Obeticholic acid PK Fact Sheet

Details

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

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.\(^1\)
- **Plasma half-life**: \(~4\) d\(^1\)
- **C\(_{\text{max}}\)**: 53.7 (36.86) ng/mL, mean (SD), single dose 10 mg\(^2\)
  
  125.5 (53.74) ng/mL, mean (SD), single dose, 25 mg\(^2\)
- **C\(_{24}\)**: Not determined
- **AUC**: 568.1 (409.68) ng·h/mL, mean (SD), single dose, 10 mg\(^2\)
  
  1259.7 (645.53) ng·h/mL, mean (SD), single dose, 25 mg\(^2\)
- **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.
**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:


