

Imatinib (Gleevec)

Imatinib, which has the trade-name Gleevec, is a tyrosine-kinase inhibiting medication used treating cancers, such as CML and GI stromal tumors. It inhibits the BCR-ABL tyrosine kinase enzyme (which is found in cancer cells), which is necessary for cancer growth. It also works to inhibit C-kit, which is involved in cell proliferation and differentiation in the gastrointestinal stroma.



PLAY PICMONIC

Indications

Chronic Myelogenous Leukemia (CML)

CaMeL

This drug is indicated for use against Philadelphia chromosome-positive CML, and is a first line drug in both children and adults.

Inhibitor of BCR-ABL Tyrosine Kinase

Inhibiting chains on VCR-Abe Lincoln with Tire-kite-ace

Imatinib works by inhibiting BCR-abl, which is gene encoding a tyrosine kinase enzyme responsible for phosphorylation of proteins in cancer cells. This enzyme is necessary for cancer growth, and inhibition leads to cancer cell apoptosis.

Caused by Philadelphia Chromosome

Philadelphia-cream-cheese

One of the most common forms of CML arises from the Philadelphia Chromosome, which is a 9;22 translocation. A fusion gene is created as a result of this translocation, elongating chromosome 9 and pairing the ABL gene with the BCR gene from chromosome 22.

GI Stromal Tumors

GI Straw-man

Imatinib, or Gleevec, has been used to treat gastrointestinal stromal tumors (GISTs).

Inhibitor of C-kit Tyrosine Kinase

Inhibiting chains on C-Kit-Kat with Tire-kite-ace

This medication works to inhibit tyrosine-protein kinase Kit, or proto-oncogene C-kit. This protein is involved in signaling to play a role in cell survival, proliferation and differentiation, leading to cancerous growth in the GI system.

Toxicity

Fluid Retention

Water-bed

Though there are various symptoms which arise from Imatinib's use, one of the most severe is fluid retention. This can manifest as edema, but more severely congestive cardiac failure from large doses. Minor side effects include nausea, headache, pancytopenia and growth-delay in children.