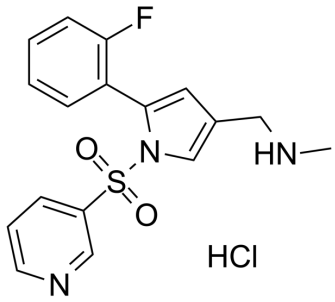
Vonoprazan hydrochloride

Cat. No.:	HY-100007A
CAS No.:	1957202-44-6
Molecular Formula:	$C_{17}H_{17}ClFN_3O_2S$
Molecular Weight:	381.85
Target:	Proton Pump; Bacterial
Pathway:	Membrane Transporter/Ion Channel; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Vonoprazan hydrochloride, a proton pump inhibitor (PPI), is a potent and orally active potassium-competitive acid blocker (P-CAB), with antisecretory activity. Vonoprazan hydrochloride inhibits H^+,K^+-ATPase activity in porcine gastric microsomes with an IC_{50} of 19 nM at pH 6.5. Vonoprazan hydrochloride is developed for the research of acid-related diseases, such as gastroesophageal reflux disease and peptic ulcer disease. Vonoprazan hydrochloride can be used for eradication of Helicobacter pylori[1][2][3].
IC_{50} & Target	IC_{50}: 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 (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 mg/kg, 1 mg/kg, 2 mg/kg, 4 mg/kg
Administration:	Oral administration
Result:	Inhibited basal gastric acid secretion in a dose-dependent manner.

CUSTOMER VALIDATION

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

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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), 231-238.

[3]. Sugimoto M, et al. Role of Vonoprazan in Helicobacter pylori Eradication Therapy in Japan. Front Pharmacol. 2019 Jan 15;9:1560.

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