Imatinib (Gleevec) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>493.6</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C29H35N7O</td>
</tr>
<tr>
<td>CAS No.</td>
<td>152459-95-5</td>
</tr>
<tr>
<td>Synonyms</td>
<td>ST571, Glivec</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Solubility (25°C)</th>
<th>DMSO 3 mg/mL</th>
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</thead>
<tbody>
<tr>
<td></td>
<td>Water &lt;1 mg/mL</td>
</tr>
<tr>
<td></td>
<td>Ethanol &lt;1 mg/mL</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Storage</th>
<th>2 years -20°C Powder</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>2 weeks 4°C in DMSO</td>
</tr>
<tr>
<td></td>
<td>6 months -80°C in DMSO</td>
</tr>
</tbody>
</table>

Biological Activity

**Description**

Imatinib is a multi-target inhibitor of v-Abl, c-Kit and PDGFR with IC50 of 0.6 μM, 0.1 μM and 0.1 μM, respectively.

**Targets**

v-Abl, PDGFR, c-Kit

**IC50**

600 nM, 100 nM[1], 100 nM[2]

**In vitro**

In vitro assays for inhibition of a panel of tyrosine and serine/threonine protein kinases show that Imatinib inhibits the v-Abl tyrosine kinase and PDGFR potently with an IC50 of 0.6 and 0.1 μM, respectively.[1] Imatinib inhibits the SLF-dependent activation of wild-type c-Kit kinase activity with a IC50 for these effects of approximately 0.1 μM, which is similar to the concentration required for inhibition of PDGFR.[2] Imatinib exhibits growth-inhibitory activity on the human bronchial carcinoid cell line NCI-H727 and the human pancreatic carcinoid cell line BON-1 with an IC50 of 32.4 and 32.8 μM, respectively.[3] A recent study shows that Imatinib has the potential to exert its antileukemia effects in chronic myelogenous leukemia by down-regulating hERG1 K+ channels, which are highly expressed in leukemia cells and appear of exceptional importance in favoring leukemogenesis.[4]

**In vivo**

Imatinib produces a different antitumor effect on three xenografted tumors derived from surgical samples of fresh human small cell lung cancers, with 80%, 40% and 78% growth inhibition of SCLC6, SCLC61 and SCLC108 tumors, respectively, and no significant inhibition of SCLC74 growth.[5] In high fat fed ApoE(-/-) mice, Imatinib significantly reduces the high fat-induced lipid staining area by 30%, 27% and 35% compared to high-fat diet untreated controls when dosed by gavage at 10, 20 and 40 mg/kg, respectively, and suppresses carotid artery lipid accumulation.[6]

**Clinical Trials**

Imatinib is currently being investigated in Phase III clinical trials in patients with Sarcoma.

**Features**

Protocol (Only for Reference)

**Kinase Assay:**[1]

**PDGF receptor kinase activity**

PDGF receptor is immunoprecipitated from BALB/c 3T3 cell extracts with rabbit antiserum to the murine PDGF receptor for 2 hours on ice. Protein A-Sepharose beads are used to collect the antigen-antibody complexes. The immunoprecipitates are washed twice with TNET (50 mM Tris, pH 7.5, 140 mM NaCl, 5 mM EDTA, 1% Triton X-100), once with TNE (50 mM Tris, pH 7.5, 140 mM EDTA), and once with kinase buffer (20 mM Tris, pH 7.5,10 mM MgCl2). After stimulation with PDGF (50 ng/mL) for 10 minutes at 4°C, different concentrations of drug are added to the reaction mixture. PDGF receptor kinase activity is determined by incubation with 10 μCi [7-32P]-ATP and 1 μM ATP for 10 minutes at 4°C. Immune complexes are separated by SDS-PAGE on 7.5% gels.

**Cell Assay:**[3]

**Cell Lines**

BON-1 cells and NCI-H727 cells

**Concentrations**

~100 μM

**Incubation Time**

48 hours

**Methods**

BON-1 cells and NCI-H727 cells 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 hours (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 using the following formula: inhibition rate = (1 - a / b) x 100%, where a and b are the absorbance values of the treated and control groups, respectively.

**Animal Study:**[5]

**Animal Models**

SCLC6, SCLC61, SCLC 74 and SCLC108 small cell lung cancers are injected into Swiss mice (nu/nu, female).

**Formulation**

Imatinib is diluted in water.

**Doses**

70 or 100 mg/kg

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**Administration**
Administered via i.p.

**References**

**Customer Reviews**

, , Dr Helen Rizos from the university of Sydney

Imatinib (Gleevec) purchased from Selleck

A. Viability curve for the c-Kit mutant MelMS melanoma cell line treated with increasing concentrations of imatinib for 72h (relative to DMSO-treated controls; mean ±sd; n=3) B. MelMS melanoma cells were treated with 50nM imatinib for 24h. The effects on c-Kil, ERK and AKT activation were determined by immunoblotting.

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![Image](image1.png)

Data from [FASEB J, 2011, 25(10), 3661-3673]

Imatinib (Gleevec) purchased from Selleck

Ba/F3-p210T315I cells were treated with indicated concentrations of imatinib with or without PDMP for 24 h. Apoptosis was determined as in A. Data are shown as percentage of sub-G1 for apoptosis in triplicate cultures. *P<0.05.

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**PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.**

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