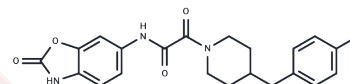


## Radiprodil

## Chemical Properties

CAS No. :	496054-87-6
Formula:	C <sub>21</sub> H <sub>20</sub> FN <sub>3</sub> O <sub>4</sub>
Molecular Weight:	397.4
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Radiprodil (RGH-896) (RGH-896) is an orally active and selective NMDA NR2B receptors antagonist. It was a potential treatment of neuropathic pain associated with diabetic peripheral neuropathy (DPNP).
Targets(IC50)	NMDAR,iGluR
In vitro	The inhibition potency of ligands toward the NR2B NMDA receptors was evaluated by measuring the Ca <sup>2+</sup> influx evocated by NMDA application (at 100 mM for 30 s) in NR1a/NR2B subunit transfected HEK cells. Radiprodil had potent inhibitory effects (69 nM) towards Ca <sup>2+</sup> influx [1]. A low concentration (10 <sup>-7</sup> nM) of the GLUN2B receptor antagonist Radiprodil restored LTP in the presence of A $\beta$ 1-42, 3NTyr10-A $\beta$ , A $\beta$ 1-40, but not A $\beta$ pE3. Radiprodil (10 <sup>-7</sup> nM) reversed the synaptic toxicity of A $\beta$ species but not that of A $\beta$ pE3 [2].
In vivo	The in vivo analgesic efficiency for 1a in the mouse formalin test was 7.7 mg/kg p.o. (ED <sub>50</sub> ) and a clinical trial is currently conducted with Radiprodil [1].

## Solubility Information

Solubility	DMSO: 220 mg/mL (553.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.58 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
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
50 mM	0.0503 mL	0.2516 mL	0.5033 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Labas R, et al. Synthesis, evaluation and metabolic studies of radiotracers containing a 4-(4-[<sup>18</sup>F]-fluorobenzyl) piperidin-1-yl moiety for the PET imaging of NR2B NMDA receptors. *Eur J Med Chem.* 2011 Jun;46(6):2295-309.  
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.

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