Small Molecules

LRRK2-IN-1

Inhibits LRRK2

Catalog #100-0896

5 mg

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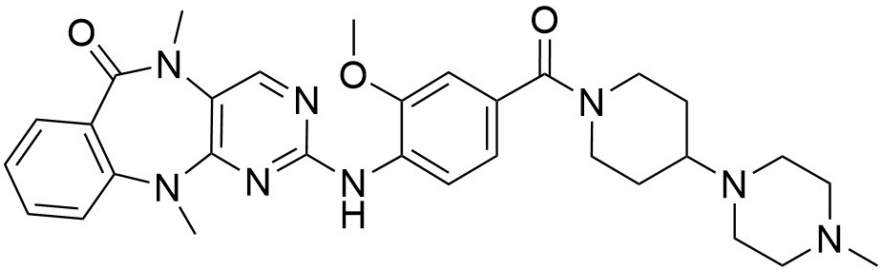
Product Description

LRRK2-IN-1 is an ATP-competitive inhibitor that inhibits leucine-rich repeat kinase 2 (LRRK2) and doublecortin-like kinase 1 (DCLK1; IC_{50} = 13 nM and 2.6 nM, respectively; Deng et al.; Weygant et al.). LRRK2 is a multi-domain protein kinase that regulates a wide range of cellular processes such as homeostasis and cell survival (Funk et al.). Mutations in LRRK2 that increase its kinase activity have a strong association with the development of Parkinson's disease (Rui et al.).

Alternative Names:	Leucine-rich repeat kinase 2 IN-1
CAS Number:	1234480-84-2
Chemical Formula:	$C_{31}H_{38}N_8O_3$
Molecular Weight:	570.7 g/mol
Purity:	≥ 95%
Chemical Name:	5,11-dihydro-2-[[2-methoxy-4-[[4-(4-methyl-1-piperazinyl)-1-piperidinyl]carbonyl] phenyl]amino]-5,11-dimethyl-6H-pyrimido[4,5-b][1,4] benzodiazepin-6-one

Structure:



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 25 mM · Absolute ethanol ≤ 40 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 175 µL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Inhibits cell proliferation and migration in colorectal and pancreatic cancer (Weygant et al.).
DISEASE MODELING
· Inhibits the activity of LRRK2 kinase and stimulates macroautophagy in human neuroglioma cells (Manzoni et al.).

References

Deng X et al. (2011) Characterization of a selective inhibitor of the Parkinson's disease kinase LRRK2. Nat Chem Biol 7(4): 203–5.

Funk N et al. (2019) The Parkinson's disease-linked Leucine-rich repeat kinase 2 (LRRK2) is required for insulin-stimulated translocation of GLUT4. Sci Rep 9(1): 4515.

Manzoni C et al. (2013) Inhibition of LRRK2 kinase activity stimulates macroautophagy. Biochim Biophys Acta 1833(12): 2900–10.

Rui Q et al. (2018) The role of LRRK2 in neurodegeneration of Parkinson disease. Curr Neuropharmacol 16(9): 1348–57.

Weygant N et al. (2014) Small molecule kinase inhibitor LRRK2-IN-1 demonstrates potent activity against colorectal and pancreatic cancer through inhibition of doublecortin-like kinase 1. Mol Cancer 13: 103.

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