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

GNF-5837

Catalog No: tcsc1728

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1033769-28-6

Formula:
$C_{28}H_{21}F_4N_5O_2$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Trk Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 32 mg/mL (59.76 mM)

Observed Molecular Weight:
535.49

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Product Description

GNF-5837 is a potent pan-Trk inhibitor which display antiproliferative effects in cellular Ba/F3 assays (IC50 values are 7, 9 and 11 nM for cells containing the fusion proteins Tel-TrkC, Tel-TrkB and Tel-TrkA, respectively).

IC50 Value: 7/9/11 nM (Tel-TrkC/Tel-TrkB/Tel-TrkA) [1]

Target: pan-Trk

GNF-5837 is an orally bioavailable oxindole compound that and acts as a potent, reversible and type II DFG-out inhibitor of pan-Trk activity (IC50 = 8 and 12 nM for TrkA and TrkB). Shown to target Trk (tropomyosin receptor kinase) ATP binding cleft and an immediately adjacent hydrophobic pocket. Preferentially arrests the proliferation of Ba/F3 cells fused with Tel-TrkA, Tel-TrkB and Tel-TrkC (IC50 = 11, 9 and 7 nM, respectively) and in Ba/F3 and RIE cells expressing both TrkA and NGF (IC50 = 42 and 17 nM, respectively) over Mo7e-c-Kit and Rat-A10-PDGFR (IC50 = 1 and 0.5 μM) and Ba/F3-Tel-KDR and wt-Ba/F3 cells (IC50 = 3.0 and 5.6 μM). GNF-5837 displays ~100-fold greater selectivity among a panel of 59 closely related kinases and in 33 cellular kinase assays. GNF-5837 weakly antagonize relevant cytochrome P450 isozymes and hERG channel, and exhibit adequate microsomal stability, pharmacokinetic profile and efficacy in mice and rats. GNF-5837 suppresses tumor growth in a mouse RIE-TrkAmNGF xenograft model (50 mg/kg, p.o.) [1].