

# Rilpivirine (*Edurant*)

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## Drug Summary

Rilpivirine, a non-nucleoside reverse transcriptase inhibitor (NNTI), offers several advantages, but also several drawbacks, as compared to other anchor antiretroviral agents. Rilpivirine is generally well tolerated and has less effect on serum lipid markers as compared to older NNRTIs. For initial therapy, rilpivirine is not recommended for individuals with an HIV RNA level above 100,000 copies/mL or a CD4 count less than 200 cells/mm<sup>3</sup> due to inferior virologic response rates in those groups. In addition, it must be taken with a meal and it is contraindicated for individuals who take a proton pump inhibitor. Rilpivirine has a relatively low barrier to resistance, and the emergence of resistance-associated mutations while taking rilpivirine frequently results in cross-resistance to other NNRTIs. Several recent trials have investigated the use of rilpivirine (in standard tablet formulation and as a long-acting nanosuspension injectable formulation) in combination with one other antiretroviral agent as part of a two-drug combination maintenance strategy, and one such combination tablet (rilpivirine-dolutegravir) has been approved for maintenance therapy for individuals who have a suppressed HIV RNA on stable antiretroviral therapy for at least 6 months with no past virologic failure and no resistance to the component.

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## Key Clinical Trials

Rilpivirine was compared head-to-head with efavirenz in two major phase 3 trials—one compared the fixed-dose combinations rilpivirine-tenofovir DF-emtricitabine with efavirenz-tenofovir DF-emtricitabine [[ECHO](#)] and the other compared rilpivirine and efavirenz both given with two NRTIs [[THRIVE](#)]. These trials demonstrated similar virologic efficacy between rilpivirine and efavirenz, except more virologic failures occurred in the rilpivirine arm among the subset of participants with a baseline HIV RNA greater than 100,000 copies/mL or CD4 count less than 200 cells/mm<sup>3</sup>. Virologic failure on the rilpivirine-containing regimens led to higher rates of NNRTI resistance and cross-resistance when compared with virologic failure on efavirenz. Several studies evaluated switching to the rilpivirine-tenofovir DF-emtricitabine single-tablet regimen from other antiretroviral regimens, including two NRTIs plus a boosted protease inhibitor [[SPIRIT](#)] and from efavirenz-tenofovir DF-emtricitabine [[GS-264-0111](#)]. In both of these studies, participants had maintained virologic suppression at high rates following the switch, but these studies had strict inclusion criteria. Investigators enrolled persons

with suppressed HIV RNA on stable 3-drug antiretroviral therapy for at least 6 months (with no evidence of hepatitis B coinfection and no history of virologic failure or resistance to rilpivirine or dolutegravir) and randomized them to continue current their current antiretroviral regimen or switch to two-drug maintenance therapy with rilpivirine plus dolutegravir; the rates of virologic suppression were equivalent in the two groups, but the switch group had improvements in bone mineral density (most in the switch arm were previously taking tenofovir DF) [[SWORD-1](#) and [SWORD-2](#)].

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## Adverse Effects

Rilpivirine is generally well tolerated, but adverse effects can include headache, insomnia, depression, rash, or elevated hepatic aminotransferase levels. Supratherapeutic doses of rilpivirine can cause QT prolongation; therefore, caution should be used when coadministering rilpivirine-containing therapy with other QT prolonging agents, and QT should be monitored in this setting.

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## Use In Pregnancy

In the HHS Perinatal Guidelines section Recommendations for Use of Antiretroviral Drugs During Pregnancy (last updated October 19, 2017), the single tablet regimen **rilpivirine**-tenofovir DF-emtricitabine or **rilpivirine** plus a preferred two-NRTI backbone are designated in the category of Alternative Initial Regimen in Pregnancy. Note that any rilpivirine-based regimens are not recommended for pregnant women with a pretreatment HIV RNA level greater than 100,000 copies/mL or CD4 cell count below 200 cells/mm<sup>3</sup>, or with concomitant proton pump inhibitor use. There are some rilpivirine pharmacokinetic data available in pregnancy but relatively little experience with use of the single-table regimen rilpivirine-tenofovir DF-emtricitabine in pregnancy. For additional information regarding the safety and toxicity of rilpivirine in pregnancy see the HHS Perinatal Guidelines summary on [Rilpivirine](#).

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## Resistance

For a listing of the most common clinically significant mutations associated with rilpivirine (RPV) resistance, see the [NNRTI Resistance Notes on the Stanford University HIV Drug Resistance Database](#).

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## Key Drug Interactions

For complete information on rilpivirine-related drug interactions, see the [Drug Interactions section in the Rilpivirine \(Edurant\) Prescribing Information](#).

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<https://www.hiv.uw.edu/page/treatment/drugs/rilpivirine>