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

PD153035 (Hydrochloride)

Catalog No: tcsc0142

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
183322-45-4

Formula:
$C_{16}H_{15}BrClN_3O_2$

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

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
DMSO : 5.125 mg/mL (12.92 mM; Need ultrasonic and warming)

Alternative Names:
ZM 252868;AG 1517;SU 5271

Observed Molecular Weight:
396.67

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Product Description

PD153035 (ZM 252868; AG 1517; SU 5271) is a potent **EGFR** inhibitor with $\mathbf{K_i}$ and $\mathbf{IC_{50}}$ of 6 and 25 pM, respectively.

IC50 & Target: IC50: 25 pM (EGFR)[1]

Ki: 6 pM (EGFR)[1]

In Vitro: PD153035 inhibits EGF-stimulated receptor autophosphorylation in A431 human epidermoid carcinoma cells, with an IC_{50} of 14 nM[1]. PD 153035 has little effect on PDGFR, FGFR, CSF-1 receptor, the insulin receptor, or on src tyrosine kinases at concentrations as high as 50 μM. PD 153035 rapidly suppresses autophosphorylation of the EGF receptor at low nanomolar concentrations in fibroblasts or in human epidermoid carcinoma cells and selectively blocks EGF-mediated cellular processes including mitogenesis, early gene expression, and oncogenic transformation[2]. PD153035 causes a dose-dependent growth inhibition of EGF receptor-positive cell lines, beginning at less than micromolar concentrations, and the IC_{50} is less than 1 pM in most cases[3].

In Vivo: PD153035 levels in the plasma and tumor rise to 50 and 22 μM within 15 minutes following a single i.p. dose of 80 mg/kg. While the plasma levels of PD 153035 falls below 1 μM by 3 hours, in the tumors it remains at micromolar concentrations for at least 12 hours. The tyrosine phosphorylation of the EGF receptor is rapidly suppressed by 80-90% in the tumors[4].