Adriforant hydrochloride

Cat. No.: HY-19705B

CAS No.: 2096455-90-0

Molecular Formula: $C_{13}H_{25}Cl_3N_6$

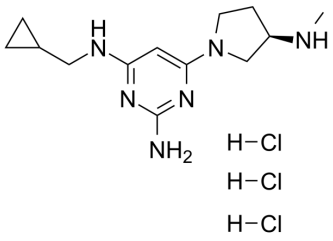
Molecular Weight: 371.74

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (269.01 mM; Need ultrasonic)

DMSO : ≥ 83.33 mg/mL (224.16 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6901 mL	13.4503 mL	26.9005 mL
5 mM	0.5380 mL	2.6901 mL	5.3801 mL
10 mM	0.2690 mL	1.3450 mL	2.6901 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (269.01 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Adriforant hydrochloride (PF-3893787 hydrochloride) is a novel histamine H4 receptor antagonist binding affinity (K_i=2.4 nM) and is also a functional (K_i=1.56 nM) antagonist.

IC_{50} & Target

Ki: 2.4 nM (H4R bind), 1.56 nM (H4R func)[1]

In Vitro

Adriforant is tested and observed binding K_i=2.4 nM and functional K_i=1.56 nM for H4R. Data from functional assays produce convergent projections of the free plasma efficacious concentration and Adriforant (Compound 13) is fast on/fast off on rhH4R. The in vitro IC_{50} on human native isolated eosinophils assessing actin polymerisation is 1.16 nM and assuming need 10 times the IC_{50} for >90% receptor occupancy (and therefore near complete inhibition of the response) suggested a concentration of 12 nM. The data in the whole blood GAFS assay demonstrates that the imetit induced shape change is completely blocked at a total blood concentration of 30 nM (which correcting for PPB and blood partitioning equates to approximately 10 nM free). For the purpose of dose projection and safety margin calculation, a Ceff/Cmin concentration of

10-15 nM is used[1].

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

CUSTOMER VALIDATION

- Behav Brain Res. 2021 May 27;113388.

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REFERENCES

[1]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA