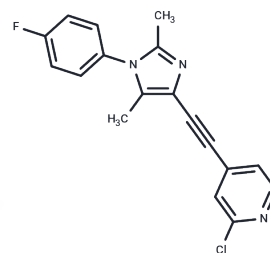


Basimglurant

Chemical Properties

CAS No. :	802906-73-6
Formula:	C ₁₈ H ₁₃ ClFN ₃
Molecular Weight:	325.77
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Basimglurant (CTEP Derivative) is a potent, selective and orally available modulator of mGlu5 negative allosteric(Kd of 1.1 nM).
Targets(IC50)	GluR
In vitro	In competition binding experiments on human recombinant mGlu5, Basimglurant (RG7090) fully displaces [3H]-MPEP with a Ki of 35.6 nM and [3H]-ABP688 with a Ki of 1.4 nM. In HEK293 cells stably expressing human mGlu5, Basimglurant (RG7090) inhibits quisqualate induced Ca ²⁺ mobilization with an IC ₅₀ of 7.0 nM and [3H]-inositolphosphate accumulation (IC ₅₀ of 5.9 nM). Basimglurant shows similar potencies in radioligand binding and functional assay on human and rodent mGlu5 receptor orthologues[1].
In vivo	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].

Solubility Information

Solubility	DMSO: 60 mg/mL (184.18 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0697 mL	15.3483 mL	30.6965 mL
5 mM	0.6139 mL	3.0697 mL	6.1393 mL
10 mM	0.307 mL	1.5348 mL	3.0697 mL
50 mM	0.0614 mL	0.307 mL	0.6139 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.

Jaeschke G, et al. Metabotropic glutamate receptor 5 negative allosteric modulators: discovery of 2-chloro-4-[1-(4-fluorophenyl)-2,5-dimethyl-1H-imidazol-4-ylethynyl]pyridine (basimglurant, RO4917523), a promising novel medicine for psychiatric diseases.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only. Not for Human or Veterinary or Therapeutic Use

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