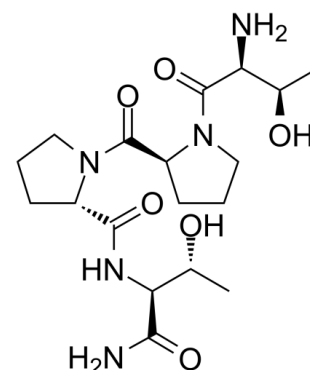


Data Sheet

Product Name:	Rapastinel
Cat. No.:	CS-5507
CAS No.:	117928-94-6
Molecular Formula:	C ₁₈ H ₃₁ N ₅ O ₆
Molecular Weight:	413.47
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : ≥ 32 mg/mL (77.39 mM)



BIOLOGICAL ACTIVITY:

Rapastinel (GLYX-13) is an N-methyl-D-aspartate receptor (NMDAR) modulator that has characteristics of a glycine site partial agonist. Target: NMDA Rapastinel is a robust cognitive enhancer and facilitates hippocampal long-term potentiation (LTP) of synaptic transmission in slices.

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] Adult male (2-3-month-old) Sprague-Dawley (SD) rats were housed in lucite cages with aspen wood chip bedding, maintained on a 12:12 light:dark cycle (lights on at 5 AM). Rapastinel was administered in 1 ml/kg 0.9% sterile saline vehicle. The dose of 3 mg/kg IV for rapastinel was chosen because it was the optimal antidepressant dose in Porsolt testing based on a previous dose-response (1-56 mg/kg IV) study. The NMDA receptor antagonist (±)-3-(2-carboxypiperazin-4-yl)propyl-1-phosphonic acid (CPP) was also administered in 1 ml/kg 0.9% sterile saline vehicle. The dose of CPP (10 mg/kg IP) was chosen based on a previous report that this dose could block the antidepressant-like effects of a putative NMDAR modulator in the Porsolt test without exhibiting behavioral effects on its own. Animals were tested 1 week post-dosing with Rapastinel (3 mg/kg IV) or 0.9% sterile saline (1 ml/kg) vehicle, or received a dose of CPP (10 mg/kg IP) 1 h before the 1 week test point. Alternatively, animals received pre-treatment with CPP (10 mg/kg IP) 1 h before rapastinel administration and were tested 1 h after Rapastinel administration. The broad spectrum NMDAR glutamate site antagonist CPP was chosen for these studies because it does not produce an antidepressant response in the Porsolt test unlike the NMDAR channel blockers like ketamine, MK-801 or the NR2B-specific antagonist Ro25-698.

References:

[1]. Burgdorf J, et al. The long-lasting antidepressant effects of rapastinel (GLYX-13) are associated with a metaplasticity process in the medial prefrontal cortex and hippocampus. Neuroscience. 2015 Nov 12;308:202-11.

CA Index Names:

L-Threoninamide, L-threonyl-L-prolyl-L-prolyl-

SMILES:

O=C(N(CCC1)[C@@H]1C(N[C@H](C(N)=O)[C@H](O)C)=O)[C@H](CCC2)N2C([C@@H](N)[C@H](O)C)=O

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

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