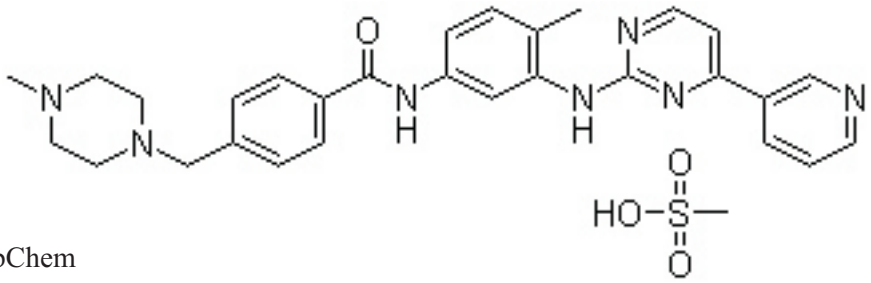
Taj Pharmaceuticals Ltd.

Imatinib Mesylate

CAS No. : 220127-57-1

Molecular Formula C29H31N7O.CH4O3S
Molecular Weight 589.71
CAS Registry Number 220127-57-1
ATC code L01XE01
PubChem 5291
DrugBank APRD01028
ChemSpider 5101



Chemical data

Mol. mass 493.603 g/mol
589.7 g/mol (mesilate)
SMILES eMolecules & PubChem

Pharmacokinetic data

Bioavailability 98%
Protein binding 95%
Metabolism Hepatic (mainly CYP3A4-mediated)
Half life 18 hours (imatinib)
40 hours (active metabolite)

DOSAGE

Imatinib mesylate is a pill, taken by mouth, once or twice daily.
Imatinib mesylate should be taken with a large glass of water, after a meal.
The amount of Imatinib mesylate that you will receive depends on many factors, including your general health or other health problems, and the type of cancer or condition being treated. Your doctor will determine your dose and schedule.

Patients with Philadelphia chromosome positive chronic myeloid leukemia in blast crisis, accelerated phase, or in chronic phase after failure of interferon-alpha therapy.Pediatric patients with Ph+ CML in chronic phase who are newly diagnosed or whose disease has recurred after stem cell transplant or who are resistant to interferon-alpha therapy. There are no controlled trials in pediatric patients demonstrating a clinical benefit, such as improvement in disease-related symptoms or increased survival.Adult patients with relapsed or refractory Philadelphia chromosome positive acute lymphoblastic leukemiaAdult patients with myelodysplastic/ myeloproliferative diseases associated with PDGFR (platelet-derived growth factor receptor) gene re-arrangementsAdult patients with aggressive systemic mastocytosis without the D816V c-Kit mutation or with c-Kit mutational status unknown

SIDE EFFECTS

Important things to remember about the side effects of Imatinib mesylate:

* Most people do not experience all of the side effects listed.
* Side effects are often predictable in terms of their onset and duration.
* Side effects are almost always reversible and will go away after treatment is complete.
* There are many options to help minimize or prevent side effects.

* There is no relationship between the presence or severity of side effects and the effectiveness of the medication.
* The side effects of Imatinib mesylate and their severity depend on how much of the drug is given. In other words, high doses may produce more severe side effects

* Low blood counts. Your white and red blood cells and platelets may temporarily decrease. This can put you at increased risk for infection, anemia and/or bleeding.
* Nausea and vomiting
* Edema (swelling of the face, feet, hands)
* Muscle cramps and bone pain
* Diarrhea
* Hemorrhage (see bleeding problems)
* Skin rash (see skin reactions)
* Fever

* Headache
* Fatigue
* Joint pain
* Indigestion (see heartburn)
* Abdominal pain
* Cough
* Shortness of breath
* Poor appetite
* Constipation
* Night sweats (see skin reactions)
* Nose bleeds (see bleeding problems)
* Weakness
* Your fertility, meaning your ability to conceive or father a child, may be affected by Imatinib mesylate. Please discuss this issue with your health care provider.

A rare, but potentially serious side effect of Imatinib mesylate is liver toxicity. There may be elevations in transaminase, bilirubin, and lactate dehydrogenase.

PRECAUTIONS

Before taking imatinib, tell your doctor or pharmacist if you are allergic to it; or if you have any other allergies. Before using this medication, tell your doctor or pharmacist your medical history, especially of: bleeding problems, heart problems (e.g., heart failure), kidney problems, liver problems.

Do not have immunizations/vaccinations without the consent of your doctor, and avoid contact with people who have recently received oral polio vaccine or flu vaccine inhaled through the nose. Wash your hands well to prevent the spread of infections.
To lower your risk of getting cut, bruised, or injured, use caution with sharp objects like razors and nail cutters, and avoid activities such as contact sports.
Before having surgery, tell your doctor or dentist that you are using this medication.
The elderly may be more sensitive to the side effects of this drug (e.g., swelling). Also, the manufacturer recommends a routine heart test in the elderly before treatment.

This medication is not recommended for use during pregnancy. It may harm the unborn baby. If you become pregnant or think you may be pregnant, inform your doctor immediately. To avoid pregnancy, both males and females using this drug must use reliable form(s) of birth control (e.g., condoms, birth control pills) during treatment with this drug. Talk with your doctor about effective forms of birth control.It is not known whether this drug passes into breast milk. Because of the potential risk to the infant, breast-feeding while using this drug is not recommended. Consult your doctor before breast-feeding.

DRUG DESCRIPTION

Imatinib is a drug used to treat certain types of cancer. It is currently marketed by Novartis as Gleevec (USA) or Glivec (Europe/Australia) as its mesylate salt, imatinib mesilate (INN). It was originally coded during development as CGP57148B or STI-571 (these terms are used in early preclinical publications). It is used in treating chronic myelogenous leukemia (CML), gastrointestinal stromal tumors (GISTs) and a number of other malignancies. Imatinib mesylate is a white to off-white to brownish or yellowish tinged crystalline powdebut is very slightly soluble to insoluble in neutral/alkaline aqueous buffers. In non-aqueous solvents, the drug substance is freely soluble to very slightly soluble in dimethyl sulfoxide, methanol and ethanol, but is insoluble in n-octanol, acetone and acetonitrile.

* Newly diagnosed adult and pediatric patients with Philadelphia chromosome positive chronic myeloid leukemia (Ph+ CML) in chronic phase.
* Ph+ CML in blast crisis, accelerated phase, or chronic phase after failure of interferon-alpha therapy.
* Adult patients with relapsed or refractory Philadelphia chromosome + acute lymphoblastic leukemia (Ph+ ALL).
* Adult patients with myelodysplastic/myeloproliferative diseases (MDS/MPD) associated with PDGFR gene rearrangements.
* Gastrointestinal stromal tumors that are C-kit positive.

Note /Government Notification: These chemicals are designated as those that are used in the manufacture of the controlled substances and are important to themanufacture of the substances. For any (Control Substance) products Import and Export *** subjected to your country government laws /control substance ACT.

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The Controlled Substances Act (CSA) was enacted into law by the Congress of the United States as Title II of the Comprehensive Drug Abuse Prevention and Control Act of 1970.[1] The CSA is the federal U.S. drug policy under which the manufacture, importation, possession, use and distribution of certain substances is regulated. The Act also served as the national implementing legislation for the Single Convention on Narcotic Drugs

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