

# Fostemsavir

## Summary

Fostemsavir (sold as Rukobia) is an anti-HIV drug. Fostemsavir belongs to the class of drugs called attachment inhibitors. Fostemsavir is taken at a dose of one pill twice daily with or without food. Overall, fostemsavir was well tolerated in clinical trials. General side effects were uncommon and included headache, nausea and diarrhea; these were usually mild and temporary.

## What is fostemsavir?

Fostemsavir belongs to the class of anti-HIV drugs called attachment inhibitors.

## How does fostemsavir work?

Fostemsavir is called a “prodrug” by scientists. When a fostemsavir pill is swallowed and the drug is absorbed in the intestines, it is converted into its active form—temsavir. It is this active form (temsavir) that has antiviral activity. Prodrugs are often developed to help overcome absorption problems with the original formulation of the drug.

Prior to infecting a cell, HIV needs to attach itself to the cell. Temsavir (from fostemsavir) works by interfering with HIV’s ability to attach itself to cells. Using fostemsavir greatly reduces HIV’s ability to infect cells and make copies of itself.

## How do people with HIV use fostemsavir?

Fostemsavir is meant to be used as part of combination therapy for adults who have HIV that is resistant to many other treatments.

For more information about HIV treatment, see CATIE’s *Your Guide to HIV Treatment*.

For many people with HIV, the use of ART (HIV treatment or antiretroviral therapy) has increased their CD4+ cell counts and decreased the amount of HIV in their blood (viral load). These beneficial effects help to greatly reduce the risk of developing a life-threatening infection or an AIDS-related cancer. Neither fostemsavir nor any other treatment regimen (ART) is a cure for HIV. It is therefore important that you see your doctor for checkups and lab tests on a regular basis.

# FACT SHEET

**Published  
2022**

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Evidence shows that HIV-positive people who are on ART, engaged in care, and have an ongoing undetectable viral load are substantially less likely to transmit HIV to others, be it through sex, when sharing equipment to use drugs or during pregnancy and birth. In fact, the evidence for sexual transmission shows that people on ART who maintain an undetectable viral load do not pass HIV to their sexual partners. For further information see the CATIE fact sheet *HIV treatment and an undetectable viral load to prevent HIV transmission*. However, it is still a good idea to use condoms because they can reduce your risk for getting and passing on other sexually transmitted infections.

## Warnings

### 1. Heart health

ViiV, the manufacturer of fostemsavir, advises that you speak to your doctor prior to taking fostemsavir if you have any heart problems, including irregular heartbeats (the technical term for this is QTc prolongation). In clinical trials fostemsavir did not cause heart problems. However, in experiments where four times the daily recommended dose of fostemsavir was used, it caused irregular heartbeats.

### 2. Hepatitis B or C virus co-infection

In clinical trials, some people with hepatitis B virus (HBV) and/or hepatitis C virus (HCV) co-infection who used fostemsavir subsequently developed increased levels of liver enzymes in their blood. This increase suggests liver inflammation. ViiV states that in some cases, these increases in liver enzymes were due to increased production of HBV in people co-infected with this virus who had stopped taking treatment for HBV. ViiV advises that doctors should initiate or maintain effective HBV treatment in co-infected people when treatment with fostemsavir is initiated.

If you have liver problems or are co-infected with HBV and/or HCV, remind your doctor before you start taking fostemsavir.

### 3. Pregnancy

There is no information about the safety of fostemsavir in pregnancy. ViiV recommends that “fostemsavir should not be used in pregnant [people] unless the potential benefits outweigh the potential risks to the fetus.”

If you are taking or planning to take fostemsavir and are pregnant or want to have a baby, speak to your doctor right away.

### 4. Age

Fostemsavir has not been tested in people under 18 years old or people who are 65 years or older. ViiV suggests caution when fostemsavir is used in older people because they may be more susceptible to issues such as irregular heartbeats.

## Side effects

### 1. General side effects

In clinical trials, fostemsavir was well tolerated, generally safe and effective. However, as with any treatment, there were side effects but these were uncommon and usually temporary and included the following:

- nausea
- diarrhea
- headache

Note that the HIV-positive people who were in clinical trials of fostemsavir tended to be weak with severe immune deficiency. On average, people had CD4+ counts below the 100 cells/mm<sup>3</sup> mark. Such people could have low-grade complications and infections that accompany a low CD4+ cell count.

