Radiprodil

Cat. No.: HY-14777

CAS No.: 496054-87-6

Molecular Formula: $C_{21}H_{20}FN_3O_4$

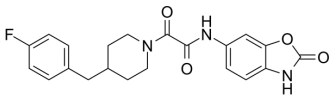
Molecular Weight: 397.4

Target: iGluR

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 : 250 mg/mL (629.09 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5164 mL	12.5818 mL	25.1636 mL
	5 mM	0.5033 mL	2.5164 mL	5.0327 mL
	10 mM	0.2516 mL	1.2582 mL	2.5164 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: ≥ 2.08 mg/mL (5.23 mM); Clear solution
2. 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
3. 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 $A\beta_{1-42}$, 3NTyr10-Aβ and $A\beta_{1-40}$, 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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 (Aβ) 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.

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