TOFATOR™ 5

Tofacitinib 5 mg

Presentation

TOFATOR™ 5: Each tablet contains Tofacitinib Citrate INN equivalent to Tofacitinib 5 mg

Description

Tofacitinib is a Janus Kinase (JAK) inhibitor. JAKs are intracellular enzymes, which transmit signals arising from cytokine or growth factor-receptor interactions on the cellular membrane to influence cellular processes of hematopoiesis and immune cell function. Within the signaling pathway, JAKs phosphorylate and activate Signal Transducers and Activators of Transcription (STATs) which modulate intracellular activity including gene expression. Tofacitinib modulates the signaling pathway at the point of JAKs, preventing the phosphorylation and activation of STATs. JAK enzymes transmit cytokine signaling through pairing of JAKs (e.g., JAK1/JAK3, JAK1/JAK2, JAK1/TyK2 and JAK2/JAK2). Tofacitinib inhibited the in vitro activities of JAK1/JAK2, JAK1/JAK3, and JAK2/JAK2 combinations.

Indication

- *Rheumatoid Arthritis:* Tofacitinib is indicated for the treatment of adult patients with moderately to severely active Rheumatoid Arthritis who have had an inadequate response or intolerance to Methotrexate. It may be used as monotherapy or in combination with Methotrexate or other nonbiologic Disease-Modifying Antirheumatic Drugs (DMARDs).
- *Psoriatic Arthritis:* Tofacitinib is indicated for the treatment of adult patients with active Psoriatic Arthritis who have had an inadequate response or intolerance to Methotrexate or other Disease-Modifying Antirheumatic Drugs (DMARDs).
- *Ulcerative Colitis:* Tofacitinib is indicated for the treatment of adult patients with moderately to severely active Ulcerative Colitis (UC).

Dosage & Administration

Administration instructions

Do not initiate Tofacitinib if absolute lymphocyte count <500 cells/mm^3, an absolute neutrophil count (ANC) <1000 cells/mm^3 or hemoglobin <9 g/dL.

Recommended Dosage

- *Rheumatoid Arthritis:* Tofacitinib 5 mg twice daily. Recommended dosage in patients with moderate and severe renal impairment or moderate hepatic impairment is Tofacitinib 5 mg once daily.
- *Psoriatic Arthritis (in combination with nonbiologic DMARDs):* Tofacitinib 5 mg twice daily. Recommended dosage in patients with moderate and severe renal impairment or moderate hepatic impairment is Tofacitinib 5 mg once daily.
- *Ulcerative Colitis:* Tofacitinib 10 mg twice daily for at least 8 weeks; then 5 or 10 mg twice daily. Discontinue after 16 weeks of 10 mg twice daily, if adequate therapeutic benefit is not achieved. Use the lowest effective dose to maintain response.
  Use in patients with severe hepatic or renal impairment is not recommended.
- *Limitations of use:* Use of Tofacitinib in combination with biologic DMARDs or potent immunosuppressants such as Azathioprine and Cyclosporine is not recommended.

Side effect

Most common adverse reactions are:

- *Rheumatoid and Psoriatic Arthritis:* Reported during the first 3 months in rheumatoid arthritis controlled clinical trials and occurring in >2% of patients treated with Tofacitinib monotherapy or in combination with DMARDs: upper respiratory tract infection, nasopharyngitis, diarrhea, and headache.
- *Ulcerative Colitis:* Reported in >5% of patients treated with either 5 mg or 10 mg twice daily of Tofacitinib and greater than reported in patients receiving placebo in either the induction or maintenance clinical trials: nasopharyngitis, elevated cholesterol levels, headache, upper respiratory tract infection, increased blood creatine phosphokinase, rash, diarrhea, and herpes zoster.

Precaution

- *Serious Infections:* Use of Tofacitinib should be avoided during an active serious infection, including localized infections.
- *Gastrointestinal Perforations:* Caution should be used in patients that may be at increased risk.
- *Laboratory Monitoring:* Laboratory Monitoring should be recommended due to potential changes in lymphocytes, neutrophils, hemoglobin, liver enzymes and lipids.
- *Immunizations:* Live vaccines: Use with Tofacitinib should be avoided.

Contraindication

None.

Use in specific population

- *Pregnancy & Lactation:* Pregnancy Category C. There are no adequate and well-controlled studies in pregnant women.
- *Pediatric Use:* The safety and effectiveness of Tofacitinib in pediatric patients have not been established.
- *Geriatric Use:* The frequency of serious infection among Tofacitinib-treated subjects 65 years of age and older was higher than among those under the age of 65. As there is a higher incidence of infections in the elderly population in general, caution should be used when treating the elderly.

Drug interaction

- *Strong CYP3A4 Inhibitors (e.g., ketoconazole):* Dosage adjustment of Tofacitinib is recommended.
- *Moderate CYP3A4 Inhibitors Coadministered with Strong CYP2C19 Inhibitors (e.g., fluconazole):* Dosage adjustment of Tofacitinib is recommended.
- *Strong CYP3A4 Inducers (e.g., rifampin):* Coadministration with Tofacitinib is not recommended.
- *Immunosuppressive Drugs (e.g., azathioprine, tacrolimus, and cyclosporine):* Coadministration with Tofacitinib is not recommended.

Storage

Do not store above 30° C. Keep away from light and out of the reach of children.

How supplied

TOFATOR™ 5: Each box contains 1/2/3 blister strip of 10 tablets.

Manufactured by

SQUARE

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PHARMACEUTICALS LTD.

Kaliakoir, Gazipur, Bangladesh

TM- Trade Mark

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