

Stavudine PK Fact Sheet

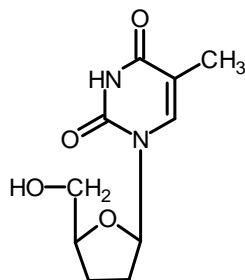
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Details

Generic Name	Stavudine (d4T)
Trade Name	Zerit®
Class	Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight	224.2
Structure	



Summary of Key Pharmacokinetic Parameters

Stavudine is phosphorylated by cellular kinases to the active stavudine triphosphate.

Linearity/non-linearity	C _{max} and AUC increased dose-proportionally in the dose ranges, 0.033-4.0 mg/kg (oral) and 0.0625-0.75 mg/kg (IV).
Plasma half life	1.3-2.3 h
C_{max}	536 ± 146 ng/ml (40 mg twice daily)
C_{min}	9 ± 8 ng/ml (40 mg twice daily)
AUC	1284 ± 227 ng/ml.hr (40 mg twice daily)
Bioavailability	86 ± 18%
Absorption	For optimal absorption, stavudine should be taken on an empty stomach (i.e. at least 1 hour prior to meals) but, if this is not possible, it may be taken with a light meal.
Protein Binding	Negligible
Volume of Distribution	46 ± 21 L
CSF:Plasma ratio	0.39 ± 0.06
Semen:Plasma ratio	0.46-5.9 ^[1]
Renal Clearance	35-40%
Renal Impairment	Clearance of stavudine decreases as creatinine clearance decreases; the manufacturers recommend that dosage is adjusted in patients with reduced renal function.
Hepatic Impairment	Stavudine pharmacokinetics in patients with hepatic impairment were similar to those in patients with normal hepatic function.

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Metabolism and Distribution

<i>Metabolised by</i>	Not elucidated in humans
<i>Inducer of</i>	N/A
<i>Inhibitor of</i>	Does NOT inhibit the major cytochrome P450 isoforms CYP1A2, CYP2C9, CYP2C19, CYP2D6, and CYP3A4.
<i>Transported by</i>	Unknown

References

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

Zerit® Summary of Product Characteristics, Bristol-Myers Squibb Pharmaceuticals Ltd.

Zerit® US Prescribing Information, Bristol-Myers Squibb.

1. Taylor S, van Heeswijk RP, Hoetelmans RM, *et al.* Concentrations of nevirapine, lamivudine and stavudine in semen of HIV-1-infected men. *AIDS*. 2000; 14(13): 1979-1984.