Rukobia™ (fostemsavir) – New drug approval

- On July 2, 2020, the FDA announced the approval of ViiV Healthcare's Rukobia (fostemsavir), in combination with other antiretroviral(s), for the treatment of human immunodeficiency virus type 1 (HIV-1) infection in heavily treatment-experienced adults with multidrug-resistant HIV-1 infection failing their current antiretroviral regimen due to resistance, intolerance, or safety considerations.

- Rukobia is a first-in-class HIV-1 attachment inhibitor. After oral administration, fostemsavir is converted to temsavir, and it exerts antiviral activity by attaching directly to the glycoprotein 120 subunit on the surface of the virus, thereby blocking HIV from attaching to host immune system CD4+ T-cells and preventing the virus from infecting those cells and multiplying.

- The efficacy of Rukobia was established in BRIGHTE, a partially-randomized, double-blind, placebo-controlled study in heavily treatment-experienced adult patients with HIV-1 infection. Patients were enrolled in either a randomized or nonrandomized cohort. The randomized cohort included 272 patients who had 1, but no more than 2, fully active and available antiretroviral agent(s) at screening. Patients received either blinded Rukobia or placebo in addition to their current failing regimen for 8 days of functional monotherapy. Beyond day 8, randomized patients received open-label Rukobia plus an investigator-selected optimized background therapy (OBT). The primary endpoint was the adjusted mean decline in HIV-1 RNA from day 1 to day 8 in the randomized cohort.

    — The adjusted mean decline in HIV-1 RNA was -0.791 $\log_{10}$ copies/mL with Rukobia vs. -0.166 with placebo (difference -0.625; 95% CI: -0.810, -0.441; $p < 0.0001$).
    — In addition, HIV-1 RNA < 40 copies/mL was achieved in 53% and 60% of patients at weeks 24 and 96 with Rukobia.

- The nonrandomized cohort included 99 patients had no fully active and approved antiretroviral agent(s) available at screening. Nonrandomized patients were treated with open-label Rukobia plus OBT from day 1 onward.

    — In the nonrandomized cohort, HIV-1 RNA < 40 copies/mL was achieved in 37% of patients at weeks 24 and 96.

- Rukobia is contraindicated in patients:

    — With previous hypersensitivity to fostemsavir or any of the components of Rukobia
    — Coadministered strong cytochrome P450 (CYP)3A inducers, as significant decreases in temsavir plasma concentrations may occur which may result in loss of virologic response.

- Warnings and precautions for Rukobia include immune reconstitution syndrome, QTc prolongation with higher than recommended dosages, elevations in hepatic transaminases in patients with hepatitis B or C virus coinfection, and risk of adverse reactions or loss of virologic response due to drug interactions.

- The most common adverse reaction (≥ 5%) with Rukobia use was nausea.

- The recommended dose of Rukobia is 600 mg orally twice daily with or without food

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- ViiV Healthcare’s launch plans for Rukobia are pending. Rukobia will be available as a 600 mg extended-release tablet.

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