H4R antagonist 1

Cat. No.: HY-111501

CAS No.: 1429375-54-1

Molecular Formula: $C_{11}H_{11}BrN_8$

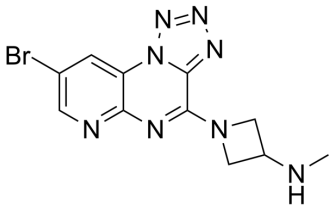
Molecular Weight: 335.16

Target: Histamine Receptor

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (59.67 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9836 mL	14.9182 mL	29.8365 mL
	5 mM	0.5967 mL	2.9836 mL	5.9673 mL
	10 mM	0.2984 mL	1.4918 mL	2.9836 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (5.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (5.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

H4R antagonist 1 is a potent and highly selective histamine H4 receptor (H4R) antagonist with an IC_{50} of 27 nM. H4R antagonist 1 does not show any noticeable binding affinity to other subtypes of histamine receptors, H1R, H2R, and H3R[1].

IC_{50} & Target

Human H_4 Receptor	Mouse H_4 Receptor
27 nM (IC_{50})	290 nM (IC_{50})

In Vitro

The competitive binding assay against a wider panel of GPCR, ion channel, and transporters at the concentration of 10 μM reveals that H4R antagonist 1 (Compound 48) is highly selective for H4R. The inhibitory activity of H4R antagonist 1 against mouse H4R (IC_{50}=0.29 μM) is about 10 times weaker than that for human H4R[1].

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

In Vivo	H4R antagonist 1 (Compound 48) shows significant antipruritic and anti-inflammatory efficacy in Oxazolone-induced murine model mimicking human atopic dermatitis (AD)[1]. In the [^{35}S]GTPγS functional assay, H4R antagonist 1 shows inhibitory activity against mouse H4R with an IC_{50} of 0.69 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ko K, et al. Discovery of a Novel Highly Selective Histamine H4 Receptor Antagonist for the Treatment of Atopic Dermatitis. J Med Chem. 2018 Apr 12;61(7):2949-2961.

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