Product Data Sheet

Product Name: H4R antagonist 1
Cat. No.: GC31884

Chemical Properties

Cas. No.	1429375-54-1		
SMILES	CNC(C1)CN1C2=NC3=NC=C(Br)C=C3N4C2=NN=N4		
Formula	$C_{11}H_{11}BrN_8$	M.Wt	335.16
Solubility	DMSO : 20 mg/mL (59.67 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	H4R antagonist 1		

Background

H4R antagonist 1 is a potent and highly selective histamine H4 receptor (H4R) antagonist with an IC50 of 27 nM. H4R antagonist 1 does not show any noticeable binding affinity to other subtypes of histamine receptors, H1R, H2R, and H3R[1].

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 (IC50=0.29 μM) is about 10 times weaker than that for human H4R[1].

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 [35S]GTPγS functional assay, H4R antagonist 1 shows inhibitory activity against mouse H4R with an IC50 of 0.69 μM[1].

[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.

Caution: Product has not been fully validated for medical applications. For research use only.
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