

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

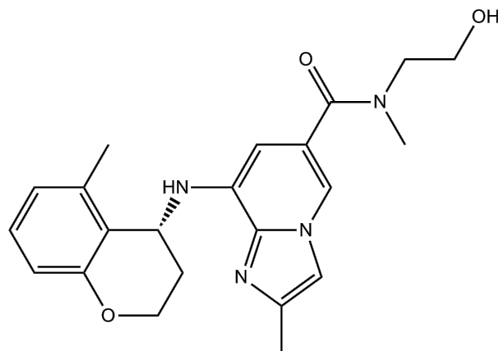
Formula $C_{22}H_{26}N_4O_3$ M.Wt 394.48

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 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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 acid-related 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. 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: Currently 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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA