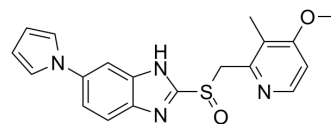


Ilaprazole

Cat. No.:	HY-101664
CAS No.:	172152-36-2
Molecular Formula:	C ₁₉ H ₁₈ N ₄ O ₂ S
Molecular Weight:	366.44
Target:	Proton Pump; TOPK
Pathway:	Membrane Transporter/Ion Channel; Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years



* The compound is unstable in solutions, freshly prepared is recommended.

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 35 mg/mL (95.51 mM)
 Ethanol : 5 mg/mL (13.64 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.7290 mL	13.6448 mL	27.2896 mL
	5 mM		0.5458 mL	2.7290 mL	5.4579 mL
	10 mM		0.2729 mL	1.3645 mL	2.7290 mL

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 an orally active proton pump inhibitor. Ilaprazole irreversibly inhibits H⁺/K⁺-ATPase in a dose-dependent manner with an IC₅₀ of pump inhibitory activity of 6 μM in rabbit parietal cell preparation. Ilaprazole is used for the research of gastric ulcers. Ilaprazole is also a potent TOPK (T-lymphokine-activated killer cell-originated protein kinase) inhibitor^{[1][2]}.

IC₅₀ & Target

IC₅₀: 6.0 μM (H⁺/K⁺-ATPase)^[1]

In Vitro	On cumulation of 14C-aminopyrine in histamine stimulated parietal cells, the IC ₅₀ of Ilaprazole (IY-81149) sodium is 9 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
In Vivo	<p>Ilaprazole (3-30 mg/kg; i.d.) dose-dependently inhibits gastric acid secretion^[1]. In anesthetized rats, Ilaprazole dose-dependently increased gastric pH which was lowered by histamine infusion. In the case of i.v. injection, the ED₅₀ of Ilaprazole and omeprazole is 1.2 and 1.4 mg/kg and in the case of i.d. administration, the ED₅₀ of Ilaprazole and omeprazole is 3.9 and 4.1 mg/kg, respectively. Ilaprazole also significantly inhibits pentagastrin-stimulated gastric secretion. Its ED₅₀ is 2.1 mg/kg and that of Omeprazole is 3.5 mg/kg with i.d. administration. In the case of i.v. injection, Ilaprazole is equipotent to Omeprazole. Ilaprazole also inhibits gastric acid secretion strongly in fistular rats. The ED₅₀ of Ilaprazole administered intraduodenally is 0.43 mg/kg and that of Omeprazole is 0.68 mg/kg^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table> <tr> <td>Animal Model:</td><td>Male SD rat (after pylorus ligation)^[1]</td></tr> <tr> <td>Dosage:</td><td>3, 10, 30 mg/kg</td></tr> <tr> <td>Administration:</td><td>Intraduodenally</td></tr> <tr> <td>Result:</td><td>The acid output and volume significantly inhibited by about 60 % and 46 % at 3 mg/kg were s, respectively. At 30 mg/kg, it showed 93 % and 73 % inhibition on acid output and volume, respectively.</td></tr> </table>	Animal Model:	Male SD rat (after pylorus ligation) ^[1]	Dosage:	3, 10, 30 mg/kg	Administration:	Intraduodenally	Result:	The acid output and volume significantly inhibited by about 60 % and 46 % at 3 mg/kg were s, respectively. At 30 mg/kg, it showed 93 % and 73 % inhibition on acid output and volume, respectively.
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REFERENCES

- [1]. Kwon D, et al. Effects of IY-81149, a newly developed proton pump inhibitor, on gastric acid secretion in vitro and in vivo. *Arzneimittelforschung*. 2001;51(3):204-13.
- [2]. Zheng M, et al. Proton pump inhibitor ilaprazole suppresses cancer growth by targeting T-cell-originated protein kinase. *Oncotarget*. 2017;8(24):39143-39153.

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

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