LRRK2

Leucine-rich repeat kinase 2

Leucine-rich repeat kinase 2 (LRRK2) is a ubiquitously expressed member of the ROCO protein family. LRRK2 is a complex, multidomain protein containing kinase and GTPase enzymatic activities and multiple protein-protein interaction domains. LRRK2 is the genetic cause of both familial and idiopathic Parkinson's disease (PD), and it is associated with neuronal death, vesicle trafficking, mitochondrial dysfunction, and inflammation.

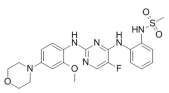
LRRK2 is a very large protein comprised of 2527 amino acids which has been determined to contain multiple functional domains, including armadillo (ARM), ankyrin-repeats (ANK), leucine-rich repeats (LRR), Ras of complex proteins (ROC), C-terminal of Roc (COR), MAPK-like kinase, and WD40 motifs. Mutations in LRRK2 represent a significant component of both sporadic and familial PD. Pathogenic mutations cluster in the enzymatic domains of LRRK2, and kinase activity seems to correlate with cytotoxicity, suggesting the possibility of kinase-based therapeutic strategies for LRRK2-associated PD. The best-characterized mutation to date, G2019S, leads to increased kinase activity, and mutations in the GTPase domain, such as R1441C and R1441G, have also been reported to influence kinase activity.

LRRK2 Inhibitors

CZC-25146

Cat. No.: HY-15800A

CZC-25146 is a potent, selective and metabolically stable LRRK2 inhibitor with IC50 of 4.76 nM/6.87 nM for wild type LRRK2 and G2019S LRRK2 respectively.

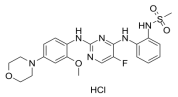


Purity: 98.64%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CZC-25146 hydrochloride

Cat. No.: HY-15800

CZC-25146 Hcl is a potent, selective and metabolically stable LRRK2 inhibitor with IC50 of 4.76 nM/6.87 nM for wild type LRRK2 and G2019S LRRK2 respectively.

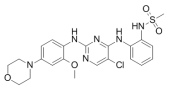


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CZC-54252

Cat. No.: HY-B0792

CZC-54252 is a potent and selective **LRRK2** inhibitor with **IC_{50}**s of 1.28 nM and 1.85 nM for **wild-type** and **G2019S LRRK2**, respectively. CZC-54252 attenuates G2019S LRRK2-induced human neuronal injury with an **EC_{50}** of ~1 nM. CZC-54252 has a neuroprotective activity.

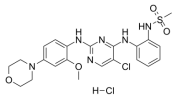


Purity: 99.18%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

CZC-54252 hydrochloride

Cat. No.: HY-B0792A

CZC-54252 hydrochloride is a potent and selective **LRRK2** inhibitor with **IC_{50}**s of 1.28 nM and 1.85 nM for **wild-type** and **G2019S LRRK2**, respectively. **G2019S LRRK2**-induced human neuronal injury is attenuated by CZC-54252 hydrochloride with an **EC_{50}** of ~1 nM.

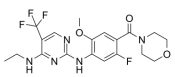


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GNE-7915

Cat. No.: HY-18163

GNE-7915 is a potent, selective and brain-penetrant inhibitor of **LRRK2** with an **IC_{50}** of 9 nM.

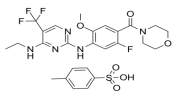


Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNE-7915 tosylate

Cat. No.: HY-18163A

GNE-7915 tosylate is a potent, selective and brain-penetrant inhibitor of **LRRK2** with an **IC_{50}** of 9 nM.

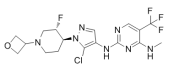


Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNE-9605

Cat. No.: HY-12282

GNE-9605 is a highly potent, selective, and brain-penetrant LRRK2 inhibitor with IC50 of 19 nM. IC50 value: Target: LRRK2 GNE-9605 retained excellent predicted human metabolic stability when assayed in human liver microsomes and hepatocytes.

