优睿科
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Data Sheet

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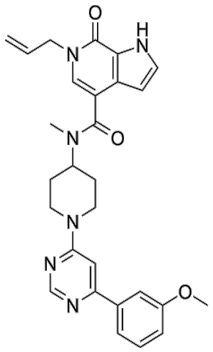
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name :GNE-886
Cat.No. :URK-V2526
CAS No. : 2101957-05-3
Molecular Weight :498.58
Molecular Formula :$C_{28}H_{30}N_6O_3$
Target :
Solubility :



Biological Activity

GNE-886 is a potent and selective inhibitor of leucine-rich repeat kinase 2 (LRRK2), a highly promising target for the treatment of Parkinson's disease (PD).
LRRK2 is a large, multi-domain protein kinase that has been implicated in the pathogenesis of both familial and sporadic PD. GNE-886 has been shown to potently inhibit LRRK2 kinase activity at low nanomolar concentrations in biochemical and cell-based assays, and to significantly reduce LRRK2-mediated neurotoxicity in primary neurons.
GNE-886 has also been found to inhibit other kinases, including RPS6KA1 and RPS6KA3, at higher concentrations. However, its selectivity for LRRK2 over these other kinases is still considerable, indicating a potential therapeutic window for the treatment of PD.
GNE-886 is able to effectively cross the blood-brain barrier in rodents, leading to its significant accumulation in the brain and subsequent inhibition of LRRK2 kinase activity in vivo.

References

1. Deng X, Dzamko N, Prescott A, et al. Characterization of a selective inhibitor of the Parkinson's disease kinase LRRK2. Nat Chem Biol. 2011 Sep 18;7(4):203-5.
2. Li X, Wang Q, Pan N, et al. Design, synthesis and biological evaluation of novel pyridazines as potent LRRK2 inhibitors. Eur J Med Chem. 2018 Jun 25;154:187-201.
3. Fuji RN, Flagella M, Baca M, et al. Effect of selective LRRK2 kinase inhibition on nonhuman primate lung. Sci Transl Med. 2015 Aug 26;7(273):273ra15.

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