Product Name: Momelotinib
Catalog Number: T1849
CAS Number: 1056634-68-4
Molecular Formula: C23H22N6O2
Molecular Weight: 414.46
Appearance: Solid

Description: Momelotinib is an orally bioavailable small-molecule inhibitor of Janus kinases 1 and 2 (JAK1/2) with IC50 of 11 nM/18 nM. JAK1/2 inhibitor CYT387 competes with JAK1/2 for ATP binding, which may result in inhibition of JAK1/2 activation, inhibition of the JAK-STAT signaling pathway, and so the induction of apoptosis and a reduction of tumor cell proliferation in JAK1/2-expressing tumor cells.

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

<table>
<thead>
<tr>
<th>Solubility</th>
<th>DMSO</th>
<th>Ethanol</th>
<th>Water</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>69 mg/mL (166.5 mM)</td>
<td>&lt;1 mg/mL</td>
<td>&lt;1 mg/mL</td>
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</tbody>
</table>

( < 1 mg/ml refers to the product slightly soluble or insoluble )

<table>
<thead>
<tr>
<th>Receptor (IC50)</th>
<th>JAK1</th>
<th>JAK2</th>
<th>JAK3</th>
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<tr>
<td></td>
<td>11nM</td>
<td>18nM</td>
<td>155nM</td>
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</table>

Kinase Assay
Cell-free kinase activity assays: Glutathione-S-transferase (GST)-tagged JAK kinase domains expressed in insect cells are purified before use in a peptide substrate phosphorylation assay. Assays are carried out in 384-well optiplates using an Alphascreen Protein Tyrosine Kinase P100 detection kit and a PerkinElmer Fusion Alpha instrument.

Cell Assay
Ba/F3 cells expressing JAK2V617F (Ba/F3-JAK2V617F) and MPLW515L (Ba/F3-MPLW515L) mutants, as well as CHRF-288-11 (JAK2T875N) and CMK (JAK3A572V) cells are used. The TEL/JAK2 and TEL/JAK3 fusions are generated and introduced into Ba/F3 murine cells. The TEL/JAK2- or TEL/JAK3-transfected cells are cultured in Dulbecco's modified Eagle's medium (DMEM) containing 10% fetal calf serum (FCS). Ba/F3 wild-type cells are cultured in RPMI containing 10% FCS supplemented with 5 ng/mL murine IL-3. Proliferation is measured using the Alamar Blue assay after incubating for 72 hours at 37 °C with 5% CO2.<sub>(Only for Reference)</sub>

Cell line: Ba/F3, Ba/F3-JAK2V617F and Ba/F3-MPLW515L cells

Animal Experiment
Animal Model: Balb/c mice are transplanted with bone marrow transduced with a JAK2V617F retrovirus.

Reference

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