Web: www.taiclone.com
Tel: +886-2-2735-9682
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LRRK2-IN-1

Catalog No: tcsc0246

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1234480-84-2

Formula:
$C_{31}H_{38}N_8O_3$

Pathway:
Autophagy

Target:
LRRK2

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (87.61 mM)

Observed Molecular Weight:
570.69

Product Description

LRRK2-IN-1 is a potent and selective **LRRK2** inhibitor with **IC_{50}** of 6 nM and 13 nM for LRRK2 (G2019S) and LRRK2 (WT), respectively.

IC50 & Target: IC50: 13 nM (WT), 6 nM (G2019S)

In Vitro:

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Wild-type and G2019S transduction results in 2.5 fold higher TR-FRET signal which can be inhibited by LRRK2-IN-1 in a dose-dependent manner with IC_{50} values of 0.08 μM and 0.03 μM, respectively[1]. LRRK2-IN-1 possessed an IC_{50} of 45 nM for inhibition of DCLK2 and exhibits an IC_{50} of greater than 1 μM when evaluated in biochemical assays for AURKB, CHEK2, MKNK2, MYLK, NUAK1, and PLK1. LRRK2-IN-1 is confirmed to inhibit MAPK7 with an EC_{50} of 160 nM. LRRK2-IN-1 induces a dose dependent inhibition of Ser910 and Ser935 phosphorylation accompanied by loss of 14-3-3 binding to both wild type LRRK2 and LRRK2[G2019S] stably transfected into HEK293 cells[2]. LRRK2-IN-1 is moderately cytotoxic with IC_{50} of 49.3 μM in HepG2 cells. LRRK2-IN-1 exhibits genotoxicity in the presence and absence of S9 at 15.6 and 3.9 μM, respectively[3]. LRRK2-IN-1 inhibits proliferation, migration, and induces cell death with hallmarks of apoptosis of HCT116 and AsPC-1 cells[4].

In Vivo: LRRK2-IN-1 (100 mg/kg, i.p.) induces dephosphorylation of LRRK2 in the kidney of the mice[2]. Peritumoral injection of LRRK2-IN-1 (100 mg/kg) results in a significant decrease in tumor volume and weight of AsPC-1 tumor xenografts[4].