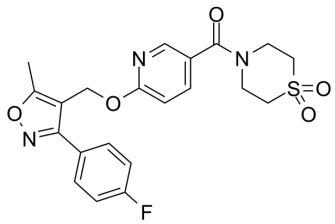
Basmisanil

Cat. No.:	HY-16716
CAS No.:	1159600-41-5
Molecular Formula:	$C_{21}H_{20}FN_3O_5S$
Molecular Weight:	445.46
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (224.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2449 mL	11.2244 mL	22.4487 mL
	5 mM	0.4490 mL	2.2449 mL	4.4897 mL
	10 mM	0.2245 mL	1.1224 mL	2.2449 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Basmisanil (RG1662) is a highly selective orally active α subunit-containing GABAA receptors (GABAAα5) negative allosteric modulator (NAMs). Basmisanil can inhibit GABAA-α5 with a K_i value of 5 nM and IC_{50} value of 8 nM, respectively. Basmisanil can be used for the research of multiple cognitive and psychiatric disorders[1].

IC_{50} & Target

IC50: 8 nM (GABAAα5)
Ki: 5 nM (GABAAα5); 1031 nM (GABAAα1); 458 nM (GABAAα2); 510 nM (GABAAα3)

In Vitro

Basmisanil (0.1 nM-100 μM) has high affinity for bounding to recombinant human GABAA-α5 receptors with a K_i value of 5 nM and more than 90-fold selectivity versus α1 (K_i = 1031 nM), α2 (K_i = 458 nM), and α3 (K_i = 510 nM) subunit-containing receptors[1].

Basmisanil (1 nM-1 μM) shows a highly selective inhibition of GABAA-α5 with a IC_{50} value of 8 nM[1].

Basmisanil (1 μM) inhibits GABA-induced currents at GABAA-α5 yet had little or no effect at the other receptor subtypes[1].

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

In Vivo

Basmisanil (3-100 mg/kg, p.o.) occupies GABAA-α receptor in dose-dependent in rat brain[1].

Basmisanil (3-600 mg/kg p.o.) improves cognition in rats and non.human primates and not show anxiogenic or proconvulsant effects[1].

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

Animal Model:	Sprague Dawley rats[1] (180 g; female)
Dosage:	3-100 mg/kg
Administration:	p.o.
Result:	Decreased the binding of [^{3}H]-Ro 15-4513 in a dose-dependent manner. Reduced specific binding in the hippocampus by 70% at the highest dose (100 mg/kg).

Animal Model:	Lister Hooded rats, Wistar rats and F-344 Fischer rats [1] (Lister Hooded rats: 220-250 g; male) (Wistar rats: 200-220 g; male and female) (F-344 Fischer rats: 170-180 g; male)
Dosage:	3-600 mg/kg
Administration:	p.o.
Result:	Significantly attenuated the diazepam-induced deficit. Showed plasma concentrations in dose- and time-dependent manner and reached a maximal level of 903 ng/mL (379 nM free plasma) 30 min after the administration at 10 mg/kg.

Animal Model:	Male cynomolgus macaques[1] (Macaca fascicularis; 7-10 kg)
Dosage:	1-600 mg/kg
Administration:	p.o.
Result:	Significantly improved the percentage of correct first reaches during difficult trials of the object retrieval task at the 3 and 10 mg/kg doses. Exhibited an inverted U-shaped dose response in this paradigm with the 1 and 30 mg/kg doses producing no marked improvement on performance. Increased the total plasma exposure in dose-dependent.

CUSTOMER VALIDATION

- Neuropharmacology. 2019 May 1;149:161-168.

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REFERENCES

[1]. Joerg F Hipp, et al. Basmisanil, a highly selective GABA A-α5 negative allosteric modulator: preclinical pharmacology and demonstration of functional target engagement in man. Sci Rep. 2021 Apr 8;11(1):7700.

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