

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

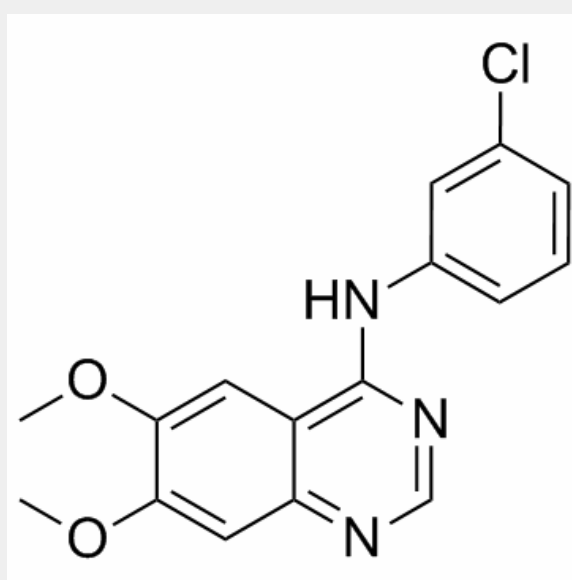
Product Description

AG-1478 is a selective **EGFR** tyrosine kinase inhibitor with **IC₅₀** 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 IC₅₀ 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 μ M) 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].



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