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Saquinavir *(Invirase)*

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Drug Summary

Saquinavir, the first protease inhibitor approved by the FDA (in 1995), played a central part in the initial roll out of highly active combination antiretroviral therapy in the mid-1990's. Three formulations of saquinavir have been approved, including the initial hard-gel capsule, a soft-gel capsule (now discontinued), and, more recently, a tablet. In the early years of combination antiretroviral therapy, unboosted saquinavir was used in combination with two nucleoside reverse transcriptase inhibitors (NRTIs). Subsequently, saquinavir has been used in combination with low-dose ritonavir boosting. Over time, multiple better-tolerated and more convenient antiretroviral agents have become available and have replaced saquinavir. At this time, saquinavir is no longer recommended for use in antiretroviral therapy regimens and patients taking this agent should, in general, switch to a currently recommended option.

Guidelines for use in Antiretroviral-Naïve Patients

In the July 14, 2016 version of the HHS Guidelines for the Use of Antiretroviral Agents in HIV-1-Infected Adults and Adolescents, for treatment-naïve patients, **saquinavir** is NOT included in the recommended or alternative regimen options.

Key Clinical Trials

Early trials demonstrated that saquinavir plus two NRTI's (zidovudine and zalcitabine) led to greater reductions in HIV RNA and increases in CD4 count as compared to dual therapy with zidovudine plus saquinavir or zidovudine plus zalcitabine [ACTG 229]. Subsequently, saquinavir was compared to other protease inhibitors, each given with two NRTIs. One study demonstrated similar antiviral potency between saquinavir boosted with ritonavir and lopinavir-ritonavir, each given with tenofovir DF-emtricitabine [GEMINI], whereas a similar trial demonstrated higher rates of treatment failure and

discontinuation in the saquinavir plus ritonavir arm and concluded that lopinavir-ritonavir has superior antiviral efficacy, largely driven by tolerability and patient preference [MaxCmin2].

Adverse Effects

The primary side effects of saquinavir are gastrointestinal, including stomach upset, nausea, and diarrhea. Saquinavir may raise serum lipid parameters, and has been associated with prolonged PR and QT cardiac intervals.

Use In Pregnancy

In the October 26, 2016 version of the HHS Perinatal Guidelines for Initial Combination Regimens in Antiretroviral-Naïve Pregnant Women, ritonavir-boosted **saquinavir** is designated as NOT RECOMMENDED; the reasons cited in the Perinatal Guidelines for this recommendation include potential toxicity, dosing disadvantages, effects on PR and QT intervals, limited data in pregnancy, and large pill burden.

- For additional information regarding the safety and toxicity of saquinavir in pregnancy see the HHS Perinatal Guidelines summary on Saquinavir.

Resistance

For a listing of the most common clinically significant mutations associated with saquinavir (SQV) resistance, see the PI Resistance Notes on the Stanford University HIV Drug Resistance Database.

Key Drug Interactions

For complete information on saquinavir-related drug interactions, see the Drug Interactions section in the Saquinavir (*Invirase*) Prescribing Information.

No Clinical Trials Available

We do not currently have any clinical trials on file for this drug.