



## PD153035 (Hydrochloride)

Catalog No: tcsc0142

Available Sizes
Size: 5mg
Size: 10mg
Size: 50mg
Size: 100mg
Specifications
CAS No: 183322-45-4
Formula: C <sub>16</sub> H <sub>15</sub> BrClN <sub>3</sub> O <sub>2</sub>
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





## **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)<sup>[1]</sup>

In Vitro: PD153035 inhibits EGF-stimulated receptor autophosphorylation in A431 human epidermoid carcinoma cells, with an  $IC_{50}$  of 14 nM<sup>[1]</sup>. 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  $\mu$ M. 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<sup>[2]</sup>. 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<sup>[3]</sup>.

In Vivo: PD153035 levels in the plasma and tumor rise to 50 and 22  $\mu$ M within 15 minutes following a single i.p. dose of 80 mg/kg. While the plasma levels of PD 153035 falls below 1  $\mu$ M 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<sup>[4]</sup>.

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!