**Product Data Sheet**

**JNJ-38877605**

- **Cat. No.**: HY-50683
- **CAS No.**: 943540-75-8
- **Molecular Formula**: C₁₉H₁₃F₂N₇
- **Molecular Weight**: 377.35
- **Target**: c-Met/HGFR
- **Pathway**: 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 : ≥ 30 mg/mL (79.50 mM)

* *"≥" means soluble, but saturation unknown.*

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6501 mL</td>
<td>13.2503 mL</td>
<td>26.5006 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5300 mL</td>
<td>2.6501 mL</td>
<td>5.3001 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2650 mL</td>
<td>1.3250 mL</td>
<td>2.6501 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Stock Solution</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>10 mM DMSO</td>
<td>2.6501 mL</td>
<td>13.2503 mL</td>
<td>26.5006 mL</td>
<td></td>
</tr>
<tr>
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<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: ≥ 2.08 mg/mL (5.51 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**

JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC₅₀ of 4 nM, 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases. IC₅₀ value: 4 nM [1]

Target: c-Met in vitro: JNJ-38877605 shows more than 600-fold selectivity for c-Met compared with more than 200 other diverse tyrosine and serine-threonine kinases and also potently inhibits HGF-stimulated and constitutively activated c-Met phosphorylation in vitro. [1] In EBC1, GTL16, NCI-H1993, and MKN45 cells, JNJ-38877605 (500 nM) leads to a significant reduction of phosphorylation of Met and...
RON, another key player in invasive growth [2]. A recent study shows that JNJ-38877605 is involved in modulating secretion of IL-8, GROα, uPAR and IL-6 in GTL16 cells [3]. In vivo: In mice bearing established GTL16 xenografts, JNJ-38877605, dosed orally with 40 mg/kg/day for 72 hours, results in a statistically significant decrease in the plasma levels of human IL-8 (from 0.150 ng/mL to 0.050 ng/mL) and GROα (from 0.080 ng/mL to 0.030 ng/mL). While concentrations of uPAR in the blood become reduced to more than 50% at the same dose [3].

REFERENCES

