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

GNE0877

Catalog No: tcsc3098

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1374828-69-9

Formula:
$C_{14}H_{16}F_3N_7$

Pathway:
Autophagy

Target:
LRRK2

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 34 mg/mL (100.20 mM)

Observed Molecular Weight:
339.32

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Product Description

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.

IC50 value: 3 nM [1]

Target: LRRK2

Invitrogen kinase-selectivity profiling(188 kinases) of aminopyrazole GNE0877 at 0.1 μM (145-fold overLRRK2 Ki) resulted in only four kinases showing greater than 50% inhibition (Aurora B = 51%, RSK2 = 52%, RSK4 = 62%, and RSK3 = 68%) and suggested that GNE0877 is a highly selective LRRK2 inhibitor. Furthermore, GNE0877 possessed a 212-fold biochemical-selectivity index over TTK (Ki= 150 nM), which was previously highlighted as an off-target kinase of concern because of the suggested role of TTK in the

maintenance of chromosomal stability. The in vivo rat clearance for inhibitor GNE0877 was within 2-fold of measured in vitro stability, and good oral bioavailability (88%) was achieved at 50 mg/kg following administration of a methylcellulose/tween (MCT) suspension.