RRx-001

Cat. No.: HY-16438
CAS No.: 925206-65-1
Molecular Formula: C₅H₆BrN₃O₅
Molecular Weight: 268.02
Target: Apoptosis; Parasite
Pathway: Apoptosis; 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 (373.11 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Mass</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td></td>
<td>1 mM</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td>3.7311 mL</td>
<td>18.6553 mL</td>
<td>37.3107 mL</td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td>0.7462 mL</td>
<td>3.7311 mL</td>
<td>7.4621 mL</td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td>0.3731 mL</td>
<td>1.8655 mL</td>
<td>3.7311 mL</td>
<td></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 (9.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
RRx-001, a hypoxia-selective epigenetic agent and studied as a radio- and chem-sensitizer, triggers apoptosis and overcomes drug resistance in myeloma. RRx-001 exhibits potent anti-tumor activity with minimal toxicity[1]. RRx-001 is a dual small molecule checkpoint inhibitor by downregulating CD47 and SIRP-α[2]. RRx-001 is a potent inhibitor of G6PD and shows potent antimalarial activity[3].
| In Vitro | RRx-001 (0-5 μM, 24 hours) inhibits MM cells growth and overcomes resistance to novel and conventional therapies [1]. RRx-001 blocks migration of MM cells and associated angiogenesis[1]. RRx-001 induces significant G1 phase growth arrest, with concomitant decrease in S phase. RRx-001 triggers significant apoptosis in MM cells[1]. RRx-001 inhibits DNA methylation by downregulating DNA methyltransferases[1]. RRx-001 and the supernatant of RRx-001-treated macrophages downregulates CD47 on tumor cells and SIRPα on macrophages[2].
<table>
<thead>
<tr>
<th>Cell Viability Assay[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cell Line:</strong></td>
</tr>
<tr>
<td><strong>Concentration:</strong></td>
</tr>
<tr>
<td><strong>Incubation Time:</strong></td>
</tr>
<tr>
<td><strong>Result:</strong></td>
</tr>
</tbody>
</table>

| In Vivo | RRx-001 (5 mg/kg or 10 mg/kg, I.V., thrice-weekly for 24 days) inhibits tumor growth and prolongs survival in a xenograft mouse model[1]. RRx-001 (10 mg/kg, IP, twice a week and once a day) exhibits potent anti-cancer activity on the A549 lung cancer model dependent on the presence of tumor-associated macrophages (TAMs) in tumor tissue[2]. |
| **Animal Model:** | CB-17 SCID-mice were subcutaneously inoculated with 5.0 × 10^6 MM.1S cells in 100 μL of serum-free RPMI 1640 medium[1]. |
| **Dosage:** | 5 mg/kg or 10 mg/kg. |
| **Administration:** | I.V., thrice-weekly for 24 days. |
| **Result:** | Blocked MM tumor growth and enhances survival. Treatment was well tolerated, suggested by no apparent weight loss. |
| **Animal Model:** | Female BALB/c nude mice (19.2 ± 1.7 g) based on A549 lung cancer model[2]. |
| **Dosage:** | 10 mg/kg. |
| **Administration:** | IP, twice a week and once a day. |
| **Result:** | Resulted in the most significant tumor growth retardation. Reduction of resident macrophages in tumor-bearing mice attenuates the antitumor activity of RRx-001. |

**CUSTOMER VALIDATION**


See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)
REFERENCES

