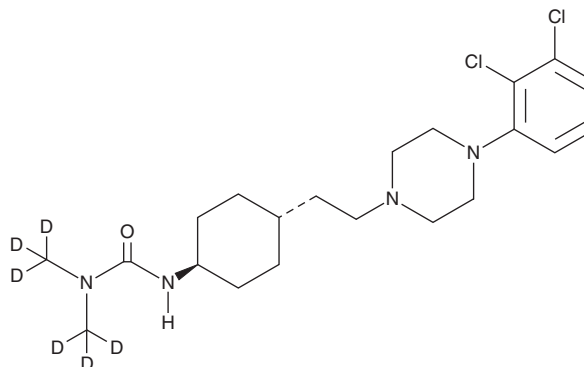


PRODUCT INFORMATION



Cariprazine-d₆ Item No. 29449

CAS Registry No.: 1308278-67-2
Formal Name: N'-[*trans*-4-[2-[4-(2,3-dichlorophenyl)-1-piperazinyl]ethyl]cyclohexyl]-N,N-di(methyl-d₃)-urea
MF: C₂₁H₂₆D₆Cl₂N₄O
FW: 433.5
Chemical Purity: ≥98% (Cariprazine)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀
Supplied as: A 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-d₆ is intended for use as an internal standard for the quantification of cariprazine (Item No. 24025) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Cariprazine-d₆ is supplied as a solid. A stock solution may be made by dissolving the cariprazine-d₆ in the solvent of choice, which should be purged with an inert gas. Cariprazine-d₆ is soluble in the organic solvent DMSO.

Description

Cariprazine is an atypical antipsychotic.¹ It binds to dopamine D_{2L}, D_{2S}, and D₃ receptors, the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{2A}, and 5-HT_{2B}, and histamine H₁ and sigma-1 (σ₁) receptors (K_is = 0.085-23.44 nM),² Cariprazine is an antagonist of dopamine D₂ and D₃ receptors (K_bs = 0.759 and 0.316 nM, respectively, in dopamine-induced [³⁵S]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 (EC₅₀ = 3.16 nM) and inhibiting forskolin-induced cAMP accumulation in CHO cells expressing human D₃ receptors (EC₅₀ = 2.63 nM). Cariprazine inhibits amphetamine-induced hyperactivity and the conditioned avoidance response in rats (ED₅₀s = 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., Sággy, K., *et al.* Cariprazine (RGH-188), a potent D₃/D₂ dopamine receptor partial agonist, binds to dopamine D₃ receptors *in vivo* and shows antipsychotic-like and procognitive effects in rodents. *Neurochem. Int.* **59**(6), 925-935 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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