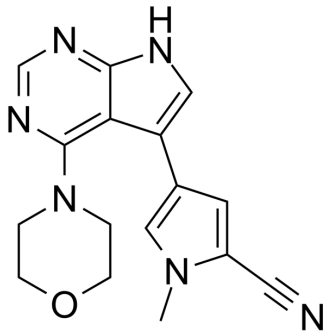
PFE-360

Cat. No.:	HY-120085
CAS No.:	1527475-61-1
Molecular Formula:	$C_{16}H_{16}N_6O$
Molecular Weight:	308.34
Target:	LRRK2
Pathway:	Autophagy
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 : 10.42 mg/mL (33.79 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2432 mL	16.2159 mL	32.4317 mL
5 mM	0.6486 mL	3.2432 mL	6.4863 mL
10 mM	0.3243 mL	1.6216 mL	3.2432 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: ≥ 1.04 mg/mL (3.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.04 mg/mL (3.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.04 mg/mL (3.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PFE-360 (PF-06685360) is a potent, selective, brain penetrated and orally active leucine-rich repeat kinase 2 (LRRK2) inhibitor with a mean IC_{50} of 2.3 nM in vivo[1][2].

IC_{50} & Target

IC50: 2.3 nM (LRRK2 in vivo) [1][2].

In Vivo

PFE-360 (4 mg/kg and 7.5 mg/kg, orally, BID, 10-12 weeks) treatment potently decreases the LRRK2-pSer935/total LRRK2 ratio, with no significant adverse effects[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague Dawley rats (NTac:SD) weighed 225-250 g[3].
Dosage:	4 mg/kg and 7.5 mg/kg (pharmacokinetics and pharmacodynamics).
Administration:	Orally BID for 10-12 weeks.
Result:	The LRRK2-pSer935/total LRRK2 ratio was significantly decreased at both 1 h and 12 h after dosing. The terminal bodyweights exhibited no significant changes.

CUSTOMER VALIDATION

- Stem Cell Reports. 2022 Sep 12;S2213-6711(22)00423-4.
- Front Cell Dev Biol. 2020 Oct 28;8:594090.

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REFERENCES

[1]. Marco A.S. Baptista, et al. LRRK2 Kinase Inhibitors of Different Structural Classes Induce Abnormal Accumulation of Lamellar Bodies in Type II Pneumocytes in Non-Human Primates but are Reversible and Without Pulmonary Functional Consequences.

[2]. Andersen MA, et al. Parkinson's disease-like burst firing activity in subthalamic nucleus induced by AAV-α-synuclein is normalized by LRRK2 modulation. Neurobiol Dis. 2018 Aug;116:13-27.

[3]. Andersen MA, et al. PFE-360-induced LRRK2 inhibition induces reversible, non-adverse renal changes in rats. Toxicology. 2018 Feb 15;395:15-22.

Caution: Product has not been fully validated for medical applications. For research use only.

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