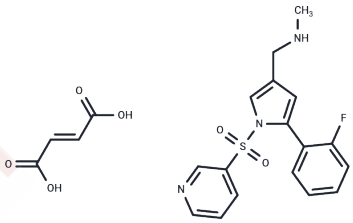
Data Sheet (Cat.No.T21254)

TargetMol

Vonoprazan Fumarate

Chemical Properties



CAS No. :	881681-01-2
Formula:	C21H20FN3O6S
Molecular Weight:	461.46
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	Vonoprazan Fumarate (TAK438) , a novel potassium-competitive acid blocker, inhibits gastric acid secretion. Vonoprazan Fumarate (TAK438) inhibited H+,K+-ATPase activity in porcine gastric microsomes with IC50 value of 19 nM at pH 6.5.
Targets(IC50)	Proton pump
In vitro	The inhibitory activity of Vonoprazan Fumurate was unaffected by ambient pH. The inhibition by Vonoprazan Fumurate was reversible and achieved in a K(+)-competitive manner, quite different from that by lansoprazole. Vonoprazan Fumurate exhibits porcine gastric H+ ,K+-ATPase activity in a concentration-dependent manner[4].
In vivo	Vonoprazan Fumurate, at a dose of 4 mg/kg (as the free base) orally, completely inhibited basal and 2-deoxy-d-glucose-stimulated gastric acid secretion in rats, and its effect on both was stronger than that of lansoprazole. Vonoprazan Fumurate increased the pH of gastric perfusate to a higher value than did lansoprazole or SCH28080, and the effect of Vonoprazan Fumurate was sustained longer than that of lansoprazole or SCH28080[4].

Solubility Information

Solubility	Ethanol: 45.45 mg/mL (98.49 mM),Sonication is recommended. DMSO: 71.43 mg/mL (154.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 7.14 mg/mL (15.47 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.17 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.167 mL	10.8352 mL	21.6704 mL
5 mM	0.4334 mL	2.167 mL	4.3341 mL
10 mM	0.2167 mL	1.0835 mL	2.167 mL
50 mM	0.0433 mL	0.2167 mL	0.4334 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Masaoka T, et al. Pathophysiology of Potassium-competitive Acid Blocker-refractory Gastroesophageal Reflux and the Potential of Potassium-competitive Acid Blocker Test. J Neurogastroenterol Motil. 2018 Oct 1;24(4):577-583.
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.
Sugano K. Vonoprazan fumarate, a novel potassium-competitive acid blocker, in the management of gastroesophageal reflux disease: safety and clinical evidence to date. Therap Adv Gastroenterol. 2018 Jan 9;11: 1756283X17745776.
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.