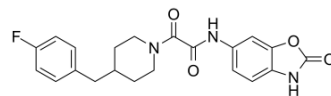


Radiprodil

Cat. No.:	HY-14777
CAS No.:	496054-87-6
Molecular Formula:	C ₂₁ H ₂₀ FN ₃ O ₄
Molecular Weight:	397.4
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the COA.



Solvent & Solubility

In Vitro	DMSO : 250 mg/mL (629.09 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions	1 mM	2.5164 mL	12.5818 mL
		5 mM	2.5164 mL	5.0327 mL
		10 mM	0.2516 mL	1.2582 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: ≥ 2.08 mg/mL (5.23 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.23 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.23 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	Radiprodil (RGH-896) is an orally active and selective NMDA NR2B antagonist. A potential therapeutic agent in treatment of neuropathic pain and possibly other chronic pain conditions ^[1] .
IC ₅₀ & Target	NMDA NR2B ^[1] .
In Vitro	Preincubation with Radiprodil (10 nM) restores long-term potentiation (LTP) in the presence of Aβ ₁₋₄₂ , 3NTyr10-Aβ and Aβ ₁₋₄₀ , but not AβpE3 ^[2] . As for LTP, Radiprodil (10 nM) reverses the synaptic toxicity of 3NTyr-AβAβ ₁₋₄₀ and Aβ ₁₋₄₂ but not that AβpE ₃₋₄₂ ^[2] .

In Vivo

Radiprodil could block NMDA currents in Mg^{2+} insensitive variants, with potencies similar to those obtained without Mg^{2+} [3].

Radiprodil's potency is higher at pH 7.0 than at pH 7.6, suggesting that radiprodil may retain its ability to block glutamate-induced NMDA currents even under acidic conditions that manifest under long term seizures^[3].

REFERENCES

[1]. Mony L, et al. Allosteric modulators of NR2B-containing NMDA receptors: molecular mechanisms and therapeutic potential. *Br J Pharmacol*. 2009 Aug;157(8):1301-17.

[2]. Rammes G, et al. The NMDA receptor antagonist Radiprodil reverses the synaptotoxic effects of different amyloid-beta ($A\beta$) species on long-term potentiation (LTP). *Neuropharmacology*. 2018 Sep 15;140:184-192.

[3]. Mullier B, et al. GRIN2B gain of function mutations are sensitive to radiprodil, a negative allosteric modulator of GluN2B-containing NMDA receptors. *Neuropharmacology*. 2017 Sep 1;123:322-331.

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

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