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Bixlenvo™ (bictegravir/lenacapavir) Drug Interaction Profile

This document is in response to your request for information regarding the drug interaction profile of Bixlenvo™ (bictegravir/lenacapavir [BIC/LEN]). This is not an exhaustive list of potential drug-drug interactions. Please refer to Section 7 Drug Interactions in the US FDA-approved Prescribing Information for more information.

Some data may be outside of the US FDA-approved prescribing information. In providing this data, Gilead Sciences, Inc. is not making any representation as to its clinical relevance or to the use of any Gilead product(s). For information about the approved conditions of use of any Gilead drug product, please consult the FDA-approved prescribing information.

The full indication, important safety information, and boxed warnings are available at: www.gilead.com/-/media/files/pdfs/medicines/hiv/BIXLENVO/BIXLENVO_pi.

Summary

Product Labeling[1]

BIC/LEN is contraindicated with dofetilide and strong CYP3A inducers.

Table 1: Coadministration of BIC/LEN with combined P-gp, UGT1A1, and strong CYP3A inhibitors is not recommended. Concomitant administration of BIC/LEN with moderate CYP3A inducers is not recommended.

BIC/LEN is a complete regimen, coadministration with other antiretroviral medications for the treatment of HIV-1 infection is not recommended.

Based on drug interaction studies conducted with bictegravir, no clinically significant drug interactions have been observed or are expected with: ethinyl estradiol, ledipasvir/sofosbuvir, midazolam, norgestimate, sertraline, sofosbuvir, sofosbuvir/velpatasvir, and sofosbuvir/velpatasvir/voxilaprevir.

Based on drug interaction studies conducted with lenacapavir, no clinically significant drug interactions have been observed or are expected with: atorvastatin, famotidine, pitavastatin, rosuvastatin tenofovir alafenamide, and voriconazole.

Potential Effects of Other Drugs on BIC/LEN[1]

BIC is a substrate of CYP3A and UGT1A1. LEN is a substrate of P-gp, UGT1A1, and CYP3A.

Table 1. Summary of the Effect of Other Drugs on BIC/LEN[1]

Other Drug DDI Profile	How the Other Drug Affects BIC/LEN	Prescribing Information Guidance
Strong or Moderate CYP3A Inducers	Coadministration of a strong CYP3A inducer and also an inducer of UGT1A1 can substantially decrease the plasma concentrations of BIC. Coadministration of a strong or moderate CYP3A inducer may significantly decrease plasma concentrations of LEN.	Concomitant administration of BIC/LEN with strong CYP3A inducers is contraindicated. Concomitant administration of BIC/LEN with moderate CYP3A inducers is not recommended.
Combined P-gp, UGT1A1, and strong CYP3A inhibitors	Coadministration may significantly increase plasma concentrations of BIC and LEN.	Concomitant administration of BIC/LEN with these inhibitors is not recommended

Potential Effects of BIC/LEN on Other Drugs[1]

BIC inhibits organic cation transporter 2 (OCT2) and multidrug and toxin extrusion transporter 1 (MATE1) *in vitro*. Coadministration of BIC with drugs that are substrates of OCT2 and MATE1 may increase their plasma concentrations.

LEN is a moderate inhibitor of CYP3A and a P-gp inhibitor. Coadministration of LEN with sensitive substrates of CYP3A or P-gp may increase the concentrations of these substrates and result in the increased risk of their adverse events. See the prescribing information of these sensitive substrates for dosing recommendations or appropriate monitoring of safety.

Drugs Without Clinically Significant Interactions with BIC/LEN[1]

Based on drug interaction studies conducted with bictegravir, no clinically significant drug interactions have been observed or are expected with: ethinyl estradiol, ledipasvir/sofosbuvir, midazolam, norgestimate, sertraline, sofosbuvir, sofosbuvir/velpatasvir, and sofosbuvir/velpatasvir/voxilaprevir.

Based on drug interaction studies conducted with lenacapavir, no clinically significant drug interactions have been observed or are expected with: atorvastatin, famotidine, pitavastatin, rosuvastatin tenofovir alafenamide, and voriconazole.

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ARTISTRY-1: Comparison of potential DDI liability with BIC/LEN vs other frequently prescribed complex regimens[2]

ARTISTRY-1 is an ongoing, randomized, multicenter, open-label, phase 2/3 study (NCT05502341) evaluating the efficacy and safety of switching to oral BIC/LEN (75mg/50 mg) vs continuing their ART regimen in PWH who were receiving a complex ART regimen.

The DDI profiles of BIC/LEN and the 6 most commonly used complex ART regimens in the phase 3 portion of ARTISTRY-1 study were compared. The DDI profiles of each regimen with the 10 most frequently prescribed medications in the US and the 8 medications most commonly prescribed in elderly participants were assessed. The Liverpool HIV Drug Interactions database and/or the US prescribing information were utilized to inform the DDI profiles.

More potential DDIs were observed for boosted PI-based regimens than for BIC/LEN, which was likely due to the stronger inhibition of CYP3A and P-gp metabolic pathways by PK boosters, such as COBI and RTV, than with LEN (a moderate CYP3A inhibitor and weak inhibitor of P-gp).

Medications listed as having potential DDIs with BIC/LEN were as follows: clopidogrel (do not administer); amlodipine, apixaban, fluticasone, metformin, simvastatin, and warfarin (potential interactions); and atorvastatin, prednisone, and rosuvastatin (potential weak interactions).

References

1. Enclosed, Gilead Sciences Inc. BIXLENVO™ (bictegravir and lenacapavir) tablets, for oral use. U.S. Prescribing Information. Foster City, CA.
2. Arora P, Montezuma-Rusca JM, Sklar P, Gandhi-Patel B, Marathe DD. Drug-Drug Interaction Liability of BIC/LEN Compared With Representative Complex Antiretroviral Therapy Regimens in the Phase 3 Portion of ARTISTRY-1 [Poster eP.LB006]. Paper presented at: 20th European AIDS Conference (EACS); October 15–18, 2025; Paris, France.

Abbreviations

BIC=bictegravir
COBI=cobicistat
DDI=drug-drug interaction
PI=protease inhibitor
PK=pharmacokinetic(s)
LEN=lenacapavir
MATE=multidrug and toxin extrusion protein
PWH=people with HIV
RTV=ritonavir
OCT=organic cation transporter
P-gp=P-glycoprotein
UGT=uridine 5'-diphospho-glucourosyltransferase

Product Label

For the full indication, important safety information, and boxed warning(s), please refer to the Bixlenvo US Prescribing Information available at: www.gilead.com/-/media/files/pdfs/medicines/hiv/BIXLENVO/BIXLENVO_pi.

Follow-Up

For any additional questions, please contact Gilead Medical Information at:

☎1-866-MEDI-GSI (1-866-633-4474) or www.askgileadmedical.com

Adverse Event Reporting

Please report all adverse events to:

Gilead Global Patient Safety ☎ 1-800-445-3235, option 3 or www.gilead.com/utility/contact/report-an-adverse-event

FDA MedWatch Program by ☎ 1-800-FDA-1088 or ✉MedWatch, FDA, 5600 Fishers Ln, Rockville, MD 20852 or www.accessdata.fda.gov/scripts/medwatch

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