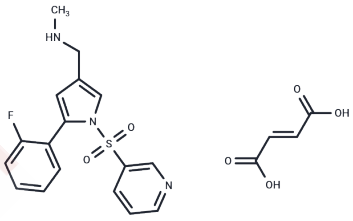
Data Sheet (Cat.No.T2404)

TargetMol

Vonoprazan fumarate.

Chemical Properties



CAS No. : 1260141-27-2

Formula: C17H16FN3O2S·C4H4O4

Molecular Weight: 461.46

Storage: Store at low temperature
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 (TAK-438) is a novel P-CAB (potassium-competitive acid blocker) that reversibly inhibits H+/K+, ATPase.
Targets(IC50)	ATPase,Proton pump
In vitro	TAK-438 has demonstrated effective and sustained inhibition of gastric acid secretion stimulated by histamine in both rats and dogs. This compound exhibits notable antisecretory activity through its high accumulation in gastric tissue and low clearance rate. Unlike PPIs (Proton Pump Inhibitors), TAK-438's efficacy is not influenced by the state of gastric secretion. It inhibits basal gastric acid secretion in a dose-dependent manner, with an ID50 value of 1.26 mg/kg. Intravenous administration of TAK-438 increases the pH of gastric perfusate in a dose-dependent way, maintaining the rise in pH for up to five hours. When treated with 1 mg/kg of TAK-438, the pH value reached a stable state after 90 minutes, with a maximum pH of 5.9.
In vivo	TAK-438 inhibits the activity of gastric H+,K+-ATPase in a concentration-dependent manner. Its inhibitory effect remains almost unchanged under neutral (pH 7.5) and slightly acidic conditions (pH 6.5). Even at concentrations 500 times higher than its IC50 for gastric H+,K+-ATPase activity, TAK-438 does not inhibit Na+,K+-ATPase activity. TAK-438 inhibits gastric H+,K+-ATPase competitively with potassium (K+), with an inhibition constant (Ki) of 3 nM.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 16.67 mg/mL (36.12 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.33 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

Arikawa Y, et al. J Med Chem, 2012, 55(9), 4446-4456.
Hori Y, et al. J Pharmacol Exp Ther, 2010, 335(1), 231-238.
Hori Y, et al. J Pharmacol Exp Ther, 2011, 337(3), 797-804.