**Erdafitinib**

- **Cat. No.:** HY-18708
- **CAS No.:** 1346242-81-6
- **Molecular Formula:** C$_{25}$H$_{30}$N$_6$O$_2$
- **Molecular Weight:** 446.54
- **Target:** FGFR; Apoptosis
- **Pathway:** Protein Tyrosine Kinase/RTK; Apoptosis
- **Storage:** Powder
  - -20°C: 3 years
  - 4°C: 2 years
  - In solvent
    - -80°C: 2 years
    - -20°C: 1 year

**SOLVENT & SOLUBILITY**

**In Vitro**

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2394 mL</td>
<td>11.1972 mL</td>
<td>22.3944 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4479 mL</td>
<td>2.2394 mL</td>
<td>4.4789 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2239 mL</td>
<td>1.1197 mL</td>
<td>2.2394 mL</td>
</tr>
</tbody>
</table>

DMSO: 62.5 mg/mL (139.97 mM; Need ultrasonic)

**In Vivo**

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   
   Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution

2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   
   Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   
   Solubility: ≥ 2.33 mg/mL (5.22 mM); Clear solution

4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution

5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

Erdafitinib (JNJ-42756493) is a potent and orally available FGFR family inhibitor; inhibits FGFR1/2/3/4 with IC$_{50}$s of 1.2, 2.5, 3.0 and 5.7 nM, respectively.
**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>FGFR1</th>
<th>FGFR2</th>
<th>FGFR3</th>
<th>FGFR4</th>
</tr>
</thead>
<tbody>
<tr>
<td>1.2 nM (IC₅₀)</td>
<td>2.5 nM (IC₅₀)</td>
<td>3.0 nM (IC₅₀)</td>
<td>5.7 nM (IC₅₀)</td>
</tr>
</tbody>
</table>

**In Vitro**

Erdafitinib (JNJ-42756493) inhibits the tyrosine kinase activities of FGFR1-4 in time-resolved fluorescence assays with IC₅₀ values of 1.2, 2.5, 3.0 and 5.7 nM, respectively. The closely related VEGFR2 kinase is less potently inhibited (30-fold less potent compared to FGFR1) by erdafitinib, with an IC₅₀ value of 36.8 nM. Erdafitinib binds FGFR1, 3, 4, and 2 with K₅ values of 0.24, 1.1, 1.4 and 2.2 nM, respectively. The K₅ value for VEGFR2 is higher at 6.6 nM. Erdafitinib inhibits proliferation of FGFR1, 3, and 4 expressing cells with IC₅₀ values of 22.1, 13.2, and 25 nM, respectively⁴. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

In xenografts from human tumor cell lines or patient-derived tumor tissue with activating FGFR alterations, Erdafitinib administration results in potent and dose-dependent antitumor activity accompanied by pharmacodynamic modulation of phospho-FGFR and phospho-ERK in tumors⁴. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**PROTOCOL**

**Cell Assay** [¹]

Erdafitinib is dissolved in DMSO. KATO III, RT-112, A-204, RT-4, DMS-114, A-427 and MDA-MB-453 cells are treated with erdafitinib (from 10 μM to 0.01 nM in 2% DMSO, final concentration). Following 4-day incubation, cell viability is determined using MTT reagent. The optical density is determined at 540 nm⁴. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration** [¹]

Mice: Mice bearing SNU-16 human gastric carcinoma (FGFR2 amplified) xenograft tumors are dosed orally with 0, 3, 10 or 30 mg/kg Erdafitinib. Tumor tissue and mouse plasma (3 mice per time point) are harvested at 0.5, 1, 3, 7, 16 and 24h post-dosing⁴. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**CUSTOMER VALIDATION**

- NPJ Precis Oncol. 2023 Jul 21;7(1):70.

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**REFERENCES**

Caution: Product has not been fully validated for medical applications. For research use only.

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