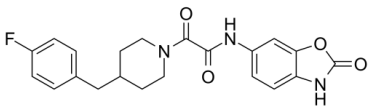
Data Sheet

Product Name:	Radiprodil
Cat. No.:	CS-0003554
CAS No.:	496054-87-6
Molecular Formula:	C21H20FN3O4
Molecular Weight:	397.40
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 250 mg/mL (629.09 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. IC50 & Target: NMDA NR2B[1]. **In Vitro:** Preincubation with Radiprodil (10 nM) restores long-term potentiation (LTP) in the presence of $A\beta_{1\text{-}42}$, 3NTyr10-Aβ and $A\beta_{1\text{-}40}$, but not AβpE3[2].
As for LTP, Radiprodil (10 nM) reverses the synaptic toxicity of 3NTyr-Aβ$A\beta_{1\text{-}40}$ and $A\beta_{1\text{-}42}$ but not that $A\beta pE_{3\text{-}42}$[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 (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.

CAIndexNames:

1-Piperidineacetamide, N-(2,3-dihydro-2-oxo-6-benzoxazolyl)-4-[(4-fluorophenyl)methyl]- -oxo-

SMILES:

O=C(NC1=CC=C2NC(OC2=C1)=O)C(N3CCC(CC4=CC=C(F)C=C4)CC3)=O

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

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