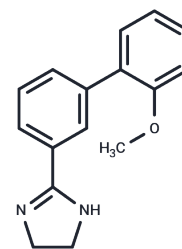


## 5-HT7R antagonist 2

## Chemical Properties

CAS No. :	1448808-50-1
Formula:	C16H16N2O
Molecular Weight:	252.31
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Compound 4h, also known as 5-HT7R antagonist 2, is a selective inhibitor of the 5-HT7R that disrupts both G protein and $\beta$ -arrestin signaling pathways. It exhibits a $K_i$ value of 67 nM, with $IC_{50}$ values of 2.59 $\mu$ M in cAMP assays and 39.57 $\mu$ M in Tango tests. This antagonist is noted for its potential to mitigate repetitive behaviors associated with autism spectrum disorder (ASD) and to foster the restoration of neurogenesis impaired by ASD [1]. Pharmacokinetic analysis in ICR male mice following intravenous and intraperitoneal administration shows a $T_{max}$ of 0.08 hours and 0.25 hours, a $T_{1/2}$ of 0.77 hours and 1.06 hours, and a $C_{max}$ of 33.07 ng/mL and 156.44 ng/mL, respectively. The $AUC_{last}$ was recorded at 28.31 ng·h/mL and 143.27 ng·h/mL, with a clearance rate (CL) of 41.61 L/h/kg for intravenous administration. The volume of distribution at steady state ( $V_{ss}$ ) was 32.43 L/kg, the mean residence time (MRT) was 0.79 hours and 0.93 hours, and the bioavailability (F) was calculated at 50.60% [1].
Targets( $IC_{50}$ )	5-HT Receptor
In vitro	5-HT7R antagonist 2 (compound 4h) demonstrates significant metabolic stability when incubated with microsomes for 30 minutes and shows no cytotoxicity [1]. In both the G protein and $\beta$ -arrestin signaling pathways, 5-HT7R antagonist 2 acts as a competitive antagonist [1].
In vivo	Compound 4h acts as a 5-HT7R antagonist and, when administered intraperitoneally at a dosage of 5 mg/kg for 30 minutes, has potential for modulating repetitive behaviors in ASD animal models. This compound also enhances the number of immature neurons associated with ASD [1]. Animal Model: ASD animal models. Dosage: 5 mg/kg. Administration: Intraperitoneal injection. Result: 4h can increase the number of immature ASD neurons.

### Preparing Stock Solutions

---

	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	3.9634 mL	19.8169 mL	39.6338 mL
5 mM	0.7927 mL	3.9634 mL	7.9268 mL
10 mM	0.3963 mL	1.9817 mL	3.9634 mL
50 mM	0.0793 mL	0.3963 mL	0.7927 mL

---

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Jeong JH et al. Identification of an Antagonist Targeting G Protein and  $\beta$ -Arrestin Signaling Pathways of 5-HT<sub>7</sub>R. ACS Chem Neurosci. 2024 Mar 6;15(5):1026-1041.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481