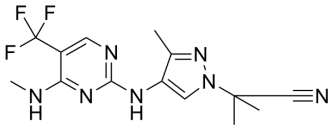
GNE0877

Cat. No.:	HY-15796
CAS No.:	1374828-69-9
Molecular Formula:	$C_{14}H_{16}F_3N_7$
Molecular Weight:	339.32
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 : 250 mg/mL (736.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9471 mL	14.7354 mL	29.4707 mL
	5 mM	0.5894 mL	2.9471 mL	5.8941 mL
	10 mM	0.2947 mL	1.4735 mL	2.9471 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: ≥ 2.08 mg/mL (6.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNE0877 is a highly selective, orally active and brain-penetrant LRRK2 inhibitor with an IC_{50} of 3 nM and a K_i of 0.7 nM. GNE0877 can be used for the research of neuroscience[1].

IC_{50} & Target

IC50: 3 nM (LRRK2)[1]

In Vitro

GNE0877 (1 μM; 10-30 min) shows good cellular potency in human liver microsomes and hepatocytes[1].

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

Cell Viability Assay[1]

Cell Line:	Human liver microsomes and hepatocytes
Concentration:	1 μM
Incubation Time:	10, 20 and 30 min
Result:	Exibited low turnover and good vitro stability in human liver microsomes and hepatocytes with no glucuronidation.

In Vivo

GNE0877 (10 and 50 mg/kg; i.p. once) inhibits LRRK2 Ser1292 autophosphorylation[1].

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

Animal Model:	BAC transgenic mice expressing human LRRK2 protein with the G2019S Parkinson's disease mutation[1]
Dosage:	10 and 50 mg/kg
Administration:	Intraperitoneal injection; 10 and 50 mg/kg once
Result:	Tration-dependently inhibited Ser1292 autophosphorylation with an IC_{50} of 3 nM.

CUSTOMER VALIDATION

- Hum Mol Genet. 2017 Jul 15;26(14):2747-2767.
- Programa Oficial de Doctorado en Biomedicina. Universidad de Granada. 5-Jul-2017.

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REFERENCES

[1]. Estrada AA, et al. Discovery of highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitors. J Med Chem. 2014 Feb 13;57(3):921-36.

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