Brilaroxazine

Cat. No.: HY-109112

CAS No.: 1239729-06-6

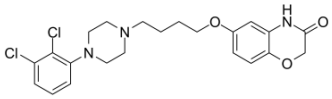
Molecular Formula: $C_{22}H_{25}Cl_2N_3O_3$

Molecular Weight: 450.36

Target: Dopamine Receptor; 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



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 1.5 nM (Ki)	5-HT_{2A} Receptor 2.5 nM (Ki)	5-HT_{2B} Receptor 0.19 nM (Ki)	5-HT_7 Receptor 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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