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

Imatinib

Catalog No: tcsc0964

Available Sizes

Size: 1g

Size: 5g

Specifications

CAS No:
152459-95-5

Formula:
$C_{29}H_{31}N_7O$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Autophagy;Protein Tyrosine Kinase/RTK

Target:
Bcr-Abl;PDGFR;Autophagy;c-Kit

Purity / Grade:
>98%

Solubility:
DMSO : 40 mg/mL (81.04 mM; Need ultrasonic and warming)

Alternative Names:
STI571

Observed Molecular Weight:
493.6

Product Description

Imatinib is a known inhibitor of the **c-Kit**, **Bcr-Abl**, and **PDGFR** tyrosine kinases, inhibits the SLF-dependent activation of c-Kit^{wt} kinase with **IC_{50}** of ~100 nM, which is similar to the concentration requires for inhibition of Bcr-Abl and PDGFR.

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IC50 & Target: IC50: ~100 nM (c-Kit, Bcr-Abl, and PDGFR)[1]

In Vitro: Imatinib (STI571) inhibits c-Kit autophosphorylation, activation of MAPK, and activation of Akt without altering total protein levels of c-kit, MAPK, or Akt. The concentration that produces 50% inhibition for these effects is approximately 100 nM[1]. Imatinib (STI571) is very effective (in vitro IC_{50} of 25 nM) against the chronic myeloid leukemia-causing kinase Bcr-Abl. Imatinib also efficiently inhibits Kit (in vitro IC_{50}, 410 nM) and PDGFR (in vitro IC_{50}, 380 nM)[2]. Imatinib (STI571) is a multi-target inhibitor of v-Abl, c-Kit and inhibits Bcr/Abl, v-Abl, Tel/Abl, the native PDGFβ receptor, and c-Kit, but it does not inhibit Src family kinases, c-Fms, Flt3, the EGFR or multiple other tyrosine kinases. Imatinib inhibits tyrosine phosphorylation and cell growth of Ba/F3 cells expressing Bcr/Abl, Tel/Abl, Tel/PDGFβR, and Tel/Arg with an IC_{50} of approximately 0.5 μM in each case, but it has no effect on untransformed Ba/F3 cells growing in IL-3 or on Ba/F3 cells transformed by Tel/JAK2[3]. The IC_{50}s of Imatinib(STI571) is a multi-target inhibitor of v-Abl, c-Kit and on BON-1 and H727 cells after exposure for 48 h are 32.4 and 32.8 μM, respectively[4].

In Vivo: In the phosphorothioate antisense oligodeoxynucleotides (PS-ASODN) group, tumor growth is inhibited by 59.437%, which is markedly higher than in the Imatinib (STI571) is a multi-target inhibitor of v-Abl, c-Kit and group (11.071%) and liposome negative control group (2.759%). Telomerase activity is significantly lower (P[5]. Imatinib (25 mg/kg/day, p.o.) suppresses the growth of endometriotic tissue and reduces the number of ovarian follicles in a rat model. Imatinib effectively treats experimental endometriosis by its inhibitor effects on angiogenesis and cell proliferation[6].