Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

HG-10-102-01

Catalog No: tcsc1803

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1351758-81-0

Formula:
$C_{17}H_{20}ClN_5O_3$

Pathway:
Autophagy

Target:
LRRK2

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (132.33 mM)

Alternative Names:
LRRK2 inhibitor 1

Observed Molecular Weight:
377.83

Product Description

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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)

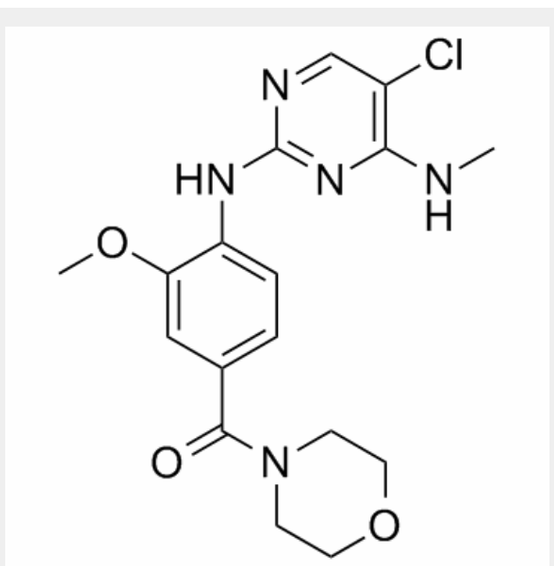
IC50 Value: 23.3 nM (WT LRRK2); 3.2 nM (LRRK2 G2019S) [1]

Target: LRRK2

HG-10-102-01 maintains the ability to potently inhibit the biochemical activity of wild-type and G2019S mutant LRRK2. HG-10-102-01 exhibited biochemical IC50s of 20.3 and 3.2 nM against wild-type LRRK2 and LRRK2[G2019S], respectively. At a concentration of 10 μM, HG-10-102-01 only inhibited the kinase activities of MLK1 and MNK2 to greater than 80% of the DMSO control. Dose-response analysis revealed inhibition of MLK1 with an IC50 2.1 μM and MNK2 with an IC50 0.6 μM. KinomeScan analysis against a near comprehensive panel of 451 kinases at a concentration of 1 μM resulted in no interactions detected with kinases other than G2019S LRRK2 with the exception of one mutant form of c-Kit (L576P) demonstrating the outstanding selectivity of this inhibitor.

HG-10-102-01 significantly inhibited phosphorylation of wildtype LRRK2 and LRRK2[G2019S] mutant at Ser910 and Ser935 at 0.3-1.0 μM in cell culture, which is approximately the same potency as LRRK2-IN-1 (1). HG-10-102-01 is relatively insensitive to the A2016T mutation which suggests that this mutant will not be useful to validate whether the pharmacological effects of the compound are LRRK2-dependent.

HG-10-102-01 can inhibit phosphorylation of Ser910 and Ser935 of LRRK2 in brain and peripheral tissues following intraperitoneal doses of 50 mg/kg. Further optimization of this chemo-type especially in regards to in vivo half-life will be reported in due course [1].



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