Vonoprazan Fumarate (TAK-438)

Catalog No.C13126 Batch:C1312603

Product Datasheet

Technical Data

Formula	$C_{17}H_{16}FN_3O_2S.C_4H_4O_4$					
Molecular Weight	461.46	**CAS No.**	1260141-27-2			
Solubility (25°C)*	In vitro	DMSO	92 mg/mL (199.36 mM)			
		Water	Insoluble			
		Ethanol	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume \ Mass	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
50 mM	0.0433 mL	0.2167 mL	0.4334 mL

Biological Activity

Description	Vonoprazan Fumarate (TAK-438) is a novel **P-CAB** (potassium-competitive acid blocker) that reversibly inhibits **H+/K+, ATPase** with **IC50** of 19 nM (pH 6.5), and controls gastric acid secretion. This compound is in Phase 3.
Targets	H+/K+-ATPase [1] 19 nM
In vitro	Vonoprazan Fumarate (TAK-438) is a pyrrole derivative with a chemical structure that is completely different from the P-CABs developed to date. It inhibits gastric H^+, K^+-ATPase activity in a concentration-dependent manner. Under neutral conditions (pH 7.5), the inhibitory activity of this compound is almost the same as that under weakly acidic conditions (pH 6.5). It does not inhibit Na^+, K^+-ATPase activity even at concentration 500 times higher than their IC50 values against gastric H+,K+-ATPase activity. This compound inhibits gastric H^+, K^+-ATPase in a K^+-competitive manner with K_i of 3 nM. [2]
In vivo	Vonoprazan Fumarate (TAK-438) inhibits basal gastric acid secretion in a dose-dependent manner, and the ID50 value is 1.26 mg/kg. Intravenous administration of this compound dose-dependently increases the pH of the gastric perfusate, and the increase in pH is sustained for 5 h after administration. At the 1 mg/kg dose, the pH plateaues 90 min after administration, and the highest pH value reached is 5.9. [2] In addition, it shows a potent and longer-lasting inhibitory effect on the histamine-stimulated gastric acid secretion in rats and dogs. It shows significant antisecretory activity through high accumulation and slow clearance from the gastric tissue. This compound is unaffected by the gastric secretory state, unlike PPIs. [3]
Features	Capable of inhibiting H+, K+-ATPase under acidic or neutral conditions.

Protocol (from reference)

Animal Study:[3]

- **Animal Models**
  Male Sprague-Dawley rats
- **Dosages**
  1, 2, and 4 mg/kg
- **Administration**
  Orally

References

https://pubmed.ncbi.nlm.nih.gov/22512618/ https://pubmed.ncbi.nlm.nih.gov/20624992/ https://pubmed.ncbi.nlm.nih.gov/21411494/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com