What is nelfinavir?
Nelfinavir, sold under the brand name Viracept, is a type of anti-HIV drug (antiretroviral) called a protease inhibitor (PI). Nelfinavir is used in combination with other anti-HIV drugs to treat (but not cure) HIV.

How does nelfinavir work?
To explain how nelfinavir works, we need to first tell you some information about HIV. When HIV infects a cell, it takes control of that cell. HIV then forces the cell to make many more copies of the virus. To make these copies, the cell uses proteins called enzymes. When the activity of these enzymes is reduced the production of HIV slows.

Nelfinavir belongs to a group or class of drugs called protease inhibitors. Nelfinavir interferes with an enzyme called protease, which is used by HIV-infected cells to make new viruses. Since nelfinavir inhibits, or reduces the activity of this enzyme, this drug causes HIV-infected cells to produce fewer viruses.

How do people with HIV use nelfinavir?
Treatment guidelines developed by the United States Department of Health and Human Services suggest that nelfinavir is not a preferred choice for the treatment of HIV infection. Clinical trials have shown that other protease inhibitors used such as the combination of lopinavir/ritonavir (in Kaletra) are superior to nelfinavir. All in all, nelfinavir is no longer commonly used in Canada and other high-income countries.

Nelfinavir is used in combination with several other anti-HIV drugs, usually nukes (nucleoside analogues), and sometimes including drugs from other classes such as non-nukes (non-nucleoside reverse transcriptase inhibitors). Combinations such as this are called antiretroviral therapy, or ART. For more information on ART, see CATIE’s A Practical Guide to HIV Drug Treatment.

For many people with HIV, the use of ART has increased their CD4+ cell counts and decreased the amount of HIV in their blood (viral load). These beneficial effects help to reduce the risk of developing a life-threatening infection.
Neither nelfinavir nor any other anti-HIV medication is a cure for HIV. It is therefore important that you do the following:

- see your doctor regularly so that he/she monitors your health
- continue to practise safer sex and take other precautions so as not to pass HIV on to other people

**Side effects**

1. **General**

Common side effects that have been reported by some nelfinavir users include abdominal pain, gas, nausea, rash, and unexpected tiredness. Always tell your doctor, nurse, and pharmacist about side effects that occur when you take nelfinavir or other medications.

2. **Diarrhea**

Nelfinavir is generally well tolerated. Its most common side effect is diarrhea. This may clear up after a few weeks of using the drug or it may last longer. Talk with your doctor and nurse about how to deal with this problem. Nelfinavir-related diarrhea may be controlled with the use of some common supplements, including the following:

- calcium (at least 500 mg twice daily)
- psyllium (Metamucil) or oat bran
- L-glutamine

If these do not work your doctor can prescribe drugs such as:

- loperamide (Imodium)
- diphenoxylate (Lomotil)

Research has found that nelfinavir does not cause your body to become more sensitive to milk or dairy products. For more tips on managing diarrhea and other drug side effects, see CATIE’s *Practical Guide to HIV Drug Side Effects*.

3. **Bleeding**

Women may experience heavier menstrual periods when using protease inhibitors. Hemophiliacs who use protease inhibitors may experience increased bleeding. If you are a hemophiliac who uses nelfinavir and has this problem, let your doctor know about it.

4. **Blood sugar problems**

In some people with HIV who use protease inhibitors, levels of sugar (glucose) in the blood become higher than normal. Prolonged bouts of higher-than-normal blood sugar levels may lead to diabetes, although for the average person, the risk of developing this complication is low. Regular monitoring of your blood to assess sugar levels and other measurements will help your doctor be aware of changes that might suggest problems with your blood sugar.

5. **Lipodystrophy syndrome**

The HIV lipodystrophy syndrome is the name given to a range of symptoms that can develop over time when people use ART. Some features of the lipodystrophy syndrome include:

- loss of fat just under the skin (subcutaneous fat) in the face, arms, and legs
- bulging veins in the arms and/or legs due to the loss of fat under the skin
- increased waist and belly size
- fat pads at the back of the neck (“buffalo hump”) or at the base of the neck (“horse collar”)
- small lumps of fat in the abdomen
- increased breast size (in women)

Together with these physical changes, lab tests of your blood may detect the following:

- increased levels of fatty substances called triglycerides
- increased levels of LDL-cholesterol (low-density lipoprotein), or “bad” cholesterol
• increased levels of sugar (glucose)
• increased levels of the hormone insulin
• decreased sensitivity to insulin (insulin resistance)
• decreased levels of HDL-cholesterol (high-density lipoprotein), or “good” cholesterol

The precise causes of the HIV lipodystrophy syndrome are not clear and are difficult to understand because in some people with HIV there may be one or more aspects of the syndrome taking place. For instance, some people may experience fat wasting, others fat gain, and others may experience both fat gain and wasting. What is becoming increasingly clear is that unfavourable changes in the lab readings of glucose, cholesterol, and triglycerides over a period of several years increase the risk of diabetes and cardiovascular disease. So far, however, the many benefits of ART are much greater than the increased risk of cardiovascular disease or other side effects.

Maintaining a normal weight, eating a healthy diet, exercising regularly, and quitting smoking are all important in helping you to reduce your risk of diabetes, heart disease, and other complications. Regular visits to your doctor for checkups and blood tests are a vital part of staying healthy. If necessary, your doctor can prescribe lipid-lowering therapy.

Researchers are studying the lipodystrophy syndrome to try to discover ways of helping people with HIV avoid or reduce this problem. To find out more about options for managing aspects of the lipodystrophy syndrome, see CATIE’s *Practical Guide to HIV Drug Side Effects*.

