Web: www.taiclone.com
Tel: +886-2-2735-9682
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Imatinib (Mesylate)

Catalog No: tcsc0027

Available Sizes

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 5g

Specifications

CAS No:
220127-57-1

Formula:
$C_{30}H_{35}N_7O_4S$

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 : ≥ 49 mg/mL (83.09 mM); H2O : ≥ 50 mg/mL (84.79 mM)

Alternative Names:
CGP-57148B;STI-571

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Observed Molecular Weight:
589.71

Product Description

Imatinib Mesylate 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.

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

In Vitro: Imatinib (STI571) Mesylate 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) mesylate 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) mesylate 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]. Imatinib mesylate selectively inhibits the activity of Bcr/Abl, c-Kit and PDGFR kinases. Imatinib mesylate reveals distinct and rapid antileukemic activity in chronic myelogenous leukemia (CML) and Philadelphia-positive (Ph^+) acute lymphoblastic leukemia (ALL)[4].

In Vivo: Animals treated with Imatinib Mesylate show a decrease of mean body weight throughout the whole study. Body weight loss is noticeable in mice from groups that receive chemotherapy and the vitamin D analog combined treatment. The body weight decrease of mice treat with both combined Imatinib mesylate and PRI-2191 is the highest (15%) on Day 22 of the experiment, but after that day, mice start to recover[4]. In a rat Ischemia/reperfusion injury (IRI) model, Imatinib mesylate attenuates lung injury by an antipermeability and antiinflammatory effect. The delivery and function of Imatinib mesylate in the lung is also confirmed in this model[5].