GILEAD | Medical Information

Sunlenca® (lenacapavir) Coadministration with Rifampin

This document is in response to your request for information regarding Sunlenca® (lenacapavir [LEN]) and coadministration with rifampin (RIF).

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: http://www.gilead.com/-/media/files/pdfs/medicines/hiv/sunlenca/sunlenca_pi

PK DDI Evaluation

Concomitant administration of LEN with RIF is contraindicated. Concomitant administration of LEN with RIF may decrease LEN concentrations, and may result in loss of therapeutic effect and development of resistance.[1]

LEN PK[1,2]

DDI Mechanism		LEN
Drug Transporters	OCT2	NA
	MATE1	NA
	P-gp	Substrate[a] Weak Inhibitor
	BCRP	Weak Inhibitor
	OATP1B1	NA
	OATP1B3	NA
Drug Metabolizing Enzymes	CYP3A	Substrate[a,b] Moderate inhibitor
	UGT1A1	Substrate[a]

[a]Combined P-gp, UGT1A1, and strong CYP3A inhibitors may significantly increase plasma concentrations of LEN. Concomitant administration of LEN with these inhibitors is not recommended.
[b]Drugs that are strong or moderate inducers of CYP3A may significantly decrease plasma concentrations of LEN, which may result in loss of therapeutic effect of LEN and development of resistance. Concomitant administration of LEN with strong CYP3A inducers during LEN treatment is contraindicated. Concomitant administration of LEN with moderate CYP3A inducers during LEN treatment is not recommended.

Relevant LEN Label Information[1]

Concomitant administration of LEN with RIF may decrease LEN concentrations, and may result in loss of therapeutic effect and development of resistance. Concomitant administration of LEN with RIF is contraindicated.

Table 1. Effect of RIF on LEN

Coadministered Drug	Dose of Coadministered Drug (mg)	Mean Ratio of Lenacapavir Pharmacokinetic Parameters (90% CI); No effect = 1.00	
		C_{max}	AUC
Rifampin (fasted) (Inducer of CYP3A [strong] and P-gp and UGT)	600 once daily	0.45 (0.34, 0.60)	0.16 (0.12, 0.20)

Available Data

There are no Gilead studies evaluating the clinical outcomes of the coadministration of LEN with RIF.

A literature search was conducted in Ovid MEDLINE, BIOSIS Previews, and Embase databases for studies published between 1946 and December 23, 2024 using search terms that included Sunlenca, lenacapavir, rifampin, and related search terms. No relevant citations were found.

References

1. Enclosed, Gilead Sciences Inc. SUNLENCA® (lenacapavir) tablets, for oral use. SUNLENCA® (lenacapavir) injection, for subcutaneous use. U.S. Prescribing Information. Foster City, CA.
2. Lutz J. CLINICAL EVALUATION OF DRUG INTERACTIONS WITH ORAL LENACAPAVIR AND PROBE DRUGS [Presentation]. Paper presented at: Conference on Retroviruses and Opportunistic Infections (CROI); March 6-10, 2021; Virtual.

Abbreviations

AUC=area under the curve
BCRP=breast cancer resistance protein
C_{max}=maximal concentration
DDI=drug-drug interaction
LEN=lenacapavir
MATE=multidrug and toxin extrusion protein
NA=not applicable
OATP=organic anion transporting polypeptide
OCT=organic cation transporter
P-gp=P-glycoprotein
PK=pharmacokinetic(s)
RIF=rifampin
UGT=uridine 5'-diphospho-glucuronosyltransferase

Product Label

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

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Please report all adverse events to:

Gilead Global Patient Safety ☎ 1-800-445-3235, option 3 or
🖱 https://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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