Product Data Sheet

Chemical Properties

**Product Name:** Imatinib Mesylate (STI571)

**Cas No.:** 220127-57-1

**M.Wt:** 589.71

**Formula:** C29H31N7O.CH4SO3

**Chemical Name:** methanesulfonic acid;4-[(4-methylpiperazin-1-yl)methyl]-N-[4-methyl-3-[(4-pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide

**Canonical SMILES:** CC1=C(C=C(C1)NC(=O)C2=CC=C(C=C2)CN3CCN(CC3)C)NC4=NC=CC=C5.CS(=O)(=O)O

**Solubility:** >29.5mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** Bcr-Abl

**Pathways:** TGF-β / Smad Signaling >> Bcr-Abl

**Description:** Imatinib mesylate is a tyrosine kinase inhibitor IC50 value of 100 nM, 100 nM, 600 nM for v-Abl, c-kit, PDGFR, respectively [1].
Tyrosine kinase is an enzyme which is a subclass of protein kinase and plays an important role in transferring a phosphate group from ATP to a protein in cells. It is shown that tyrosine kinase plays a pivotal role in the management of disorders in which activation of c-Abl, PDGFR, or c-Kit signaling. Recently, the role of tyrosine kinases in the modulation of growth factor signaling are received more and more attention and gradually become an especial important target [2]. Imatinib Mesylate is a specific tyrosine kinase (abl, c-kit, and PDGFR) inhibitor and is reported to sensitize cells to radio- or chemo-therapy. When tested with Y-79 and WERI-RB-1 Rb cell lines, imatinib mesylate treatment decreased the cell proliferation and invasion with the concentration of 10 μM [3]. In osteoblast cells, administration of imatinib mesylate decreased osteoclast development via stimulating differentiation, inhibiting proliferation and survival [4]. In dog model with mast cell tumor, administration of imatinib mesylate at a dose of 10 mg/kg daily for 1-9 weeks reduced tumor growth via inhibiting tyrosine kinase [5].

Reference:

Protocol

Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
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<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt;10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
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<tr>
<td>Reacting conditions</td>
<td>IC50: 3.9 μM for inhibiting DCs-stimulated T-cell proliferation 2.9 μM for inhibiting PHA-stimulated T-cell proliferation 4 days</td>
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<td>Applications</td>
<td>Cells were stimulated with allogeneic mature DCs or PHA in the presence of imatinib mesylate. The drug inhibited T-cell proliferation as a function of concentration. The effects were significant at 0.5 μM imatinib mesylate for the cells stimulated by DCs and at 1.0 μM imatinib mesylate for the cells stimulated with PHA. The IC50 values for imatinib mesylate–inhibited T-cell proliferation stimulated by DCs and PHA were 3.9 μM and 2.9 μM, respectively.</td>
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Animal experiment [3]:

Animal models  Female C57BL/6 mice
Dosage form  Intraperitoneal injection, 25 or 50mg/kg/day
Applications  Administration of imatinib alone did not generate any changes in lung morphology. However, when imatinib was administered in bleomycin-treated mice, a reduction of fibrotic lesions in the subpleural areas of lung was observed at doses of 25 and 50 mg/kg/day. The quantitative histologic analysis demonstrated that the fibrotic score in mice treated with bleomycin and 50 mg/kg/day of imatinib was significantly lower than that treated with bleomycin alone. The collagen content of the lung was also significantly lower in mice treated with bleomycin and imatinib (50 mg/kg/day) as compared with those treated with bleomycin alone.

Other notes  Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Validation

Treatment of Imatinib decreases CHOP induction, JNK phosphorylation, and PARP cleavage induced by CBD.
Treatment of Imatinib protects against CBD-mediated HSC death activation

FOR RESEARCH PURPOSES ONLY. NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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