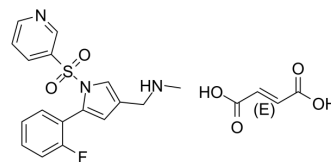


Vonoprazan Fumarate

Cat. No.:	HY-15295		
CAS No.:	881681-01-2		
Molecular Formula:	C ₂₁ H ₂₀ FN ₃ O ₆ S		
Molecular Weight:	461.46		
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 : 50 mg/mL (108.35 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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]} .
IC₅₀ & Target	IC ₅₀ : 19 nM (porcine gastric H ⁺ ,K ⁺ -ATPase, at pH 6.5) ^[2]

In Vitro	<p>Vonoprazan (0.1 nM-10 μM; 30 minutes) exhibits porcine gastric H⁺,K⁺-ATPase activity in a concentration-dependent manner^[2].</p> <p>Vonoprazan does not inhibit Na⁺,K⁺-ATPase activity, even at concentrations 500 times higher than their IC₅₀ values against gastric H⁺,K⁺-ATPase activity^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 449 1515 684"> <tr> <td data-bbox="347 449 618 512">Animal Model:</td> <td data-bbox="618 449 1515 512">Male 7- or 8-week-old Sprague-Dawley rat^[2]</td> </tr> <tr> <td data-bbox="347 512 618 575">Dosage:</td> <td data-bbox="618 512 1515 575">0.5, 1, 2, and 4 mg/kg</td> </tr> <tr> <td data-bbox="347 575 618 638">Administration:</td> <td data-bbox="618 575 1515 638">Oral administration</td> </tr> <tr> <td data-bbox="347 638 618 684">Result:</td> <td data-bbox="618 638 1515 684">Inhibited basal gastric acid secretion in a dose-dependent manner.</td> </tr> </table>	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.
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

- 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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