**Gusacitinib**

Cat. No.: HY-103018  
CAS No.: 1425381-60-7  
Molecular Formula: \( C_{24}H_{28}N_{8}O_{2} \)  
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

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**SOLVENT & SOLUBILITY**

### In Vitro

DMSO: 100 mg/mL (217.14 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.1714 mL</td>
<td>10.8571 mL</td>
<td>21.7141 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4343 mL</td>
<td>2.1714 mL</td>
<td>4.3428 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2171 mL</td>
<td>1.0857 mL</td>
<td>2.1714 mL</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: ≥ 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

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**BIOLOGICAL ACTIVITY**

**Description**  
Gusacitinib (ASN-002) is a potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with IC\(_{50}\) values of 5-46 nM.

**IC\(_{50}\) & Target**  
IC\(_{50}\): 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