### Gemilukast

- **Cat. No.:** HY-16780
- **CAS No.:** 1232861-58-3
- **Molecular Formula:** C_{36}H_{37}F_{2}NO_{5}
- **Molecular Weight:** 601.68
- **Target:** Leukotriene Receptor
- **Pathway:** GPCR/G Protein

**Storage:**
- Powder: 
  - -20°C: 3 years
  - 4°C: 2 years
- In solvent:
  - -80°C: 6 months
  - -20°C: 1 month

### SOLVENT & SOLUBILITY

**In Vitro**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>DMSO</strong></td>
<td>1 mM</td>
<td>1.6620 mL</td>
<td>8.3101 mL</td>
<td>16.6201 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3324 mL</td>
<td>1.6620 mL</td>
<td>3.3240 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1662 mL</td>
<td>0.8310 mL</td>
<td>1.6620 mL</td>
</tr>
</tbody>
</table>

DMSO: 250 mg/mL (415.50 mM; Need ultrasonic)

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 (3.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   - Solubility: ≥ 2.08 mg/mL (3.46 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**

Gemilukast is an orally active and potent dual cysteinyi leukotriene 1 and 2 receptors (CysLT\textsubscript{1} and CysLT\textsubscript{2}) antagonist, with IC\textsubscript{50}s of 1.7, 25 nM for human CysLT\textsubscript{1} and CysLT\textsubscript{2}, respectively.

**IC\textsubscript{50} & Target**

<table>
<thead>
<tr>
<th>CysLT\textsubscript{1}</th>
<th>CysLT\textsubscript{2}</th>
</tr>
</thead>
<tbody>
<tr>
<td>1.7 nM (IC\textsubscript{50}, in human)</td>
<td>25 nM (IC\textsubscript{50}, in human)</td>
</tr>
</tbody>
</table>

**In Vitro**

Gemilukast is an orally active and potent dual cysteinyi leukotriene 1 and 2 receptors (CysLT\textsubscript{1} and CysLT\textsubscript{2}) antagonist, with IC\textsubscript{50}s of 1.7, 25 nM for human CysLT\textsubscript{1} and CysLT\textsubscript{2}, respectively\textsuperscript{[1]}. Both Gemilukast (ONO-6950) and montelukast inhibit human CysLT\textsubscript{1} receptor-mediated calcium response with IC\textsubscript{50} values of 1.7 and 0.46 nM, respectively\textsuperscript{[2]}. 
In Vivo

| In Vivo | Gemilukast at 0.03 to 10 mg/kg, p.o. dose-dependently attenuates LTC4-induced bronchoconstriction with almost complete inhibition at 3 mg/kg. The inhibitory effect of Gemilukast on LTC4-induced bronchoconstriction is significantly stronger than that of montelukast at the dose of 1 mg/kg or more. Gemilukast (0.03 to 1 mg/kg, p.o.) dose-dependently attenuates LTD4-induced airway vascular hyperpermeability with complete inhibition at 0.3 mg/kg. Gemilukast at 0.1 to 3 mg/kg, p.o. dose-dependently inhibits OVA-induced bronchoconstriction. The inhibitory effect of Gemilukast at 3 mg/kg is significantly greater than that of montelukast alone and comparable to that of combination therapy with montelukast and BayCysLT2RA[2]. |

REFERENCES
