

Bulevirtide PK Fact Sheet

Prepared September 2021

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Details

| | |
|------------------|-----------------------------------|
| Generic Name | Bulevirtide |
| Trade Name | Hepcludex® |
| Class | Entry inhibitor (NTCP antagonist) |
| Molecular Weight | Not available |
| Structure | Not available (linear peptide) |

Summary of Key Pharmacokinetic Parameters

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|-------------------------|--|
| Linearity/non-linearity | Exposure increased disproportionately while the clearance and volume of distribution decreased with higher doses (IV and subcutaneous administration). Non-linear pharmacokinetics followed a two-compartment target-mediated drug disposition model. ¹ |
| Steady state | Assumed to be achieved during the first few weeks of administration. |
| Elimination half-life | 4-7 h. |
| C _{max} | 423 ng/mL, (10 mg sub-cut QD, at steady state). ² |
| C ₂₄ | Not stated. |
| AUC ₀₋₂₄ | 1849 h*ng/mL (10 mg sub-cut QD, at steady state). ² |
| T _{max} | 2 h (10 mg sub-cut QD, at steady state). ² |
| Bioavailability | 85% (subcutaneous administration). ¹ |
| Absorption | No data. |
| Protein Binding | >99% |
| Volume of Distribution | Estimated smaller than total body water. |
| CSF:Plasma ratio | No data. |
| Renal Clearance | None. |
| Renal Impairment | No studies have been conducted. |
| Hepatic Impairment | No studies have been conducted. The use in decompensated liver disease is not recommended. |

Metabolism and Distribution

| | |
|----------------|--|
| Metabolised by | Degraded to smaller peptides/amino acids as normal protein catabolism. |
| Inducer of | None expected. |
| Inhibitor of | OATP1B1/B3, NTCP. CYP3A4 (limited evidence). |
| Transported by | NTCP. |

References

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

Hepcludex Summary of Product Characteristics, MYR GmbH, August 2021.

1. Bulevirtide: First Approval. Kang C & Syed YY. *Drugs*, 2020, 80: 1601-1605.
2. Review article: clinical pharmacology of current and investigational hepatitis B virus therapies. Smolders EJ, Burger DM, Feld JJ, & Kiser JJ. *Aliment Pharmacol Ther*, 2020, 51(2): 231-243.