

PATIENT MEDICATION INFORMATION

READ THIS FOR SAFE AND EFFECTIVE USE OF YOUR MEDICINE

ISENTRESS®

(* as raltegravir potassium)

*Raltegravir tablets 400 mg, *Raltegravir chewable tablets, 25 mg, 100 mg

ISENTRESS HD®

(as raltegravir potassium)

Raltegravir tablets 600 mg

Read this carefully before you start taking ISENTRESS®/ISENTRESS HD® and each time you get a refill. This leaflet is a summary and will not tell you everything about this drug. Talk to your healthcare professional about your medical condition and treatment and ask if there is any new information about ISENTRESS®/ISENTRESS HD®.

What is ISENTRESS®/ISENTRESS HD® used for?

- ISENTRESS®/ISENTRESS HD® is a medicine that helps control Human Immunodeficiency Virus (HIV) infection, in combination with other antiretroviral medications.
- Your healthcare professional has prescribed ISENTRESS®/ISENTRESS HD® to help control your HIV infection.
- ISENTRESS® may be used to treat children and adolescents that are 2 years of age and older, weighing at least 7 kg.
- ISENTRESS HD® may be used to treat children and adolescents weighing at least 40 kg.

How does ISENTRESS®/ISENTRESS HD® work?

- ISENTRESS®/ISENTRESS HD® blocks an enzyme which the virus (HIV) needs in order to make more virus. The enzyme that ISENTRESS®/ISENTRESS HD® blocks is called HIV integrase.

What are the ingredients in ISENTRESS®/ISENTRESS HD®?

Medicinal ingredient

Raltegravir potassium

Non-medicinal ingredients

ISENTRESS® 400 mg raltegravir film-coated tablets:

calcium phosphate dibasic anhydrous, hypromellose 2208, lactose monohydrate, magnesium stearate, microcrystalline cellulose, poloxamer 407 (contains 0.01% butylated hydroxytoluene as antioxidant), sodium stearyl fumarate.

In addition, the film coating contains the following inactive ingredients: black iron oxide, polyethylene glycol 3350, polyvinyl alcohol, red iron oxide, talc and titanium dioxide.

ISENTRESS® 25 mg and 100 mg raltegravir chewable tablets:

ammonium hydroxide, crospovidone, ethylcellulose 20 cP, fructose, hydroxypropyl cellulose, hypromellose 2910/6cP, macrogol/PEG 400, magnesium stearate, mannitol, medium chain triglycerides, monoammonium glycyrrhizinate, natural and artificial flavors (orange, banana, and masking), oleic acid, phenylalanine (as part of the artificial sweetener, aspartame), saccharin sodium, sodium citrate dihydrate, sodium stearyl fumarate, sorbitol, sucralose and yellow iron oxide.

ISENTRESS® 100 mg also contain red iron oxide

ISENTRESS HD® 600 mg film-coated tablets:

croscarmellose sodium, hypromellose 2910, magnesium stearate, microcrystalline cellulose. In addition, the film coating contains the following inactive ingredients: black iron oxide, carnauba wax, hypromellose 2910, iron oxide yellow, lactose monohydrate, titanium dioxide, and triacetin.

ISENTRESS®/ISENTRESS HD® comes in the following dosage forms:

ISENTRESS® 25 mg orange-banana flavoured, chewable tablets are available as pale yellow, round, flat faced, beveled edge tablet debossed with the Merck logo on one side and 473 on the other side of the tablet.

ISENTRESS® 100 mg orange-banana flavoured, chewable tablets are available as pale orange, oval shaped scored tablet, debossed with the Merck logo on one side of the score and 477 on the other, and scored on the other side of the tablet.

ISENTRESS® 400 mg film-coated tablets are available as pink, oval shaped, with 227 on one side.

ISENTRESS HD® 600 mg film-coated tablets are yellow, oval shaped tablets debossed with Merck logo and “242” on one side.

Do NOT use ISENTRESS®/ISENTRESS HD® if:

- You are hypersensitive to any of its ingredients (see what the non-medicinal ingredients are).

