Cariprazine Publications 2006-2019

Non-clinical

**Chemistry**

**Physico-chemical characterization of a novel group of dopamine D3/D2 receptor ligands, potential atypical antipsychotic agents**


**Discovery of cariprazine (RGH-188): A novel antipsychotic acting on dopamine D3/D2 receptors**


**Neurochemistry**

**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**: 328-340, 2010

**Occupancy of dopamine D2 and D3 and serotonin 5-HT1A receptors by the novel antipsychotic drug candidate, cariprazine (RGH-188), in monkey brain measured using positron emission tomography**


*Psychopharmacology* **218**: 579-587, 2011

**In vitro and in vivo comparison of [3H](+)-PHNO and [3H]-raclopride binding to rat striatum and lobes 9 and 10 of the cerebellum: A method to distinguish dopamine D3 from D2 receptor sites**

Kiss B, Horti F, Bobok A

*Synapse* **65**: 467-478, 2011

**Brain uptake and distribution of the dopamine D3/D2 receptor partial agonist [11C]-cariprazine: An in vivo positron emission tomography study in non-human primates**


*Synapse* **67**: 258-264, 2013

**Long-Term Effects of Cariprazine Exposure on Dopamine Receptor Subtypes**

Choi YK, Adham N, Kiss B, Gyertyán I, Tarazi FI

*CNS Spectrums* **19**: 268-277, 2014

**Long-term effects of aripiprazole exposure on monoaminergic and glutamatergic receptor subtypes: comparison with cariprazine** [Open access]

Choi YK, Adham N, Kiss B, Gyertyán I, Tarazi FI

*CNS Spectrums, 22*: 484-494, 2017

**Effects of cariprazine on extracellular levels of glutamate, GABA, dopamine, noradrenaline and serotonin in the medial prefrontal cortex in the rat phencyclidine model of schizophrenia studied by microdialysis and simultaneous recordings of locomotor activity** [Open access]

Kehr J, Yoshitake T, Ichinose F, Yoshitake S, Kiss B, Gyertyán I, Adham N
Involvement of 5-HT1A and 5-HT2A receptors but not α2-adrenoceptors in the acute electrophysiological effects of cariprazine in the rat brain in vivo
Herman A, El Mansari M, Adham N, Kiss B, Farkas B, Blier P
Mol Pharmacol, Published on October 15, 2018 as DOI: 10.1124/mol.118.113290

Pharmacology
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
Neurochemistry International 59: 925-935, 2011

Cariprazine, a dopamine D3-receptor-prefering partial agonist, block phenycyclidine-induced impairments of working memory, attention set shifting, and recognition memory in the mouse.
Zimnisky R, Chang G, Gyertyán I, Kiss B, Adham N, Schmauss C
Psychopharmacology 226: 91-100, 2013

Cariprazine (RGH-188), a D3-preferring dopamine D3/D2 receptor partial agonist antipsychotic candidate demonstrates anti-abuse potential in rats
Román V, Gyertyán I, Sághy K, Kiss B, Szombathelyi Z

Attenuation of anhedonia by cariprazine in the chronic mild stress model of depression
Papp M, Gruca P, Lason-Tyburkiewicz M, Adham N, Kiss B, Gyertyán I
Behav Pharmacol 25: 567-574, 2014

Cariprazine exerts antimanic properties and interferes with dopamine D2 receptor β-arrestin interactions
Gao Y, Peterson S, Masri B, Hougland MT, Adham N, Gyertyán I, Kiss B, Caron MG and El-Mallakh RS
Pharma Res Per 3: e00073, 1-10, 2014

Cariprazine delays ouabain-evoked epileptiform spikes and loss of activity in rat hippocampal slices
El-Mallakh RS, Payne RS, Schurr A, Gao Y, Lei Z, Kiss B, Gyertyán I, Adham N

Effects of cariprazine, a novel antipsychotic, on cognitive deficit and negative symptoms in a rodent model of schizophrenia symptomatology
Neill JC, Grayson B, Kiss B, Gyertyán I, Ferguson P, Adham N
Eur Neuropsychopharmacol 26: 3-14, 2016

The dopamine D3-preferring D2/D3 dopamine receptor partial agonist, cariprazine, reverses behavioral changes in a rat neuro-developmental model for schizophrenia
Watson DJG, King MV, Gyertyán I, Kiss B, Adham N, Fone KC
Eur Neuropsychopharmacol 26: 208-224, 2016

Cariprazine exhibits anxiolytic and dopamine D3 receptor-dependent antidepressant effects in the chronic stress model
Duric V, Banasr M, Franklin T, Lepack A, Adham N, Kiss B, Gyertyán I, Duman RS
Int J Neuropsychopharmacol 20: 788-796, 2017
The effects of cariprazine and aripiprazole on PCP-induced deficits on attention assessed in the 5-choice serial reaction time task. Barnes S, Young J, Markou A, Adham N, Gyertyán I, Kiss B. *Psychopharmacology* **235**: 1403-1414, 2018

The novel atypical antipsychotic cariprazine demonstrates dopamine D\textsubscript{2} receptor-dependent partial agonist actions on rat mesencephalic dopamine neuronal activity. Delcourte S, Ashby CR, Rovera R, Kiss B, Adham N, Farkas B, Haddjeri N. *CNS Neurosci. Ther.* **24**: 1129-1139, 2018

**Pharmacokinetic**


**Clinical**

**Schizophrenia**


Cariprazine publications 2006 – 2019_v1
Cariprazine as monotherapy for the treatment of predominant negative symptoms in patients with schizophrenia: A randomized, double-blind, active-comparator controlled trial Open access at Richter website
Lancet 389: 1103-1113, 2017

