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

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

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

Cariprazine publications 2006 – 2018 v1

*Neurochemistry International* **59**: 925-935, 2011

**Cariprazine, a dopamine D3-receptor-preferrin partial agonist, block phencyclidine-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

*Psychopharmacology* **226**: 285-293, 2013

**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

*Psychiatry Res* **229**: 370-373, 2015

**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

**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


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, Debelle 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, Laszlovzky 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, 2017

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**


**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