



RALTEGRAVIR (Isentress)

WHAT IS RALTEGRAVIR?

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

Raltegravir is the first "integrase inhibitor" drug. 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 antiretroviral drug against HIV as part of an antiretroviral regimen. It was studied in adults who had used antiretroviral therapy for some time whose virus had already developed resistance (see fact sheet 126) to existing antiretroviral drugs. Raltegravir has not been studied in children, pregnant women or older adults.

There are no absolute rules about when to start antiretroviral drugs. You and your health care provider should consider your CD4 cell, your viral load, any symptoms you are having, and your attitude about taking HIV medications. Fact Sheet 404 has more information about guidelines for the use of antiretroviral medications.

Raltegravir is taken twice a day. It will most likely be used by people who have very few choices of antiretroviral medications in pill form. If you take raltegravir with other antiretroviral drugs, you can reduce your viral load and increase your CD4 cells. 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 antiretroviral 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.

Resistance to raltegravir is not well understood. With combination therapy (taking more than one antiretroviral drug at the same time), HIV mutates much more slowly. Resistance takes longer to develop. **It is very important to take antiretroviral 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 antiretroviral drugs. This is called "cross-resistance". Because Raltegravir is in a new class of antiretroviral drugs, it seems to have almost no cross resistance with antiretroviral drugs in older classes.

HOW IS RALTEGRAVIR TAKEN?

Raltegravir is provided as 400 mg tablets. The adult dosage of raltegravir is 400 mg twice daily. Raltegravir may be taken with or without food.

WHAT ARE THE SIDE EFFECTS?

In human studies, people taking raltegravir did not have any more side effects than other people in the studies who were not taking raltegravir.

HOW DOES IT REACT WITH OTHER DRUGS?

Raltegravir has been studied to see if it interacts with other drugs. There are no known interactions with other anti-HIV medications. However, it 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 drug in a new class, integrase inhibitors. It stops HIV from inserting its genetic code into an infected cell. This prevents the virus from making new copies of HIV. Raltegravir helps control HIV, even when it is resistant to other medications.

