RORyt Inverse agonist 6

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®

Cat. No.:	HY-130243			
CAS No.:	1887161-80-9			
Molecular Formula:	C ₂₈ H ₂₉ ClN ₆ O ₅			
Molecular Weight:	565.02			
Target:	ROR			
Pathway:	Metabolic E	nzyme/Pr	otease; Vitamin D Related/Nuclear Receptor	
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 : 50 mg/mL (88.49 mM; Need ultrasonic)						
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg		
		1 mM	1.7698 mL	8.8492 mL	17.6985 mL		
		5 mM	0.3540 mL	1.7698 mL	3.5397 mL		
		10 mM	0.1770 mL	0.8849 mL	1.7698 mL		
	Please refer to the so	lubility information to select the app	propriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (4.42 mM); Suspended solution; Need ultrasonic						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (4.42 mM); Suspended solution; Need ultrasonic						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution						

BIOLOGICAL ACTIV	
Description	RORγt Inverse agonist 6 (compound 43) is a RORγt inverse agonist for the study of Th17-driven autoimmune diseases. RORγt Inverse agonist 6 (compound 43) suppresses IL-17A gene expression by IL-23 stimulation in vivo ^[1] .
In Vivo	RORγt Inverse agonist 6 (compound 43) suppresses IL-17A gene expression by IL-23 stimulation in a mouse pharmacodynamics model ^[1] . RORγt Inverse agonist 6 (compound 43) exhibits improved drug exposure (mouse AUC: 1289 ng•h/mL at 1 mg/kg, po) ^[1] . RORγt Inverse agonist 6 (compound 43, 30 mg/kg, po, b.i.d) inhibits the expression level of IL-17A by 59% compared to the

vehicle after the oral ac MCE has not independe	dministration at the tested dose ^[1] . ently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	Mice ^[1] .
Dosage:	30 mg/kg.
Administration:	Orally twice: at 30 min before and 8 h after IL-23 administration.
Result:	Inhibited the expression level of IL-17A by 59% compared to the vehicle after the oral administration at the tested dose.

REFERENCES

[1]. Sato A, et al. Design and Synthesis of Conformationally Constrained RORyt Inverse Agonists. ChemMedChem. 2019 Oct 28.

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

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