GSK319347A

Cat. No.: HY-14682
CAS No.: 862812-98-4
Molecular Formula: C_{22}H_{19}N_{3}O_{5}S_{2}
Molecular Weight: 469.53
Target: IKK
Pathway: NF-κB
Storage:
- Powder: -20°C, 3 years
- In solvent: -80°C, 6 months
- -20°C, 1 month

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>45 mg/mL (95.84 mM; Need ultrasonic)</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.1298 mL</td>
<td>10.6489 mL</td>
<td>21.2979 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4260 mL</td>
<td>2.1298 mL</td>
<td>4.2596 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2130 mL</td>
<td>1.0649 mL</td>
<td>2.1298 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: 2.25 mg/mL (4.79 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (4.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK319347A is a dual inhibitor of TBK1 and IKKε with IC_{50}s of 93 nM and 469 nM, respectively. GSK319347A also inhibits IKK2 with an IC_{50} of 790 nM.

IC_{50} & Target

<table>
<thead>
<tr>
<th>Target</th>
<th>IC_{50}</th>
</tr>
</thead>
<tbody>
<tr>
<td>TBK1</td>
<td>93 nM (IC_{50})</td>
</tr>
<tr>
<td>IKK-ε</td>
<td>469 nM (IC_{50})</td>
</tr>
<tr>
<td>IKK2</td>
<td>790 nM (IC_{50})</td>
</tr>
</tbody>
</table>

In Vitro

GSK319347A (Compound 1) inhibits TBK1 enzyme with an IC_{50} of 93 nM, which also translates into good cell potency (72 nM). Moreover, IKK-3 Inhibitor exhibits excellent selectivity against cell-cycle kinases CDK2 and AurB[1]. IKK-3 Inhibitor (Compound 13) is a novel IkB kinase 2 (IKK2) inhibitor with an IC_{50} of 790 nM[2].

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