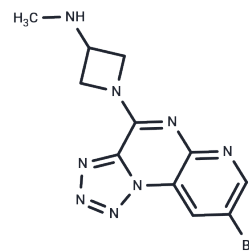


H4R antagonist 1

Chemical Properties

CAS No. :	1429375-54-1
Formula:	C ₁₁ H ₁₁ BrN ₈
Molecular Weight:	335.16
Storage:	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	H4R antagonist 1 is a highly selective histamine H4 receptor (H4R) antagonist (IC ₅₀ : 27 nM). H4R antagonist 1 does not show any noticeable binding affinity to other subtypes of histamine receptors, H1R, H2R, and H3R.
Targets(IC ₅₀)	Histamine Receptor
In vitro	The competitive binding assay against a broad panel of GPCRs, ion channels, and transporters at 10 μM shows that H4R antagonist 1 is highly selective for H4R. The inhibitory activity of H4R antagonist 1 against mouse H4R (IC ₅₀ = 0.29 μM) is approximately 10 times weaker than that for human H4R.
In vivo	In the GTPγS functional assay, H4R antagonist 1 shows inhibitory activity against mouse H4R with an IC ₅₀ of 0.69 μM. H4R antagonist 1 shows significant antipruritic and anti-inflammatory efficacy in Oxazolone-induced murine model mimicking human atopic dermatitis (AD).

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0597 mL	0.2984 mL	0.5967 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

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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