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# **Obeticholic acid PK Fact Sheet**

<u>LIVERPOOL</u>

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#### Prepared July 2022

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#### Details

Generic Name	Obeticholic acid
Trade Name	Ocaliva®
Class	PBC agents
Molecular Weight	420.63
Structure	HOW

## **Summary of Key Pharmacokinetic Parameters**

Linearity/non- linearity	Systemic exposures of obeticholic acid increase dose proportionally (5, 10, or 25 mg once daily for 14 days). Exposures of glycine and taurine conjugates of obeticholic acid and total obeticholic acid increase in a greater than dose-proportional manner.	
Steady state	Simulations have indicated a time to steady-state of 2 weeks. <sup>1</sup>	
Plasma half-life	~4 d <sup>1</sup>	
Стах	53.7 (36.86) ng/mL, mean (SD), single dose 10 mg <sup>2</sup>	
	125.5 (53.74) ng/mL, mean (SD), single dose, 25 mg <sup>2</sup>	
C24	Not determined	
AUC	568.1 (409.68) ng·h/mL, mean (SD), single dose, 10 mg <sup>2</sup>	
	1259.7 (645.53) ng·h/mL, mean (SD), single dose, 25 mg <sup>2</sup>	
Bioavailability	Not determined	
Absorption	Coadministration with food does not alter obeticholic acid absorption.	
Protein Binding	>99%	
Volume of Distribution	618 L	
CSF:Plasma ratio	Not determined	
Semen:Plasma ratio	Not determined	
Renal Clearance	<3%	
Renal Impairment	Renal function does not impact obeticholic acid pharmacokinetics.	
Hepatic Impairment	Mild hepatic impairment (Child-Pugh Class A) does not impact obeticholic acid pharmacokinetics.	
	Moderate to severe hepatic impairment (Child-Pugh Class B and C) increases the systemic exposure of obeticholic acid and its active conjugates. A modified dose regimen is recommended	

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#### **Metabolism and Distribution**

Metabolised by	Glycine/taurine conjugation in the liver
Inducer of	None reported
Inhibitor of	CYP1A2 (weak)
Transported by	BSEP

#### References

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

Ocaliva Summary of Product Characteristics, Intercept Pharma UK & Ireland, March 2018.

Ocaliva Prescribing Information, Intercept Pharmaceuticals Inc., January 2018.

- 1. Modeling and Experimental Studies of Obeticholic Acid Exposure and the Impact of Cirrhosis Stage, Edwards J, LaCerte C, Peyret T, et al. Clin Transl Sci, 2016, 9(6): 328–336.
- 2. Ocaliva Product Monograph, Intercept Pharmaceuticals, May 2017.