To help avoid side effects and ensure proper use, talk to your healthcare professional before you take ISENTRESS®/ISENTRESS HD®. Talk about any health conditions or problems you may have, including if you:

- Have any allergies.
- Have phenylketonuria (PKU). ISENTRESS® Chewable Tablets contain phenylalanine as part of the artificial sweetener, aspartame. The artificial sweetener may be harmful to people with PKU.
- Have a history of muscle disorders (also known as rhabdomyolysis or myopathy).
- Are pregnant or plan to become pregnant.
 - If you take ISENTRESS®/ISENTRESS HD® while you are pregnant, talk to your healthcare professional about how you can be included in the Antiretroviral Pregnancy Registry.
- Are breast-feeding or plan to breast-feed.
 - It is recommended that HIV-infected women should NOT breast-feed their infants. This is because their babies could be infected with HIV through their breast milk.
 - Talk with your healthcare professional about the best way to feed your baby.

Other warnings you should know about:

ISENTRESS®/ISENTRESS HD® does not cure HIV infection or AIDS.

It is very important that you stay under the care of your healthcare professional during treatment with ISENTRESS®/ISENTRESS HD®.

Tell your healthcare professional about all the medicines you take, including any drugs, vitamins, minerals, natural supplements or alternative medicines.

The following may interact with ISENTRESS®/ISENTRESS HD®:

Tell your healthcare professional especially if you take:

- Antacids (medicines used to help treat heartburn) containing aluminum, magnesium and calcium carbonate.
 - Certain antacids like those containing aluminum and/or magnesium are NOT recommended with ISENTRESS®.
- Atazanavir (antiretroviral medicine used to treat HIV).
- Rifampin (medicine used to treat tuberculosis).
- Tipranavir/ritonavir (antiretroviral medicines used to treat HIV).

How to take ISENTRESS®/ISENTRESS HD®:**Usual dose:**

Take ISENTRESS®/ISENTRESS HD® by mouth, with or without food.

ISENTRESS®/ISENTRESS HD® must be used with other anti-HIV medicines.

Do NOT switch between the film-coated tablet, the chewable tablet, or different strengths, or stop your treatment without talking with your doctor first.

Adult

Take one 400-mg tablet twice daily or two 600 mg tablets once daily as directed by your healthcare professional.

Children and Adolescents

- Your child's healthcare professional will tell you the right dose and type of ISENTRESS® (tablet, chewable tablet) based on your child's weight.
- The 100 mg chewable tablet is scored and can be split into equal halves.
- Do NOT take more than 300 mg of the chewable tablet twice a day.

Take ISENTRESS® or ISENTRESS HD® exactly as prescribed by your healthcare professional.

The different dosage forms and strengths for ISENTRESS® are not interchangeable so:

- a) Use only the 600 mg tablet for the 1200 mg once daily dose.
- b) Use only the 400 mg tablet for the twice daily dose of 400 mg.
- c) Use the 25 mg and 100 mg chewable tablets for only the children's dosages up to 300 mg twice daily.

Be sure to keep a supply of your anti-HIV medicines.

- When your ISENTRESS®/ISENTRESS HD® supply starts to run low, get more from your healthcare professional.
- Do not wait until your medicine runs out to get more.

IMPORTANT: Take ISENTRESS® exactly as your healthcare professional prescribed and at the right times of day because if you don't:

- The amount of virus (HIV) in your blood may increase if the medicine is stopped for even a short period of time.
- The virus may develop resistance to ISENTRESS® and become harder to treat.
- Your medicines may stop working to fight HIV.
- The activity of ISENTRESS® may be reduced (due to resistance).

Overdose:

If you think you have taken too much ISENTRESS®/ISENTRESS HD®, contact your healthcare professional, hospital emergency department or regional poison control centre immediately, even if there are no symptoms.

Missed Dose:

If you miss a dose, take it as soon as you remember. If you do not remember until it is time for your next dose, skip the missed dose and go back to your regular schedule. Only take ISENTRESS® and ISENTRESS HD® as instructed.

ISENTRESS®/ISENTRESS HD® must be used with other anti-HIV medicines.

What are possible side effects from using ISENTRESS®/ISENTRESS HD®?

These are not all the possible side effects you may have when taking ISENTRESS®/ISENTRESS HD®. If you have any side effects not listed here, tell your healthcare professional.

- nausea
- headache
- diarrhea
- fever
- vomiting
- fatigue
- dizziness
- difficulty sleeping
- cough
- rash
- tiredness
- upper respiratory tract infection
- inflammation of the nasal passages and throat
- bronchitis
- back pain
- depression

Additionally, while the medicine has been on the market, some further reactions have occurred:

- Depression and suicidal thoughts have been reported. If you develop these feelings, discuss this with your healthcare professional.
- Other side effects that have been reported include low blood platelet count, clumsiness and lack of coordination, rash with or without an increase in some white blood cells, severe skin reaction, liver failure. If you develop any of these reactions, discuss with your healthcare professional.

