RG7112

Cat. No.: A3762
CAS No.: 939981-39-2
Formula: C38H48Cl2N4O4S
M.Wt: 727.78
Synonyms: RG-7112; RG 7112
Target: Apoptosis
Pathway: MDM2
Storage: Store at -20°C

Solvent & Solubility

≥36.4 mg/mL in DMSO; insoluble in H2O; ≥31.87 mg/mL in EtOH

<table>
<thead>
<tr>
<th>Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.3740 mL</td>
<td>6.8702 mL</td>
<td>13.7404 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2748 mL</td>
<td>1.3740 mL</td>
<td>2.7481 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1374 mL</td>
<td>0.6870 mL</td>
<td>1.3740 mL</td>
</tr>
</tbody>
</table>

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

Biological Activity

Shortsummary: MDM2 inhibitor, first clinical

IC₅₀ & Target

Cell Viability Assay

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>SJSA1 osteosarcoma cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method:</td>
<td>The solubility of this compound in DMSO is &gt;10 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.</td>
</tr>
<tr>
<td>Reacting conditions:</td>
<td>24 h; 10 μM</td>
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</table>
Applications: Treatment of cultured cancer cells with RG7112 led to concentration-dependent accumulation of p53 protein and its transcriptional targets, p21 and MDM2. RG7112 dose dependently inhibited the growth and killed SJSA1 osteosarcoma cells expressing high-levels of MDM2 protein due to MDM2 gene amplification.

Animal experiment

<table>
<thead>
<tr>
<th>Animal models:</th>
<th>Female Balb/c nude mice</th>
</tr>
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<tbody>
<tr>
<td>Dosage form:</td>
<td>200 mg/kg; oral taken</td>
</tr>
</tbody>
</table>

Applications: Pharmacodynamic effects of RG7112 were assessed in the SJSA1 xenograft model. To assess the ability of RG7112 to activate p53 response in vivo, SJSA1 tumor-bearing mice were treated with a single dose of vehicle or 50 to 200 mg/kg RG7112 for 4 to 24 hours. Western blot analysis showed a dose-dependent increase in p53 protein and its targets, p21 and MDM2. The p53 protein levels were highest at 4 hours after dose and continue to persist at 24 hours at the highest dose level (200 mg/kg), whereas the duration of p53 modulation was shorter at lower dose levels.

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

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