

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

Product Description

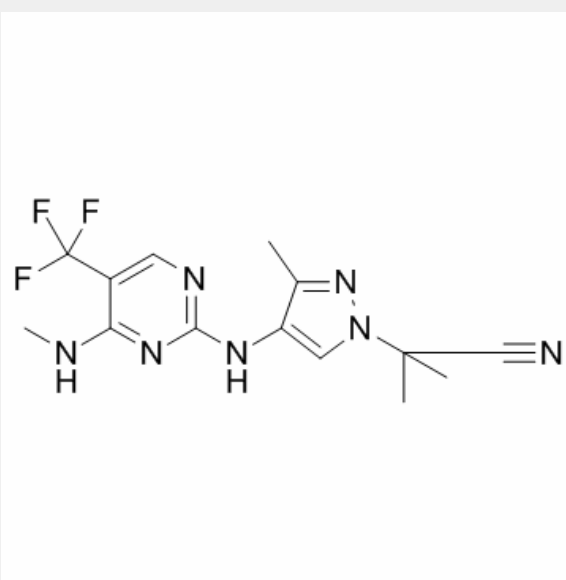
GNE0877 is a highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitor with an IC₅₀ of 3 nM.

IC₅₀ value: 3 nM [1]

Target: LRRK2

In vitro kinase-selectivity profiling (188 kinases) of aminopyrazole GNE0877 at 0.1 μ M (145-fold over LRRK2 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.



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