



RALTEGRAVIR (Isentress, Isentress HD)

WHAT IS RALTEGRAVIR?

Raltegravir (Isentress) is a drug used for antiviral therapy against HIV. It was formerly known as MK-0518. It is manufactured by Merck.

Raltegravir is the first integrase inhibitor. When HIV infects a cell, it combines its genetic code into the cell's own code. This is shown in fact sheet 400, step 5. Raltegravir blocks this process. When raltegravir blocks integration, HIV infects a cell but cannot make more copies of itself.

WHO SHOULD TAKE IT?

Raltegravir was approved in 2007 as an antiviral drug against HIV as part of an antiviral regimen. It is approved for use for initial treatment or for people who have had other treatment regimens.

Isentress HD is a once-daily formulation of raltegravir was approved in 2017 for use in people for initial treatment or those already taking initial treatment with Isentress.

While antiretroviral therapy (ART) is recommended for all people living with HIV, independent of your symptoms or CD4 count, you and your health care provider should consider your CD4 cell count (see fact sheet 124) your viral load (see fact sheet 125) any symptoms you are having, and your attitude about taking HIV medications. Fact Sheet 404 has more information about guidelines for the use of antiviral medications.

Raltegravir is taken twice a day. If you take raltegravir with other antiviral drugs, you can reduce your viral load and increase your CD4 cell counts. This should mean staying healthier longer.

WHAT ABOUT DRUG RESISTANCE?

The HIV virus is sloppy when it makes copies of its genetic code (RNA). Many new copies of HIV are mutations: they are slightly different from the original virus. Some mutations can continue to

multiply even when you are taking an antiviral drug. When this happens, the drug will stop working. This is called "developing resistance" to the drug. See Fact Sheet 126 for more information on resistance.

Raltegravir has shown activity against HIV that already has resistance to several other HIV medications.

With combination therapy (taking more than one antiviral drug at the same time), HIV mutates much more slowly. Resistance takes longer to develop. **It is very important to take antiviral medications according to instructions, on schedule, and not to skip or reduce doses.**

Sometimes, if you develop resistance to one drug, you will also have resistance to other antiviral drugs. This is called "cross-resistance". Resistance to raltegravir is uncommon. Because raltegravir is an integrase inhibitor, resistant virus may be resistant to other integrase inhibitors, but not to other drug types.

HOW IS RALTEGRAVIR TAKEN?

Raltegravir may be taken with or without food. The adult dosage of Isentress is 400 mg twice daily. Isentress HD is dosed as two 600 mg tablets, once daily.

Raltegravir can be used by children who are 4 weeks and older. Dosage for children is based on their weight and is available as chewable tablets or an oral suspension.

WHAT ARE THE SIDE EFFECTS?

Raltegravir is usually very well tolerated. In studies, the most common side effects in people taking raltegravir were diarrhea, nausea, and headache. Reports from people using raltegravir also include rash and depression. In rare cases, skin rash can be severe and life-threatening. **Contact your health care provider immediately if you**

develop a serious rash while taking raltegravir.

Elevations in creatine kinase, a lab test of muscle injury, have been seen in people taking raltegravir. There have been reports of muscle injury.

HOW DOES IT REACT WITH OTHER DRUGS?

Raltegravir has been studied to see if it interacts with other drugs. Rifampin, an antibiotic used to treat tuberculosis (see fact sheet 518) decreases blood levels of raltegravir. A higher dose of raltegravir must be used.

Aluminum- or magnesium containing antacids interfere with the absorption of raltegravir. This effect is not changed by separating the dose of raltegravir. It is recommended that you **do not take aluminum or magnesium antacids if you take raltegravir. Isentress HD should also not be taken with rifampin or calcium carbonate.**

Raltegravir has not been studied with all medicines, over-the-counter drugs or vitamin or herbal supplements. Be sure your doctor knows about all medications and supplements that you are taking.

THE BOTTOM LINE

Raltegravir is the first integrase inhibitor. It stops HIV from inserting its genetic code into an infected cell. This prevents the virus from making new copies of HIV. Raltegravir is one of several medications recommended for use for initial treatment of HIV. It is also approved for use in people who have earlier treatment experience.

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