**Drug interactions**

Always consult your doctor and pharmacist about taking any other prescription or non-prescription medication, including herbs, supplements, and street drugs.

Some drugs can interact with nelfinavir, increasing or decreasing its levels in your body. Increased drug levels can cause you to experience side effects or make pre-existing side effects worse. On the other hand, if drug levels become too low, HIV can develop resistance and your future treatment options may be reduced.

It may also be necessary to avoid drugs that do not affect nelfinavir drug levels, but cause similar side effects.

If you must take a drug that has the potential to interact with your existing medications, your doctor can do the following:

• adjust your dose of either your anti-HIV drugs or other medications
• prescribe different anti-HIV drugs for you

**Drug interactions for nelfinavir**

The following drugs interact or have the potential to interact with nelfinavir. These lists are not exhaustive.

The manufacturer recommends that the following drugs should not be taken by people using nelfinavir because this could lead to serious (or life-threatening) interactions:

• antibiotics / anti-tuberculosis drugs – rifampin (Rifadin, Rifater)
• anti-psychotic drugs – pimozide (Orap)
• gastrointestinal motility agents – cisapride (Prepulsid)
• heart drugs – amiodarone (Cordarone), quinidine (Biquin, Cardioquin)
• herbs – milk thistle, St. John’s wort
• high blood pressure drugs (calcium channel blockers) – amlodipine, nifedipine, verapamil
• lipid-lowering drugs – lovastatin (Mevacor), simvastatin (Zocor), fluvastatin (Lescol).

The manufacturer suggests considering the use of other lipid-lowering drugs such as pravastatin (Pravachol).
• migraine drugs (ergot derivatives) – dihydroergotamine (Migranal), ergotamine (Ergomar), ergonovine
• sedatives – midazolam (Versed), triazolam (Halcion)

The following drugs can increase levels of nelfinavir in your body:
• anti-HIV drugs – delavirdine (Rescriptor), indinavir (Crixivan), ritonavir (Norvir)

The following drugs can decrease levels of nelfinavir in the blood:
• antibiotics / anti-tuberculosis drugs – rifabutin (Mycobutin)
• anti-seizure drugs – carbamazepine (Tegretol)

Nelfinavir can increase levels of the following drugs:
• anti-HIV drugs – indinavir (Crixivan), saquinavir (Invirase)
• antibiotics – rifabutin (Mycobutin), azithromycin (Zithromax)
• erectile dysfunction drugs – sildenafil (Viagra), tadalafil (Cialis), vardenafil (Levitra). Taking nelfinavir with any of these drugs can lead to dangerous side effects and even death. Talk to your doctor if you have erectile dysfunction about how you might use these drugs safely.
• lipid-lowering drugs – atorvastatin (Lipitor), simvastatin (Zocor), fluvastatin (Lescol). The manufacturer suggests considering other lipid-lowering agents such as pravastatin (Pravachol).
• transplant drugs – cyclosporine (Neoral), sirolimus (Rapamune), tacrolimus (Prograf)

Nelfinavir can decrease levels of the following drugs:
• anti-HIV drugs – delavirdine (Rescriptor)
• anti-seizure drugs – phenytoin (Dilantin)

• hormones – estrogen found in female contraceptive medications
• narcotics – methadone

Pregnancy

If you are a woman who is pregnant or wants to become pregnant, let your doctor and nurse know about this. If you are pregnant and not currently taking ART, you should talk with your doctor about taking anti-HIV drugs to reduce the risk of passing on HIV to your baby. The use of nelfinavir by pregnant women has not resulted in a significant increase in birth defects. During pregnancy, levels of nelfinavir may become lower than normal. Talk to your doctor about how to manage this.

Resistance and cross-resistance

Over time, as new copies of HIV are made in the body, the virus changes its structure. These changes are called mutations and can cause HIV to resist the effects of anti-HIV drugs, which means those drugs will no longer work for you. Combining nelfinavir with at least two other anti-HIV drugs delays the development of drug resistance.

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, levels of nelfinavir in the blood may fall too low. If this happens, resistant virus can develop. If you find you are having problems taking your medications as directed, speak to your doctor and nurse 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 therapies might be, at some point your doctor can have a small sample of your blood analysed using resistance testing. Should HIV in your body become resistant to nelfinavir, your
doctor, with the help of resistance testing, can help put together a new treatment regimen for you.

**Therapeutic drug monitoring (TDM)**

In some parts of Canada your doctor may have access to therapeutic drug monitoring (TDM). This is a process whereby a sample of your blood is taken and the amount of nelfinavir in it is assessed. If your nelfinavir levels are too low or too high, your doctor may adjust your dose of nelfinavir. TDM can be a useful tool to ensure that nelfinavir or other protease inhibitor levels in your blood are appropriate, particularly if the following conditions are present:

- You are pregnant
- You are co-infected with hepatitis B or C
- You are taking a complex treatment regimen

Feel free to speak to your doctor about whether TDM is an option for you and if you will have to pay for it.

**Dosage and formulations**

Nelfinavir is available as 250 mg and 625 mg tablets. The usual standard adult dose of nelfinavir is 1,250 mg (taken as two 625 mg tablets, twice daily or 750 mg (taken as three 250 mg tablet, three times a day). Formulations can change, and dosages may need to be customized. All medications should always be taken as prescribed and directed.

**Availability**

Nelfinavir is licensed in Canada for the treatment of HIV infection in adults, in combination with other anti-HIV drugs. Your doctor can tell you more about the availability and coverage of nelfinavir in your region. CATIE’s online module Federal, Provincial and Territorial Drug Access Programs also contains information about Canadian drug coverage.

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**References**


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