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

Erlotinib (mesylate)

Catalog No: tcsc1586

Available Sizes

Size: 100mg

Size: 500mg

Specifications

CAS No:
248594-19-6

Formula:
$C_{23}H_{27}N_3O_7S$

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

Target:
EGFR;EGFR;Autophagy

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CP-358774;OSI-774;NSC 718781;R 1415

Observed Molecular Weight:
489.54

Product Description

Erlotinib mesylate inhibits purified **EGFR** kinase with an **IC_{50}** of 2 nM.

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

IC50 & Target: IC50: 2 nM (EGFR)[1]

In Vitro: Erlotinib (CP-358,774) is also a potent inhibitor of the recombinant intracellular (kinase) domain of the EGFR, with an IC_{50} of 1 nM. The proliferation of DiFi cells is strongly inhibited by Erlotinib with an IC_{50} of 100 nM for an 8-day proliferation assay[1]. The combination of B-DIM and Erlotinib (2 μM) results in a significant inhibition of colony formation in BxPC-3 cells when compared with either agent alone. The combination of B-DIM and Erlotinib (2 μM) results in a significant induction of apoptosis only in BxPC-3 cells when compare with the apoptotic effect of either agent alone[2].

In Vivo: There is a 1.49-fold statistically significant difference between $AUC_{0\text{-inf}}$ after p.o. administration of Erlotinib (5 mg/kg) comparing Bcrp1/Mdr1a/1b$^{-/-}$ and WT mice (7,419±1,720 versus 4,957±1,735 ng*h/mL respectively, P=0.01)[3]. The administration of Erlotinib (10 mg/kg/day, or 20 mg/kg/day) to Bleomycin (BLM)-treated rats shows no exacerbation of lung injuries in indices such as macroscopic findings, lung weights, histopathological scores (lung lesion density and lung fibrosis score), and pulmonary hydroxyproline (HyP) level. The result suggests that Erlotinib does not have any exacerbating effects on lung injuries induced by BLM in rats[4].