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

AG-1478

Catalog No: tcsc1606

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
153436-53-4

Formula:
$C_{16}H_{14}ClN_3O_2$

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

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (316.71 mM)

Alternative Names:
Tyrphostin AG-1478;NSC 693255

Observed Molecular Weight:
315.75

Product Description

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AG-1478 is a selective **EGFR** tyrosine kinase inhibitor with **IC_{50}** of 3 nM.

IC50 & Target: IC50: 3 nM (EGFR)[1]

In Vitro: AG-1478 (AG1478) is irreversible for growth regulation of human lung (A549) and prostate (DU145) cancer cell lines, cultured in chemically defined DMEM/F12 medium. AG-1478 seems to be more effective at lower concentrations, but is unable to completely inhibit growth of A549 cells[1]. Inhibition of EGFR by specific tyrosine kinase inhibitor AG-1478 (AG1478) significantly decreases the angiotensin II-mediated synthesis of TGF-β and fibronectin by cardiac fibroblasts. EGFR is pharmacologically inhibited by small-molecule inhibitor AG-1478 with IC_{50} of 4 nM[2]. Both Polyfect (PF) and Superfect (SF) treatment lead to increased apoptosis in HEK 293 cells to a similar extent as assessed by flow cytometry. The antioxidant, tempol, significantly reduced dendrimer-mediated apoptosis for both PF and SF. AG-1478 (AG1478), at a 10-fold higher dose (100 μM) than used in signaling studies, is used as a positive control and significantly induced apoptosis in HEK 293 cells[3].

In Vivo: Administration of AG-1478 (AG1478) significantly reduces myocardial inflammation, fibrosis, apoptosis, and dysfunction in both two obese mouse models. $ApoE^{-/-}$ mice are first fed with HFD for 8 weeks (ApoE-HFD), and then administrated with AG-1478 (10 mg/kg/day) or 542 (10 mg/kg/day) for another 8 weeks by oral gavage. AG-1478 or 542 treatment blocks HFD induced cardiac EGFR phosphorylation in vivo, without affecting the plasma level of low density lipoprotein (LDL) and total triglyceride (TG)[2]. Administration of EGF (10 nM) leads to a robust and reproducible elevation in EGFR phosphorylation that can be blocked by AG-1478 (AG1478), a known inhibitor of EGFR phosphorylation. Increasing doses of Polyfect (PF) result in a significant reduction in EGF-induced EGFR phosphorylation (p[3].