

Product Name : Basimglurant

Synonyms : RG7090

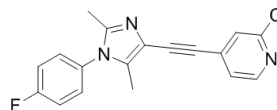
Cat No. : M22362

CAS Number : 802906-73-6

Molecular Formula : C₁₈H₁₃ClFN₃

Formula Weight : 325.77

Chemical Name : —



Description

Basimglurant is a potent, selective and orally available modulator of mGlu5 negative allosteric (K_d of 1.1 nM). In competition binding experiments on human recombinant mGlu5, Basimglurant (RG7090) fully displaces [3H]-MPEP with a K_i of 35.6 nM and [3H]-ABP688 with a K_i of 1.4 nM. In HEK293 cells stably expressing human mGlu5, Basimglurant (RG7090) inhibits quisqualate induced Ca²⁺ mobilization with an IC₅₀ of 7.0 nM and [3H]-inositolphosphate accumulation (IC₅₀ of 5.9 nM). Basimglurant shows similar potencies in radioligand binding and functional assay on human and rodent mGlu5 receptor orthologues[1]. Basimglurant is selective and safe inhibitor of mGlu5 with good oral bioavailability and long half-life supportive of once-daily administration, good brain penetration, and high in vivo potency. Basimglurant has antidepressant properties which are corroborated by its functional magnetic imaging (fMRI) profile, as well as anxiolytic-like and antinociceptive features.

Pathway : Neuroscience

Target : GluR

Receptor : mGlu5

Solubility : DMSO:33.33 mg/mL (102.31 mM)

SMILES : CC1=C(C#CC2=CC(Cl)=NC=C2)N=C(C)N1C3=CC=C(F)C=C3

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Lindemann L, et al. Pharmacology of basimglurant (RO4917523, RG7090), a unique metabotropic glutamate receptor 5 negative allosteric modulator in clinical development for depression. J Pharmacol Exp Ther. 2015 Apr;353(1):213-33.