

Bixlenvo™ (bictegravir/lenacapavir)

Oral Initiation Dosing

This document is in response to your request for information regarding the use of Bixlenvo™ (bictegravir/lenacapavir [BIC/LEN]) and oral initiation dosing.

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

Summary

Product Labeling¹

The BIC/LEN dosing schedule in adults requires a two-day initiation regimen of BIC/LEN tablets plus LEN tablets taken orally, followed by a maintenance regimen of one tablet of BIC/LEN taken orally once daily (Table 1). BIC/LEN must be taken with LEN during the two-day initiation period. BIC/LEN and LEN tablets may be taken with or without food.

Table 1. Recommended Treatment Regimen for BIC/LEN Initiation and Maintenance¹

Treatment Time	Dosage: Initiation
Day 1	BIC/LEN 75 mg/50 mg (1 tablet) orally and LEN 600 mg orally (2 × 300 mg tablets)
Day 2	BIC/LEN 75 mg/50 mg (1 tablet) orally and LEN 600 mg orally (2 × 300 mg tablets)
Treatment Time	Dosage: Maintenance
Day 3 and thereafter	BIC/LEN 75 mg/50 mg (1 tablet) orally once daily

The median elimination half-life following administration of BIC/LEN with or without food in adults with HIV-1 was 19.3 hours to 21 hours for BIC and 10.6 days to 11.5 days for LEN.

Clinical Data on Oral Initiation Dosing of BIC/LEN

A popPK model used pooled data from LEN studies to determine appropriate LEN dose selection. The model was able to describe the PK of LEN 25 mg and 50 mg once daily that would be anticipated during maintenance dosing with BIC in a phase 2 study in PWH, as well as interparticipant variability. In the simulation, 94% of participants who received BIC 75 mg + LEN 25 mg and 95% of participants who received BIC 75 mg + LEN 50 mg had C_{trough} concentrations above the IQ4 after the second loading dose.

Clinical Data on Oral Initiation Dosing of BIC/LEN

PopPK Modeling for BIC/LEN²

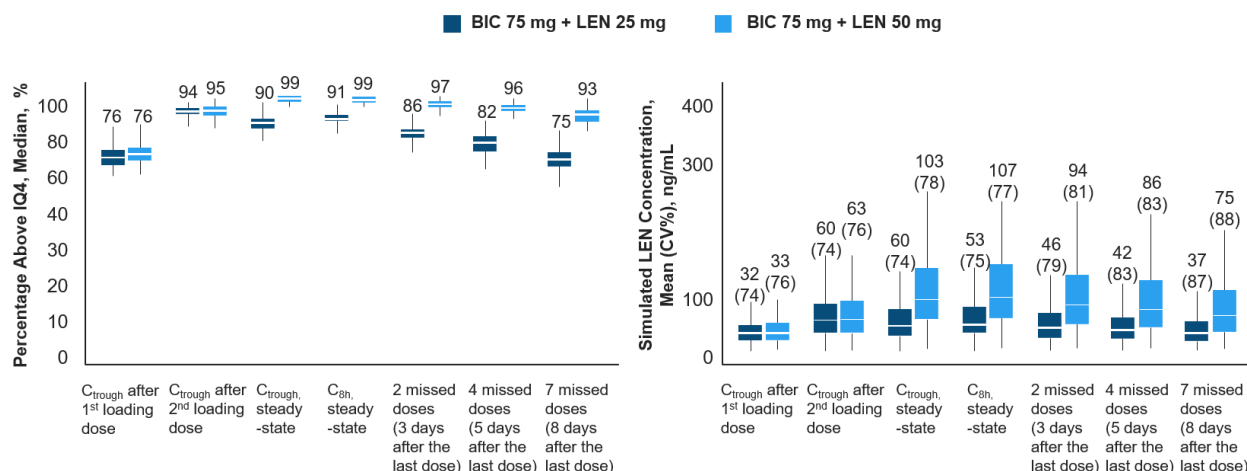
Study design

A popPK model was developed with pooled data from studies with LEN to enable LEN dose selection. The model described the PK of LEN 25 mg and 50 mg once daily maintenance dosing in combination with BIC in a phase 2 study in PWH and reproduced the interparticipant variability for LEN in population simulations.

PK data

Based on the popPK simulation, 76% of participants who received BIC 75 mg + LEN 25 mg and 77% of participants who received BIC 75 mg + LEN 50 mg had C_{trough} concentrations above the IQ4 after the first loading dose; after the second loading dose, 94% and 95% of participants, respectively, had C_{trough} concentrations above the IQ4. The simulation suggested that LEN 50 mg once daily would provide better coverage for C_{trough} than LEN 25 mg at various time snapshots, including in missed dose scenarios (Figure 1).

Figure 1. PopPK Simulation of LEN Exposures With BIC + LEN 25 mg or 50 mg²



Abbreviations: C_{8h} =concentration at 8 hours; CV=coefficient of variation; Q=quartile.

Note: Horizontal lines represent medians, the box ends represent Q1 and Q3, and vertical lines indicate the minimum and maximum values. Simulations were conducted with 100 participants and 100 replicates.

References

1. Enclosed, Gilead Sciences Inc. BIXLENVO™ (bictegravir and lenacapavir) tablets, for oral use. U.S. Prescribing Information. Foster City, CA.
2. Arora P, Oh E, Montezuma-Rusca JM, et al. Pharmacokinetic Analysis of Oral Once-Daily Bictegravir (BIC) Plus Lenacapavir (LEN) Administered Separately (BIC 75 mg + LEN 25 mg; BIC 75 mg + LEN 50 mg) and as BIC/LEN 75/50 mg Single Tablet Regimen to Support Phase 3 Dose Selection. [Poster P049]. Paper presented at: HIV Glasgow; November 10–13, 2024; Glasgow, UK.

Abbreviations

BIC=bictegravir

C_{trough}=lowest observed drug
concentration

IQ4=inhibitory quotient 4

LEN=lenacapavir

PK=pharmacokinetic(s)

PWH=people with HIV

popPK=population

pharmacokinetic(s)

Product Label

For the full indication, important safety information, and boxed warning(s), please refer to the Bixlenvo and Sunlenca US Prescribing Information available at:

www.gilead.com/-/media/files/pdfs/medicines/hiv/BIXLENVO/BIXLENVO_pi;
www.gilead.com/-/media/files/pdfs/medicines/hiv/SUNLENCA/SUNLENCA_pi.

Follow-Up

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

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🌐 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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