In some patients with advanced HIV infection (AIDS), signs and symptoms of inflammation from opportunistic infections may occur when combination antiretroviral treatment is started. Tell your healthcare professional immediately if you notice any symptoms of infection.

Contact your healthcare professional promptly if you experience unexplained muscle pain, tenderness, or weakness while taking ISENTRESS®/ISENTRESS HD®.

Contact your healthcare professional promptly if you develop a rash. Severe and life-threatening skin reactions and allergic reactions have been reported in some patients taking ISENTRESS®/ISENTRESS HD®.

Changes in your immune system (Immune Reconstitution Inflammatory Syndrome) can happen when you start taking HIV medicines. Your immune system may get stronger and begin to fight infections that have been hidden in your body for a long time, or you could develop an autoimmune disease in which your immune system reacts against your own body (e.g. Grave’s disease (which affects the thyroid gland), Guillain-Barre syndrome (which affects the nervous system) or polymyositis (which affects the muscles) and it may develop at any time, sometimes months later after the start of HIV therapy). Sometimes symptoms can be severe, so if you develop high temperature (fever), joint or muscle pain, redness, rash, swelling, or fatigue or any new symptoms contact your healthcare professional right away.

Serious side effects and what to do about them			
Symptom / effect	Talk to your healthcare professional		Stop taking drug and get immediate medical help
	Only if severe	In all cases	
UNCOMMON			
<u>Severe skin reactions and allergic reactions:</u> occasionally life-threatening, with symptoms such as rash, itching or hives on the skin, swelling of the face, lips, tongue or other parts of the body, shortness of breath, wheezing or trouble breathing			✓
Persistent fatigue	✓		
<u>Lack of white blood cells:</u> frequent infections such as fever, severe chills, sore throat or mouth ulcers	✓		
<u>Lack of red blood cells:</u> tiredness, headaches, being short of breath	✓		

Serious side effects and what to do about them			
Symptom / effect	Talk to your healthcare professional		Stop taking drug and get immediate medical help
	Only if severe	In all cases	
when exercising, dizziness and looking pale			
Severe chest pain			✓
<u>Stomach problems:</u> pain, nausea, vomiting, heartburn	✓		
<u>Liver disease:</u> liver disease with nausea, vomiting, loss of appetite, feeling generally unwell, fever, itching, yellowing of the skin and eyes, and dark coloured urine		✓	
<u>Kidney disease:</u> nausea, loss of appetite and weakness, pass little or no urine, breathlessness			✓
Depression, suicidal thoughts and actions		✓	
Shaking		✓	
Speech disorders		✓	
Disturbance in attention		✓	

If you have a troublesome symptom or side effect that is not listed here or becomes bad enough to interfere with your daily activities, tell your healthcare professional.

Reporting Side Effects

You can report any suspected side effects associated with the use of health products to Health Canada by:

- Visiting the Web page on Adverse Reaction Reporting (<https://www.canada.ca/en/health-canada/services/drugs-health-products/medeffect-canada.html>) for information on how to report online, by mail or by fax; or
- Calling toll-free at 1-866-234-2345.

NOTE: Contact your health professional if you need information about how to manage your side effects. The Canada Vigilance Program does not provide medical advice.

Storage:

Store ISENTRESS® and ISENTRESS HD® at room temperature (15°C–30°C).

ISENTRESS® 400 mg tablets: Keep the desiccant in the bottle.

ISENTRESS HD® 600 mg tablets or ISENTRESS® Chewable tablets 25 mg and 100 mg: Store in the original package with the bottle tightly closed. Keep the desiccant in the bottle to protect from moisture.

Keep ISENTRESS®/ ISENTRESS HD® and all medicines out of the reach and sight of children.

If you want more information about ISENTRESS®/ISENTRESS HD®:

- Talk to your healthcare professional.
- Find the full product monograph that is prepared for healthcare professionals and includes this Patient Medication Information by visiting the Health Canada website: <https://www.canada.ca/en/health-canada/services/drugs-health-products/drug-products/drug-product-database.html>; the manufacturer's website www.merck.ca, or by calling 1-800-567-2594.

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