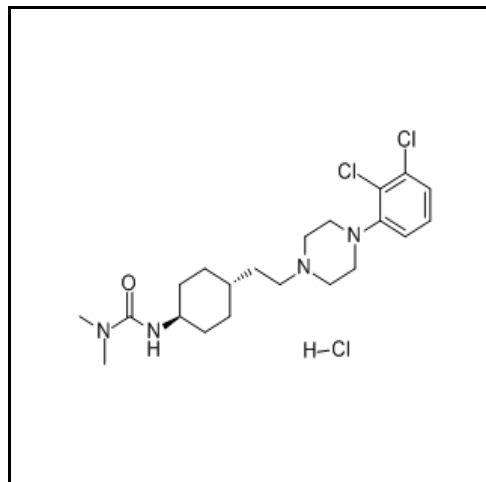


Product Data Sheet

Product Name:	Cariprazine hydrochloride
CAS No.:	1083076-69-0
Cat. No.:	HY-14763A
MWt:	463.87
Formula:	C ₂₁ H ₃₃ Cl ₃ N ₄ O
Purity :	>98%
Solubility:	25°C: DMSO



Mechanisms: Pathways:Neuronal Signaling; Target:Dopamine Receptor
 Pathways:GPCR/G protein; Target:Dopamine Receptor

Biological Activity:

Description:

IC₅₀ Value: 0.5 and 0.09 nM (K_i for D₂ and D₃ receptor respectively); 2.6 and 180 nM(K_i for 5-HT_{1A} and 5-HT_{2A} receptor respectively) [1]

Cariprazine is a novel antipsychotic drug candidate that exhibits high selectivity and affinity to dopamine D₃ and D₂ receptors and moderate affinity to serotonin 5-HT_{1A} receptors.

in vitro: Cariprazine had lower affinity at human and rat hippocampal 5-HT_{1A} receptors (pK_i 8.59 and 8.34, respectively) and demonstrated low intrinsic efficacy. Cariprazine displayed low affinity at human 5-HT_{2A} receptors (pK_i 7.73). Moderate or low affinity for histamine H₁ 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].

in vivo: Doses \geq 1.5 mg/d yielded 69 - 75% D₂/D₃ receptor occupancy as measured in positron emission t...

References:

- [1]. Seneca N, et al. Occupancy of dopamine D₂ and D₃ and serotonin 5-HT_{1A} receptors by the novel antipsychotic drug candidate, cariprazine (RGH-188), in monkey brain measured using positron emission tomography. *Psychopharmacology (Berl)*. 2011 Dec;218(3):579-87.
- [2]. Kiss B, 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*. 2010 Apr;333(1):328-40.
- [3]. Citrome L. Cariprazine : chemistry, pharmacodynamics, pharmacokinetics, and metabolism, clinical efficacy, safety, and tolerability. *Expert Opin Drug Metab Toxicol*. 2013 Feb;9(2):193-206.
- [4]. Román V, et al. Cariprazine (RGH-188), a D₂-preferring dopamine D₂/D₃ receptor partial agonist antipsychotic candidate demonstrates anti-abuse potential in rats. *Psy...*

Caution: Not fully tested. For research purposes only

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