Pomalidomide Datasheet

**Technical Data**

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>273.24</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C_{12}H_{17}N_{2}O_{4}</td>
</tr>
<tr>
<td>CAS No.</td>
<td>19171-19-8, 443912-23-0, 443919-33-3</td>
</tr>
<tr>
<td>Synonyms</td>
<td>CC-4047</td>
</tr>
</tbody>
</table>

**Solubility (25°C)**

<table>
<thead>
<tr>
<th>Solubility</th>
<th>DMSO 55 mg/mL</th>
</tr>
</thead>
<tbody>
<tr>
<td>Water</td>
<td>&lt;1 mg/mL</td>
</tr>
<tr>
<td>Ethanol</td>
<td>&lt;1 mg/mL</td>
</tr>
</tbody>
</table>

**Storage**

<table>
<thead>
<tr>
<th>Storage</th>
<th>2 years -20°C Powder</th>
</tr>
</thead>
<tbody>
<tr>
<td>2 weeks</td>
<td>4°C in DMSO</td>
</tr>
<tr>
<td>6 months</td>
<td>-80°C in DMSO</td>
</tr>
</tbody>
</table>

**Biological Activity**

**Description**

Pomalidomide inhibits LPS-induced TNF-α release with IC50 of 13 nM.

**Targets**

TNF-α

**IC50**

13 nM [1]

**In vitro**

Pomalidomide inhibits lipopolysaccharide (LPS) stimulated TNF-α release in human PBMC and in human whole blood with IC50 values of 13 nM and 25 nM, respectively. [1] Pomalidomide inhibits the growth of T regulatory cells which is stimulated by IL-2 with an IC50 of ~1 μM. [2] Treatment with Pomalidomide (6.4 nM-10 μM) increases the production of IL-2 in human peripheral blood T cells, and is slightly more potent in the CD4+ subset than in the CD8+ subset. Pomalidomide is significantly more potent than CC-5013 at elevating IL-2, IL-5, and IL-10 levels, but only slightly more potent than CC-5013 at elevating IFN-γ levels. Pomalidomide enhances SEE and Raji cells induced AP-1 transcriptional activity in Jurkat cells in a dose-dependent manner, with a maximal enhancement of 4-fold at 1 μM. [3] Exposure of Raji cells to various concentrations of Pomalidomide (2.5-40 μg/mL) for 48 hours leads to a significant decrease in cell proliferation and DNA synthesis. There is a reduction of ~40% compared to vehicle-treated controls. [4]

**In vivo**

Pomalidomide enhances the antitumor effect of rituximab against B-cell lymphomas in severe combined immunodeficient mice. Administration of Pomalidomide in combination with rituximab, gives the mice a median survival period of 74 days compared with 58 days of CC5013/rituximab treatment and 45 days of rituximab monotherapy. The synergistic effect of Pomalidomide and rituximab can be completely abrogated by depletion of NK cells, supporting the proposal that NK cell expansion is one mechanism by which Pomalidomide may augment rituximab antitumor activity. [4]

**Clinical Trials**

A Phase I study to evaluate the safety, tolerability, and pharmacokinetics of Pomalidomide (CC-4047) following multiple daily doses in healthy male subjects has been completed.

**Features**

Pomalidomide is a derivative of thalidomide and up to 10,000 times more potent than thalidomide.

**Protocol** (Only for Reference)

**Kinase Assay** [1]

**Inhibition of TNF-α synthesis**

TNF-α inhibitory activity is measured in lipopolysaccharide (LPS) stimulated PBMC. Pomalidomide is added to human PBMCs 1 hour prior to the addition of LPS (1 μg/mL) and incubation continued for an additional 18-20 hours. Supernatants are then harvested, and the concentration of TNF-α in the supernatants is determined by ELISA. The concentration of Pomalidomide that inhibits TNF-α production by 50% (IC50) is calculated by nonlinear regression analysis. The human whole blood TNF-α inhibition assay is run in a similar fashion to the PBMC assay except that heparinized fresh human whole blood is plated directly into microtiter plates.

**Cell Assay** [4]

<table>
<thead>
<tr>
<th>Cell Lines</th>
<th>Raji, SU-DHL-4 and SU-DHL-10 cell lines</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentrations</td>
<td>Dissolved in DMSO, final concentrations 2.5-40 μg/mL</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>24 or 48 hours</td>
</tr>
</tbody>
</table>

**Methods**

For assessment of cell apoptosis, Lymphoma cell lines are exposed to Pomalidomide (5 μg/mL) for 24 hours or 48 hours. The cells are stained with FITC-labeled Annexin V and propidium iodine. Cell apoptosis is analyzed by multicolor flow cytometric analysis using a fluorescence-activated cell sorter/FACStar Plus flow cytometer. Cells are scored as apoptotic if they are Annexin V-positive and propidium iodine-negative/positive (early and late apoptosis, respectively). For determination of cell proliferation, the Lymphoma cell lines are exposed to Pomalidomide (2.5, 5, 10, 20, and 40 μg/mL) for 24 hours or 48 hours. 1 μCi per well (96-well plate) of [3H]-thymidine is added and cells are incubated for another 18 hours. Cells are then harvested using the Harvest system into the 96-well glass filters and the [3H]-thymidine uptake is measured using an automated scintillation counter.

**Animal Study** [4]

**Animal Models**

Disseminated lymphoma-bearing SCID mice

**Dissolution**

Dissolved in DMSO to make a 10 mg/mL stock solution and diluted to a final concentration of 1 mg/mL in sterile water.
**Formulation**

0.9% normal saline.

**Doses**

0.5 mg/kg

**Administration**

Injection i.p.

**References**


**Customer Reviews**

Data from [Blood, 2011 November, 118:4771-9]

Pomalidomide purchased from Selleck

OPM2 cells stably expressing either NT or CRBN shRNA were seeded and incubated with pomalidomide at the indicated concentration, followed by MTT assay at day 3 after adding drugs. Each experimental condition was performed in triplicate and repeated at least once.

**PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE**

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