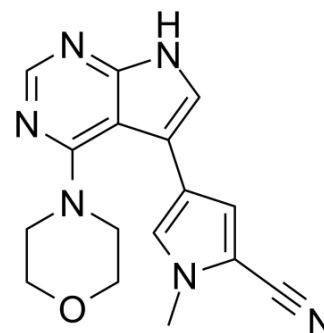


PFE-360

Cat. No.:	HY-120085		
CAS No.:	1527475-61-1		
Molecular Formula:	C ₁₆ H ₁₆ N ₆ O		
Molecular Weight:	308.34		
Target:	LRRK2		
Pathway:	Autophagy		
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 : 10.42 mg/mL (33.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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 IC₅₀ of 2.3 nM in vivo^{[1][2]}.

IC₅₀ & 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].

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.

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

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