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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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LRRK2-IN-14

Cat. No.: HY-158365

CAS No.: 2942328-06-3

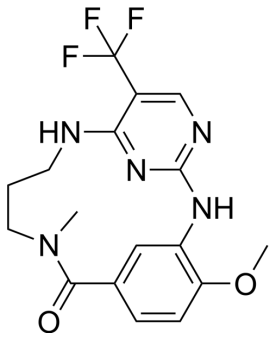
Molecular Formula: $C_{17}H_{18}F_3N_5O_2$

Molecular Weight: 381.35

Target: LRRK2

Pathway: Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LRRK2-IN-14 (Compound 8) is an orally active LRRK2 inhibitor. LRRK2-IN-14 has an IC_{50} of 6.3 nM for LRRK2(G2019S) cell activity.LRRK2-IN-14 has an inhibitory effect on hERG (IC_{50}=22 μM). LRRK2-IN-14 has blood-brain barrier permeability[1].

In Vivo

LRRK2-IN-14 (30 mg/kg; p.o.; single dose) inhibits phosphorylation of G2019S mutant LRRK2 in the brain in ICR mice[1].

Pharmacokinetic Analysis in ICR mice[1]

Route	Dose (mg/kg)	C_0 (ng/mL)	C_{max} (ng/mL)	T_{max} (h)	$t_{1/2}$ (h)	Vd_{ss} (mL/kg)	Cl_{obs} (mL/min/kg)	AUC_{0-last} (ng·h/mL)	AUC_{0-INF} (ng·h/mL)	MRT_{0-INF} (h)	F (%)
i.v.	5	4101	/	/	0.905	1233	16.3	5122	5181	1.27	/
p.o.	5	/	2803	0.083	0.709	/	/	3657	3672	1.22	70.9
brain	5	/	837	0.292	0.648	/	/	1230	1233	1.14	/

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

Animal Model:	ICR mice[1]
Dosage:	30 mg/kg
Administration:	p.o.; single dose
Result:	Reduced phosphorylated LRRK2 levels to 34%.

REFERENCES

[1]. Kim K, et al. Concurrent Optimizations of Efficacy and Blood-Brain Barrier Permeability in New Macrocyclic LRRK2 Inhibitors for Potential Parkinson's Disease

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