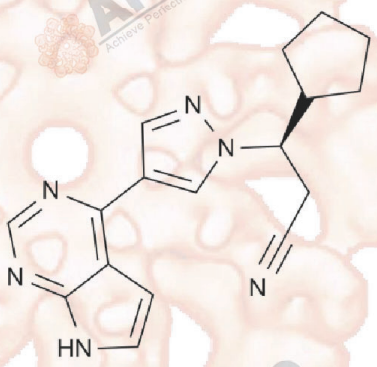
Product Data Sheet

Ruxolitinib (INCB018424)

Cat. No.: A3012
CAS No.: 941678-49-5
Formula: C17H18N6
M.Wt: 306.37
Synonyms: Ruxolitinib, INCB018424, INCB-018424
Target: Chromatin/Epigenetics
Pathway: JAK
Storage: Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; ⩾15.32 mg/mL in DMSO; ⩾17.53 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.2640 mL	16.3201 mL	32.6403 mL
5 mM	0.6528 mL	3.2640 mL	6.5281 mL
10 mM	0.3264 mL	1.6320 mL	3.2640 mL

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

Biological Activity

Shortsummary	JAK inhibitor
IC_{50} & Target	3.3 nM (JAK1), 2.8 nM (JAK2)

In Vitro

Cell Viability Assay

Cell Line:	Primary mononuclear cells isolated from patients with PV or normal control persons
Preparation method:	The solubility of this compound in DMSO is >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:	IC50: erythroid progenitors: 407 nM for normal donors, 223 nM for PV donors myeloid progenitors: 511 nM for normal donors, 444 nM for PV donors 14 days
	Applications:	Growth of clonogenic progenitors of erythroid (BFU-E) and myeloid origin (CFU-M) was assessed in colony-forming assays in the presence of increasing concentrations of INCB018424. Dose-dependent inhibition of the growth of erythroid and myeloid progenitors was observed with INCB018424. The mean IC50 for INCB018424 against erythroid progenitors was 407 nM for normal donors and 223 nM for PV donors. A similar effect was observed on myeloid progenitors (CFU-M), with IC50 values of 511 nM and 444 nM for control and PV samples, respectively.
In Vivo	**Animal experiment**	
	Animal models:	C57BL/6N mice
	Dosage form:	Oral administration, 75 mg/kg
	Applications:	Mice receiving 75 mg/kg ruxolitinib or vehicle 6 hours prior to and 6 hours after injection of OVA/CpG were analyzed for expression of activation markers on CD11c 1CD81 splenic DCs. Lower expression levels of CD40, CD80, CD86 as well as MHC I and II molecules were detected in ruxolitinib-challenged animals. Next, ruxolitinib or vehicle was fed to mice 6 hours prior to as well as 6 hours and 18 hours after priming with OVA/CpG and adoptive transfer of CFSE-labeled OT-I cells. Analysis of transferred CFSE-labeled OT-I T cells revealed reduced proliferation, CD25 expression, and IFN-production in mice pretreated with ruxolitinib.
	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. Hermans MAW, Schrijver B, et al. "The JAK1/JAK2- inhibitor ruxolitinib inhibits mast cell degranulation and cytokine release." Clin Exp Allergy. 2018 Jun 25.PMID:29939445

2. Zhang S, Li Z, et al. "Interleukin-4 Enhances the Sensitivity of Human Monocytes to Tumor Necrosis Factor-Related Apoptosis-Inducing Ligand ThroughUpregulation of Death Receptor 4." J Interferon Cytokine Res. 2018Apr;38(4):186-194.PMID:29638207

3. Hall BM, Balan V, et al. "p16(Ink4a) and senescence-associated β-galactosidase can be induced in macrophages as part of a reversible response to physiological stimuli." Aging (Albany NY). 2017 Aug 2;9(8):1867-1884.PMID:28768895

4. Radhakrishnan H, Ilm K, et al. "MACC1 regulates Fas mediated apoptosis through STAT1/3 -Mcl-1 signaling in solid cancers." Cancer Lett. 2017 Jun 23. pii:S0304-3835(17)30402-0.PMID:28649004

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References

[1] 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, 2010, 115(15): 3109-3117.
[2] Heine A, Held S A E, Daecke S N, et al. The JAK-inhibitor ruxolitinib impairs dendritic cell function in vitro and in vivo. Blood, 2013, 122(7): 1192-1202.

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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