Serdemetan

Cat. No.: HY-12025
CAS No.: 881202-45-5
Molecular Formula: C₂₁H₂₀N₄
Molecular Weight: 328.41
Target: MDM-2/p53; E1/E2/E3 Enzyme; Apoptosis
Pathway: Apoptosis; Metabolic Enzyme/Protease
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: 50 mg/mL (152.25 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>3.0450 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>15.2249 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>30.4497 mL</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 (7.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (7.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (7.61 mM); Suspended solution

**BIOLOGICAL ACTIVITY**

**Description**
Serdemetan (JNJ-26854165) acts as a HDM2 ubiquitin ligase antagonist and also induces early apoptosis in p53 wild-type cells, inhibits cellular proliferation followed by delayed apoptosis in the absence of functional p53. IC50 value: HDM2 ubiquitin ligase Target: in vitro: JNJ 26854165 is a novel tryptamine derivative which activates p53 and acts as a HDM2 ubiquitin ligase antagonist. JNJ 26854165 inhibits cell growth and induces apoptosis in leukemia cell lines with IC50 values of 0.24, 0.33, 0.32 and 0.44 μM at 72 hours for OCI-AML-3, MOLM-13, NALM-6 and REH cells, respectively. In addition, JNJ 26854165 accelerates proteasome-mediated degradation of p21 and antagonizes the
transcriptional induction of p21 by p53. It also induces S-phase delay and upregulates E2F1 expression in p53 mutant cells, resulting in preferential apoptosis of S-phase cells. JNJ 26854165 is an oral Mdm2 inhibitor which can inhibit the interaction of Mdm2-p53 complex with the proteasome and increase p53 levels by binding to RING domain of Mdm2. A recent study shows that JNJ 26854165 inhibits clonogenic survival in four human cancer cell lines: H460, A549, p53-WT-HCT116, and p53-null-HCT116. In vivo: JNJ 26854165 leads to significant differences in EFS distribution in 17 of the 36 (47%) evaluable solid tumor xenografts and in 5 of 7 (71%) of the evaluable ALL xenografts using a dose of 20 mg/kg administered via oral gavage daily for 5 days, repeated for 6 weeks.

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.
Tel: 609-228-6898       Fax: 609-228-5909       E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

See more customer validations on www.MedChemExpress.com