Ilaprazole

Cat. No.: HY-101664
CAS No.: 172152-36-2
Molecular Formula: C₁₉H₁₈N₄O₂S
Molecular Weight: 366.44
Target: Proton Pump
Pathway: Membrane Transporter/Ion Channel
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: ≥ 35 mg/mL (95.51 mM)
Ethanol: 12.5 mg/mL (34.11 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.7290 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5458 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2729 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% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.41 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.41 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Ilaprazole (IY-81149) is a proton pump inhibitor; inhibits H⁺/K⁺-ATPase with an IC₅₀ of 6.0 μM.

IC₅₀ & Target
IC₅₀: 6.0 μM (H⁺/K⁺-ATPase)[¹]
In Vitro

In rabbit parietal cells, ilaprazole irreversibly inhibits H⁺/K⁺-ATPase in dose-dependent manner with an IC₅₀ of pump inhibitory activity of 6.0 μM. The IC₅₀ of ilaprazole is 9.0 nM on cumulation of ¹⁴C-aminopyrine in histamine stimulated parietal cells[¹].

In Vivo

In pylorus-ligated rats, ilaprazole shows strong inhibitory activity against gastric acid secretion. The ED₅₀ of ilaprazole administered intraduodenally is 1.6 mg/kg. For oral administration, the ED₅₀ of ilaprazole is 1.94 mg/kg. Ilaprazole also significantly inhibits pentagastrin-stimulated gastric secretion. Its ED₅₀ is 2.1 mg/kg. In Heidenhain pouch dogs, the acid output is completely blocked at 0.3 mg/kg, 135 min after i.v. administration[¹]. Intravenous ilaprazole exhibits high antulcer activity in a dose-dependent manner. Ilaprazole at a dose of 3 mg/kg decreases ulcer number and index to the same extent as 20 mg/kg esomeprazole. Moreover, the potency of intravenous ilaprazole is superior to that of intragastric ilaprazole. In anesthetized rats, the inhibitory effect of intravenous ilaprazole on histamine-induced acid secretion is faster and longer-lasting than that of intraduodenal ilaprazole[²].

### PROTOCOL

#### Kinase Assay [¹]

About 60 μg enzyme is pre-incubated in a medium consisting of 5 mM imidazole buffer and ilaprazole and omeprazole at concentrations of 0.01, 0.1, 0.5, 1, 5 μM in a final volume of 0.5 mL. Ilaprazole is dissolved in DMSO. All incubations contain less than 1 % DMSO. The enzyme reaction is started by the addition of 0.5 mL of a mixture containing 4 mM MgCl₂, 4 mM ATP, and 80 mM imidazole buffer (pH 7.4), with or without 20 mM KCl. After incubation for 15 min at 37 °C the reaction is terminated by adding 1 mL of 24 % trichloroacetic acid, and the inorganic phosphorus from the ATP is measured[¹].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration [¹]

Rats: Rats are treated with 3 mg/kg ilaprazole for 0, 1, 2, 3, 4, 5 and 7 h. 1 h after pylorus ligation, the animals are sacrificed, and the gastric juice is collected and analyzed for acid output. Pentagastrin 60 μg/kg is given intravenously to rats 30 min before the pylorus is ligated[¹].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### REFERENCES
