

Tenofovir alafenamide-Emtricitabine (*Descovy*)

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Drug Summary

Tenofovir alafenamide-emtricitabine is a 2 nucleoside reverse transcriptase inhibitor (NRTI) component of multiple approved first-line treatment options, including multiple single-tablet regimens. Tenofovir alafenamide is a prodrug of tenofovir that is hydrolyzed to tenofovir in plasma, and then phosphorylated to the active compound within cells. When compared with tenofovir DF, tenofovir alafenamide generates approximately 90% lower tenofovir plasma levels, which correlates with an improved safety profile. In addition, tenofovir alafenamide does not accumulate in renal tubular cells. Studies have shown that tenofovir alafenamide, when compared with tenofovir DF, causes less adverse impact on renal proximal tubule function and bone mineral density. At this time, data on the long-term clinical impact related to differences in these parameters are lacking. Tenofovir alafenamide-emtricitabine is FDA-approved for use in mild-moderate renal insufficiency (creatinine clearance as low as 30 mL/min). The tenofovir alafenamide component is FDA approved for the treatment of hepatitis B. At this time, data are lacking to support the use of tenofovir alafenamide for postexposure prophylaxis (PEP).

Tenofovir alafenamide-emtricitabine recently received FDA approval for HIV preexposure prophylaxis (PrEP) for at-risk adults and adolescents who weight at least 35 kg to reduce the risk of sexually acquired HIV-1 infection, excluding individuals at risk from receptive vaginal sex. Tenofovir alafenamide-emtricitabine is not indicated in individuals at risk of HIV-1 infection from receptive vaginal sex because the effectiveness in this population has not been evaluated.

Key Clinical Trials

The combination tenofovir alafenamide-emtricitabine has been compared to tenofovir DF-emtricitabine in studies of initial therapy and in switch studies. In antiretroviral-naïve subjects, elvitegravir-cobicistat-tenofovir alafenamide-emtricitabine demonstrated non-inferior virologic efficacy as compared to elvitegravir-cobicistat-tenofovir DF-emtricitabine [[Study 104/111](#)]. In virologically suppressed patients, a switch to elvitegravir-

cobicistat-tenofovir alafenamide-emtricitabine from antiretroviral therapy that included tenofovir DF-emtricitabine plus elvitegravir-cobicistat, atazanavir plus ritonavir, or efavirenz found the tenofovir alafenamide-based regimen to be equally effective as elvitegravir-cobicistat-tenofovir DF-emtricitabine and superior to the regimens that included boosted atazanavir or efavirenz [[Study 109](#)]. A switch from other first-line therapy to elvitegravir-cobicistat-tenofovir alafenamide-emtricitabine in the setting of mild-moderate renal insufficiency (creatinine clearance 30 to 69 mL/min) also maintained virologic suppression and led to improvements in markers of renal proximal tubule wasting and bone mineral density [[Study 112](#)]. Similarly, a switch from tenofovir DF-emtricitabine to tenofovir alafenamide-emtricitabine demonstrated equivalent efficacy with improvement in renal and bone biomarkers [[GS-311-1089](#)]. In a study of treatment-experienced individuals with multiclass drug resistance who met certain criteria and who were taking suppressive salvage regimens, simplification to elvitegravir-cobicistat-tenofovir alafenamide-emtricitabine plus darunavir led to statistically higher rates of treatment efficacy as compared to continuing current therapy [[Study 119](#)]. In an open-label, non-randomized study, participants with HIV-hepatitis B coinfection (most of whom had suppressed HBV DNA level) switched antiretroviral therapy to elvitegravir-cobicistat-tenofovir alafenamide-emtricitabine; a high rate of treatment success in terms of maintaining HIV virologic suppression and maintaining or achieving hepatitis B suppression occurred [[Study 1249](#)].

Adverse Effects

The emtricitabine component of tenofovir alafenamide-emtricitabine infrequently causes adverse effects. Hyperpigmentation of the palms and soles has been reported with emtricitabine and the risk is higher in persons with darker pigmented skin. The primary advantage of tenofovir alafenamide over tenofovir DF is reduced adverse effects related to renal proximal tubule toxicity and bone mineral density loss; the long-term clinical significance of these differences is not known. A switch from tenofovir DF to tenofovir alafenamide leads to a mild increase in serum lipid markers, though the clinical significance is unclear. Tenofovir alafenamide-emtricitabine can cause nonspecific symptoms such as fatigue, headache, malaise, nausea, and other gastrointestinal symptoms.

Use In Pregnancy

In the HHS Perinatal Guidelines section Recommendations for Use of Antiretroviral Drugs During Pregnancy (last updated October 19, 2017), **tenofovir alafenamide-emtricitabine** is designated in the category Insufficient Data in Pregnancy to Recommend Routine Use in Initial Regimens for ART-Naive Women.

- For additional information regarding the safety and toxicity of tenofovir alafenamide and emtricitabine in pregnancy see the HHS Perinatal Guidelines summaries on [Tenofovir alafenamide](#) and [Emtricitabine](#).
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Resistance

For a listing of the most common clinically significant mutations associated with tenofovir alafenamide-

emtricitabine (TAF-FTC) resistance, see the [NRTI Resistance Notes on the Stanford University HIV Drug Resistance Database](#).

Note that both tenofovir alafenamide and tenofovir disoproxil fumarate are converted to tenofovir disphosphate, the active form of the drug. Thus, resistance mutations for tenofovir alafenamide (TAF) and tenofovir disoproxil fumarate (TDF) are the same.

Key Drug Interactions

For complete information on tenofovir alafenamide-emtricitabine-related drug interactions, see the [Drug Interactions section in the Tenofovir alafenamide-Emtricitabine \(Descovy\) Prescribing Information](#).
