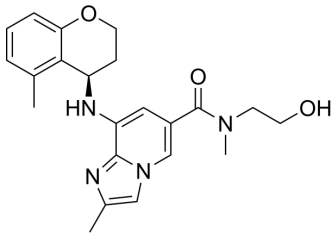
PF 03716556

Cat. No.:	HY-13100
CAS No.:	928774-43-0
Molecular Formula:	$C_{22}H_{26}N_4O_3$
Molecular Weight:	394.47
Target:	Proton Pump
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 23 mg/mL (58.31 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5350 mL	12.6752 mL	25.3505 mL
	5 mM	0.5070 mL	2.5350 mL	5.0701 mL
	10 mM	0.2535 mL	1.2675 mL	2.5350 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PF 03716556 is a potent, selective, competitive and reversible acid pump (H^+,K^+-ATPase) antagonist with pIC_{50}s of 6.026, 6.038 and 6.009 for porcine, canine, and human recombinant gastric H^+,K^+-ATPase, respectively. PF 03716556 is inactive against other receptors, ion channels, and enzymes. PF 03716556 has the potential for gastroesophageal reflux disease research[1].

IC_{50} & Target

pIC50: 6.026 (Porcine gastric H+,K+-ATPase), 6.038 (Canine gastric H+,K+-ATPase) and 6.009 (Recombinant gastric H+,K+-ATPase)[1]

In Vitro

In porcine ion-tight membrane vesicles, PF 03716556 inhibits H^+,K^+-ATPase activity in a concentration-dependent manner, with a pIC_{50} value of 7.095 at pH 7.4[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF 03716556 (1-10 mg/kg; intraduodenal administration; once; male Sprague-Dawley rats) treatment inhibits gastric acid secretion in a dose-dependent manner in rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (250 -300 g) treated with Pentagastrin[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg
Administration:	Intraduodenal administration; once
Result:	Inhibited gastric acid secretion in a dose-dependent manner.

REFERENCES

[1]. Hiroki Mori, et al. 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 Feb;328(2):671-9.

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