Product Data Sheet

Product Name: TAK-438
Cat. No.: GC15418

Chemical Properties

Cas. No.	1260141-27-2		
Chemical Name	(E)-but-2-enedioic acid;1-[5-(2-fluorophenyl)-1-pyridin-3-ylsulfonylpyrrol-3-yl]-N-methylmethanamine		
SMILES	CNCC1=CN(C(=C1)C2=CC=CC=C2F)S(=O)(=O)C3=CN=CC=C3.C(=CC(=O)O)C(=O)O		
Formula	$C_{17}H_{16}FN_3O_2S.C_4H_4O_4$	M.Wt	461.46
Solubility	≥ 18.9mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	TAK-438		

Background

TAK-438 is a potassium-competitive acid blocker (P-CAB) that reversibly inhibits gastric H+, K+-ATPase, [1] [2] with ID50 values of 0.86 mg/kg to histamine-stimulated acid secretion in anesthetized rats [1].

Gastric H+, K+-ATPase has a key role in the final secretion step of gastric acid, transporting H+, via an electroneutral exchange of H+ for K+, into the secretory canaliculus in parietal cells [1].

In cultured gastric glands, TAK-438 treatment resulted in a longer and stronger acid formation inhibition. The inhibition effect of TAK-438 on acid secretion seemed to be associated with gastric parietal cell physiology. After cultured gastric glands were incubated with TAK-438 for 2 h and hence the incubation buffer was replaced with the CK buffer, the acid formation stimulated by forskolin slowly recovered, but the acid formation was inhibited immediately in a concentration-dependent manner [2].

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In rats, 1-4, 5-8, and 9-12 h after the administration of TAK-438 at 3 mg/kg p.o., acid secretion stimulated by histamine was strongly inhibited. 24 to 27 h after administration of TAK-438, there was an inhibition rate of 40%, and this was a significant and sustained inhibition. In Heidenhain pouch dogs treated with doses of 0.1 to 1 mg/kg TAK-438 p.o., the acid secretion stimulated by histamine was inhibited dose-dependently, and this effect lasted for > 48 h. 1, 3, and 6 h after administration of 1 mg/kg TAK-438 completely inhibited the acid secretion stimulated by histamine [1].

References:

[1]. Yasunobu Hori, Jun Matsukawa, Toshiyuki Takeuchi, et al. A Study Comparing the Antisecretory Effect of TAK-438, a Novel Potassium-Competitive Acid Blocker, with Lansoprazole in Animals. Journal of Pharmacology and Experimental Therapeutics, 2011, 337:797-804.

[2]. Jun Matsukawa, Yasunobu Hori, Haruyuki Nishida, et al. 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. Biochemical Pharmacology, 2011, 81:1145-1151.

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