Vonoprazan Fumarate

Cat. No.: HY-15295

CAS No.: 881681-01-2

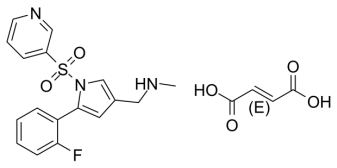
Molecular Formula: $C_{21}H_{20}FN_3O_6S$

Molecular Weight: 461.46

Target: Proton Pump

Pathway: Membrane Transporter/Ion Channel

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 : 50 mg/mL (108.35 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1670 mL	10.8352 mL	21.6704 mL
	5 mM	0.4334 mL	2.1670 mL	4.3341 mL
	10 mM	0.2167 mL	1.0835 mL	2.1670 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 (5.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vonoprazan Fumarate (TAK-438), a proton pump inhibitor (PPI), is a potent and orally active potassium-competitive acid blocker (P-CAB), with antisecretory activity. Vonoprazan Fumarate inhibits H^+,K^+-ATPase activity in porcine gastric microsomes with an IC_{50} of 19 nM at pH 6.5. Vonoprazan Fumarate is developed for the research of acid-related diseases, such as gastroesophageal reflux disease and peptic ulcer disease[1][2].

IC_{50} & Target

IC50: 19 nM (porcine gastric H^+,K^+-ATPase, at pH 6.5)[2]

In Vitro

Vonoprazan (0.1 nM-10 μM; 30 minutes) exhibits porcine gastric H^+ ,K^+-ATPase activity in a concentration-dependent

manner[2].
Vonoprazan does not inhibit Na^+,K^+-ATPase activity, even at concentrations 500 times higher than their IC_{50} values against gastric H^+,K^+-ATPase activity[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Vonoprazan (1-4 mg/kg; p.o.) completely inhibits basal and 2-deoxy-D-glucose (2DG, 200 mg/kg s.c.)-stimulated gastric acid secretion at the 4 mg/kg dose in rats[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male 7- or 8-week-old Sprague-Dawley rat[2]
Dosage:	0.5, 1, 2, and 4 mg/kg
Administration:	Oral administration
Result:	Inhibited basal gastric acid secretion in a dose-dependent manner.

CUSTOMER VALIDATION

- Drug Metab Dispos. 2016 Oct;44(10):1543-9.
- Drug Dev Res. 2022 Dec 9.
- Br J Clin Pharmacol. 2019 Jul;85(7):1454-1463.

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REFERENCES

[1]. Arikawa Y, et al. Discovery of a novel pyrrole derivative 1-[5-(2-fluorophenyl)-1-(pyridin-3-ylsulfonyl)-1H-pyrrol-3-yl]-N-methylmethanamine fumarate (TAK-438) as a potassium-competitive acid blocker (P-CAB). J Med Chem, 2012, 55(9), 4446-4456.

[2]. Hori Y, et al. 1-[5-(2-Fluorophenyl)-1-(pyridin-3-ylsulfonyl)-1H-pyrrol-3-yl]-N-methylmethanamine monofumarate (TAK-438), a novel and potent potassium-competitive acid blocker for the treatment of acid-related diseases. J Pharmacol Exp Ther, 2010, 335(1),

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