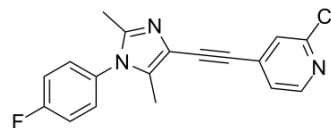


## Basimglurant

Cat. No.:	HY-15446		
CAS No.:	802906-73-6		
Molecular Formula:	C <sub>18</sub> H <sub>13</sub> ClFN <sub>3</sub>		
Molecular Weight:	325.77		
Target:	mGluR		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 33.33 mg/mL (102.31 mM)  
H<sub>2</sub>O : < 0.1 mg/mL (insoluble)  
\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.0697 mL	15.3483 mL	30.6965 mL
	5 mM	0.6139 mL	3.0697 mL	6.1393 mL
	10 mM	0.3070 mL	1.5348 mL	3.0697 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (7.67 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: 2.5 mg/mL (7.67 mM); Suspended solution; Need ultrasonic and warming
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (7.67 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Basimglurant (RG7090) is a potent, selective and orally available mGlu5 negative allosteric modulator with a K<sub>d</sub> of 1.1 nM<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

mGlu5 Receptor  
1.1 nM (K<sub>d</sub>)

<b>In Vitro</b>	<p>[<sup>3</sup>H]-basimglurant saturation analysis on recombinant human mGlu5 reveals monophasic saturation isotherms with K<sub>d</sub> of 1.1 nM. In competition binding experiments on human recombinant mGlu5, Basimglurant (RG7090) fully displaces [<sup>3</sup>H]-MPEP with a K<sub>i</sub> of 35.6 nM and [<sup>3</sup>H]-ABP688 with a K<sub>i</sub> 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 [<sup>3</sup>H]-inositolphosphate accumulation with an IC<sub>50</sub> of 5.9 nM. Basimglurant (RG7090) shows similar potencies in radioligand binding and functional assay on human and rodent mGlu5 receptor orthologues<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>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<sup>[1]</sup>. 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)<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

### Animal Administration <sup>[1]</sup>

Rats: For intravenous PK, Basimglurant (RG7090) is formulated in N-methyl-pyrrolidone (NMP)/saline (30%/70%) as vehicle and administered at a volume of 2 mL/kg. For oral gavage (p.o.) the compound is administered as suspension using gelatine/saline (7.5%/0.62% in water) at an administration volume of 4 mL/kg<sup>[1]</sup>.

Monkey: For intravenous PK, Basimglurant (RG7090) is formulated in cyclodextrin solution as vehicle and administered at a volume of 2 mL/kg. For oral gavage (p.o.), the compound is administered in capsule (2 mg in size-2 capsules, i.e. ~0.3 mg/kg) to fasted or fed monkeys in a cross-over design<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- ACS Chem Neurosci. 2019 Nov 20;10(11):4558-4570.

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## REFERENCES

[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.

[2]. 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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