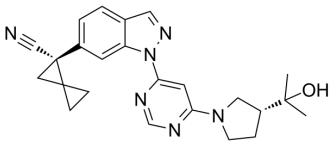
LRRK2-IN-7

Cat. No.:	HY-152107
CAS No.:	2307277-93-4
Molecular Formula:	$C_{24}H_{26}N_6O$
Molecular Weight:	414.5
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 : 100 mg/mL (241.25 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4125 mL	12.0627 mL	24.1255 mL
5 mM	0.4825 mL	2.4125 mL	4.8251 mL
10 mM	0.2413 mL	1.2063 mL	2.4125 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: ≥ 2.5 mg/mL (6.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LRRK2-IN-7 is a potent, selective, and CNS-penetrant LRRK2 kinase inhibitor with an IC_{50} of 0.9 nM. LRRK2-IN-7 shows >1000-fold selectivity over other kinases, ion channels, and CYP enzymes[1].

IC_{50} & Target

IC50: 0.9 nM (LRRK2 Kinase)[1]

In Vitro

LRRK2-IN-7 (compound 25) is both a mouse breast cancer resistance protein (BCRP) substrate (mouse/human BCRP) and a potent human BCRP inhibitor (BCRP IC_{50} = 0.12 μM)[1].

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

In Vivo

In a 7 day rat dose limiting toxicity study, LRRK2-IN-7 (compound 25) is tolerated with no significant histopathology findings up to 100 mg/kg once a day (AUC_{tot} = 330 μM·h)[1].

In an acute (2 h) rat PK/PD study, LRRK2-IN-7 (compound 25) demonstrates a dose-dependent decrease in LRRK2 pS935 in

	rat brain striatum with an EC_{50} = 0.18 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. David A Candito, et al. Discovery and Optimization of Potent, Selective, and Brain-Penetrant 1-Heteroaryl-1 H-Indazole LRRK2 Kinase Inhibitors for the Treatment of Parkinson's Disease. J Med Chem. 2022 Dec 22;65(24):16801-16817.

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