



Canada's source for
HIV and hepatitis C
information

La source canadienne
de renseignements sur
le VIH et l'hépatite C

CATIE-News

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

REFERENCES:

1. Hoffmann-La Roche. Update regarding Invirase (saquinavir mesylate). *Dear Healthcare Provider letter* . 10 April 2018.
2. Kupferschmidt HH, Fattinger KE, Ha HR, et al. Grapefruit juice enhances the bioavailability of the HIV protease inhibitor saquinavir in man. *British Journal of Clinical Pharmacology* . 1998 Apr;45(4):355-9.
3. Kitchen VS, Skinner C, Ariyoshi K, et al. Safety and activity of saquinavir in HIV infection. *Lancet*. 1995 Apr 15;345(8955):952-5.
4. Vrouenraets SM, Wit FW, Fernandez Garcia E, et al. Randomized comparison of metabolic and renal effects of saquinavir/r or atazanavir/r plus tenofovir/emtricitabine in treatment-naïve HIV-1-infected patients. *HIV Medicine*. 2011 Nov;12(10):620-31.
5. Hoffmann-La Roche. Invirase (saquinavir mesylate). *Product Monograph* . 29 November 2017.

Produced By:



Canada's source for
HIV and hepatitis C
information

555 Richmond Street West, Suite 505, Box 1104
Toronto, Ontario M5V 3B1 Canada
Phone: 416.203.7122
Toll-free: 1.800.263.1638
Fax: 416.203.8284
www.catie.ca
Charitable registration number: 13225 8740 RR

Disclaimer

Decisions about particular medical treatments should always be made in consultation with a qualified medical practitioner knowledgeable about HIV- and hepatitis C-related illness and the treatments in question.

CATIE provides information resources to help people living with HIV and/or hepatitis C who wish to manage their own health care in partnership with their care providers. Information accessed through or published or provided by CATIE, however, is not to be considered medical advice. We do not recommend or advocate particular treatments and we urge users to consult as broad a range of sources as possible. We strongly urge users to consult with a qualified medical practitioner prior to undertaking any decision, use or action of a medical nature.

CATIE endeavours to provide the most up-to-date and accurate information at the time of publication. However, information changes and users are encouraged to ensure they have the most current information. Users relying solely on this information do so entirely at their own risk. Neither CATIE nor any of its partners or funders, nor any of their employees, directors, officers or volunteers may be held liable for damages of any kind that may result from the use or misuse of any such information. Any opinions expressed herein or in any article or publication accessed or published or provided by CATIE may not reflect the policies or opinions of CATIE or any partners or funders.

Information on safer drug use is presented as a public health service to help people make healthier choices to reduce the spread of HIV, viral hepatitis and other infections. It is not intended to encourage or promote the use or possession of illegal drugs.

Permission to Reproduce

This document is copyrighted. It may be reprinted and distributed in its entirety for non-commercial purposes without prior permission, but permission must be obtained to edit its content. The following credit must appear on any reprint: *This information was provided by CATIE (the Canadian AIDS Treatment Information Exchange). For more information, contact CATIE at 1.800.263.1638.*

© CATIE

Production of this content has been made possible through a financial contribution from the Public Health Agency of Canada.

Available online at:

<https://www.catie.ca/en/catieneews/2018-06-19/roche-discontinue-supply-saquinavir-200-mg-capsule-hiv>