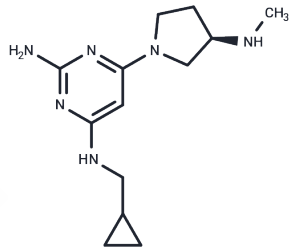
Data Sheet (Cat.No.T68280)

TargetMol

Adriforant

Chemical Properties



CAS No. : 943057-12-3

Formula: C13H22N6

Molecular Weight: 262.35

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Adriforant (PF-3893787,ZPL-3893797) is a competitive H4 (histamine receptor 4) antagonist that antagonizes histamine-induced phosphorylation of ERK, normalizes histamine-induced transcriptional changes in mast cells and reduces histamine-dependent Ca2+ fluxes in neurons, which alleviates itching and skin inflammation in mice
Targets(IC50)	Histamine Receptor
In vitro	Adriforant was tested in various human eosinophil functional assays, including cell shape change (IC_{50} = 0.65 nM), CD11b expression (IC_{50} = 4.9 nM), and actin polymerization (IC_{50} = 1.3 nM). In a whole blood granulocyte assay (GAFS), Adriforant fully blocked imetit-induced responses at 30 nM. The binding affinity (Ki) for human H4R was 2.4 nM, with a functional Ki of 1.56 nM, indicating potent and selective H4R antagonism[1].
In vivo	Adriforant was administered orally (5 mg/kg, p.o.) and intravenously (1 mg/kg, i.v.) in rats, showing good pharmacokinetics ($T_1/_2$ = 7 h, F = 62%). In dogs, oral dosing (10 mg/kg, p.o.) also showed favorable exposure ($T_1/_2$ = 24 h, F = 39%). In 7-day repeat-dose toxicity studies in rats and monkeys, no adverse effects were observed at free plasma concentrations up to 187–240× the predicted minimum human efficacious level [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8117 mL	19.0585 mL	38.117 mL
5 mM	0.7623 mL	3.8117 mL	7.6234 mL
10 mM	0.3812 mL	1.9059 mL	3.8117 mL
50 mM	0.0762 mL	0.3812 mL	0.7623 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Mowbray CE, et al. Challenges of drug discovery in novel target space. The discovery and evaluation of PF-3893787: a novel histamine H4 receptor antagonist. Bioorg Med Chem Lett. 2011 Nov 1;21(21):6596-602.