

Lenvatinib PK Fact Sheet

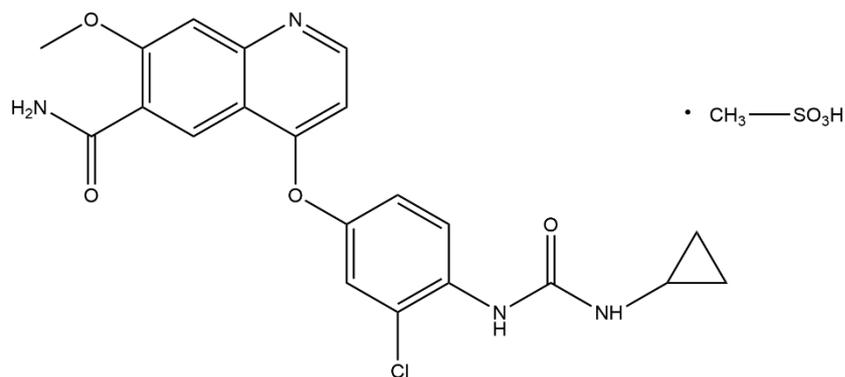
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Details

Generic Name	Lenvatinib
Trade Name	Kispilyx®, Lenvima®.
Class	HCC receptor tyrosine kinase inhibitor.
Molecular Weight	522.96
Structure	



Summary of Key Pharmacokinetic Parameters

Linearity/non-linearity	Exposure to lenvatinib increased in direct proportion to the administered dose over the range of 3.2 - 32 mg once-daily.
Steady state	No data
Elimination half-life	~28 h
C _{max}	291 ng/mL (12 mg QD, at steady state in patients with solid tumors ¹).
C ₂₄	22.3 ng/mL, (12 mg QD, at steady state in patients with solid tumors ¹).
AUC	2059 ng*h/mL, (12 mg QD, at steady state in patients with solid tumors ¹).
T _{max}	1-4 h
Bioavailability	~85%
Absorption	Administration with food delays T _{max} by 2 h.
Protein Binding	98-99%
Volume of Distribution	50.5-92 L
CSF:Plasma ratio	No data
Renal Clearance	25%
Renal Impairment	AUC increased by 101%, 90%, and 122% in patients with mild, moderate, and severe renal impairment, respectively. Refer to product label for dosage adjustment.
Hepatic Impairment	AUC increased by 119%, 107%, and 180% in patients with mild, moderate, and severe hepatic impairment, respectively. Refer to product label for dosage adjustment.

Metabolism and Distribution

Metabolised by	CYP3A4
Inducer of	None expected.
Inhibitor of	None expected.
Transported by	P-gp, BCRP.

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References

Unless otherwise stated (see below), information is from:

Kisplyx Summary of Product Characteristics, Eisai Ltd.

Lenvima Prescribing Information, Eisai Inc.

1. Ikeda, M., Okusaka, T., Mitsunaga, S., et al. 2016. Safety and Pharmacokinetics of Lenvatinib in Patients with Advanced Hepatocellular Carcinoma. *Clinical Cancer Research* 22(6):1385–1394.