

Bictegravir-Tenofovir alafenamide-Emtricitabine (*Biktarvy*)

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Drug Summary

Bictegravir-tenofovir alafenamide-emtricitabine is a single-tablet regimen that is comprised of an integrase strand transfer inhibitor (bictegravir) combined with two nucleoside reverse transcriptase inhibitors (tenofovir alafenamide and emtricitabine). Bictegravir, which is not FDA-approved as an individual antiretroviral medication, has a high barrier to resistance, is well tolerated, and, extrapolating from the phase 3 data, has virologic efficacy comparable to dolutegravir. Bictegravir levels can be reduced with medications or oral supplement that contain polyvalent cations (e.g. Ca, Mg, Al, Fe). Bictegravir blocks tubular secretion of creatinine and typically raises serum creatinine by about 0.1 mg/dL, but without affecting renal glomerular function. Phase 3 trials in antiretroviral-naïve persons as well as switch trials in persons with virologic suppression have shown excellent efficacy with bictegravir-tenofovir alafenamide-emtricitabine. Available data suggest that bictegravir has a high genetic barrier to resistance.

Key Clinical Trials

In a phase 3 trial, 629 antiretroviral-naïve adults were randomized to receive bictegravir-tenofovir alafenamide-emtricitabine or dolutegravir-abacavir-lamivudine; after 48 weeks, there was no significant difference in the virologic response between the two groups: 92% obtained virologic suppression with bictegravir-tenofovir alafenamide-emtricitabine and 93% with dolutegravir-abacavir-lamivudine [[GS-380-1489](#)]. In a similar phase 3 trial involving 645 antiretroviral-naïve adults, bictegravir-tenofovir alafenamide-emtricitabine was compared with dolutegravir plus tenofovir alafenamide-emtricitabine and at week 48 virologic suppression was obtained in 89% of the participants receiving bictegravir-tenofovir alafenamide-emtricitabine versus 93% in the dolutegravir plus tenofovir DF-emtricitabine group [[GS-380-1490](#)].

In a phase 3 switch study, participants taking dolutegravir-abacavir-lamivudine with sustained (at least 6 months) virologic suppression were randomized to stay on their regimen or switch to bictegravir-tenofovir alafenamide-emtricitabine; after 48 weeks virologic suppression was similar in the two groups (95% in those

who stayed on their regimen versus 94% who switched) [[GS-380-1844](#)]. In a similar phase 3 switch study, individuals with sustained virologic suppression on a boosted protease inhibitor (atazanavir or darunavir) plus two nucleoside reverse transcriptase inhibitors were randomized to stay on their regimen or switch to bictegravir-tenofovir alafenamide-emtricitabine; the virologic response rates at 48 weeks were 89% in those remaining on their regimen and 92% for those who switched regimens [[GS-380-1878](#)]. Ongoing switch studies are evaluating a switch to bictegravir-tenofovir alafenamide-emtricitabine from elvitegravir-cobicistat-tenofovir alafenamide-emtricitabine or elvitegravir-cobicistat-tenofovir disoproxil fumarate-emtricitabine or ritonavir-boosted atazanavir plus tenofovir disoproxil fumarate-emtricitabine in virologically suppressed women [[GS-380-1961](#)].

Adverse Effects

Adverse effects to bictegravir are uncommon and usually mild. Headache and insomnia were noted in the clinical trials and appeared to occur at a similar frequency as with dolutegravir. Rash and hypersensitivity reaction was reported rarely. Bictegravir inhibits tubular secretion of creatinine and may increase serum creatinine (per some clinical trial data this effect may be less than with dolutegravir); it has no effect on actual (measured) glomerular filtration rate (GFR). Creatinine elevation occurs in the early weeks after initiation of bictegravir and then stabilizes.

Use In Pregnancy

There are insufficient data for the use of bictegravir-tenofovir alafenamide-emtricitabine in pregnancy.

Key Drug Interactions

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