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: C₂₁H₃₂Cl₂N₄O • HCl
FW: 463.9
Purity: ≥98%
UV/Vis.: λmax: 218, 252 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 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. Cariprazine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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 a dopamine D₃ and D₂ receptor antagonist and partial agonist. It binds to D₃ as well as D₂S, D₂L, serotonin 5-HT₂B, and 5-HT₁A receptors with Ki values of 0.085, 0.7, 0.5, 0.6, and 2.6 nM, respectively, in CHO cells expressing human recombinant receptors. It acts as an antagonist of D₃ and D₂ receptors in CHO cells and mouse A9 cells, respectively, expressing the human receptors, inhibiting forskolin-induced cAMP accumulation with respective Ki values of 0.26 and 0.6 nM. In the same cell lines, cariprazine acts as a partial agonist in comparison to the D₃/D₂ receptor agonist quinpirole with EC₅₀ values of 2.63 and 3.16 nM for CHO-D₃ and mouse A9-D₂ receptor-expressing cells, respectively. In vivo, pretreatment with cariprazine (0.005 to 0.02 mg/kg) prevents disruptions in social recognition, spatial working memory, and extradimensional attention set-shifting induced by phencyclidine (Item No. 14276) in wild-type but not D₃ receptor knockout mice. Formulations containing cariprazine have been used as antipsychotics in the treatment of schizophrenia and bipolar disorder.

References