

GPI-1046

Chemical Properties

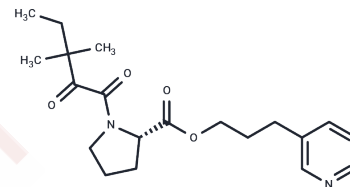
CAS No. : 186452-09-5

Formula: C₂₀H₂₈N₂O₄

Molecular Weight: 360.45

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GPI-1046 is an immunophilin ligand without antibiotic action and attenuates ethanol intake in part through the upregulation of glutamate transporter 1 (GLT1) in PFC and NAc-core.
Targets(IC50)	HIV Protease,FKBP,transporter
In vitro	GPI-1046 is an analog of FK506, which is an immunophilin ligand that has been shown neuroprotective effects in neurodegenerative disease models [1]. GPI-1046 readily crosses the blood-brain barrier and promotes the regeneration of dopamine (DA) cells in the CNS in association with functional recovery in rodent models [2]. GPI-1046 improves the HIV-associated injury of peripheral nerves [3].
In vivo	Significant dose-dependent effects in the reduction of ethanol intake starting 48 h after the first treatment with GPI-1046 throughout treatment and post-treatment periods.? There were also dose-dependent increases in water intake.?However, GPI-1046 treatment did not affect the body weight of all animals nor sucrose intake.?Importantly, GPI-1046 (20mg/kg) increased GLT1 level compared to all groups in nucleus accumbens core (NAc-core).?Alternatively, GPI-1046 (10mg/kg) upregulated GLT1 level in NAc-core compared to vehicle (ethanol na?ve) group.?Moreover, both doses of GPI-1046 increased significantly GLT1 level in the prefrontal cortex (PFC) compared to ethanol na?ve vehicle group.?GPI-1046 (20mg/kg) increased GLT1 level in PFC compared to na?ve control group that was exposed to water and food only.?These findings demonstrated that neuroimmunophilin GPI-1046 attenuates ethanol intake in part through the upregulation of GLT1 in PFC and NAc-core[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (277.43 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: 3.3 mg/mL (9.16 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</i>

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In vivo Formulation	<i>vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7743 mL	13.8715 mL	27.7431 mL
5 mM	0.5549 mL	2.7743 mL	5.5486 mL
10 mM	0.2774 mL	1.3872 mL	2.7743 mL
50 mM	0.0555 mL	0.2774 mL	0.5549 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

Sari Y, et al. Neuroimmunophilin GPI-1046 reduces ethanol consumption in part through activation of GLT1 in alcohol-preferring rats. *Neuroscience*. 2012 Dec 27;227:327-35.

Eberling JL, et al. The immunophilin ligand GPI-1046 does not have neuroregenerative effects in MPTP-treated monkeys. *Exp Neurol*. 2002 Dec;178(2):236-42.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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