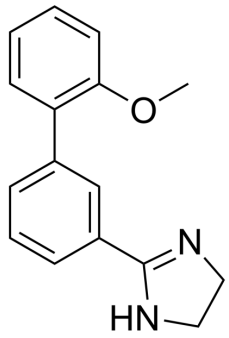
5-HT7R antagonist 2

Cat. No.:	HY-163345
CAS No.:	1448808-50-1
Molecular Formula:	$C_{16}H_{16}N_2O$
Molecular Weight:	252.31
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

5-HT7R antagonist 2 (compound 4h) is a 5-HT7R antagonist that antagonizes the G protein and β-arrestin signaling pathways, with a K_i of 67 nM, the IC_{50} values in cAMP and Tango tests were 2.59 μM and 39.57 μM, respectively. 5-HT7R antagonist 2 has an effect on neurogenesis and can reduce repetitive behaviors related to autism spectrum disorder (ASD) and restore neurogenesis of ASD impairment[1].

Pharmacokinetic Analysis ICR Male Mice[1]

[illegible][1]

Plasma	Intravenous Administration	Intraperitoneal Administration
T_{max} (h)	0.08	0.25
$T_{1/2}$ (h)	0.77	1.06
C_{max} (ng/mL)	33.07	156.44
AUC_{last} (ng·h/mL)	28.31	143.27
CL (L/h/kg)	41.61	-
V_{ss} (L/kg)	32.43	-
MRT (h)	0.79	0.93
F (%)	50.60	

IC_{50} & Target

5-HT_7 Receptor
67 nM (Ki)

In Vitro

5-HT7R antagonist 2 (compound 4h) (microsomes, 30 min) has significant metabolic stability and is not cytotoxic[1].

5-HT7R antagonist 2 is a competitive antagonist in both G protein and β-arrestin signaling pathways[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Line:	
Concentration:	
Incubation Time:	
Result:	

Cell Line:	
Concentration:	
Incubation Time:	
Result:	

In Vivo

5-HT7R antagonist (compound 4h) (intraperitoneal injection, 5 mg/kg, 30 min) has the potential to regulate the repetitive behavior of ASD in the animal model of ASD, and can increase the number of immature ASD neurons[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ASD animal models
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection
Result:	4h can increase the number of immature ASD neurons

REFERENCES

[1]. Jeong JH, et al. Identification of an Antagonist Targeting G Protein and β-Arrestin Signaling Pathways of 5-HT7R. ACS Chem Neurosci. 2024;15(5):1026-1041.

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