# Brilaroxazine

**Cat. No.:** HY-109112

**CAS No.:** 1239729-06-6

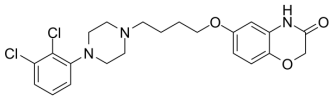
**Molecular Formula:** $C_{22}H_{25}Cl_2N_3O_3$

**Molecular Weight:** 450.36

**Target:** Dopamine Receptor; 5-HT Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:** 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (222.04 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.2204 mL | 11.1022 mL | 22.2045 mL |
| | 5 mM | 0.4441 mL | 2.2204 mL | 4.4409 mL |
| | 10 mM | 0.2220 mL | 1.1102 mL | 2.2204 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 (5.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Brilaroxazine (RP5603) is a potent and orally active multimodal dopamine (DA)/serotonin (5-HT) modulator. Brilaroxazine is a partial agonist of dopamine (DA) D2, D3, and D4 receptors, 5-HT1A ($K_i$=1.5 nM) and 5-HT2A ($K_i$=2.5 nM), and has antagonist activity at 5-HT2B ($K_i$=0.19 nM), and 5-HT7 ($K_i$=2.7 nM) receptors[1]. Brilaroxazine is an atypical antipsychotic agent, and has the potential to improve cognitive impairments in neuropsychiatric and neurological diseases in vivo[2].

**$IC_{50}$ & Target**

| | | | |
|---|---|---|---|
| 5-$HT_{1A}$ Receptor<br>1.5 nM (Ki) | 5-$HT_{2A}$ Receptor<br>2.5 nM (Ki) | 5-$HT_{2B}$ Receptor<br>0.19 nM (Ki) | 5-$HT_7$ Receptor<br>2.7 nM (Ki) |
| $D_2$ Receptor | $D_3$ Receptor | $D_4$ Receptor | |

**In Vivo**

Brilaroxazine (oral gavage; 10 mg/kg; twice daily; 28 days) limits the functional and structural effects of pulmonary arterial

hypertension (PAH), with significant improvements in pulmonary hemodynamics, right ventricular (RV) hypertrophy, SO2, and pulmonary blood vessel structural changes[1].

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

| | |
|---|---|
| Animal Model: | SD-rats[2] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage; twice daily; 28 days |
| Result: | Had the efficacy in PAH, and mitigated the functional and structural effects of MCT-induced PAH. |

## REFERENCES


[1]. Reviva Pharmaceuticals Reports RP5063 Positive Efficacy Results for Memory Deficits

[2]. Bhat L, et al. Evaluation of the effects of RP5063, a novel, multimodal, serotonin receptor modulator, as single-agent therapy and co-administrated with sildenafil, bosentan, and treprostinil in a monocrotaline-induced pulmonary arterial hypertension rat model.Eur J Pharmacol. 2018 May 15;827:159-166.

[3]. L. Bhat,et al. Rp5063 Prevents Monocrotaline Induced Pulmonary Arterial Hypertension In Rats.





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