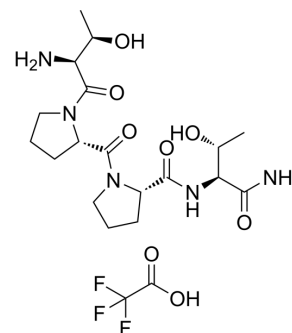


Rapastinel Trifluoroacetate

Cat. No.:	HY-16728B
CAS No.:	1435786-04-1
Molecular Formula:	C ₂₀ H ₃₂ F ₃ N ₅ O ₈
Molecular Weight:	527.49
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (236.97 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		1 mM		1.8958 mL	9.4789 mL	18.9577 mL
		5 mM		0.3792 mL	1.8958 mL	3.7915 mL
	10 mM		0.1896 mL	0.9479 mL	1.8958 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 (3.94 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	Rapastinel Trifluoroacetate (GLYX-13 Trifluoroacetate) is an NMDA receptor modulator with glycine-site partial agonist properties. Rapastinel Trifluoroacetate has the potential for major depressive disorder treatment.
IC₅₀ & Target	NMDA Receptor
In Vivo	Rapastinel Trifluoroacetate is an NMDA receptor modulator with glycine-site partial agonist properties and currently in a phase II clinical development program as an adjunctive therapy for major depressive disorder. Mice given Rapastinel Trifluoroacetate (1.0 mg/kg) prior to acute ketamine (30 mg/kg) show clear preference for novel compare to familiar objects (P<0.01) ^[1] . Rapastinel Trifluoroacetate produces an antidepressant like effect in the USVs test, as indexed by an increase in

hedonic 50-kHz USVs [F(1,20)=12.4, P<0.05] and a decrease in aversive 20-kHz USVs [F(1,20)=6.8, P<0.05]. Rapastinel Trifluoroacetate also produces an anxiolytic effect in the open field, as indexed by increased center time [F(1,20)=19.2, P<0.05] without altering locomotor activity as measured by line crosses [F(1,20)=0.0, P>0.05]^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration^[1]

Male C57BL/6J mice are used in this study. Mice are group housed (five/cage) in a controlled environment held at 21±2°C with a 14:10 h light-dark period (lights on:±05:00 am). All experiments are conducted during the light phase. Food and water are available ad libitum. For acute drug treatments, Rapastinel Trifluoroacetate (1.0 mg/kg, iv) is administered 30 min prior to the acquisition trial of the novel object recognition (NOR) task to the subchronic ketamine or subchronic phencyclidine (PCP)-treated animals^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Cell Mol Med. 2020 Aug;24(16):9287-9299.

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REFERENCES

- [1]. Rajagopal L, et al. GLYX-13 (rapastinel) ameliorates subchronic phencyclidine- and ketamine-induced declarative memory deficits in mice. Behav Brain Res. 2016 Feb 15;299:105-10.
- [2]. 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.

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

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