BRL 54443

Cat. No.: HY-13221

CAS No.: 57477-39-1

Molecular Formula: $C_{14}H_{18}N_2O$

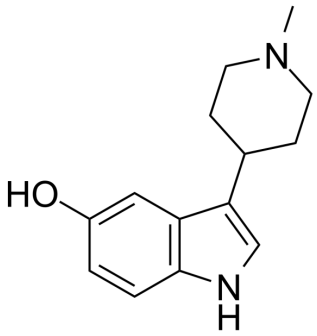
Molecular Weight: 230.31

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (434.20 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.3420 mL	21.7099 mL	43.4197 mL
5 mM	0.8684 mL	4.3420 mL	8.6839 mL
10 mM	0.4342 mL	2.1710 mL	4.3420 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BRL 54443 is a potent 5-$HT_{1E/1F}$ receptor agonist (K_i values are 1.1 nM and 0.7 nM respectively); displays > 30-fold selectivity over other 5-HT and dopamine receptors[1].

IC_{50} & Target

5-HT_{1E} Receptor 1.1 nM (Ki)	5-HT_{1F} Receptor 0.7 nM (Ki)	5-HT_{1A} Receptor 63 nM (Ki)	5-HT_{1B} Receptor 126 nM (Ki)
5-HT_{1D} Receptor 63 nM (Ki)	5-HT_{2A} Receptor 1259 nM (Ki)	5-HT_{2B} Receptor 100 nM (Ki)	5-HT_{2C} Receptor 316 nM (Ki)

	5-HT_6 Receptor >10,000 nM (Ki)	5-HT_7 Receptor >10,000 nM (Ki)
In Vitro	Despite its low affinity for other receptors [5-HT_{1A} (63 nM), 5-HT_{1B} (126 nM), 5-HT_{1D} (63 nM), 5-HT_{2A} (1259 nM), 5-HT_{2B} (100 nM), 5-HT_{2C} (316 nM), 5-HT_6 (>10,000 nM), 5-HT_7 (>10,000 nM), D_2 (501 nM), D_3 (631 nM), and α_{1B}-adrenoceptors (1259 nM)], BRL54443 binds with high affinity at 5-HT_{1F} receptors[1]. In DG membranes, BRL54443 selectively stimulates 5-HT_{1E} receptors and potently inhibits forskolin-dependent cAMP production (IC_{50}=14 nM). BRL 54443 also induces contraction (-log EC_{50}=6.52)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Reduction of flinching was considered as antinociception. Ipsilateral, but not contralateral, peripheral administration of BRL54443 (5-HT(1E/1F); 3-300 microg/paw) significantly reduced formalin-induced flinching in rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Klein MT, et al. Toward selective drug development for the human 5-hydroxytryptamine 1E receptor: a comparison of 5-hydroxytryptamine 1E and 1F receptor structure-affinity relationships. J Pharmacol Exp Ther. 2011 Jun;337(3):860-867.

[2]. McKune CM, et al. Characterization of the serotonin receptor mediating contraction in the mouse thoracic aorta and signal pathway coupling. J Pharmacol Exp Ther. 2001 Apr;297(1):88-95.

[3]. Klein MT, et al. Distribution of 5-ht(1E) receptors in the mammalian brain and cerebral vasculature: an immunohistochemical and pharmacological study. Br J Pharmacol. 2012 Jun;166(4):1290-302.

[4]. Granados-Soto V, et al. The role of peripheral 5-HT1A, 5-HT1B, 5-HT1D, 5-HT1E and 5-HT1F serotonergic receptors in the reduction of nociception in rats. Neuroscience. 2010 Jan 20;165(2):561-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA