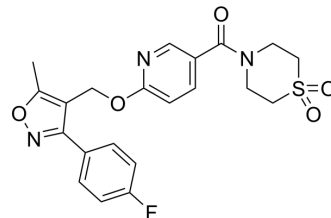


Basmisanil

Cat. No.:	HY-16716		
CAS No.:	1159600-41-5		
Molecular Formula:	C ₂₁ H ₂₀ FN ₃ O ₅ S		
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)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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] .
IC₅₀ & Target	IC ₅₀ : 8 nM (GABAAα5) Ki: 5 nM (GABAAα5); 1031 nM (GABAAα1); 458 nM (GABAAα2); 510 nM (GABAAα3)

<p>In Vitro</p>	<p>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].</p> <p>Basmisanil (1 nM-1 μM) shows a highly selective inhibition of GABAA-α5 with a IC_{50} value of 8 nM^[1].</p> <p>Basmisanil (1 μM) inhibits GABA-induced currents at GABAA-α5 yet had little or no effect at the other receptor subtypes^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																								
<p>In Vivo</p>	<p>Basmisanil (3-100 mg/kg, p.o.) occupies GABAA-α receptor in dose-dependent in rat brain^[1].</p> <p>Basmisanil (3-600 mg/kg p.o.) improves cognition in rats and non-human primates and not show anxiogenic or proconvulsant effects^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 516 1515 825"> <tr> <td>Animal Model:</td> <td>Sprague Dawley rats^[1] (180 g; female)</td> </tr> <tr> <td>Dosage:</td> <td>3-100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>p.o.</td> </tr> <tr> <td>Result:</td> <td>Decreased the binding of [³H]-Ro 15-4513 in a dose-dependent manner. Reduced specific binding in the hippocampus by 70% at the highest dose (100 mg/kg).</td> </tr> </table> <table border="1" data-bbox="347 863 1515 1310"> <tr> <td>Animal Model:</td> <td>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)</td> </tr> <tr> <td>Dosage:</td> <td>3-600 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>p.o.</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table> <table border="1" data-bbox="347 1348 1515 1759"> <tr> <td>Animal Model:</td> <td>Male cynomolgus macaques^[1] (Macaca fascicularis; 7-10 kg)</td> </tr> <tr> <td>Dosage:</td> <td>1-600 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>p.o.</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>	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.
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REFERENCES

[1]. Joerg F Hipp, et al. Basmisani, a highly selective GABA A- α 5 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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