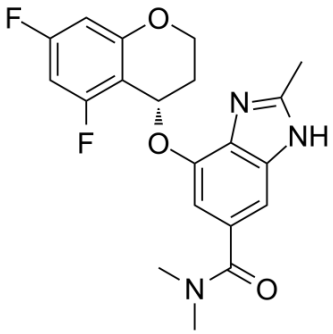
Tegoprazan



Cat. No.:	HY-17623
CAS No.:	942195-55-3
Molecular Formula:	$C_{20}H_{19}F_2N_3O_3$
Molecular Weight:	387.38
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 : 100 mg/mL (258.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5814 mL	12.9072 mL	25.8144 mL
	5 mM	0.5163 mL	2.5814 mL	5.1629 mL
	10 mM	0.2581 mL	1.2907 mL	2.5814 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: ≥ 2.5 mg/mL (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tegoprazan, a potassium-competitive acid blocker, is a potent, oral active and highly selective inhibitor of gastric H^+/K^+-ATPase that could control gastric acid secretion and motility, with IC_{50} values ranging from 0.29-0.52 μM for porcine, canine, and human H^+/K^+-ATPases in vitro[1].

IC_{50} & Target

IC50: 0.29-0.52 μM (H^+/K^+-ATPase)[1].

In Vitro

Tegoprazan inhibits porcine, canine, and human H^+/K^+-ATPase activity. Tegoprazan inhibits gastric H^+/K^+-ATPase in a

	potassium-competitive and reversible manner. Tegoprazan (3 μM) inhibits 86% of H^+/K^+-ATPase activity, whereas the inhibition is decreased to 34% after the dilution of Tegoprazan concentration to 0.15 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tegoprazan (1.0 mg/kg, p.o.) potently and completely inhibits histamine-induced gastric acid secretion in dogs. Tegoprazan (1.0-3.0 mg/kg, p.o.) reverses the pentagastrin-induced acidified gastric pH to the neutral range. Tegoprazan (3 mg/kg, p.o.) immediately evokes a gastric phase III contraction of the migrating motor complex in pentagastrin-treated dogs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Takahashi N, et al. Tegoprazan, a Novel Potassium-Competitive Acid Blocker to Control Gastric Acid Secretion and Motility. J Pharmacol Exp Ther. 2018 Feb;364(2):275-286.

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