Tofacitinib (Xeljanz®)

Tofacitinib citrate (Xeljanz®) is an oral, biologic drug used to treat adults with moderate-to-severe, active rheumatoid arthritis who have had an inadequate response or intolerance to methotrexate. Methotrexate is a disease-modifying antirheumatic drug (DMARD) used to treat rheumatoid arthritis (RA). Tofacitinib acts to block the body's production of enzymes called Janus kinases (JAKs), which play a role in RA inflammation. Tofacitinib may be used alone or in combination with methotrexate or other DMARDs.

Fast Facts

- Tofacitinib citrate is a biologic drug that inhibits Janus kinases (JAKs), which play a role in RA inflammation.
- Tofacitinib is a coated tablet you swallow. The standard dosage is two 5 mg tablets taken twice a day.
- The most common adverse reactions to tofacitinib include upper respiratory tract infections, headaches, diarrhea and runny nose.
- Tofacitinib may lower your ability to fight certain infections. Avoid using tofacitinib if you have an active, serious infection. Avoid live immunization vaccines while you are taking tofacitinib.
- Tofacitinib should not be used by people who have severe liver problems. It's unknown if tofacitinib is safe and effective in people with hepatitis B or C. Tofacitinib should be used with caution in people at increased risk of gastrointestinal perforations.

Uses

Tofacitinib has been approved by the FDA for use in adults with moderate-to-severe, active rheumatoid arthritis (RA) who have had an inadequate response or intolerance to methotrexate. Tofacitinib is an oral biologic drug. It is an immunosuppressant, inhibiting the body's production of enzymes called Janus kinases (JAKs). JAKs play a role in joint inflammation in RA, which can cause pain, swelling and stiffness. If left untreated, RA inflammation could lead to joint erosions, and organ and tissue damage.

Tofacitinib is currently being studied for use in treating other autoimmune diseases, including psoriasis, psoriatic arthritis, ulcerative colitis, Crohn's disease and ankylosing spondylitis.

Tofacitinib is a coated tablet that you swallow. The recommended dose is 5 mg taken twice a day.

How it works

Tofacitinib is a new kind of drug called a Janus kinase inhibitor. It contains ingredients that inhibit or block enzymes in your body called Janus kinases (JAKs). JAKs play a role in the process of inflammation in RA. JAKs, if unblocked, can signal your body to release inflammatory cytokines that attack your joints and other tissues. The goal of treatment with tofacitinib is to reduce RA inflammation and disease activity. Uncontrolled inflammation in RA can lead to joint erosions, and organ and tissue damage.

Dosing

Tofacitinib tablets are taken by mouth. The recommended dose is 5 mg tablets taken twice daily. People with moderate to severe renal impairment, or moderate hepatic impairment, should take only one 5 mg tablet per day.

Tofacitinib may be used alone to treat RA, or it may be used in combination with methotrexate or other DMARDs. However, it's not recommended to use tofacitinib with other biologic DMARDs, or with potent immunosuppressant drugs like azathioprine or cyclosporine.

You may take tofacitinib tablets with or without food.

Risks and side effects

Tofacitinib may reduce your body's ability to fight infection. Do not use tofacitinib if you have an active infection, even a localized infection, and don't start using tofacitinib again until your infection is well controlled. You should not take live vaccines while taking tofacitinib, but it's safe to take non-live vaccines.

Some people who have taken tofacitinib have developed serious infections, such as tuberculosis (TB), invasive fungal infections, and bacterial and viral infections. You should watch carefully for the signs of infection during and after taking tofacitinib, including fever, chills, muscle aches, cough, body sores, diarrhea and pain when urinating. While taking tofacitinib, your doctor will test you regularly for changes to your blood or liver enzymes.

Your doctor should test you for TB before you start taking tofacitinib, and watch you for signs of TB development while you are taking the drug. Before starting tofacitinib, you should tell your doctor if you have any medical condition that may make you more susceptible to infection, such as diabetes, HIV or a weak immune system. You should also tell your doctor if you have traveled or lived in any areas where there it is more likely to get certain fungal infections, or in countries where TB is widespread.

Tofacitinib may increase your risk of certain cancers, such as lymphoma or some skin cancers, by suppressing your body's immune system responses. Some people taking tofacitinib, particularly those also taking nonsteroidal anti-inflammatory drugs (NSAIDs) or corticosteroids, may experience perforations or tears in their stomach or intestines, so tell your doctor if you have any unexplained stomach pain or changes in your bowel habits. Before starting tofacitinib, tell your doctor if you have had kidney or liver problems, or gastrointestinal problems like diverticulitis or ulcers.

Some people taking drugs to prevent the rejection of a kidney transplant as well as taking tofacitinib have developed an Epstein-Barr virus-related infection, so tell your doctor if you have had a transplant and are taking these drugs. Tofacitinib also may lead to the activation of hepatitis B or C in people who carry those viruses.

The most common side effects of tofacitinib include upper respiratory tract infections, diarrhea, headache, nasal congestion, sore throat and runny nose. It's unknown how tofacitinib may affect unborn children or be passed through breast milk, so pregnant or nursing women should weigh the possible risks and benefits of tofacitinib with their doctor.

Tofacitinib's effectiveness may be reduced if taken with some antifungal and other medications, so tell your doctor about any medications you use before starting tofacitinib, or if you are preparing to get any vaccines.

Points to remember

- Tofacitinib is a biologic drug taken as an oral tablet twice a day for the treatment of adults with moderate-to-severe, active rheumatoid arthritis who have had an inadequate response or intolerance to methotrexate. Tofacitinib may be taken alone or in combination with methotrexate or other DMARDs.
- Tofacitinib may lower your body's ability to fight infections, including TB. Watch for any signs of a possible infection, and don't take tofacitinib while you have an active infection until it is well controlled.
- Before taking tofacitinib, tell your doctor if you have had TB, or if you have lived in or traveled to an area of the country or world where TB outbreaks are common.
- Tofacitinib may increase your risk of developing certain cancers, including lymphoma and some skin cancers, or activate hepatitis B or C if you are carrying those viruses.
- Tofacitinib's most common side effects are upper respiratory tract infections, diarrhea, headache, nasal congestion, sore throat and runny nose.

For more information

The American College of Rheumatology has compiled this list to give you a starting point for your own additional research. The ACR does not endorse or maintain these websites, and is not responsible for any information or claims provided on them. It is always best to talk with your rheumatologist for more information and before making any decisions about your care.

XELJANZ® tofacitinib citrate

http://www.xeljanz.com/

ACR Patient Fact Sheet – Rheumatoid Arthritis

http://www.rheumatology.org/Practice/Clinical/Patients/Diseases_And_Conditions/Rheumatoid_Arthritis/

U.S. Food and Drug Administration approves XELJANZ® to treat adults with rheumatoid arthritis
http://www.fda.gov/NewsEvents/Newsroom/PressAnnouncements/ucm327152.htm

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Written by Susan Bernstein and reviewed by the American College of Rheumatology Communications and Marketing Committee.

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