clinical cases DDIs

Date of report 08 Oct 2026

Reported case interaction between **Bictegravir** and **Rifampin**

Drugs suspected to be involved in the DDI

Victim
Bictegravir

Daily Dose
100 (mg)

Dose adjustment performed
Yes

Administration Route
Oral

Start date
Unknown

End date
Unknown

Perpetrator
Rifampin

Daily Dose
600 (mg)

Dose adjustment performed
No

Administration Route
Oral

Start date
Unknown

End date
Unknown

Complete list of drugs taken by the patient

Antiretroviral treatment

Bictegravir/Emtricitabine/Tenofovir-AF

Complete list of all comedications taken by the patient, included that involved in the DDI

Rifampin, Pyrazinamide, Ethambutol, Isoniazid

Clinical case description

Gender

Female

Age

56

eGFR (mL/min)

>60

Liver function impairment

No

Description

A 56-year-old woman with HIV infection (diagnosed in 2010) virologically suppressed with bictegravir/emtricitabine/ tenofovir alafenamide treatment. She presented disseminated rifampicin-susceptible TB and was initiated on standard TB treatment containing rifampicin. For that reason, ART was initially switched to an efavirenz-based regimen, which was discontinued due to severe neuropsychiatric adverse events. The patient did not want to be put on dolutegravir based treatment. Thus, bictegravir/emtricitabine/tenofovir alafenamide was given at a dose of 50/200/25 twice daily while on rifampicin treatment. The patient maintained virological suppression with stable CD4 counts and favourable TB evolution. Transient viral rebound (7100 copies/mL) occurred 4 months after TB treatment initiation, coinciding with an unintended reduction to once-daily dosing for 2 months. Viral suppression was re-achieved within 6 weeks

after reinstating bictegravir/emtricitabine/tenofovir alafenamide twice-daily administration, with HIV-1 RNA returning to <20 copies/mL. HIV-1 RNA remained undetectable at week 24, at completion of TB treatment and after transition back to once daily bictegravir/emtricitabine/ tenofovir alafenamide following rifampicin discontinuation.

Rifampicin is a potent inducer of CYP3A4, UGT1A1 and P-glycoprotein, resulting in a marked reduction in bictegravir exposure. Coadministration of rifampicin 600 mg once daily with a single dose of bictegravir resulted in a decrease in bictegravir AUC by approximately 75% and Cmax by 28%. Therefore, co-administration of once daily bictegravir with rifampicin is contraindicated in the product label and is not recommended by treatment guidelines.

In the INSIGHT study, bictegravir/emtricitabine/tenofovir alafenamide 50/200/25 mg twice daily was administered during rifampicin-based tuberculosis treatment. Although rifampicin reduced bictegravir AUC, Cmax and trough concentrations by approximately 62%, 53% and 78%, respectively, mean bictegravir trough concentrations remained above the protein-adjusted 95% effective concentration. Viral suppression was achieved in 94% of participants at week 24 and 95% at week 48, with no emergent resistance in the bictegravir arm. These findings provide important clinical support for twice-daily bictegravir/ emtricitabine/tenofovir alafenmide when standard recommended ART options are not feasible, although this strategy remains off-label and is not currently endorsed by the product label or NIH guidelines.

The episode of virological rebound observed in this case is particularly informative. Virological rebound occurred after an inadvertent reduction from twice-daily to once-daily bictegravir/emtricitabine/tenofovir alafenamide while rifampicin was still being administered, when bictegravir

exposure would be expected to be substantially reduced. Re-suppression within 6 weeks after restoration of twice-daily dosing supports, although does not prove, a relationship between reduced bictegravir exposure and the virological rebound.
In summary, bictegravir/emtricitabine/tenofovir alafenamide twice daily is an off-label option supported by emerging clinical evidence that may represent a potential alternative for highly selected patients in whom guideline-recommended alternatives are not feasible, provided that close virologic monitoring is ensured.
This case has been published by Sanchez-Cano Juan Gabriel et al. HIV Med 2026; 27(8):1376-1377.

Clinical Outcome

No unwanted outcome

Editorial Comment

Co-administration of BIC/FTC/TAF with rifampicin is contraindicated due to decreased bictegravir plasma concentrations. Rifampicin (600 mg once daily) decreases bictegravir AUC and C_(max) due to the induction of CYP3A, UGT1A1 and P-gp. This may result in a loss of therapeutic effect, as well as the potential development of resistance to any of the antiretroviral (ARV) drugs. Nevertheless, pharmacokinetic and clinical data from the INSIGHT study support the use of twice-daily BIC/FTC/TAF in combination with rifampicin (Naidoo A, et al. Lancet HIV. 2026 Jan;13(1):e9-e20. Osuala EC, et al. Clin Infect Dis. 2026 Apr 30;82(4):e720-

e727), although this strategy remains off-label.
This case highlights the importance of maintaining twice-daily dosing when this strategy is used. Viral rebound occurred after reducing the BIC/FTC/TAF dose to once daily while rifampin was continued, and suppression was restored after twice-daily dosing was resumed. Importantly, no resistance mutations emerged, probably due to the high resistance barrier of this combination, which includes a second-generation integrase strand transfer inhibitor (INSTI) and tenofovir alafenamide (TAF).
The case adds to the emerging evidence for this approach in selected patients for whom guideline-recommended alternatives are not feasible and underscores the importance of clear dosing instructions and close virological monitoring.

University of Liverpool Recommendation

- These drugs should not be coadministered

For more information click here

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