Product Data Sheet

Product Name: PF-03716556
Cat. No.: GC10444

Chemical Properties

Cas. No.	928774-43-0		
Chemical Name	N-(2-hydroxyethyl)-N,2-dimethyl-8-[[(4R)-5-methyl-3,4-dihydro-2H-chromen-4-yl]amino]imidazo[1,2-a]pyridine-6-carboxamide		
SMILES	CC1=C2C(CCOC2=CC=C1)NC3=CC(=CN4C3=NC(=C4)C)C(=O)N(C)CCO		
Formula	$C_{22}H_{26}N_4O_3$	M.Wt	394.48
Solubility	DMF: 30 mg/ml,DMSO: 30 mg/ml,DMSO:PBS (pH 7.2) (1:20): 0.04 mg/ml,Ethanol: 10 mg/ml	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	PF-03716556		

Background

IC50: In porcine ion-tight membrane vesicles, PF-03716556 inhibited H+,K+-ATPase activity in a concentration-dependent manner, with a pIC50 value of 7.095 ± 0.077 at pH 7.4.

The gastric H+,K+-ATPase, which is responsible for gastric acid secretion, is a P2-type ATPase located in the apical membrane of parietal cells. Inhibition of the H+,K+-ATPase is currently the most effective way to control gastric acid secretion and remains an attractive target for the medical treatment of acidrelated diseases. PF-03716556 is a novel, potent, and selective acid pump antagonist for the treatment of gastroesophageal reflux disease.

In vitro: PF-03716556 demonstrated 3-fold greater inhibitory activity than revaprazan, the only acid pump antagonist that has been available on the market, in ion-tight assay.

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Kinetics experiments revealed that PF-03716556 has a competitive and reversible mode of action [1].

In vivo: PF-03716556 did not display any species differences, exhibiting highly selective profile including the canine kidney H+,K+-ATPase. In addition, more rapid onset of action than omeprazole and 3-fold greater potency than revaprazan were observed in Ghosh-Schild rats and Heidenhain pouch dogs [2].

Clinical trials: Currenlty no clinical data are available.

Reference:
[1] Mori H, Tonai-Kachi H, Ochi Y, Taniguchi Y, Ohshiro H, Takahashi N, Aihara T, Hirao A, Kato T, Sakakibara M, Kurebayashi Y. N-(2-hydroxyethyl)-N,2-dimethyl-8-{[(4R)-5-methyl-3,4- dihydro-2H-chromen-4-yl]amino}imidazo[1,2-a]pyridine-6-carboxamide (PF-03716556), a novel, potent, and selective acid pump antagonist for the treatment of gastroesophageal reflux disease. J Pharmacol Exp Ther. 2009;328(2):671-9.

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