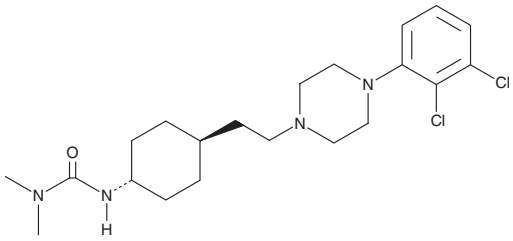
PRODUCT INFORMATION

Cariprazine

Item No. 31446

CAS Registry No.:	839712-12-8
Formal Name:	N′-[*trans*-4-[2-[4-(2,3-dichlorophenyl)-1-piperazinyl]ethyl]cyclohexyl]-N,N-dimethyl-urea
Synonym:	RGH-188
MF:	$C_{21}H_{32}Cl_2N_4O$
FW:	427.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 219, 258 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the cariprazine in the solvent of choice, which should be purged with an inert gas. Cariprazine is soluble in the organic solvent chloroform at a concentration of 10 mg/ml.

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. Sensitive LC-MS/MS methods for the quantification of RGH-188 and its active metabolites, desmethyl- and didesmethyl-RGH-188 in human plasma and urine. *J. Pharm. Biomed. Anal.* **48(2)**, 388-397 (2008).
2. Kiss, B., Horváth, A., Némethy, Z., *et al.* Cariprazine (RGH-188), a dopamine D3 receptor-preferring, D3/D2 dopamine receptor antagonist-partial agonist antipsychotic candidate: In vitro and neurochemical profile. *J. Pharmacol. Exp. Ther.* **333(1)**, 328-340 (2010).
3. Gyertyán, I., Kiss, B., Sághy, K., *et al.* Cariprazine (RGH-188), a potent D_3/D_2 dopamine receptor partial agonist, binds to dopamine D_3 receptors *in vivo* and shows antipsychotic-like and procognitive effects in rodents. *Neurochem. Int.* **59(6)**, 925-935 (2011).

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