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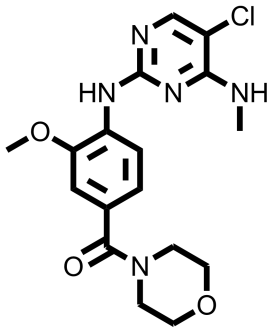
LRRK2 Inhibitor HG-10-102-01

Chemical Name: (4-((5-chloro-4-(methylamino)pyrimidin-2-yl)amino)-3-methoxyphenyl)(morpholino)methanone



Molecular Weight:	377.83
Formula:	$C_{17}H_{20}ClN_5O_3$
Purity:	≥98%
CAS#:	1351758-81-0
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

HG-10-102-01 is a brain penetrant, potent and selective inhibitor of wild-type LRRK2 and the G2019S mutant (IC_{50} for LRRK2-wild-type ~20.3 nM and LRRK2-[G2019S] ~3.2 nM). It significantly inhibits Ser910 and Ser935 phosphorylation of both wild-type LRRK2 and G2019S mutant at a concentration of 0.1−0.3 μM in cells. HG-10-102-01 is the first compound reported to be capable of inhibiting Ser910 and Ser935 phosphorylation in mouse brain following intraperitoneal delivery of doses as low as 50 mg/kg. It may represent a good lead compound for a subset of Parkinson's disease.

How to Use:

In vitro: HG-10-102-01was used at 0.3-1.0 μM final concentration in vitro and in cellular assays.

In vivo: HG-10-102-01 was intraperitoneally (IP) dosed to mice at 50 mg/kg once per day.

Reference:

1. Choi HG, et al. Brain Penetrant LRRK2 Inhibitorn (2012) ACS Med. Chem. Lett., 2012, 3 (8), 658–662.
2. Chen H, et al. Discovery of Selective LRRK2 Inhibitors Guided by Computational Analysis and Molecular Modeling. (2012) Journal of Medicinal Chemistry. 55(11), 5536-5545.