SAQUINAVIR (Invirase)

Formatted: Font: 28 pt

WHAT IS SAQUINAVIR?

Saquinavir, also called Invirase®, is a drug used as part of antiretroviral therapy (ART). There used to be a version called Fortovase® that is no longer sold in the US. It is manufactured by Roche Laboratories.

Saquinavir is a protease inhibitor. These drugs prevent the protease enzyme from working. HIV protease acts like a chemical scissors. It cuts the raw material for HIV into specific pieces needed to build a new virus. Protease inhibitors "gum up" these scissors.

The first version of saquinavir was Invirase. It worked well for some people, but it was not absorbed very well. Fortovase was developed. It was absorbed much better, so more of the drug got into the bloodstream. However, ritonavir (see fact sheet 442) is a very effective way to boost Invirase levels. Manufacture of Fortovase was discontinued in 2006. See "How Is It Taken" below for more information.

WHO SHOULD TAKE IT?

Saquinavir was approved in 1995 as an antiretroviral drug (ARV) for people with HIV infection. There are no absolute rules about when to start ART. You and your health care provider should consider your CD4 —count, your viral load, any symptoms you are having, and your attitude about taking ARVs. Fact Sheet 404 has more information about guidelines for the use of ART.

If you take saquinavir with other ARVs, you can reduce your viral load to extremely low levels, and increase your CD4 counts. This should mean staying healthier longer.

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 ARV. 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 ARVs. This is called "cross-resistance."

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

HOW IS IT TAKEN?

In 2003, the FDA approved dosing of Invirase at 1,000 mg plus 100 mg of ritonavir twice a day. This "boosted" dosing of Invirase results in much higher blood levels than unboosted Invirase, and even higher blood levels than Fortovase. This is now the most common way to take saquinavir.

In late 2004 the FDA approved a 500 mg dosage of saquinavir. This cuts the saquinavir pill count from 5 per dose (with the old 200 mg version) to 2 per dose. Different doses may be used in some combinations.

Saquinavir should be taken within two hours after a full meal or a large snack. It is absorbed better if you take it after eating foods that are high in calories, fat, and protein. This should be less important when saquinavir is boosted with ritonavir. However, the official food recommendations have not been changed.

Your pharmacist should keep saquinavir refrigerated. When you take it home, you can refrigerate it, or else keep it below 77º F (25º C) and use it within three months.

WHAT ARE THE SIDE EFFECTS?

The side effects of saquinavir are usually mild. Most people can take it with no problems. However, some people get nausea, diarrhea, upset stomach, and heartburn. When ritonavir is used to boost saquinavir, people may experience some ritonavir side effects (see fact sheet 442).

HOW DOES IT REACT WITH OTHER DRUGS?

Saquinavir can interact with other drugs or supplements that you are taking. Ritonavir (used to boost saquinavir) interacts with many other drugs (see fact sheet 442). Do not combine Saquinavir with Tipranavir/ritonavir. **These interactions can change the amount of each drug in your bloodstream and cause an under- or overdose. New interactions are being identified all the time.**

Drugs to watch out for include other ARVs, drugs to treat tuberculosis (see fact sheet 518), for erectile dysfunction (such as Viagra), for heart rhythm (antiarrhythmics), and for migraine headaches. Interactions are also possible with several, antihistamines (allergy medications), sedatives, drugs to lower cholesterol, and anti-fungal drugs. **Digitalis** levels can be dangerously increased by Saquinavir. **Garlic capsules** might lower Saquinavir levels. The antacid omeprazole, sold as Prilosec and under other names, can greatly increase Saquinavir levels. **Make sure that your health care provider knows about ALL drugs and supplements you are taking.**

Saquinavir does not appear to have any significant interaction with **methadone**, except that Saquinavir taken with ritonavir can lower methadone levels. Watch for signs of excessive sedation if you take saquinavir with **buprenorphine.**

Formatted: Font: Not Bold

Some **birth control pills** may not work if you are taking saquinavir. Talk to your health care provider about how to prevent an unwanted pregnancy.

Grapefruit juice increases saquinavir levels. Avoid drinking it when taking saquinavir, especially if saquinavir is being boosted with ritonavir.

The herb **St. John's Wort** (See Fact Sheet 729) lowers the blood levels of

some protease inhibitors. Do not take it with saquinavir.