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GNE-7915 (tosylate)

Catalog No: tcsc3095

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

Formula:
$C_{26}H_{29}F_4N_5O_6S$

Pathway:
Autophagy

Target:
LRRK2

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (81.22 mM); H2O :

Observed Molecular Weight:
615.6

Product Description

GNE-7915 tosylate is a potent, selective and brain-penetrant inhibitor of **LRRK2** with an **IC_{50}** of 9 nM.

IC50 & Target: IC50: 9 nM[1] (LRRK2)

In Vitro: Maintaining the methoxy/fluoro arrangement at C-2′/C-5′ and varying aminoalkyl R1 substitution resultes in single-digit nanomolar LRRK2 cellular activities for GNE-7915 and compound 19. Expanded Invitrogen kinase profiling (187 kinases) at 0.1 μM for both GNE-7915 (100-fold over LRRK2 Ki) and 19 (250-fold over LRRK2 Ki) resultes in only TTK showing greater than 50% inhibition.

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Selectivity profiling using the DiscoverX KinomeScan55 competitive binding assay panel, which includes 392 unique kinases, is also performed for GNE-7915 at 0.1 μM. Binding of >50% probe displacement is detected for 10 kinases and of >65% for only LRRK2, TTK, and ALK, further supporting the excellent LRRK2 selectivity for GNE-7915. Cerep receptor profiling, including expanded brain panels, suggestes that GNE-7915 and 19 only inhibite 5-HT_{2B} with >70% inhibition at 10 μM. GNE-7915 and 19 are confirmed to be moderately potent 5-HT_{2B} antagonists in vitro functional assays[2].