Zidovudine PK Fact Sheet

Details

Generic Name: Zidovudine (AZT, ZDV)
Trade Name: Retrovir®
Class: Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight: 267.24

Structure:

Summary of Key Pharmacokinetic Parameters

Zidovudine is phosphorylated in both infected and uninfected cells to the monophosphate and subsequently to the diphosphate, and then the active triphosphate form.

Linearity/non-linearity: Pharmacokinetics of zidovudine were dose independent at oral dosing regimens ranging from 2 mg/kg every 8 hours to 10 mg/kg every 4 hours.

Plasma half life: 1.1 h

Cmax: 2.29 µg/ml (300 mg twice daily)
Cmin: 0.02 µg/ml (300 mg twice daily)
AUC: 2.24 µg/ml.hr (300 mg twice daily)

Bioavailability: 60-70%

Absorption: Zidovudine may be administered with or without food. Zidovudine AUC was similar when a single dose of zidovudine was administered with food.

Protein Binding: 34-38%

Volume of Distribution: 1.6 L/kg

CSF:Plasma ratio: 0.5

Semen:Plasma ratio: 5.9 (0.95-13.5) [1]

Renal Clearance: Greatly exceeds creatinine clearance, indicating that significant tubular secretion takes place.

Renal Impairment: In patients with severe renal impairment, apparent zidovudine clearance after oral administration was approx 50% of that reported in subjects with normal renal function.

Hepatic Impairment: Data in patients with cirrhosis suggest that accumulation of zidovudine may occur in patients with hepatic impairment due to decreased glucuronidation.
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Metabolism and Distribution

**Metabolised by**
Hepatic conjugation to an inactive glucuronidated metabolite. No P450 involvement.

**Inducer of**
N/A

**Inhibitor of**
BCRP (in vitro) [2]

**Transported by**
BCRP1 [3]

References

Unless otherwise stated (see below), information is from:
Retrovir® Summary of Product Characteristics, Viiv Healthcare UK Ltd.

