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CATIE's bite-sized HIV and hepatitis C news bulletins.

Roche to discontinue supply of saquinavir 200 mg capsule for HIV

19 June 2018

- **Saquinavir is an older HIV drug not commonly prescribed today.**
- **Leading treatment guidelines do not recommend saquinavir.**
- **Saquinavir users can discuss treatment options with their healthcare providers.**

In the mid-to-late 1990s the anti-HIV drug saquinavir, sold under the brand name Invirase, was an important part of combination treatment in Canada and other high-income countries.

However, saquinavir was not well absorbed and initially had to be taken as often as three times a day. This dosing frequency did not always lead to high concentrations of saquinavir in the blood and some people developed HIV that was resistant to saquinavir, and, in some cases, cross-resistant to other protease inhibitors used in that era. Based on emerging research at the time, some doctors advised their patients to take saquinavir with grapefruit juice. This resulted in a modest increase in the absorption of saquinavir, but levels of this drug in the blood were not always optimal.

Over the next decade, subsequent studies found that increasing the dose of saquinavir to 1,000 mg and combining it with the drug zidovudine 100 mg, both drugs taken twice daily, resulted in high concentrations of saquinavir in the blood, as zidovudine acted to boost the concentration of saquinavir. But, by that point, saquinavir faced competition from other anti-HIV medications that were more potent, required less frequent dosing and in some cases were better tolerated. As a result, the use of saquinavir declined.

Today in Canada and other high-income countries saquinavir is not recommended for the treatment of HIV infection. Saquinavir belongs to a class of drugs known as protease inhibitors. As a general rule, many drugs can interact with protease inhibitors, reducing their levels in the blood and increasing the potential for HIV to develop resistance to treatment. Alternatively, saquinavir has the potential to raise or lower levels of other drugs in the blood, causing side effects and other problems. In the past decade, protease inhibitors have largely been eclipsed by a newer class of anti-HIV drugs called integrase inhibitors, which are preferred by treatment guidelines. Integrase inhibitors are generally safe, well tolerated and potent. Also, most integrase inhibitor-based regimens can be taken once daily and tend to have fewer interactions with other medicines.

The end of the 200 mg saquinavir capsule

Despite this shift in guideline recommendations, a minority of people still use saquinavir in Canada and other high-income countries. Saquinavir is currently available in 200 mg capsules and 500 mg film-coated tablets. As the demand for this protease inhibitor has decreased significantly over the past 20 years, the manufacturer of saquinavir, Hoffmann-La Roche, has announced that it will discontinue the manufacture and supply of the 200 mg capsule (the 500 mg tablet will continue to be available). Roche plans to stop shipping the 200 mg capsule of saquinavir in Canada in late December 2018. Furthermore, shipment of the 200 mg capsule to other major markets, including the U.S. and European Union, will also cease sometime in the future. To find out more about the end of the supply of the 200 mg capsule of saquinavir in your region, contact your local Roche office.

Revisiting treatment

Roche notes that the looming removal of the 200 mg capsule of saquinavir provides an opportunity for doctors, nurses and pharmacists to discuss with saquinavir-using patients their medical history and "all available treatment

options.”

Resources

[DHHS HIV treatment guidelines portal](#) – U.S. Department of Health and Human Services

[European Guidelines for treatment of HIV-positive adults](#) – European AIDS Clinical Society

[Guidelines for Antiretroviral ARV Treatment of Adult HIV Infection](#) – British Columbia Centre for Excellence in HIV/AIDS

[La thérapie antirétrovirale pour les adultes infectés par le VIH : Guide pour les professionnels de la santé du Québec](#) – Quebec Ministry of Health and Social Services

—Sean R. Hosein

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