### 2. Uncommon side effects

Some people in the clinical trials of fostemsavir reported the following:

- difficulty falling asleep and/or staying asleep
- weakness and/or tiredness
- itchy skin

If any of these issues occur and are persistent or bothersome, speak to your doctor.

## Drug interactions

Some drugs (including prescribed and over-the-counter), herbs and supplements can interfere with the absorption and/or effectiveness of fostemsavir. Such interference is called a drug interaction. Some drugs and herbs can reduce the levels of fostemsavir in your blood. This can make fostemsavir less effective and lead to treatment failure, reducing your future treatment options. Other drugs can raise the levels of fostemsavir in your blood, resulting in enhanced side effects or new side effects. Therefore, it is important to disclose to your doctor and pharmacist all the supplements, drugs and herbs you are taking.

This fact sheet is not comprehensive and only lists some of the potential and actual drug interactions with fostemsavir. Speak to your pharmacist to find out more about drug interactions with fostemsavir.

## Not to be used

ViiV recommends that the following drugs should not be used by people taking fostemsavir:

- antibiotics – rifampin
- anticancer drugs – mitotane
- anti-seizure drugs – carbamazepine, phenytoin
- for treatment of prostate cancer – enzalutamide (Xtandi)
- the herb St. John's wort or its extracts including hypericin and hyperforin

## Resistance and cross-resistance

Over time, as new copies of HIV are made in the body, the virus changes its structure. These changes, called mutations, can cause HIV to resist the effects of anti-HIV drugs, which means those drugs will no longer work for you.

To reduce the risk of developing drug resistance, all anti-HIV drugs should be taken every day exactly as prescribed and directed. If doses are delayed,

missed or not taken as prescribed, the level of fostemsavir and other medicines in the blood may fall too low. If this happens, the HIV in your body can become resistant to the medication. If you find you are having problems taking your medications as directed, speak to your doctor, nurse or pharmacist about this. They can find ways to help you.

When HIV becomes resistant to one drug in a class, it sometimes becomes resistant to other drugs in that class. This is called cross-resistance. Feel free to talk with your doctor about your current and future treatment options. To help you decide what these future options might be, at some point your doctor can have a small sample of your blood analyzed to test for resistance.

## Dosage

Fostemsavir is supplied as film-coated tablets. Each tablet contains 600 mg of fostemsavir. This is taken twice daily with or without food. If you forget to take a dose, ViiV recommends the following:

- Take it as soon as you remember.
- Do NOT take a double dose (two doses close together).

## Availability

Fostemsavir is licensed in Canada for the treatment of multidrug-resistant HIV infection in adults. Fostemsavir is meant to be used as part of HIV combination treatment (ART). Your doctor or pharmacist can tell you more about the availability and coverage of fostemsavir in your region. CATIE's online module *Federal, Provincial and Territorial Drug Access Programs* also contains information about Canadian drug coverage.

## References

1. ViiV Healthcare Canada. Fostemsavir extended-release tablets. *Product Monograph*. 1 October 2021.
2. Grant PM, Kozal MJ. Fostemsavir: a first-in-class HIV-1 attachment inhibitor. *Current Opinion in HIV/AIDS*. 2022 Jan 1; 17(1):32-35.
3. Seval N, Frank C, Kozal M. Fostemsavir for the treatment of HIV. *Expert Review of Anti-infective Therapy*. 2021 Aug;19(8): 961-966.

4. Kozal M, Aberg J, Pialoux G, et al. Fostemsavir in adults with multidrug-resistant HIV-1 infection. *New England Journal of Medicine*. 2020 Mar 26;382(13):1232-1243.
5. Moore K, Thakkar N, Magee M, et al. Pharmacokinetics of temsavir, the active moiety of the HIV-1 attachment inhibitor prodrug, fostemsavir, coadministered with cobicistat, etravirine, darunavir/cobicistat, or darunavir/ritonavir with or without etravirine in healthy participants. *Antimicrobial Agents and Chemotherapy*. 2022; in press.

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## Disclaimer

Decisions about particular medical treatments should always be made in consultation with a qualified medical practitioner knowledgeable about HIV- and hepatitis C-related illness and the treatments in question.

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Production of this document has been made possible through a financial contribution from the Public Health Agency of Canada. The views expressed herein do not necessarily represent the views of the Public Health Agency of Canada.

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