Evaluation of the long-term safety and tolerability of cariprazine in patients with schizophrenia: results from a 1-year open-label study Open access
CNS Spectrums 23: 39-50, 2018

Safety and tolerability of cariprazine in the long-term treatment of schizophrenia: Results from a 48-week, open-label extension study Open access
Durgam S, Greenberg WM, Li D, Lu K, Laszlovszky I, Németh G, Migliore R, Volk S
Psychopharmacology 234: 199-209, 2017

Safety and tolerability of cariprazine in patients with acute exacerbation of schizophrenia: a pooled analysis of four phase II/III randomized, double-blind, placebo-controlled studies Open access
Earley W, Durgam S, Lu K, Laszlovszky I, Debelle M, Kane JM
Int Clin Psychopharmacol 32: 319-328, 2017

The safety and tolerability of cariprazine in long-term treatment of schizophrenia: A post hoc pooled analysis Open access
BMC Psychiatry 17: 305, 2017

Negative Symptoms of Schizophrenia: Constructs, Burden, and Management Open access
Barabássy A, Szatmári B, Laszlovszky I, Németh G
Psychotic Disorders: An Update; Edited by Federico Durbano, IntechOpen, pp. 43-62, 2018
ISBN 978-953-51-5976-6; http://dx.doi.org/10.5772/intechopen.73300

Efficacy of cariprazine on negative symptoms in patients with acute schizophrenia: A post hoc analysis of pooled data Open access
Schizophr Res 2018, in press; https://doi.org/10.1016/j.schres.2018.08.020

Long-term remission with cariprazine treatment in patients with schizophrenia: A post hoc analysis of a randomized, double-blind, placebo-controlled, relapse prevention trial Open access
Correll CU, Potkin SG, Zhong Y, Harsányi J, Szatmári B, Earley W
J Clin Psychiatry 80: 18m12495, 2019

Efficacy of cariprazine across symptom domains in patients with acute exacerbation of schizophrenia: Pooled analyses from 3 phase II/III studies Open access
Eur Neuropsychopharmacol, epub, 2018

Mania
The efficacy and tolerability of cariprazine in acute mania associated with bipolar I disorder: a phase II trial
Bipolar Disord 17: 63-75, 2015

Cariprazine in the treatment of acute mania in bipolar I disorder: A double-blind, placebo controlled, phase III trial

Efficacy and safety of low- and high-dose cariprazine in patients with acute and mixed mania associated with bipolar I disorder

Effect of cariprazine across the symptoms of mania in bipolar I disorder: Analyses of pooled data from phase II/III trials
Vieta E, Durgam S, Lu K, Ruth A, Debellé M, Zukin S

Tolerability of cariprazine in the treatment of acute bipolar I mania: A pooled post hoc analysis of 3 phase II/III studies
Earley W, Durgam S, Lu K, Debellé M, Laszlovszky I, Vieta E, Yatham LN
J Affect Disord 215: 205-212, 2017

The safety and tolerability of cariprazine in patients with bipolar I disorder: A 16-week open-label study
J Affect Disord 225: 350-356, 2018

Clinically relevant response and remission outcomes in cariprazine-treated patients with bipolar I disorder
J Affect Disord 226: 239-244, 2018

Schizophrenia & Mania
Global improvement with cariprazine in the treatment of bipolar I disorder and schizophrenia: a pooled post hoc analysis
Int J Clin Pract e13037, 2017

Bipolar Depression
An 8-week randomized, double-blind, placebo-controlled evaluation of the safety and efficacy of cariprazine in patients with bipolar I depression

Major Depression add-on
Efficacy and safety of adjunctive cariprazine in inadequate responders to antidepressants: A randomized, double-blind, placebo-controlled study in adult MDD patients
Open access
Efficacy of adjunctive low-dose cariprazine in major depressive disorder: A randomized, double-blind, placebo-controlled trial
Fava M, Durgam S, Earley W, Lu K, Hayes R, Laszlovsky I, Németh G
Int Clin Psychopharmacol 33: 312-321, 2018

Cariprazine augmentation to antidepressant therapy in major depressive disorder: Results of a randomized, double-blind, placebo-controlled trial
Earley W, Guo H, Németh G, Harsányi J, Thase M
Psychopharmacology Bulletin 48: 62-80, 2018

Long-term safety and tolerability of cariprazine as adjunctive therapy in major depressive disorder
Vieta E, Earley WR, Burgess MV, Durgam S, Chen C, Zhong Y, Barabássy Á, Németh G
Int Clin Psychopharmacol, epub, 2018

Human Pharmacokinetic
Preferential binding to dopamine D3 over D2 receptors by cariprazine in patients with schizophrenia using PET with the D3/D2 receptor ligand [11C]-(+)-PHNO
Psychopharmacology 233: 3503-3512, 2016

Clinical pharmacology study of cariprazine (MP-214) in patients with schizophrenia (12-week treatment)

Health Technology Assessment (HTA)
Quality-adjusted life year difference in patients with predominant negative symptoms of schizophrenia treated with cariprazine and risperidone

General
Cariprazine – a milestone of the Hungarian drug research and unique possibility for the treatment of predominant negative symptoms of patients with schizophrenia.
A new chemical entity, developed by Gedeon Richter Plc. in Hungary received market authorization approval from FDA in schizophrenia and bipolar mania indications (Published in Hungarian with English abstract)
Laszlovsky I, Németh G
Gyógyszerészet 59: 643-646, 2015