Oprozomib (ONX-0912)

Cat. No.: A1934
CAS No.: 935888-69-0
Formula: C25H32N4O7S
M.Wt: 532.61
Synonyms: ONX-0912, ONX0912, ONX 0912, PR047, Oprozomib
Target: Ubiquitination/Proteasome
Pathway: Proteasome
Storage: Desiccate at -20°C

Solvent & Solubility

$\geq 26.6 \text{ mg/mL}$ in DMSO

<table>
<thead>
<tr>
<th>Mass</th>
<th>Solvent Concentration</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>1.8775 mL</td>
<td>9.3877 mL</td>
<td>18.7755 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3755 mL</td>
<td>1.8775 mL</td>
<td>3.7551 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1878 mL</td>
<td>0.9388 mL</td>
<td>1.8775 mL</td>
</tr>
</tbody>
</table>

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

Biological Activity

Shortsummary: Proteasome inhibitor

IC₅₀ & Target: 36 nM (20S proteasome β5), 82 nM (20S proteasome LMP7)

Cell Viability Assay


Preparation method: The solubility of this compound in DMSO is $>10 \text{ mM}$. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions: 48 h; IC50 values ranging from 58.9 to 185.7 nmol/L in 8 different HNSCC cell lines.

Applications: In trypan blue exclusion assays, ONX 0912 exhibited IC50 values ranging from 58.9 to 185.7 nmol/L in 8 different HNSCC cell lines. In the 4 HNSCC cell lines (UMSCC-1, UMSCC-22B, 1483, and UMSCC-1) examined, treatment ONX 0912 resulted in processing of caspase-3 to active subunits and cleavage of the caspase substrate PARP.

Animal experiment

Animal models: Athymic nude mice
Dosage form: 30 mg/kg; Oral taken.
Applications: Using nude mice harboring HNSCC xenograft tumors, oral administration of 30 mg/kg ONX 0912 effectively inhibited CT-L activity in normal and HNSCC tumor tissues. Treatments (10 mg/kg and 30 mg/kg) were administered via oral gavage once a day on 2 consecutive days and repeated weekly for 2 weeks. Treatment with 10 mg/kg ONX 0912 did not have a significant effect on tumor growth, relative to treatment with vehicle alone. In contrast, highly significant inhibition of HNSCC tumor growth was seen with 30 mg/kg ONX 0912 (P = 0.003). These results show that consecutive-day treatment with orally administered ONX 0912, using a dose that has previously been shown to be well tolerated, leads to inhibition of HNSCC tumor growth.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations


References

and their activities are enhanced by suppression of Mcl-1 or autophagy[J]. Clinical Cancer Research, 2012, 18(20): 5639-5649.

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