Ilaprazole sodium

Cat. No.: HY-B2145

CAS No.: 172152-50-0

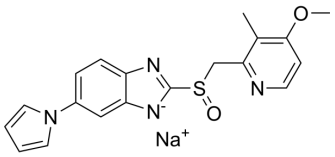
Molecular Formula: $C_{19}H_{17}N_4NaO_2S$

Molecular Weight: 388.42

Target: Proton Pump; TOPK

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

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (107.28 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5745 mL	12.8727 mL	25.7453 mL
	5 mM	0.5149 mL	2.5745 mL	5.1491 mL
	10 mM	0.2575 mL	1.2873 mL	2.5745 mL

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 (5.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ilaprazole (IY-81149) sodium is an orally active proton pump inhibitor. Ilaprazole sodium irreversibly inhibits H^+/K^+-ATPase in a dose-dependent manner with an IC_{50} of 6 μM in rabbit parietal cell preparation. Ilaprazole sodium is used for the research of gastric ulcers. Ilaprazole sodium is also a potent TOPK (T-lymphokine-activated killer cell-originated protein kinase) inhibitor[1][2].

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 sodium (3-30 mg/kg; i.d.) dose-dependently inhibits gastric acid secretion[1].
In anesthetized rats, Ilaprazole sodium dose-dependently increases gastric pH which is lowered by histamine infusion. In the case of i.v. injection, the ED_{50} of Ilaprazole sodium and Omeprazole is 1.2 and 1.4 mg/kg and in the case of i.d. administration, the ED_{50} of Ilaprazole sodium and omeprazole is 3.9 and 4.1 mg/kg, respectively. Ilaprazole sodium also significantly inhibits pentagastrin-stimulated gastric secretion. Its ED_{50} is 2.1 mg/kg and that of Omeprazole was 3.5 mg/kg with i.d. administration. In the case of i.v. injection, Ilaprazole sodium is equipotent to Omeprazole. Ilaprazole sodium also inhibits gastric acid secretion strongly in fistular rats. The ED_{50} of Ilaprazole sodium 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):204-213.

[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.

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