

## Etravirine PK Fact Sheet

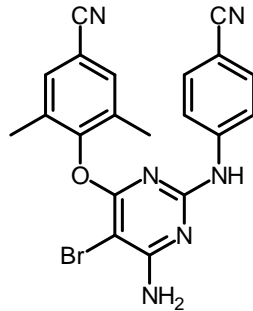
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## Details

Generic Name	Etravirine (TMC125)
Trade Name	Intelence®
Class	Non-Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight	435.28
Structure	



## Summary of Key Pharmacokinetic Parameters

Plasma half life	41 h
C <sub>max</sub>	No data
C <sub>min</sub> *	297 ± 391 ng/ml (geometric mean ± sd); 298.8 (2-4852) ng/ml (median range)
AUC*	4522 ± 4710 ng/ml.h (geometric mean ± sd); 4380 (458-59084) ng/ml.h, (median, range)
*Data are from a clinical trial where patients received darunavir/ritonavir 600/100 mg bd as part of their background regimen. Pharmacokinetic estimates account for reduction in parameters due to co-administration.	
Bioavailability	Absolute oral bioavailability is unknown
Absorption	The systemic exposure (AUC) to etravirine was decreased by about 50% when etravirine was administered under fasting conditions, as compared to administration following a meal. Therefore, etravirine should be taken following a meal.
Protein Binding	99.9%
Volume of Distribution	No data
CSF:Plasma ratio	Not determined in humans
Semen:Plasma ratio	Not determined in humans
Renal Clearance	<1.2%
Renal Impairment	A decrease in clearance is not expected in patients with renal impairment.
Hepatic Impairment	No dose adjustment is required in patients with mild (Child-Pugh Class A) or moderate (Child-Pugh Class B) hepatic impairment. Pharmacokinetics have not been evaluated in severe hepatic impairment (Child-Pugh Class C).

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## Metabolism and Distribution

<i>Metabolised by</i>	CYP3A4, CYP2C9, CYP2C19
<i>Inducer of</i>	CYP3A4
<i>Inhibitor of</i>	CYP2C9, CYP2C19
<i>Transported by</i>	Unknown

## References

*Unless otherwise stated (see below), information is from:*  
Intelence® Summary of Product Characteristics, Janssen-Cilag Ltd.  
Intelence® US Prescribing Information, Janssen Pharmaceuticals Inc.