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

Dacomitinib

Catalog No: tcsc0500

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
1110813-31-4

Formula:
$C_{24}H_{25}ClFN_5O_2$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:

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DMSO : 50 mg/mL (106.40 mM; Need ultrasonic)

Alternative Names:
PF-00299804;PF-299804

Observed Molecular Weight:
469.94

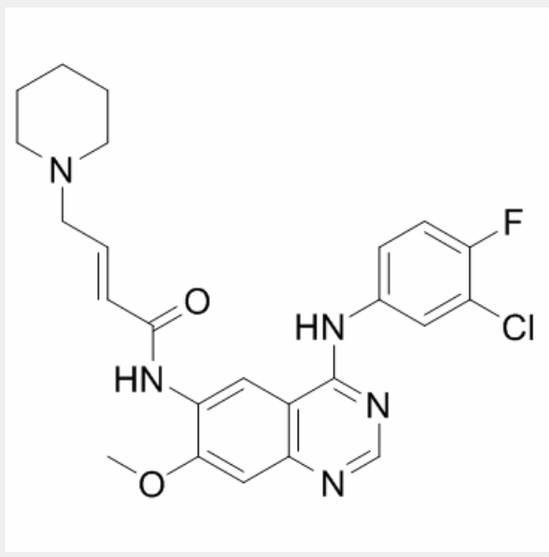
Product Description

Dacomitinib is a specific and irreversible inhibitor of the **ERBB** family of kinases with **IC_{50}** of 6 nM, 45.7 nM and 73.7 nM for **EGFR**, **ERBB2**, and **ERBB4**, respectively.

IC50 & Target: IC50: 6 nM (EGFR), 45.7 nM (ERBB2), 73.7 nM (ERBB4)[1]

In Vitro: Dacomitinib (PF00299804) effectively inhibits the in vitro kinase activity of wild-type *EGFR* (IC50=6 nM)with similar efficacy. Dacomitinib also effectively inhibits wild-type ERBB2 with IC_{50} of 45.7 nM. In H441, an IC_{50} is reached with Dacomitinib but only at a very high concentration (4 μM) and likely reflects off-target effects. In cell lines wild-type for both EGFR and K-ras (H322, H1819, and Calu-3), Gefitinib and Dacomitinib both effectively inhibit growth of H1819 and Calu-3 cells but not of H322 cells. Dacomitinib is a pan-ERBB inhibitor and most EGFR mutant cell lines express multiple ERBB family members, the effects on EGFR phosphorylation could potentially be indirect. Dacomitinib inhibits EGFR phosphorylation in all of the different EGFR T790M proteins whereas Gefitinib is ineffective even at 10 μM. In the NIH3T3 cells, phosphorylation of EGFR L858R/T790M is completely inhibited by 1 nM Dacomitinib, whereas 100 nM or greater is required to inhibit EGFR WT/T790M or Del/T790M[1]. The HER2-amplified cell lines are most sensitive to growth inhibition by Dacomitinib (IC_{50}[2].

In Vivo: To evaluate the efficacy of Dacomitinib, xenografts in *nu/nu* mice are generated using HCC827 GFP and HCC827 Del/T790M cells and treated the mice with Dacomitinib. Dacomitinib (10 mg/kg/d by daily oral gavage) effectively inhibits the growth of HCC827 GFP xenografts. In contrast, HCC827 Del/T790M xenografts are resistant to Gefitinib, whereas Dacomitinib treatment is substantially more effective at inhibiting growth of this xenograft model[1].



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