



EFAVIRENZ (Sustiva)

WHAT IS EFAVIRENZ?

Efavirenz is a drug used for antiviral therapy. It is also called Sustiva® or Stocrin®. It is manufactured by Bristol-Myers Squibb. In June 2005 the FDA approved a generic version made by Aurobindo Pharma for sale outside the US.

Efavirenz is a non-nucleoside reverse transcriptase inhibitor (a “non-nuke” or NNRTI). These drugs stop HIV from multiplying by preventing the reverse transcriptase enzyme from working. This enzyme changes HIV’s genetic material (RNA) into the form of DNA. This step has to occur before HIV’s genetic code gets inserted into an infected cell’s genetic codes.

WHO SHOULD TAKE IT?

Efavirenz was approved in 1998 as an antiviral drug for people with HIV infection. It is not recommended for children under 3 years old.

There are no absolute rules about when to start antiviral drugs. You and your doctor should consider your T-cell count, 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 antiviral medications.

If you take efavirenz with other antiviral drugs, you can reduce your viral load to extremely low levels, and increase your T-cell counts. This should mean staying healthier longer.

Efavirenz seems to get into the central nervous system (spinal fluid). It may help prevent mental problems such as dementia.

WHAT ABOUT DRUG RESISTANCE?

Many new copies of HIV are mutations. They are slightly different from the original virus. Some mutations can

keep multiplying 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.

Sometimes, if your virus develops resistance to one drug, it will also have resistance to other antiviral drugs. This is called “cross-resistance”. Cross-resistance among NNRTIs develops very easily. If you develop resistance to one NNRTI, you probably won’t be able to use any of them in your antiviral therapy.

Resistance can develop quickly. It is very important to take antiviral medications according to instructions, on schedule, and not to skip or reduce doses.

HOW IS IT TAKEN?

Efavirenz is taken by mouth as a capsule. The normal adult dose is 600 milligrams (mg) once a day at bedtime. Efavirenz is available in capsules of 50 mg, 100 mg, and 200 mg. Most adults will take 3 of the 200 mg capsules at a time. A 600 mg tablet of efavirenz was approved in early 2002.

It is recommended that you take efavirenz on an empty stomach, at bedtime. This dosing should result in the fewest side effects. High-fat foods increase efavirenz levels and should be avoided before taking a dose.

WHAT ARE THE SIDE EFFECTS?

When you start any antiviral treatment, you may have temporary side effects such as headaches, high blood pressure, or just feeling ill. These side effects usually get better or disappear over time.

The most common side effects are rash, nausea, dizziness, diarrhea,

headache and insomnia. Taking efavirenz with food increases drug levels and may increase side effects. To avoid dizziness after taking Efavirenz, take it before you go to sleep. Some people have vivid dreams. For most people, these side effects disappear within the first two weeks.

Studies in monkeys showed that Efavirenz is likely to cause birth defects. Pregnant women should not take it, especially during the first 3 months of pregnancy.

People who take Efavirenz may falsely test positive for marijuana use. To prove that the results are false, you would have to identify the drug that you are taking. This would mean disclosing that you have HIV infection.

HOW DOES IT REACT WITH OTHER DRUGS?

Efavirenz is broken down by the liver and can interact with other drugs that also use the liver. **Combining these drugs can change the amount of each drug in your bloodstream and cause an under- or overdose. Drugs to watch out for include drugs to treat tuberculosis (see fact sheet 518), drugs to lower cholesterol (“statins”), several antihistamines, sedatives, and anti-fungals (drug names ending in “azole”). Make sure that your doctor knows about ALL drugs you are taking.**

- Efavirenz lowers blood levels of most protease inhibitors. Your doctor will need to increase your dosage of Indinavir or Amprenavir. Efavirenz and Saquinavir should not be used together.
- Efavirenz decreases blood levels of methadone. People using both drugs will need to increase their dosage of methadone.

Reviewed July 3, 2005