### Details

**Generic Name**  
Etravirine (TMC125)

**Trade Name**  
Intelence®

**Class**  
Non-Nucleoside Reverse Transcriptase Inhibitor

**Molecular Weight**  
435.28

**Structure**

![Chemical Structure of Etravirine](image)

### Summary of Key Pharmacokinetic Parameters

<table>
<thead>
<tr>
<th>Parameter</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Plasma half life</strong></td>
<td>41 h</td>
</tr>
<tr>
<td><strong>Cmax</strong></td>
<td>No data</td>
</tr>
<tr>
<td><strong>Cmin</strong></td>
<td>$297 \pm 391$ ng/ml (geometric mean ± sd); $298.8 (2-4852)$ ng/ml (median range)</td>
</tr>
<tr>
<td><strong>AUC</strong></td>
<td>$4522 \pm 4710$ ng/ml.h (geometric mean ± sd); $4380 (458-59084)$ ng/ml.h, (median, range)</td>
</tr>
</tbody>
</table>

*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).
# Metabolism and Distribution

*Metabolised by* CYP3A4, CYP2C9, CYP2C19  
*Inducer of* CYP3A4  
*Inhibitor of* CYP2C9, CYP2C19  
*Transported by* 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.