PIM447

Cat. No.: HY-19322
CAS No.: 1210608-43-7
Molecular Formula: C₂₄H₂₃F₃N₄O
Molecular Weight: 440.46
Target: Pim; Apoptosis
Pathway: JAK/STAT Signaling; Apoptosis
Storage: Please store the product under the recommended conditions in the COA.

**BIOLOGICAL ACTIVITY**

**Description**

PIM447 (LGH447) is a potent, orally available, and selective pan-PIM kinase inhibitor, with Kᵢ values of 6, 18, and 9 pM for PIM1, PIM2, and PIM3, respectively. PIM447 displays dual antimyeloma and bone-protective effects. PIM447 induces apoptosis

**In Vitro**

PIM447 (0.05-10 μM; 24-72 hours) shows antiproliferative effect on the multiple myeloma (MM) cells

PIM447 (10 μM; 6-24 hours) induces apoptosis

PIM447 (0.1-10 μM; 48 hours) increases the percentage of cells in the G0-G1 phase and decreases the proliferative phases (S and G2–M) of the cell cycle, in the two cell lines (MM1S and OPM-2 cells) at all doses

**Cell Viability Assay**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>MM1S, MM1R, RPMI-8226, MM144, U266, NCI-H929, OPM-2, RPMI-LR5, U266-Dox4, and U266-LR7 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>0.05, 0.1, 0.5, 1, 5, 10 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>24, 48, 72 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Sensitive cell lines with IC₅₀ values at 48 hours ranging from 0.2 to 3.3 μM (MM1S, MM1R, RPMI-8226, MM144, U266, and NCI-H929) and less sensitive cell lines with IC₅₀ values at 48 hours &gt; 7 μmol/L (OPM-2, RPMI-LR5, U266-Dox4, and U266-LR7).</td>
</tr>
</tbody>
</table>

**Western Blot Analysis**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>MM1S cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>10 μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>6, 12, 24 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Promoted the cleavage of initiator caspases, such as caspases 8 and 9, and also the cleavage of the effector caspases 3 and 7, together with PARP cleavage.</td>
</tr>
</tbody>
</table>

**In Vivo**

PIM447 (100 mg/kg; p.o.; 5 times for a week) reduces tumor burden.
Animal Model: 6-week-old female NOD-SCID-IL-2Ry−/− (NSG) mice (bearing RPMI-8226-luc cells)[2]

Dosage: 100 mg/kg

Administration: p.o.; 5 times for a week

Result: Clearly controlled tumor progression as measured by bioluminescence.

CUSTOMER VALIDATION


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REFERENCES

