Gusacitinib

Cat. No.: HY-103018
CAS No.: 1425381-60-7
Molecular Formula: C₂₄H₂₈N₈O₂
Molecular Weight: 460.53
Target: JAK; Syk
Pathway: Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt; Protein Tyrosine Kinase/RTK
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 (217.14 mM; Need ultrasonic)

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>2.1714 mL</td>
<td>10.8571 mL</td>
<td>21.7141 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4343 mL</td>
<td>2.1714 mL</td>
<td>4.3428 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2171 mL</td>
<td>1.0857 mL</td>
<td>2.1714 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: ≥ 1.67 mg/mL (3.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description  Gusacitinib (ASN-002) is a potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with IC₅₀ values of 5-46 nM.

IC₅₀ & Target  IC₅₀: 5-46 nM (SYK, JAK)[1].

In Vitro  In mechanistic cell-based studies involving IgE and cytokine stimulations, Gusacitinib (ASN-002) strongly suppresses the SYK and JAK family kinase signaling pathways measured as pLAT and pSTAT levels, respectively. Gusacitinib (ASN-002) shows anti-proliferative activity in a broad panel of human cancer cell lines including DHL6, DHL4, OCI-LY10, H929, Pfeiffer, HT-1376, and Lovo, suggesting activity in both solid and hematological tumor types[1].
In Vivo

In a multiple myeloma (H929) xenograft model, Gusacitinib (ASN-002) exhibits significant efficacy in inhibiting tumor growth (>95%). It also significantly delays the onset of hind limb paralysis in the human erythroleukemia (HEL) mouse model. Gusacitinib (ASN-002) has good oral bioavailability, metabolic stability, is not a Pgp substrate, and shows little to no inhibition of CYP450 isozymes. Gusacitinib (ASN-002) shows a favorable safety profile in rat and dog toxicology studies[1].

REFERENCES