# BRL 54443

**Cat. No.:** HY-13221

**CAS No.:** 57477-39-1

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

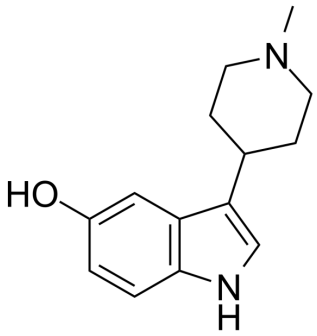
**Molecular Weight:** 230.31

**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<br>1.1 nM (Ki) | 5-$HT_{1F}$ Receptor<br>0.7 nM (Ki) | 5-$HT_{1A}$ Receptor<br>63 nM (Ki) | 5-$HT_{1B}$ Receptor<br>126 nM (Ki) |
| 5-$HT_{1D}$ Receptor<br>63 nM (Ki) | 5-$HT_{2A}$ Receptor<br>1259 nM (Ki) | 5-$HT_{2B}$ Receptor<br>100 nM (Ki) | 5-$HT_{2C}$ Receptor<br>316 nM (Ki) |

| | | |
|---|---|---|
| | 5-$HT_6$ Receptor<br>>10,000 nM (Ki) | 5-$HT_7$ Receptor<br>>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 $\alpha_{1B}$-adrenoceptors (1259 nM)], BRL54443 binds with high affinity at 5-$HT_{1F}$ receptors[1].<br>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].<br>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].<br>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.





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