETY DATA

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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