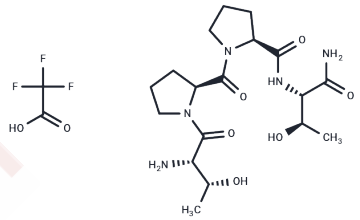
Data Sheet (Cat.No.T5819)

TargetMol

Rapastinel Trifluoroacetate

Chemical Properties



CAS No. : 1435786-04-1

Formula: C20H32F3N5O8

Molecular Weight: 527.49

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	Rapastinel Trifluoroacetate (GLYX-13 Trifluoroacetate) is an NMDA receptor modulator with partial agonist properties at the glycine site.
Targets(IC50)	NMDAR,iGluR
In vivo	Rapastinel Trifluoroacetate, a tetrapeptide (Thr-Pro-Pro-Thr-amide), has been reported to have fast acting antidepressant properties in man based upon its N-methyl-D-aspartate receptor (NMDAR) glycine site functional partial agonism.
Animal Research	C57BL/6J mice given a single dose or subchronic ketamine (30 mg/kg.i.p.) showed acute or persistent deficits in NOR, respectively.?Acute i.v. rapastinel (1.0 mg/kg), did not induce NOR deficit.?Pre-treatment with Rapastinel Trifluoroacetate?significantly prevented acute ketamine-induced NOR deficit.?Rapastinel Trifluoroacetate?(1.0 mg/kg, but not 0.3 mg/kg, iv) significantly reversed both subchronic ketamine- and subchronic PCP-induced NOR deficits.?Rapastinel Trifluoroacetate?also potentiated the atypical antipsychotic drug with antidepressant properties, lurasidone, to restore NOR in subchronic ketamine-treated mice.?Unlike ketamine,Rapastinel Trifluoroacetate?does not induce a declarative memory deficit in mice, and can prevent or reverse the ketamine-induced NOR deficit.?Further study is required to determine if these differences translate during clinical use of ketamine and rapastinel as fast acting antidepressant drugs and if rapastinel could have non-ionotropic effects as an add-on therapy with antipsychotic/antidepressant medications.

Solubility Information

Solubility	DMSO: 125 mg/mL (236.97 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: 4 mg/mL (7.58 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0379 mL	0.1896 mL	0.3792 mL

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

Rajagopal L , Burgdorf J S , Moskal J R , et al. GLYX-13 (rapastinel) ameliorates subchronic phencyclidine- and ketamine-induced declarative memory deficits in mice.[J]. Behavioural Brain Research, 2016, 299:105-110.