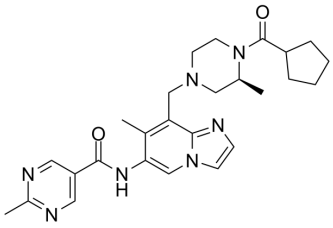
RORγt Inverse agonist 8

Cat. No.:	HY-122737
CAS No.:	2079892-79-6
Molecular Formula:	$C_{26}H_{33}N_7O_2$
Molecular Weight:	475.59
Target:	ROR
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RORγt Inverse agonist 8 is a potent, selective, orally bioavailable RORγt inverse agonist, with an IC_{50} of 19 nM for human ROR γt-LBD[1].
IC_{50} & Target	IC50: 19 nM (RORγt)[1]
In Vitro	RORγt Inverse agonist 8 (Cpd 1) is a potent RORγt inhibitor and binds to the ligand binding domain (LBD) of RORγt[1]. RORγt Inverse agonist 8 (0-10μM ;24 hours) results in complete attenuation of IL-17A secretion in a concentration-dependent manner with an IC_{50} of 60 nM in HUT78 cells[1]. RORγt Inverse agonist 8 selectively suppresses human Th17 and Tc17 cell differentiation[1]. RORγt Inverse agonist 8 polarizes Th17 cells also blocks IL-17A production in a concentration-dependent manner with an IC_{50} of 92 nM[1]. RORγt Inverse agonist 8 reduces Th17 cell-associated mRNA expression including IL17A, IL17F, IL26, IL23R and CCR6 in a concentration-dependent fashion[1]. RORγt Inverse agonist 8 alters epigenetic regulation at the IL17A and IL23R gene promoters without impairing the DNA binding activity of RORγt[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RORγt Inverse agonist 8 (15 mg/kg, 45 mg/kg ; i.g.; twice daily; for 7 days) ameliorates antigen-induced arthritis (AiA) responses in Lewis rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Lewis rats (190–220 g)[1]
Dosage:	15 mg/kg, 45 mg/kg
Administration:	Oral gavage; twice daily; for 7 days
Result:	Ameliorates antigen-induced arthritis (AiA) responses in Lewis rats.

REFERENCES

[1]. Guendisch U, et al. Pharmacological inhibition of RORγt suppresses the Th17 pathway and alleviates arthritis in vivo. PLoS One. 2017 Nov 20;12(11):e0188391.

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