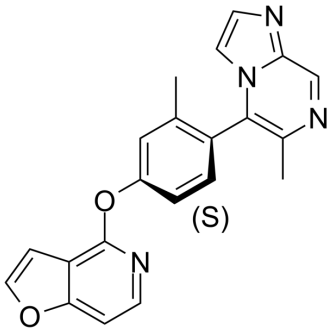
PF-06256142

Cat. No.:	HY-119943
CAS No.:	1609583-14-3
Molecular Formula:	$C_{21}H_{16}N_4O_2S$
Molecular Weight:	388.44
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 (514.88 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5744 mL	12.8720 mL	25.7440 mL
	5 mM	0.5149 mL	2.5744 mL	5.1488 mL
	10 mM	0.2574 mL	1.2872 mL	2.5744 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 (12.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (12.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (12.87 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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