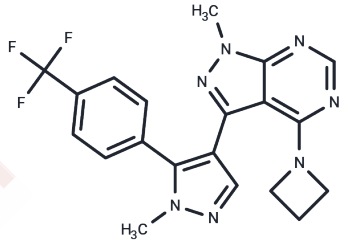
Data Sheet (Cat.No.T16482)

TargetMol

PF-05085727

Chemical Properties



CAS No. : 1415637-72-7

Formula: C20H18F3N7

Molecular Weight: 413.4

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-05085727 inhibits PDE2A >4,000-fold selectivity over PDE1 and PDE3-11. PF-05085727 is an effective, selective and brain penetrant inhibitor of cGMP-dependent PDE2A (IC50=2 nM) .
Targets(IC50)	PDE
In vitro	PF-05085727 displays weak activity with IC50?of 162 μM to induce cell death in a cellular toxicity assay using transformed human liver endothelial (THLE) cells. PF-05085727 (10 μM) inhibits PDE1B, PDE4B, PDE7B and PDE10A with IC50 values of 12.146 μM, 22,503 μM, 13.157 μM and 6.515 μM, respectively. PF-05085727 (3 μM) shows a minimal inhibition of cytochrome P450 enzymes (CYPs) and it inhibits 1A2, 2C8, 2C9, 2D6 and 3A4 with percentage% of 16%, 18%, 7%, 4%, and 30%, respectively[1].
In vivo	In mice, PF-05085727 leads to an acute and exposure-dependent elevation in the accumulation of bulk levels of cGMP in cortex, striatum, and hippocampus as measured by enzyme-linked immunosorbent assay. PF-05085727 (subcutaneous injection; 3.2 mg/kg/mice; 3 mg/kg/rat) gives a ratio of unbound brain to unbound plasma of ca. 0.27 and 0.37, respectively[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.419 mL	12.0948 mL	24.1896 mL
5 mM	0.4838 mL	2.419 mL	4.8379 mL
10 mM	0.2419 mL	1.2095 mL	2.419 mL
50 mM	0.0484 mL	0.2419 mL	0.4838 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. Application of Structure-Based Design and Parallel Chemistry to Identify a Potent, Selective, and Brain Penetrant Phosphodiesterase 2A Inhibitor. J Med Chem. 2017 Jul 13;60(13):5673-5698.

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