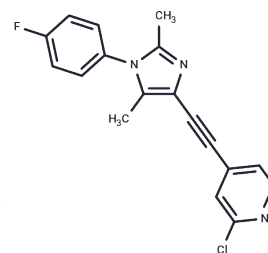


## Basimglurant

## Chemical Properties

CAS No. :	802906-73-6
Formula:	C <sub>18</sub> H <sub>13</sub> ClFN <sub>3</sub>
Molecular Weight:	325.77
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## 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 <sup>2+</sup> mobilization with an IC <sub>50</sub> of 7.0 nM and [3H]-inositolphosphate accumulation (IC <sub>50</sub> 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)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.14 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	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

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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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### 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.

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