WP1066

Cat. No.: HY-15312  
CAS No.: 857064-38-1  
Molecular Formula: C₁₇H₁₄BrN₃O  
Molecular Weight: 356.22  
Target: STAT; JAK  
Pathway: JAK/STAT Signaling; Stem Cell/Wnt; Epigenetics  
Storage:  
- Powder: -20°C  3 years  
- 4°C: 2 years  
- In solvent: -80°C  6 months  
- -20°C  1 month

Solvent & Solubility

In Vitro  
DMSO: ≥ 44 mg/mL (123.52 mM)  
Ethanol: 16.67 mg/mL (46.80 mM; Need ultrasonic)  

*“≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>1 mM</td>
<td>2.8073 mL</td>
<td>14.0363 mL</td>
<td>28.0725 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>5 mM</td>
<td>0.5615 mL</td>
<td>2.8073 mL</td>
<td>5.6145 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>10 mM</td>
<td>0.2807 mL</td>
<td>1.4036 mL</td>
<td>2.8073 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description  
WP1066 is an inhibitor of JAK2 and STAT3, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3.

IC₅₀ & Target  
JAK2, STAT3[1]

In Vitro  
WP1066 markedly inhibits the growth of HEL cells in a dose-dependent manner. The IC₅₀ value for inhibition of the proliferation of HEL cells is 2.3 μM. WP1066 inhibits the growth of human HEL cells carrying the JAK2 V617F mutant isoform[1]. Blockade of p-STAT3 with WP1066 enhances the cytotoxic effects of CTX on the tumor. The IC₅₀ doses of WP1066 for B16 cells is 2.43 μM (0.865 μg/mL)[2]. WP1066 inhibits AML blast colony-forming cell proliferation, suppresses normal BM progenitor proliferation at increased concentrations, and inhibits AML colony-forming cell proliferation[3].
In Vivo

WP1066 (30 mg/kg, o.g.) does not further enhance the therapeutic effects of cyclophosphamide on pulmonary melanoma lesions, enhance the therapeutic effects of cyclophosphamide against CNS melanoma, or further enhance immune-mediated cytotoxic effects of CTX in C57BL/6J mice. WP1066 exerts an additive effect to CTX inhibition of the p-STAT3 pathway within the tumor microenvironment[2].

PROTOCOL

Cell Assay [1]

Briefly, fresh low-density peripheral blood cells and various cell lines at the logarithmic phase of their growth are washed twice in RPMI 1640 containing 10% FCS and counted in a hemocytometer. Cell viability is assessed by the trypan blue (0.1%) staining method. Equal numbers of viable cells (5×10^4 per well) are incubated in a total volume of 100 μL of RPMI 1640 supplemented with 10% FCS alone or with WP1066 at increasing concentrations; the incubations are continued for up to 72 h in 96-well flat-bottomed plates at 37°C in a humidified 5% CO_2 atmosphere. Experiments for each condition are done in triplicate. After incubation, 20 μL of CellTiter96 One Solution Reagent are added to each well. The plates are then incubated for an additional 60 min at 37°C in a humidified 5% CO_2 atmosphere. Immediately after incubation, absorbance is read using a 96-well plate reader at a wavelength of 490 nm.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [2]

To ascertain the inhibition of the immune populations within the spleen and peripheral blood compartments, tumor-bearing mice are treated with CTX, WP1066, or CTX in combination with WP1066, for 14 days. Single-cell suspensions are prepared from spleens and the peripheral blood of mice and single cells are surface-stained with FITC-conjugated anti-CD4 (L3T4) or PE-conjugated anti-CD8 (53-6.7) and are intracellularly stained with APC-conjugated-FoxP3 (clone FJK-16s). The cell number of CD4^+ and CD8^+ T cells in the peripheral blood is counted based on positive surface staining of the respective markers relative to the total cell count of PBMCs. The percentage of FoxP3^+ Tregs is calculated within the peripheral blood and within the CD4 compartment.

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