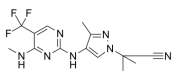


Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GNE0877

Cat. No.: HY-15796

GNE0877 is a highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitor with an IC50 of 3 nM.

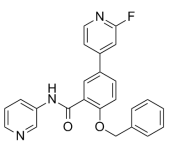


Purity: 98.89%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

GSK2578215A

Cat. No.: HY-13237

GSK2578215A is a potent and highly selective **LRRK2** inhibitor, which exhibits **IC_{50}**s of around 10 nM against both wild-type LRRK2 and the G2019S mutant.

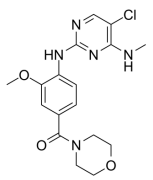


Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

HG-10-102-01

Cat. No.: HY-13488

HG-10-102-01 is a potent and selective inhibitor of wild-type LRRK2(IC50=23.3 nM) and the G2019S mutant(IC50=3.2 nM) IC50 Value: 23.3 nM (WT LRRK2); 3.2 nM (LRRK2 G2019S) Target: LRRK2 HG-10-102-01 maintains the ability to potently inhibit the biochemical activity of wild-type...

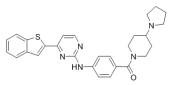


Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

IKK 16

Cat. No.: HY-13687

IKK 16 is a selective IκB kinase (**IKK**) inhibitor for **IKK2**, **IKK complex** and **IKK1** with **IC_{50}**s of 40 nM, 70 nM and 200 nM, respectively. IKK16 also inhibits leucine-rich repeat kinase-2 (**LRRK2**) with an **IC_{50}** of 50 nM.

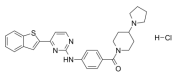


Purity: 99.09%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

IKK 16 hydrochloride

Cat. No.: HY-13687A

IKK 16 hydrochloride is a selective IκB kinase (**IKK**) inhibitor for **IKK2**, **IKK complex** and **IKK1** with **IC_{50}**s of 40 nM, 70 nM and 200 nM, respectively. IKK16 also inhibits leucine-rich repeat kinase-2 (**LRRK2**) with an **IC_{50}** of 50 nM.

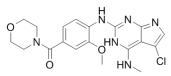


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

JH-II-127

Cat. No.: HY-16936

JH-II-127 is a highly potent, selective, and brain penetrant LRRK2 inhibitor, with IC50 of 6.6 nM, 2.2 nM ,47.7 nM for LRRK2-wild-type, LRRK2-G2019S, LRRK2-A2016T.

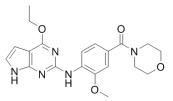


Purity: 98.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LRRK2 inhibitor 1

Cat. No.: HY-111493

LRRK2 inhibitor 1 is a potent, selective and oral **LRRK2** inhibitor with an **pIC_{50}** of 6.8.

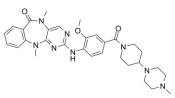


Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LRRK2-IN-1

Cat. No.: HY-10875

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.

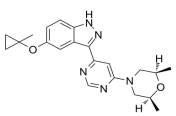


Purity: 99.19%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

MLi-2

Cat. No.: HY-100411

MLi-2 is an orally active and highly selective **LRRK2** inhibitor with an **IC_{50}** of 0.76 nM. MLi-2 has the potential for Parkinson's disease.

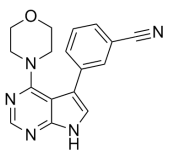


Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PF-06447475

Cat. No.: HY-12477

PF-06447475 is a highly potent, selective and brain penetrant **LRRK2** inhibitor with an **IC_{50}** of 3 nM.



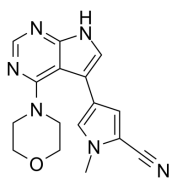
Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

PFE-360

(PF-06685360)

Cat. No.: HY-120085

PFE-360 (PF-06685360) is a potent, selective, brain penetrated and orally active **leucine-rich repeat kinase 2 (LRRK2)** inhibitor with a mean **IC_{50}** of 2.3 nM in vivo.



Purity: 98.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg