clinical cases DDIs

Date of report 09 Dec 2025

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
Oct. 24, 2024

End date
Dec. 11, 2024

Perpetrator
Rifampin

Daily Dose
600 (mg)

Dose adjustment performed
No

Administration Route
Oral

Start date
Oct. 24, 2024

End date
Dec. 11, 2024

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

Rifampicin 600mg
Isoniazid 300mg
Pyridoxine 10mg

Clinical case description

Gender

Male

Age

29

eGFR (mL/min)

>60

Liver function impairment

No

Description

The patient was diagnosed with latent tuberculosis infection (LTBI) in October 2024 and started treatment on October 24 (body weight 72.5 kg). He had been diagnosed with HIV at age 17 and was already established on, and tolerating, bictegravir/emtricitabine/tenofovir alafenamide (B/F/TAF) at the time, following a history of patchy adherence to antiretroviral therapy related to drug use. He was not taking any other medications.
Because of the initiation of LTBI therapy and the known interaction between rifampicin and bictegravir, the clinical team decided to prescribe B/F/TAF twice daily (off-label).
HIV viral load remained suppressed throughout the 7 weeks of LTBI treatment, and renal and liver function tests remained within normal limits.

Clinical Outcome

No unwanted outcome

Editorial Comment

The use of antiretroviral therapy (ART) in people with active tuberculosis (TB) or latent TB infection (LTBI) is challenging due to drug–drug interactions between rifampicin and most antiretrovirals (ARVs), including the widely used second-generation integrase inhibitors dolutegravir and bictegravir. Bictegravir is available only as a fixed-dose combination with FTC and TAF in a single-tablet regimen. This case therefore illustrates the potential—albeit off-label—use of twice-daily bictegravir/FTC/TAF alongside rifampicin, which could expand treatment options for TB in people with HIV (PWH).
Rifampicin significantly reduces bictegravir exposure through potent induction of UGT1A1, CYP3A, and P-gp.
Pharmacokinetic studies have demonstrated lower bictegravir concentrations when coadministered with rifampicin, even with twice-daily bictegravir/FTC/TAF (1). For this reason, the concomitant use of rifampicin and bictegravir/FTC/TAF is currently not recommended.
Although the brief overlap of the two drugs in this case does not allow firm conclusions, a recent clinical trial in adults with HIV and TB receiving rifampicin showed that twice-daily bictegravir/FTC/TAF achieved virologic suppression comparable to DTG/3TC/TDF, with bictegravir concentrations maintained above the protein-adjusted IC95 (2). These findings suggest that coadministration may be feasible in

selected scenarios, but should be approached with caution. More frequent viral load monitoring—and, where available, therapeutic drug monitoring—should be considered.
With respect to the interaction between rifampicin and TAF, twice daily dosing with rifampicin did achieve intracellular tenofovir-DP concentrations similar to those with once-daily TAF alone (3).

References

1. Custodio JM, West SK, Collins S, et al. Pharmacokinetics of bictegravir administered twice daily in combination with rifampin. Conference on Retroviruses and Opportunistic Infections, March 2018, Boston, Abstract 34.
2. Efficacy, safety, and PK of BIC/FTC/TAF in adults with HIV and tuberculosis on rifampicin at week 24. Naidoo A, Naidoo K, Letsoalo MP, et al. Conference on Retroviruses and Opportunistic Infections, Denver, March 2024, abstract 211
3. Cerrone M, Alfarisi O, Neary M, Marzinke MA, Parsons TL, Owen A, Maartens G, Pozniak A, Flexner C, Boffito M. Rifampicin effect on intracellular and plasma pharmacokinetics of tenofovir alafenamide. J Antimicrob Chemother. 2019 Jun 1;74(6):1670-1678.

University of Liverpool Recommendation

- These drugs should not be coadministered

For more information click here

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