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Bictegravir-Tenofovir alafenamide-Emtricitabine

Investigational Treatment. This treatment has NOT been approved by the FDA.

Table of Contents

Drug Summary

Bictegravir-tenofovir alafenamide-emtricitabine is an investigational 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, has a high barrier to resistance, appears to be well tolerated, and, based on phase 2 data, has virologic efficacy comparable to dolutegravir. Similar to dolutegravir, bictegravir appears to interact with cation-containing compounds and blocks tubular secretion of creatinine, thus raising serum creatinine and estimated glomerular filtration rate (GFR) without affecting actual GFR. Bictegravir will be studied in phase 3 trials as a fixed-dose combination tablet of bictegravir-tenofovir alafenamide-emtricitabine; one trial will compare this combination to dolutegravir with tenofovir alafenamide-emtricitabine, and the other will compare it to dolutegravir-abacavir-lamivudine. There are also ongoing and planned randomized trials assessing the effects of switching antiretroviral therapy regimens to bictegravir-tenofovir alafenamide-emtricitabine for individuals with suppressed HIV RNA levels; these include trials of participants taking regimens anchored by dolutegravir, elvitegravir, boosted atazanavir, or boosted darunavir. If bictegravir-tenofovir alafenamide-emtricitabine is confirmed to have high virologic efficacy and good tolerability in phase 3 trials, it will provide a potent integrase inhibitor in a single-tablet regimen with tenofovir alafenamide and emtricitabine without need for a pharmacokinetic booster.

Guidelines for use in Antiretroviral-Naïve Patients

Bictegravir-tenofovir alafenamide-emtricitabine is an investigational single-tablet antiretroviral regimen and therefore not included in the HHS Guidelines for the Use of Antiretroviral Agents in HIV-1-Infected Adults and Adolescents.

Key Clinical Trials

A phase 1b dose-ranging study that enrolled individuals with HIV infection and viremia (treatment-naïve or treatment-experienced off antiretroviral therapy) demonstrated that 10 days of bictegravir monotherapy had potent antiviral activity and was well tolerated [GS-141-1219]; based on these findings, the 75 mg dosage of bictegravir was chosen for phase 2 study. In a phase 2, randomized, controlled, double-blind trial, 98 adults with HIV infection who were antiretroviral treatment-naïve were randomized to bictegravir 75 mg once-daily (n = 65) or dolutegravir once-daily (n = 33), each with tenofovir alafenamide-emtricitabine fixed-dose combination [GS-141-1475]. After 24 weeks, the proportion of participants with HIV RNA level less than 50 copies/mL by FDA snapshot analysis was 97% in the bictegravir group compared to 94% in the dolutegravir group (p=0.50), and at 48 weeks the proportions were 97% in the bictegravir group and 91% in the dolutegravir group (p=0.17). Adverse effects in both groups were mild; the most common adverse effect in both groups was nausea and diarrhea. Based on phase 2 pharmacokinetic data, the 50 mg dosage of bictegravir was chosen for further study in phase 3 clinical trials. Ongoing Phase 3 studies in treatment-naïve individuals are comparing the single tablet regimens bictegravir-tenofovir alafenamide-emtricitabine versus the single-tablet regimen dolutegravir-abacavir-lamivudine [] in one study and versus dolutegravir plus tenofovir DF-emtricitabine in another []. Switch studies in treatment-experienced patients with virologic suppression are comparing switching to bictegravir-tenofovir alafenamide-emtricitabine from other regimens, including dolutegravir-abacavir-lamivudine (or dolutegravir plus abacavir-lamivudine) [GS-380-1844], elvitegravir- or atazanavir-based regimens in women [GS-380-1878], or protease-inhibitor based regimens [GS-380-1961].

No Clinical Trials Available

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