

Table 5: Bictegravir (BIC) Interactions (also see prescribing information)

Class or Drug	Mechanism of Action	Clinical Comments
Antacids	BIC chelates with cations, forming insoluble compounds that inactivate both drugs.	<ul style="list-style-type: none"> • Aluminum/magnesium-containing antacids: Administer antacids at least 6 hours before or 2 hours after BIC. • Calcium-containing antacids: <ul style="list-style-type: none"> – Administer BIC and antacids together with food. – Do not coadminister BIC simultaneously with antacids on empty stomach.
Other polyvalent cations	BIC can chelate with cations, reducing absorption of both drugs.	Calcium- or iron-containing supplements: <ul style="list-style-type: none"> • If taken with food, BIC can be taken at same time. • If not taken with food, these supplements should be administered as with antacids.
Dofetilide [Feng and Varma 2016]	BIC inhibits renal OCT2 and MATE1, and these transporters eliminate dofetilide.	Avoid concomitant use (may cause QT prolongation or torsades de pointes).
Metformin [Custodio, et al. 2017]	BIC inhibits renal OCT2 and MATE1, which are involved in metformin elimination.	<ul style="list-style-type: none"> • Drug interaction studies suggest that prospective dose adjustment of metformin is not required when using BIC. • Administer at lowest dose possible to achieve glycemic control; monitor for adverse effects.
Atenolol	Atenolol is eliminated via OCT2 and MATE1, which are inhibited by BIC. Coadministration may increase atenolol levels.	<ul style="list-style-type: none"> • Start at lower atenolol dose and titrate slowly to achieve clinical effect. • If patient is already using atenolol but starting BIC, monitor for atenolol-related adverse effects. • Reduce atenolol dose if necessary or switch to another ARV.
Cyclosporine	Cyclosporine may increase BIC concentrations to modest degree via P-gP inhibition.	Monitor for BIC-related adverse effects.
Rifabutin, rifampin, rifapentine	<ul style="list-style-type: none"> • Rifabutin: CYP3A and P-gP induction decrease BIC levels. • Rifampin, rifapentine: CYP3A induction reduces bioavailability. 	<ul style="list-style-type: none"> • Rifampin: Concomitant use is contraindicated [a]. • Rifabutin, rifapentine: Concomitant use is not recommended [FDA(a) 2025].
COVID-19 therapeutics	<ul style="list-style-type: none"> • Molnupiravir and monoclonal antibodies do not affect CYP450, P-gP, or other drug metabolism transporters. • Nirmatrelvir/RTV: Inhibition of CYP3A4, P-gP, and other transporters may increase plasma concentrations of other medications. 	<ul style="list-style-type: none"> • Molnupiravir, monoclonal antibodies: Drug interactions are unlikely. • Nirmatrelvir/RTV: Drug interactions are unlikely; BIC levels may increase.
Abbreviations: 3TC, lamivudine; ARV, antiretroviral; AUC, area under the curve; CYP, cytochrome P450; DTG, dolutegravir; FTC, emtricitabine; INSTI, integrase strand transfer inhibitor; MATE, multidrug and toxin extrusion; OCT, organic cation transporter; P-gP, P-glycoprotein; RTV, ritonavir; TAF, tenofovir alafenamide; TB, tuberculosis; TDF, tenofovir; TDM, therapeutic drug monitoring.		

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Note: a. The INSIGHT study evaluated BIC/TAF/FTC given twice daily with rifampin for managing TB and showed viral suppression rates similar to DTG given twice daily with TDF/3TC, with trough BIC C_{min} and AUC significantly reduced. Biktarvy is contraindicated for coadministration with rifampin, also known as rifampicin, by the U.S. Food and Drug Administration. The use of Biktarvy in individuals with HIV/TB coinfection is investigational, and the safety and efficacy of this use have not been established. Other twice-daily INSTI alternatives are available for managing TB [Naidoo, et al. 2024]. No significant interactions/no dose adjustments necessary (see guideline section Drug-Drug Interactions by Common Medication Class): Common oral antibiotics; anticoagulants; antiplatelet medications; statins; acid-reducing agents; asthma and allergy medications; long-acting beta agonists; inhaled and injected corticosteroids; antidepressants; benzodiazepines; sleep medications; antipsychotics; nonopioid pain medications; opioid analgesics and tramadol; hormonal contraceptives; erectile and sexual dysfunction agents; alpha-adrenergic antagonists for benign prostatic hyperplasia; tobacco and smoking cessation products; alcohol, disulfiram, and acamprosate; methadone, buprenorphine, naloxone, and naltrexone; mpox treatments; gender-affirming hormones; ADHD medications and lithium.		

References

- Custodio J, West S, Yu A, et al. Lack of clinically relevant effect of bictegravir (BIC, B) on metformin (MET) pharmacokinetics (PK) and pharmacodynamics (PD). *Open Forum Infect Dis* 2017;4(Suppl 1):S429. [PMID: PMC5631370] <https://pubmed.ncbi.nlm.nih.gov/PMC5631370>
- FDA(a). Biktarvy (bictegravir, emtricitabine, and tenofovir alafenamide) tablets, for oral use. 2025 Jul. https://www.accessdata.fda.gov/drugsatfda_docs/label/2025/210251s023lbl.pdf [accessed 2021 May 28]
- Feng B, Varma MV. Evaluation and quantitative prediction of renal transporter-mediated drug-drug interactions. *J Clin Pharmacol* 2016;56 Suppl 7:S110-121. [PMID: 27385169] <https://pubmed.ncbi.nlm.nih.gov/27385169>
- Naidoo A, Naidoo K, Letsoalo MP, et al. Efficacy, safety, and PK of BIC/FTC/TAF in adults with HIV and tuberculosis on rifampicin at week 24. Abstract 211. CROI; 2024 Mar 3-6; Denver, CO. <https://www.croiconference.org/abstract/efficacy-safety-and-pk-of-bic-ftc-taf-in-adults-with-hiv-and-tuberculosis-on-rifampicin-at-week-24/>