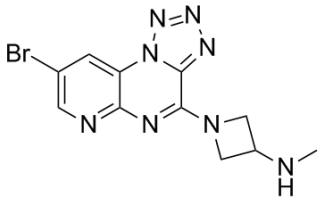
H4R antagonist 1

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
Storage:	Please store the product under the recommended conditions in the COA.



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 27 nM (IC_{50})	Mouse H_4 Receptor 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].	
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].	

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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