Imatinib

**Product Data Sheet**

**Cat. No.**: HY-15463
**CAS No.**: 152459-95-5
**Molecular Formula**: C₂₉H₃₁N₇O
**Molecular Weight**: 493.6
**Target**: c-Kit; Bcr-Abl; PDGFR; Autophagy
**Pathway**: Protein Tyrosine Kinase/RTK; Autophagy
**Storage**: Powder -20°C 3 years, 4°C 2 years, In solvent -80°C 6 months, -20°C 1 month

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**Solvent & Solubility**

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>DMSO : 40 mg/mL (81.04 mM; Need ultrasonic and warming)</th>
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</thead>
<tbody>
<tr>
<td></td>
<td><strong>Preparation of Stock Solutions</strong></td>
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<tr>
<td></td>
<td><strong>Concentration</strong></td>
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<tr>
<td></td>
<td>1 mM</td>
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<tr>
<td></td>
<td>5 mM</td>
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<tr>
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<td>10 mM</td>
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</table>

Please refer to the solubility information to select the appropriate solvent.

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**BIOLOGICAL ACTIVITY**

**Description**
Imatinib is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC₅₀=100 nM) tyrosine kinases.

**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 IC₅₀ of 25 nM) against the chronic myeloid leukemia-causing kinase Bcr-Abl. Imatinib also efficiently inhibits Kit (in vitro IC₅₀, 410 nM) and PDGFR (in vitro IC₅₀, 380 nM)[2]. Imatinib (STI571) is a multi-target inhibitor of v-Ab1, c-Kit and inhibits Bcr/Ab1, v-Ab1, Tel/Ab1, 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/Ab1, Tel/Ab1, Tel/PDGFβR, and Tel/Arg with an IC₅₀ 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 IC₅₀s of Imatinib(STI571) is a multi-target inhibitor of v-Ab1, c-Kit and on BON-1 and H727 cells after exposure for 48 h are 32.4 and 32.8 μM, respectively[4].

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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<0.01) in the PS-ASODN group (0.689±0.158) compare with the Imatinib group (1.838±0.241), liposome negative control group (2.013±0.273), and saline group (2.004±0.163)[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].

PROTOCOL

Cell Assay[4]

BON-1 cells (7,500 per well) and NCI-H727 cells (5,000 per well) are seeded into flat-bottomed 96-well plates in triplicate and allowed to adhere overnight in 10% fetal bovine serum-supplemented DMEM or RPMI 1640 complete medium, respectively; the medium is then exchanged for serum-free medium (negative control) or serum-free medium containing serial dilutions of Imatinib. After 48 h (control cultures do not reach confluence), the number of metabolically active cells is determined by the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide assay, and absorbance is measured in a Packard Spectra microplate reader at 540 nm. Growth inhibition is calculated. Experiments are done in triplicates[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration[5][6]

Mice[5]

The 40 tumor-bearing SCID mice are randomly divided into four groups (10 mice per group): the PS-ASODN group (5 μM, each mouse receives 0.2 mL by intratumor injection once daily); Imatinib group (0.1 mg/g body weight); liposome negative control group (0.01 mL/g); and saline group (0.01 mL/g). The mice in each group receive the relevant treatment by intra-tumor injection once daily from day 7 to day 28 after implantation. After 28 d, the mice are sacrificed, and tumor weight and longest and shortest diameters are measured by electronic scale and vernier caliper, respectively. Inhibition of tumor growth is calculated.

Rats[6]

Adult female Wistar-Albino rats (220-240 g) are used. Twenty-one days after the first surgical procedure, the rats undergo a second laparotomy to evaluate the occurrence of endometriosis. Twenty-four rats have visually confirmed endometriotic implants and are randomized into three groups to receive Imatinib (25 mg/kg/day, p.o.), Anastrozole (0.004 mg/day, p.o.), or normal saline (0.1 mL, i.p.) for 14 days.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES


