

Basimglurant

Catalog No: tcsc3388



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

802906-73-6

Formula:

$C_{18}H_{13}ClFN_3$

Pathway:

GPCR/G Protein

Target:

mGluR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 33.33 mg/mL (102.31 mM); H₂O :

Alternative Names:

RG7090;CTEP Derivative

Observed Molecular Weight:

325.77

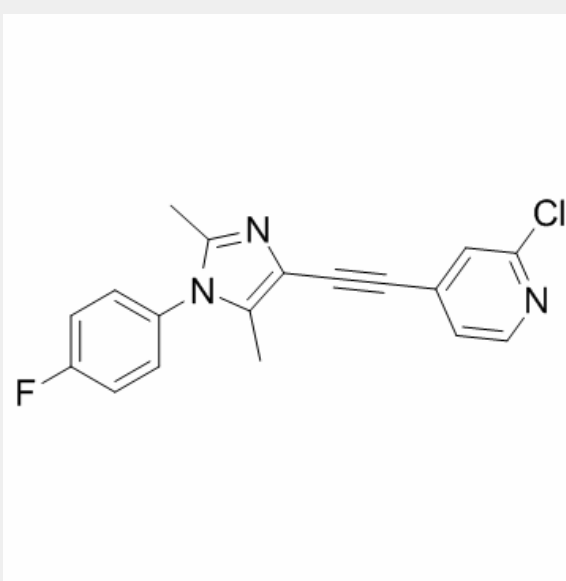
Product Description

Basimglurant (RG7090) is a potent, selective and orally available **mGlu5** negative allosteric modulator with a **K_d** of 1.1 nM.

IC₅₀ & Target: K_d: 1.1 nM (mGlu5)^[1]

In Vitro: [³H]-basimglurant saturation analysis on recombinant human mGlu5 reveals monophasic saturation isotherms with 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 with an IC₅₀ of 5.9 nM. Basimglurant (RG7090) shows similar potencies in radioligand binding and functional assay on human and rodent mGlu5 receptor orthologues^[1].

In Vivo: Basimglurant (RG7090) is a potent, selective, and safe mGlu5 inhibitor with good oral bioavailability and long half-life supportive of once-daily administration, good brain penetration, and high *in vivo* potency. It has antidepressant properties which are corroborated by its functional magnetic imaging (fMRI) profile, as well as anxiolytic-like and antinociceptive features^[1]. It is currently in phase II clinical studies for the treatment of depression and fragile X syndrome. In the Vogel conflict drinking test, Basimglurant dose dependently increases the drinking time. The total plasma exposure of efficacious doses of Basimglurant (RG7090) ranges from 5 ng/mL (0.03 mg/kg) to 37 ng/mL (0.3 mg/kg)^[2].



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