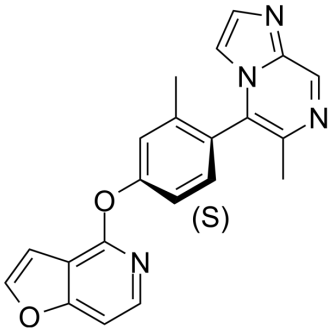
PF-06256142

Cat. No.:	HY-119943
CAS No.:	1609583-14-3
Molecular Formula:	$C_{21}H_{16}N_4O_2$
Molecular Weight:	356.38
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (561.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8060 mL	14.0300 mL	28.0599 mL
	5 mM	0.5612 mL	2.8060 mL	5.6120 mL
	10 mM	0.2806 mL	1.4030 mL	2.8060 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (14.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (14.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (14.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06256142 is a potent, selective, CNS-penetrant and orally active agonist of the D1 receptor, with an EC_{50} and K_i of 33 nM and 12 nM, respectively. PF-06256142 has the potential for the research of schizophrenia and Parkinson's disease[1].

IC_{50} & Target

Human D_1 Receptor
33 nM (EC50)

In Vitro

PF-06256142 exhibits IC_{50} values of <5 μM as an antagonist at the following 4 targets: M_1 (4.9 μM); CB1 (2.1 μM); H_1 (4.6 μM);

	Nav 1.5 (1.1 μM)[1]. PF-06256142 has an IC_{50} of approximately 12 μM for hERG[1]. PF-06256142 shows a K_i of 4.8 nM for D5 exquisitely selective than D2 (K_i>10 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-06256142 exhibits high oral bioavailability (rat 85%) following oral administration (rat 5 mg/kg)[1]. PF-06256142 exhibits terminal elimination half-life (rat 2.3 h) following intravenous administration (rat 5.0 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat[1]
Dosage:	5.0 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)
Administration:	Intravenous injection and oral administration
Result:	Oral bioavailability (85%), $T_{1/2}$ (2.3 h).

REFERENCES

[1]. Davoren JE, et al. Discovery and Lead Optimization of Atropisomer D1 Agonists with Reduced Desensitization. J Med Chem. 2018 Nov 15.

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