

PF-05180999

Chemical Properties

CAS No. : 1394033-54-5

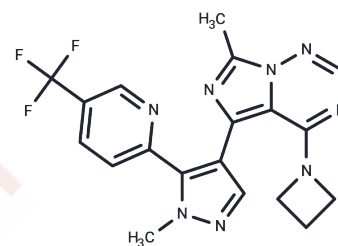
Formula: C19H17F3N8

Molecular Weight: 414.39

Store at low temperature

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	PF-05180999 (PF-999) is a selective and potent phosphodiesterase 2A (PDE2A) inhibitor that enhances long-term memory in a contextual fear conditioned reflex model in rats.
Targets(IC50)	PDE
In vitro	PF-05180999 is a weak inducer of CYP3A4 with no direct inhibition of human recombinant cytochrome P450 enzymes (including 1A2, 2B6, 2C8, 2C9, 2C19, 2D6, and 3A) and does not induce CYP1A2. PF-05180999 binds to PDE2A in rat, dog, and monkey with Ki values of 4.2 nM, 8.4 nM, and 5.5 nM, with IC50 values of 2.6 nM, 5.2 nM, and 3.4 nM, respectively. For the other PDE isoforms, PF-05180999 was weakly active, with IC50s of 2.03 μM (PDE10A1), 26.969 μM (PDE7B), 50.09 μM (PDE11A4), and >56.25 μM (PDE1B1, PDE3A1, PDE4D3, PDE5A1, PDE6 (bovine), PDE8B, PDE9A1). [1]
In vivo	PF-05180999 induced an acute, dose-dependent increase in cGMP levels in mouse cortex, striatum and hippocampus, but had no effect on cAMP levels and its downstream phosphorylated cAMP response element binding protein (p-CREB). In the radial arm maze (RAM) model of working memory, subcutaneous injection of 0.032-0.32 mg/kg PF-05180999 significantly reduced ketamine-induced working memory errors in

Solubility Information

Solubility	DMSO: 40 mg/mL (96.53 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: 2 mg/mL (4.83 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

	1mg	5mg	10mg
1 mM	2.4132 mL	12.0659 mL	24.1319 mL
5 mM	0.4826 mL	2.4132 mL	4.8264 mL
10 mM	0.2413 mL	1.2066 mL	2.4132 mL
50 mM	0.0483 mL	0.2413 mL	0.4826 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

Helal CJ, et al. Identification of a Potent, Highly Selective, and Brain Penetrant Phosphodiesterase 2A Inhibitor Clinical Candidate. J Med Chem. 2018 Feb 8;61(3):1001-1018.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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