

# Cariprazine

Catalog No: tcsc1569



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

839712-12-8

**Formula:**

$C_{21}H_{32}Cl_2N_4O$

**Pathway:**

GPCR/G Protein;Neuronal Signaling;Neuronal Signaling;GPCR/G Protein

**Target:**

Dopamine Receptor;Dopamine Receptor;5-HT Receptor;5-HT Receptor

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

RGH-188

**Observed Molecular Weight:**

427.41

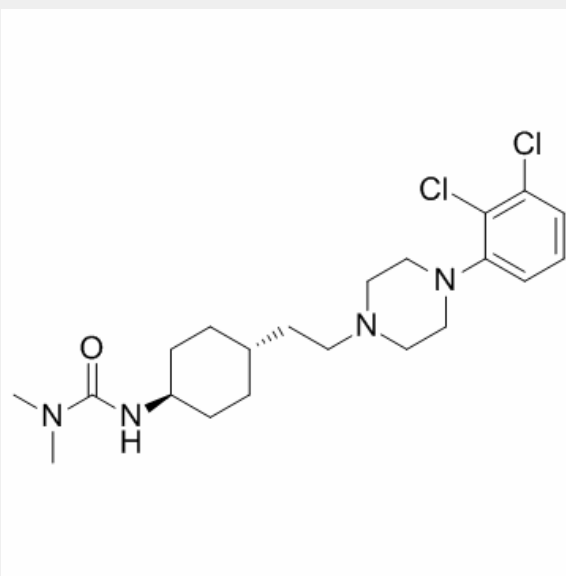
## Product Description

Cariprazine is a novel antipsychotic drug candidate that exhibits high affinity for the **D<sub>3</sub>** (**K<sub>i</sub>**=0.085 nM) and **D<sub>2</sub>** (**K<sub>i</sub>**=0.49 nM) receptors, and moderate affinity for the **5-HT<sub>1A</sub>** receptor (**K<sub>i</sub>**=2.6 nM).

IC50 & Target: Ki: 0.49 nM (D2 receptor), 0.085 nM (D3 receptor), 2.6 nM (5-HT1A receptor)<sup>[1]</sup>

**In Vitro:** Cariprazine stimulates inositol phosphate (IP) formation with a high potency (pEC<sub>50</sub> 8.5) with relatively low efficacy (E<sub>max</sub> 30%)<sup>[2]</sup>. Cariprazine, a novel candidate antipsychotic, demonstrated approximately 10-fold higher affinity for human D<sub>3</sub> versus human D<sub>2L</sub> and human D<sub>2S</sub> receptors (pK<sub>i</sub> 10.07, 9.16, and 9.31, respectively). Cariprazine displays high affinity at human serotonin (5-HT) type 2B receptors (pK<sub>i</sub> 9.24) with pure antagonism. Cariprazine has lower affinity at human and rat hippocampal 5-HT<sub>1A</sub> receptors (pK<sub>i</sub> 8.59 and 8.34, respectively) and demonstrates low intrinsic efficacy. Cariprazine displays low affinity at human 5-HT<sub>2A</sub> receptors (pK<sub>i</sub> 7.73). Moderate or low affinity for histamine H<sub>1</sub> and 5-HT<sub>2C</sub> receptors (pK<sub>i</sub> 7.63 and 6.87, respectively) suggest Cariprazine's reduced propensity for adverse events related to these receptors<sup>[2]</sup>. Cariprazine is over sixfold more potent (EC<sub>50</sub>=1.4 nM) than Aripiprazole (EC<sub>50</sub>=9.2 nM) in inhibiting isoproterenol-induced cAMP production in HEK-293 cells<sup>[4]</sup>.

**In Vivo:** Administration of Cariprazine (30 µg/kg) reduces the striatal uptake of both radioligands to the level of nonspecific binding compared with baseline PET measurements. Cariprazine has negligible effect on the time-activity curves in the cerebellum. At doses of 5.0 and 30 µg/kg, Cariprazine causes a dose-dependent dopamine D<sub>2</sub>/D<sub>3</sub> receptor occupancy of ~45% and ~80% for both antagonist [<sup>11</sup>C] raclopride and agonist radioligand [<sup>11</sup>C]MNPA. Receptor occupancy of dopamine D<sub>2</sub>/D<sub>3</sub> receptors calculated using the transient equilibrium and the MRTM2 methods ranged from 5% at the lowest dose (1.0 µg/kg) to 94% at the highest dose (300 µg/kg)<sup>[1]</sup>. The effects of 5 doses of Cariprazine (ranging from 0.005 to 0.15 mg/kg) are examined on EPM behavior of wild-type mice. Whereas lower doses of Cariprazine (0.005 to 0.02 mg/kg) do not alter the time spent in open arms, the two higher doses (0.08 and 0.15 mg/kg) lead to a significant decline of this measure (ANOVA, (F(5,52)=4.20; p=0.0032)). Moreover, the two higher doses of Cariprazine also lead to a significant decrease in the total number of arm entries (F(5,52)=7.21; p=0.0001)) but this decrease in the total number of arm entries is largely accounted for by a significant decrease in the number of closed arm entries (F(5,52)=11.75; p=0.0001)). The two highest doses of Cariprazine (0.08 and 0.15 mg/kg) have significant effects on locomotor activity, but doses ranging from 0.005 to 0.02 mg/kg do not affect anxiety-like behavior or locomotor activity in the EPM test<sup>[3]</sup>. A significant (P[4].



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