Ruxolitinib phosphate

Cat. No.: HY-50858
CAS No.: 1092939-17-7
Molecular Formula: C₁₇H₂₁N₆O₄P
Molecular Weight: 404.36
Target: JAK; Autophagy; Mitophagy
Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Autophagy
Storage: 4°C, sealed storage, away from moisture
* In solvent: -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)

**SOLVENT & SOLUBILITY**

### In Vitro

**DMSO**: ≥ 31 mg/mL (76.66 mM)
**H₂O**: 10 mg/mL (24.73 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.4730 mL</td>
<td>12.3652 mL</td>
<td>24.7304 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4946 mL</td>
<td>2.4730 mL</td>
<td>4.9461 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2473 mL</td>
<td>1.2365 mL</td>
<td>2.4730 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

### In Vivo

1. Add each solvent one by one: 0.5% MC >> 0.5% Tween-80
   Solubility: 10 mg/mL (24.73 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.75 mg/mL (6.80 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.80 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.14 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.14 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.14 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Inhibitors
Screening Libraries
Proteins

Product Data Sheet

www.MedChemExpress.com
Ruxolitinib phosphate (INCB018424 phosphate) is a potent JAK1/2 inhibitor with IC50s of 3.3 nM/2.8 nM, respectively, showing more than 130-fold selectivity over JAK3.

<table>
<thead>
<tr>
<th>IC50 &amp; Target</th>
<th>JAK2 2.8 nM (IC50)</th>
<th>JAK1 3.3 nM (IC50)</th>
<th>Tyk2 19 nM (IC50)</th>
<th>JAK3 428 nM (IC50)</th>
</tr>
</thead>
</table>

**In Vitro**
Ruxolitinib (INCB018424) potently and selectively inhibits JAK2V617F-mediated signaling and proliferation. Ruxolitinib inhibits the growth of HEL cells with EC50 of 186 nM. Ruxolitinib markedly increases apoptosis in Ba/F3-EpoR-JAK2V617F cell system, and inhibits hematopoietic progenitor cell proliferation in primary MPN patient samples[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**
Ruxolitinib (180 mg/kg, p.o.) reduces the tumor burden of mice inoculated with JAK2V617F-expressing cells without causing anemia or lymphopenia[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**PROTOCOL**

**Cell Assay**[1]
Cells are seeded at 2000/well of white bottom 96-well plates, treated with compounds from DMSO stocks (0.2% final DMSO concentration), and incubated for 48 hours at 37°C with 5% CO2. Viability is measured by cellular ATP determination using the Cell-Titer Glo luciferase reagent or viable cell counting. Values are transformed to percent inhibition relative to vehicle control, and IC50 curves are fitted according to nonlinear regression analysis of the data using PRISM GraphPad. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**[1]
Mice are fed standard rodent chow and provided with water ad libitum. Ba/F3-JAK2V617F cells (10^5 per mouse) are inoculated intravenously into 6- to 8-week-old female BALB/c mice. Survival is monitored daily, and moribund mice are humanely killed and considered deceased at time of death. Treatment with vehicle (5% dimethyl acetamide, 0.5% methocellulose) or Ruxolitinib (INCB018424) begins within 24 hours of cell inoculation, twice daily by oral gavage. Hematologic parameters are measured using a Bayer Advia120 analyzed, and statistical significance is determined using Dunnett testing. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**CUSTOMER VALIDATION**
- Nature. 2022 Sep;609(7928):785-792.

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**REFERENCES**