

Catalog Number: CM05099

产品信息

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CM05099

CAS号:
802906-73-6

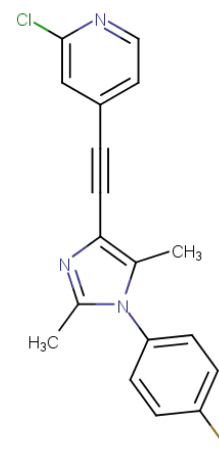
分子式:
 $C_{18}H_{13}ClFN_3$

主要靶点:
GluR

主要通路:
神经科学

分子量:
325.77

溶解度:
DMSO:33.33 mg/mL (102.31 mM)



靶点活性

mGlu5 Receptor:1.1 nM (Kd)

体外活性

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 Ca^{2+} 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].

体内活性

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[1].

描述

Basimglurant is a potent, selective and orally available modulator of mGlu5 negative allosteric(Kd of 1.1 nM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years