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Rilpivirine-Tenofovir disoproxil fumarate-Emtricitabine *(Complera)*

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Drug Summary

Rilpivirine-tenofovir disoproxil fumarate (DF)-emtricitabine is a single-tablet regimen option for treatment-naïve individuals. This single-tablet regimen is generally well tolerated, but several important factors limit its use. Notably, rilpivirine-tenofovir DF-emtricitabine should not be offered to individuals with HIV RNA level above 100,000 copies/mL or CD4 count below 200 cells/mm^3 due to inferior virologic response rates. In addition, it must be taken with a meal and it is contraindicated for individuals who are taking a proton pump inhibitor. A similar option with a different tenofovir component (rilpivirine-tenofovir alafenamide-emtricitabine) is also available and may be preferable because of potential for reduced risk of renal adverse events and bone toxicity.

Key Clinical Trials

Rilpivirine-tenofovir DF-emtricitabine was compared with efavirenz-tenofovir DF-emtricitabine and demonstrated similar virologic efficacy overall, except that more virologic failures occurred in the rilpivirine arm in the subset of participants with baseline HIV RNA greater than 100,000 copies/mL or baseline CD4 count less than 200 cells/mm^3 or less than 95% adherence [ECHO (C209)]. A subsequent study showed similar virologic efficacy with these two regimens, even in patients with baseline HIV RNA greater than 100,000 copies/mL, but more treatment-emergent resistance occurred in the rilpivirine arm, particularly in subjects with a baseline HIV RNA above 100,000 copies/mL [STaR (GS-264-0110)]. Participants in both studies tolerated rilpivirine better than efavirenz. Among individuals who developed virologic failure, rates of NNRTI resistance, NNRTI cross-resistance, and accompanying NRTI resistance were higher with rilpivirine-based therapy than efavirenz-based therapy. Several studies of switching to the fixed dose regimen of rilpivirine-tenofovir DF-emtricitabine from other antiretroviral regimens in patients with well-controlled HIV and no resistance to components of the study drugs have shown excellent safety and virologic control, including switches from efavirenz-tenofovir DF-emtricitabine [GS-264-0111] and from two NRTIs plus ritonavir-boosted protease inhibitor [SPIRIT (GS-264-0106)].

Adverse Effects

Rilpivirine-tenofovir DF-emtricitabine is generally well tolerated. Side effects can include headache, insomnia, depression, rash, or elevation of hepatic transaminases. Supratherapeutic doses of rilpivirine can cause QT prolongation; therefore, caution should be used when prescribing rilpivirine-containing therapy with other QT prolonging agents or medications that may significantly increase levels of rilpivirine; if rilpivirine must be used in either these situations, QT should be monitored.

Use In Pregnancy

In the HHS Perinatal Guidelines section Recommendations for Use of Antiretroviral Drugs During Pregnancy (last updated October 19, 2017), **rilpivirine-tenofovir DF-emtricitabine** is listed as an Alternative NNRTI Regimen in the category of Alternative Initial Regimen in Pregnancy. Note that rilpivirine-tenofovir DF-emtricitabine is 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^3, 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-tenofovir DF-emtricitabine in pregnancy see the HHS Perinatal Guidelines summaries on Rilpivirine, Tenofovir DF, and Emtricitabine.

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.

For a listing of the most common clinically significant mutations associated with tenofovir DF (TDF) and/or emtricitabine (FTC) resistance, see the NRTI Resistance Notes on the Stanford University HIV Drug Resistance Database.

Key Drug Interactions

For complete information on rilpivirine-tenofovir disoproxil fumarate-emtricitabine-related drug interactions, see the Drug Interactions section in the Rilpivirine-Tenofovir disoproxil fumarate-Emtricitabine (*Complera*) Prescribing Information.