Ilaprazole

Cat. No.: HY-101664

CAS No.: 172152-36-2

Molecular Formula: $C_{19}H_{18}N_4O_2S$

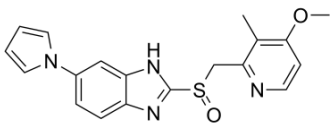
Molecular Weight: 366.44

Target: Proton Pump; TOPK

Pathway: Membrane Transporter/Ion Channel; Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
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

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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 IC_{50} 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].

IC_{50} & 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	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 ED_{50} of Ilaprazole and omeprazole is 1.2 and 1.4 mg/kg and in the case of i.d. administration, the ED_{50} of Ilaprazole and omeprazole is 3.9 and 4.1 mg/kg, respectively. Ilaprazole also significantly inhibits pentagastrin-stimulated gastric secretion. Its ED_{50} 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 ED_{50} 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.

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.

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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