Ruxolitinib

JAK1 & JAK2 Inhibitor

Catalog # tlrl-rux

For research use only

Version # 15K13-MM

PRODUCT INFORMATION

Content:

• 5 mg Ruxolitinib

Storage and stability:

- Ruxolitinib is provided as a translucent film and shipped at room temperature. Store at -20 °C. Solid product is stable for 2 years when properly stored.
- Upon resuspension in DMSO, prepare aliquots of ruxolitinib and store at -20 °C. Avoid repeated freeze-thaw cycles. Resuspended product is stable for 6 months when properly stored.

Quality control:

- Purity: ≥97% (UHPLC)
- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) is confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION

Ruxolitinib (INCB018424), an inhibitor of both JAK1 and JAK2, results in a dramatic decrease in levels of inflammatory cytokines, IL-6 and TNF-α[1]. Ruxolitinib is clinically used for the treatment of myelofibrosis, a bone marrow disorder[2], and is being investigated for the treatment of certain cancers and autoimmune diseases, such as psoriasis.

1. Quintás-Cardama A., 2010. Preclinical characterization of the selective JAK1/2 inhibitor INCB018424: therapeutic implications for the treatment of myeloproliferative neoplasms. Blood 115(15):3109-17. **2. Mascarenhas J. & Hoffman R., 2012.** Ruxolitinib: The first FDA approved therapy for the treatment of myelofibrosis. Clin Cancer Res. 18:3008-14. **3. Heine A. et al., 2013.** The JAK-inhibitor ruxolitinib impairs dendritic cell function in vitro and in vivo. Blood. 122(7):1192-202.

CHEMICAL PROPERTIES

Synonym: Ruxolitinib phosphate, INCB018424

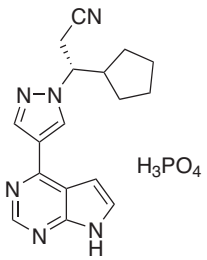
CAS number: 1092939-17-7

Formula: $C_{17}H_{18}N_6$, H_3O_4P

Molecular weight: 404.36

Solubility: 10 mg/ml in DMSO

Structure:



METHODS

Preparation of Ruxolitinib stock solution (20 mM)

1. Add 618 µl DMSO to 5 mg ruxolitinib and vortex until complete solubilization.
2. Prepare aliquots and store stock solution at -20 °C. Further dilutions can be prepared using aqueous buffers. We do not recommend storing the aqueous solution for more than one day.

Working concentration: 100 nM - 10 µM (40 ng/ml - 4 µg/ml) for cell culture assays

Inhibition assay

Described below is a protocol to study the JAK/STAT pathway in the human THP-1 monocyte reporter cell line, THP1-Blue™ ISG cells.

1- Prepare a THP1-Blue™ ISG cell suspension at ~625,000 cells/ml.
2- Add 160 µl of cell suspension (~100,000 cells) per well.
3- Add 20 µl of ruxolitinib at a final concentration of 100 - 10 µM and incubate at 37 °C for 1 hour.
4-Add 20 µl of sample per well of a flat-bottom 96-well plate.
Note: We recommend using a positive control such as IFN-α at 100 IU/ml.
5- Incubate the plate at 37 °C in a 5% CO_2 incubator for 18-24 hours.
6- Monitor SEAP production using a SEAP detection assay, such as QUANTI−Blue™.

PROTOCOLS

For reference only; as described in the indicated publications.

Cell Culture Assay[3]

Cells: Human monocyte-derived dendritic cells
Working concentration: 0.1 - 10 µM
Incubation time: 4 hours- 5 days
Method: In vitro migration assay, flow cytometry, cell proliferation assays

Animal Study[3]

Animal model: C57BL/6N mice
Dose: 75 mg/kg
Administration: Oral gavage

RELATED PRODUCTS

Product	Description	Cat. Code
AG490	JAK2 Inhibitor	tlrl-ag4
AZD1480	JAK1 & JAK2 inhibitor	inh-ad14
CP-690550	JAK3 Inhibitor	tlrl-cp6
THP1-Blue™ ISG cells	SEAP reporter cells	thp-isg

TECHNICAL SUPPORT
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