Erlotinib

Erlotinib is a chemotherapy medication that works by inhibiting EGFR tyrosine kinase. As such, it inhibits progression of the cell cycle from G1 to S phase. Erlotinib is indicated for non-small cell lung cancer and pancreatic cancer. Side effects include rash and diarrhea. Patients should avoid ingesting grapefruit juice when taking this medication as it is metabolized by the cytochrome P450 enzyme complex.



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Mechanism of Action

Inhibits EGFR Tyrosine Kinase
Inhibiting chains on Egg-fried with Tie-kite-ace
Erlotinib works as an inhibitor of EGFR tyrosine kinase. It competes reversibly with ATP on the ATP binding site of the intracellular kinase domain.

Inhibits Progression of G1 to S Phase
Gangster (1) Wand with Check-mark-chains and synthesizer-chains
Erlotinib produces cell cycle arrest at the G1/S checkpoint. This is explained by inhibition of phosphorylation of Rb (Retinoblastoma) protein. Hypophosphorylation of Rb results in inhibition of cellular growth.

Indications

Non-small Cell Lung Cancer (NSCLC)
Nun Lung Tumors
Erlotinib is indicated for the treatment of non-small cell lung cancer (NSCLC). These tumor cells have excessive EGFR expression, so they are receptive to this chemotherapeutic agent.

Pancreatic Cancer
Cancer eating pancreas
In addition to lung cancer, erlotinib is approved for use in patients with locally advanced or metastatic pancreatic cancer. Erlotinib is often used in combination with another antineoplastic agent, gemcitabine, for this disease.

Side Effects

Rash
Dermatologist examining Rash
The most common side effect of this drug is skin rashes. They can appear in the first month of starting the medication and are dose-dependent. An acneiform rash affecting the chest and upper back or generalized xerosis (dry skin) are common presentations.

Diarrhea
Toilet
The other common side effect that can occur is diarrhea. It frequently occurs in the first 4 weeks after starting treatment. Dietary changes and antidiarrheal medication can be used to treat this side effect.

Considerations

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Avoid Grapefruit Juice

Avoid-sign at Grapefruit Juice

Since erlotinib is metabolized by hepatic cytochrome p450 enzymes (CYP1A2 and CYP3A4), patients should avoid ingesting grapefruit juice when taking this medication as it would inhibit metabolism.

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