Osalmid

Cat. No.: HY-B2116
CAS No.: 526-18-1
Molecular Formula: C₁₃H₁₁NO₃
Molecular Weight: 229.23
Target: HBV
Pathway: Anti-infection
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: ≥ 100 mg/mL (436.24 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>4.3624 mL</td>
<td>21.8122 mL</td>
<td>43.6243 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.8725 mL</td>
<td>4.3624 mL</td>
<td>8.7249 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.4362 mL</td>
<td>2.1812 mL</td>
<td>4.3624 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Osalmid is a ribonucleotide reductase small subunit M2 (RRM2) targeting compound; suppresses ribonucleotide reductase activity with an IC₅₀ of 8.23 μM.

IC₅₀ & Target

IC₅₀: 8.23 μM (ribonucleotide reductase)[¹]

In Vitro

Osalmid is identified as a potential ribonucleotide reductase small subunit M2 (RRM2) compound. Osalmid is 10-fold more
active in inhibiting ribonucleotide reductase (RR) activity than hydroxyurea, and significantly inhibits HBV DNA and cccDNA synthesis in HepG2.2.15 cells in a time- and dose-dependent manner. After treatment for 8 days with Osalmid, the EC50 for HBV DNA inhibition are 11.1 μM for culture supernatant and 16.5 μM for cells. Osalmid suppresses RR activity in a concentration-dependent manner, with an IC50 of 8.23 μM. Osalmid is shown to possess potent activity against a 3TC-resistant HBV strain, suggesting utility in treating drug-resistant HBV infections[1].

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

**In Vivo**

Osalmid reduces RR activity and HBV replication in HBV-transgenic mice and shows a synergistic efficacy with 3TC without significant toxicity. Oral dosing of osalmid at 400 mg/kg/d results in a time-dependent inhibition of HBV DNA replication. After treatment for 4 weeks, osalmid suppresses HBV DNA replication by about 40-45% as compared to the control in mouse sera and liver tissues[1].

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

**PROTOCOL**

**Cell Assay**[1]

HepG2.2.15 cells are cultured in the presence of 200 μg/mL G418. Cell viability is determined using a Cell Counting Kit-8 in 96-well plates treated with Osalmid for designated times. For long term assays, the culture supernatants are replaced with fresh media containing Osalmid every two days. The control wells contained equivalent amounts of DMSO. The CC50 is calculated as the concentration of a compound that reduced the cell viability to 50% compared to the control[1].

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**Animal Administration**[1]

Mice: The HBV-transgenic mouse lineage is initially produced on a BALB/c background. Osalmid or 3TC is suspended in 0.05% CMC-Na and administered once a day by gavage at 400 mg/kg, respectively, for 28 days. For the combination group, mice are intragastrically administered with a mixture of osalmid and 3TC. 0.05% CMC-Na solution is used as control. The mouse sera are collected and assayed for HBV DNA levels and AST/ALT activity. Mice are then sacrificed after the 28-day drug treatment and the livers are excised for analysis[1].

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

**REFERENCES**


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Caution: Product has not been fully validated for medical applications. For research use only.

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