WHAT IS DOLUTEGRAVIR?
Dolutegravir is a drug used for antiviral therapy against HIV. It is manufactured by Viiv Healthcare.

Dolutegravir is the third “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. Dolutegravir blocks this process. When dolutegravir blocks integration, HIV infects a cell but cannot make more copies of itself.

WHO SHOULD TAKE IT?
Dolutegravir was approved in 2013 as an antiviral drug against HIV. It is approved for adults and children age 6 years and older, who weigh at least 66 pounds (30 kilograms).

There are no absolute rules about when to start antiviral drugs. 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.

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.

Dolutegravir has shown activity against HIV that already has resistance to several other HIV medications, including some viruses with resistance to other HIV integrase inhibitors.

Resistance to dolutegravir is not yet well understood. Sometimes, if you develop resistance to one drug, you will also have resistance to other antiviral drugs. This is called “cross-resistance”. Because dolutegravir is in a fairly new class of antiviral drugs, it seems to have no cross-resistance with antiviral drugs in older classes. However, some cross-resistance is expected between raltegravir (Isentress, see fact sheet 465), elvitegravir (see fact sheet 466) and dolutegravir.

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.

HOW IS DOLUTEGRAVIR TAKEN?
Dolutegravir is taken as one 50 mg tablet once daily for people on HIV integrase inhibitor treatment for the first time who weigh 88 pounds. It may be prescribed twice daily if you have already used raltegravir or elvitegravir and have viral resistance.

For children between ages 6 and 12 who weigh more than 66 pounds, 10 and 25 mg tablets are also available. There are ongoing studies in younger children.

Dolutegravir can be taken with or without food or with regard to time of day. Dolutegravir should be taken 2 hours before or 6 hours after certain antacids, sucralfate, calcium or iron supplements. These can be overcome if dolutegravir and the supplement is taken with food.

WHAT ARE THE SIDE EFFECTS?
Dolutegravir is usually very well tolerated. If side effects occur, the most common side effects are diarrhea, nausea, and headache.

Among some individuals with hepatitis B or C virus infection, cases of liver inflammation were observed. Laboratory testing before starting therapy and monitoring for liver toxicity during therapy are recommended in patients with underlying liver disease. Reports from people using dolutegravir include rash. 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 dolutegravir.

HOW DOES IT REACT WITH OTHER DRUGS?
Dolutegravir has been studied to see if it interacts with other drugs. Rifampin, used to treat tuberculosis (see fact sheet 518), and the HIV medications efavirenz (see fact sheet 432), fosamprenavir/ritonavir (see fact sheet 448) and tipranavir/ritonavir (see fact sheet 449) decrease blood levels of dolutegravir. If dosed with and of these medications, dolutegravir should be dosed 50 mg twice daily. In these situations, dolutegravir is dosed twice daily. Dolutegravir should not be taken with the heart medication dofetilide.

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

THE BOTTOM LINE
Dolutegravir is the third integrase inhibitor drug. It stops HIV from inserting its genetic code into an infected cell. This prevents the virus from making new copies of HIV. Dolutegravir helps control HIV, even when it is resistant to other medications.

A project of the International Association of Providers of AIDS Care. Fact Sheets can be downloaded from the Internet at http://www.aidsinfonet.org

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