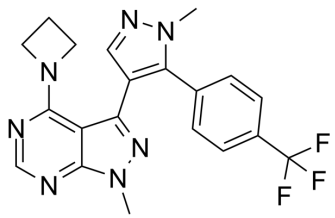
PF-05085727

Cat. No.:	HY-102050
CAS No.:	1415637-72-7
Molecular Formula:	$C_{20}H_{18}F_3N_7$
Molecular Weight:	413.4
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (151.19 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4190 mL	12.0948 mL	24.1896 mL
5 mM	0.4838 mL	2.4190 mL	4.8379 mL
10 mM	0.2419 mL	1.2095 mL	2.4190 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PF-05085727 is a potent, selective and brain penetrant inhibitor of cGMP-dependent PDE2A (IC_{50}=2 nM). PF-05085727 inhibits PDE2A >4,000-fold selectivity over PDE1 and PDE3-11[1].

IC_{50} & Target

PDE2A
2 nM (IC_{50})

In Vitro

PF-05085727 shows weak activity with IC_{50} of 162 μM to induce cell death in a cellular toxicity assay using transformed human liver endothelial (THLE) cells[1].
PF-05085727 (3 μM) shows a minimal inhibition of cytochrome P450 enzymes (CYPs), inhibits 1A2, 2C8, 2C9, 2D6 and 3A4 with percentage% of 16%, 18%, 7%, 4%, and 30%, respectively[1].
PF-05085727 (10 μM) inhibits PDE1B, PDE4B, PDE7B and PDE10A with IC_{50} values of 12.146 μM, 22,503 μM, 13.157 μM and 6.515 μM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF-05085727 (subcutaneous injection; 3.2 mg/kg/mice; 3 mg/kg/rat) gives a ratio of unbound brain (C_{bu}) to unbound plasma

	(C_{pu}) of ca. 0.27 and 0.37, respectively[1]. PF-05085727 in mice 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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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