

Vonoprazan fumarate PRODUCT DATA SHEET

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Product Name: Vonoprazan fumarate

Product Number: V029

CAS Number: 1260141-27-2

Molecular Formula: $C_{17}H_{16}FN_3O_2S \cdot C_4H_4O_4$

Molecular Weight: 461.47

Form: Powder

Appearance: White to off-white powder

Solubility: DMSO. Very slightly soluble in water and methanol.

Source: Synthetic

Storage Conditions: -20C

Description: Vonoprazan fumarate is a pyrole derivative and potassium-competitive acid

blocker (P-CAB) that competitively blocks the potassium-binding site of gastric H(+),K(+)-ATPase, a key enzyme in the process of gastric acid

secretion.

Mechanism of Action: Vonoprazan fumarate Inhibits acid secretion by competitively blocking the

potassium-binding site of gastric H(+),K(+)-ATPase. The compound can accumulate in acid environments and should provide a longer duration of inhibition due to an alkaline pKa of 9.06. In the presence of acid, this prodrug converts to its active form that covalently binds to certain cysteines in the

luminal domain of gastric H(+),K(+)-ATPase.

Microbiology Applications Helicobacter pylori (H. pylori) contributes to upper gastrointestinal diseases.

Proton pump inhibitor (PPI)-based H. pylori eradication therapy has been shown to be effective for treatment of H. pylori, however, there have been an increase in Clarithromycin resistant strains, thus a more effective strategy is needed. Vonoprazan resulted in a stronger and more sustained acid

suppression than PPI-based therapy. (Sakurai et al, 2017).

References:

Matsukawa J, Hori Y, Nishida H, Kajino M, Inatomi N (2011) A comparative study on the modes of action of TAK-438, a novel potassium-competitive acid blocker, and lansoprazole in primary cultured rabbit gastric glands. Biochem Pharmacol. 81(9):1145-1151 PMID 21371447 Shin JM et al (2011) Characterization of a novel potassium-competitive acid blocker of the gastric H,K-ATPase, 1-[5-(2-fluorophenyl)-1-(pyridin-3-ylsulfonyl)-1H-pyrrol-3-yl]-N-methylmethanamine monofumarate (TAK-438). J. Pharmacol. Exp. Ther. 339(2):412-420 PMID 21828261 Sakurai K et al (2017) Comparative study: Vonoprazan and proton pump inhibitors in Helicobacter pylori eradication therapy. World J Gastroenterol. 23(4):668-675 PMID 28216974 Sugano, K (2018) Vonoprazan fumarate, a novel potassium-competitive acid blocker, in the management of gastroesophageal reflux disease: Safety and clinical evidence to date. Therap. Adv. Gastroenterol. 11: 756283X17745776. PMID 29383028

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