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Cariprazine (hydrochloride)

Catalog No: tcsc1570

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1083076-69-0

Formula:
$C_{21}H_{33}Cl_3N_4O$

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:
RGH188 hydrochloride

Observed Molecular Weight:
463.87

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Product Description

Cariprazine hydrochloride is a novel antipsychotic drug candidate that exhibits high affinity for the **D_3** (**K_i**=0.085 nM) and **D_2** (**K_i** =0.49 nM) receptors, and moderate affinity for the **5-HT_{1A}** receptor (**K_i**=2.6 nM).

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

In Vitro: Cariprazine stimulates inositol phosphate (IP) formation with a high potency (pEC_{50} 8.5) with relatively low efficacy (E_{max} 30%)[2]. Cariprazine, a novel candidate antipsychotic, demonstrated approximately 10-fold higher affinity for human D_3 versus human D_{2L} and human D_{2S} receptors (pK_i 10.07, 9.16, and 9.31, respectively). Cariprazine displays high affinity at human serotonin (5-HT) type 2B receptors (pK_i 9.24) with pure antagonism. Cariprazine has lower affinity at human and rat hippocampal 5-HT_{1A} receptors (pK_i 8.59 and 8.34, respectively) and demonstrates low intrinsic efficacy. Cariprazine displays low affinity at human 5-HT_{2A} receptors (pK_i 7.73). Moderate or low affinity for histamine H_1 and 5-HT_{2C} receptors (pK_i 7.63 and 6.87, respectively) suggest Cariprazine\'s reduced propensity for adverse events related to these receptors[2]. Cariprazine is over sixfold more potent (EC_{50}=1.4 nM) than Aripiprazole (EC_{50}=9.2 nM) in inhibiting isoproterenol-induced cAMP production in HEK-293 cells[4].

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_2/D_3 receptor occupancy of ~45% and ~80% for both antagonist [^{11}C] raclopride and agonist radioligand [^{11}C]MNPA. Receptor occupancy of dopamine D_2/D_3 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)[1]. 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[3]. A significant (P[4].

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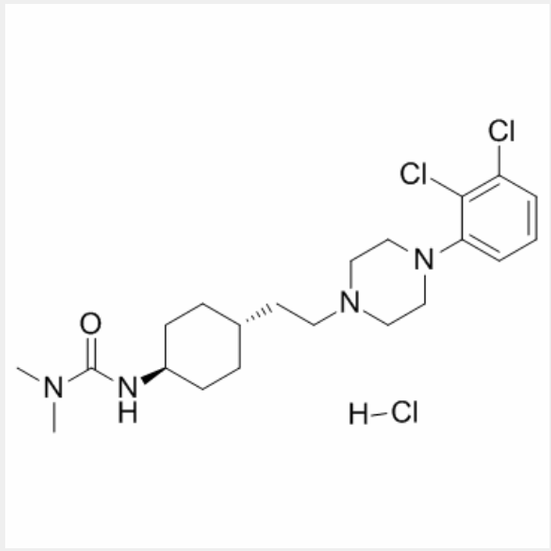

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