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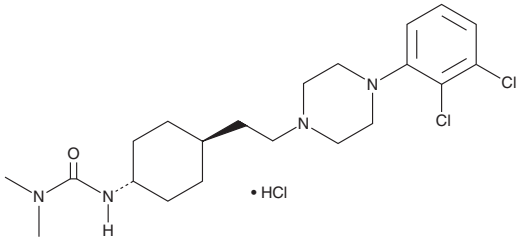
PRODUCT INFORMATION

Cariprazine (hydrochloride)

Item No. 24025

CAS Registry No.: 1083076-69-0
Formal Name: N'-[*trans*-4-[2-[4-(2,3-dichlorophenyl)-1-piperazinyl]ethyl]cyclohexyl]-N,N-dimethyl-urea, monohydrochloride
Synonym: RGH-188
MF: $C_{21}H_{32}Cl_2N_4O \bullet HCl$
FW: 463.9
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 249 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Cariprazine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the cariprazine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cariprazine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of cariprazine (hydrochloride) in these solvents is approximately 5, 1, and 0.5 mg/ml, respectively.

Cariprazine (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cariprazine (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Cariprazine (hydrochloride) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cariprazine is an atypical antipsychotic.[1] It binds to dopamine D_{2L}, D_{2S}, and D_3 receptors, the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{2A}, and 5-HT_{2B}, and histamine H_1 and sigma-1 (σ_1) receptors (K_is = 0.085-23.44 nM).[2] Cariprazine is an antagonist of dopamine D_2 and D_3 receptors (K_bs = 0.759 and 0.316 nM, respectively, in dopamine-induced [^{35}S]GTPγS binding assays). It is also a partial agonist at these receptors, stimulating inositol phosphate production in murine A9 cells expressing human D_{2L} receptors (EC_{50} = 3.16 nM) and inhibiting forskolin-induced cAMP accumulation in CHO cells expressing human D_3 receptors (EC_{50} = 2.63 nM). Cariprazine inhibits amphetamine-induced hyperactivity and the conditioned avoidance response in rats (ED_{50}s = 0.12 and 0.84 mg/kg, respectively).[3] It also inhibits scopolamine-induced learning deficits in a water labyrinth learning test in rats when administered at doses ranging from 0.02 to 0.08 mg/kg. Formulations containing cariprazine have been used in the treatment of schizophrenia, as well as manic, depressive, or mixed episodes associated with bipolar I disorder.

References

1. Mészáros, G.P., Agai-Csongor, E., and Kapás, M. *J. Pharm. Biomed. Anal.* **48(2)**, 388-397 (2008).
2. Kiss, B., Horváth, A., Némethy, Z., *et al. J. Pharmacol. Exp. Ther.* **333(1)**, 328-340 (2010).
3. Gyertyán, I., Kiss, B., Sághy, K., *et al. Neurochem. Int.* **59(6)**, 925-935 (2011).

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