Cariprazine Publications 2006-2018

Non-clinical

Chemistry
Physico-chemical characterization of a novel group of dopamine D3/D2 receptor ligands, potential atypical antipsychotic agents
Deák K, Takács-Novák K, Kapás M, Vastag M, Tihanyi K, Noszál B

Discovery of cariprazine (RGH-188): A novel antipsychotic acting on dopamine D3/D2 receptors
*Bioorg Med Chem Lett, **22**: 3437-3440, 2012

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

*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
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
Kehr J, Yoshitake T, Ichinose F, Yoshitake S, Kiss B, Gyertyán I, Adham N
Psychopharmacology, published online 11 April 2018

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-preferrin 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-prefering 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 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-prefering 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, published online 22 February 2018

Pharmacokinetic

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
Pásztor Mészáros G, Ágai-Csongor É, Kapás M
Clinical

**Schizophrenia**

An evaluation of the safety and efficacy of cariprazine in patients with acute exacerbation of schizophrenia: A phase II, randomized clinical trial


*Schizophr Res* **152**: 450-457, 2014

Cariprazine in acute exacerbation of schizophrenia: A fixed-dose, phase 3, randomized, double-blind, placebo- and active-controlled trial


*J Clin Psychiatry* **76**: e1574-e1582, 2015

Efficacy and safety of cariprazine in acute exacerbation of schizophrenia: Results from an international, phase III clinical trial


*J Clin Psychopharmacol* **35**: e1574-e1582, 2015

Cariprazine in the treatment of schizophrenia: A proof-of-concept trial


Long-term cariprazine treatment for the prevention of relapse in patients with schizophrenia: A randomized, double-blind, placebo-controlled trial

Durgam S, Earley W, Li R, Li D, Lu K, Laszlovszky I, Fleischhacker WW, Nasrallah HA

*Schizophr Res* **176**: 264-271, 2016

The effect of cariprazine on hostility associated with schizophrenia

Citrome L, Durgam S, Lu K, Ferguson P, Laszlovszky I


Cariprazine as monotherapy for the treatment of predominant negative symptoms in patients with schizophrenia: A randomized, double-blind, active-comparator controlled trial


*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


*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

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
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
*BMC Psychiatry* **17**: 305, 2017

**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, Delelle 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, Debelle 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

Cariprazine publications 2006 – 2018_v3
**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

**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)
Laszlovszky I, Németh G
*Gyógyszerészet* **59**: 643-646, 2015