Fostemsavir (Rukobia)

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Drug Summary

Fostemsavir, formerly BMS-663068, is a first-in-class HIV attachment inhibitor. Fostemsavir is a prodrug that is hydrolyzed to the active drug temsavir, which binds to HIV envelope glycoprotein 120 (gp120). The temsavir binding to gp120 prevents the gp120 conformational change required for attachment to the host CD4 cell surface receptor. In the absence of effective attachment of HIV gp120 with the host CD4 receptor, HIV does not enter the host cell. Because fostemsavir has a novel mechanism of action, the drug should have full activity against HIV strains that have developed resistance to other classes of antiretroviral medications. Fostemsavir may have reduced activity against HIV AE subtypes. In addition, the presence of gp120 resistance-associated polymorphisms at key sites S375, M426, M434, or M475 has been associated with a reduced virologic response to fostemsavir.

Key Clinical Trials

- **BRIGHTE**: The phase 3 BRIGHTE study was a randomized, multicenter trial that compared the addition of fostemsavir 600 mg twice daily or placebo to a failing antiretroviral regimen in adults with multiclass drug resistance. After 8 days, participants receiving placebo crossed over to receive fostemsavir and all participants then had optimized background therapy added to fostemsavir. At day 8, fostemsavir treatment resulted in a 0.79 log10 decline in HIV RNA levels. Among those randomized to receive fostemsavir, 62% had an HIV RNA level less than 40 copies/mL at 48 weeks.

- **AI438-011**: This phase 2b trial randomized treatment-experienced adults with HIV and randomized study subjects to one of four doses of fostemsavir (400 mg twice daily, 800 mg twice daily, 600 mg once daily, or 1200 mg once daily) or to ritonavir-boosted atazanavir; participants in each arm also received raltegravir 400 mg twice daily and tenofovir DF 300 mg once daily. There were approximately 50 individuals in each arm. Through 24 weeks of treatment, virologic efficacy and tolerability were similar in the fostemsavir groups and the boosted atazanavir group: 80% of participants in the fostemsavir 400 mg twice daily group, 69% in the 800 mg twice daily group, 76% in the 600 mg once daily group, and 72% in the 1200 mg once daily group had HIV RNA level below 50
copies/mL, as compared to 75% in the boosted atazanavir group. Rates of adverse events and discontinuations due to adverse events were also similar in the various groups.

Manufacturer for United States

Fostemsavir (Rukobia [rue-KOH-bee-ah]) is an extended-release tablet that each contains 600 mg of fostemsavir (equivalent to 725 mg of fostemsavir tromethamine) (Figure 1) and (Figure 2). Fostemsavir (Rukobia) is manufactured by ViiV Healthcare.

Key Drug Interactions

For complete information on fostemsavir-related drug interactions, see the Drug Interactions section in the Fostemsavir (Rukobia) Prescribing Information.

Citations


Figures

Figure 1. Fostemsavir (Rukobia) Tablet

Each tablet is an extended-release formulation that contains 600 mg of fostemsavir (equivalent to 725 mg of fostemsavir tromethamine)

Source: this photograph is courtesy of Viiv Healthcare
Figure 2. Fostemsavir (*Rukobia*)

Source: this photograph is courtesy of Viiv Healthcare

The most up to date version of this content may be obtained from: [https://www.hiv.uw.edu/page/treatment/drugs/fostemsavir](https://www.hiv.uw.edu/page/treatment/drugs/fostemsavir)