W=110 X H=208 mm

Geficent™

Gefitinib 250 mg

Presentation

Geficent™ 250: Each tablet contains Gefitinib INN 250 mg.

Description

Gefitinib is a kinase inhibitor. The epidermal growth factor receptor (EGFR) is expressed on the cell surface of both normal and cancer cells and plays a role in the processes of cell growth and proliferation. Some EGFR activating mutations (exon 19 deletions or exon 21 point mutation L858R) within non-small cell lung cancer (NSCLC) cells have been identified as contributing to the promotion of tumor cell growth, blocking of apoptosis, increasing the production of angiogenic factors and facilitating the processes of metastasis.

Gefitinib reversibly inhibits the kinase activity of wild-type and certain activating mutations of EGFR, preventing autophosphorylation of tyrosine residues associated with the receptor, thereby inhibiting further downstream signalling and blocking EGFR-dependent proliferation.

Gefitinib binding affinity for EGFR exon 19 deletion or exon 21 point mutation L858R mutations is higher than its affinity for the wild-type EGFR. Gefitinib also inhibits IGF and PDGF-mediated signalling at clinically relevant concentrations; inhibition of other tyrosine kinase receptors has not been fully characterized.

Indications and Uses

Gefitinib is a tyrosine kinase inhibitor indicated for the first-line treatment of patients with metastatic non-small cell lung cancer (NSCLC) whose tumors have epidermal growth factor receptor (EGFR) exon 19 deletions or exon 21 (L858R) substitution mutations as detected by an FDA-approved test.

Limitation of Use: Safety and efficacy of Gefitinib have not been established in patients whose tumors have EGFR mutations other than exon 19 deletions or exon 21 (L858R) substitution mutations.

Dosage and Administrations

Recommended dose is 250 mg orally, once daily with or without food.

Side-effects

The following adverse reactions have been reported with Gefitinib across NSCLC trials: nausea (18%), asthenia (17%), pyrexia (9%), alopecia (4.7%), hemorrhage (including epistaxis and hematuria) (4.3%), dry mouth (2%), dehydration (1.8%), allergic reactions including angioedema and urticaria (1.1%), elevations in blood creatinine (1.5%), and pancreatitis (0.1%).

Contraindications

None

Precautions

Interstitial lung disease (ILD): ILD occurred in patients taking Gefitinib. Gefitinib should be withheld for worsening of respiratory symptoms. It should be discontinued if ILD is confirmed.

Hepatotoxicity: Periodic liver function testing should be performed. Gefitinib should be withheld for Grade 2 or higher for ALT and/or AST elevations. It should be discontinued for severe hepatic impairment.

Gastrointestinal perforation: Gefitinib should be discontinued for gastrointestinal perforation.

Diarrhea: Gefitinib should be withheld for Grade 3 or higher diarrhea.

Ocular Disorders including Keratitis: Gefitinib should be withheld for signs and symptoms of severe or worsening ocular disorders including keratitis. It should be discontinued for persistent ulcerative keratitis.