Nevirapine PK Fact Sheet

Details

Generic Name: Nevirapine
Trade Name: Viramune®
Class: Non-Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight: 266.3

Structure:

![Structure](image)

Summary of Key Pharmacokinetic Parameters

- **Linearity/non-linearity**: Following multiple doses, nevirapine peak concentrations appear to increase linearly in the dose range of 200 to 400 mg/day.
- **Steady state**: Steady state attained after ~2-4 weeks due to autoinduction of CYP3A and CYP2B6.
- **Plasma half life**: 25-30 h following multiple dosing
- **Cmax**: 5.74 μg/ml (5.00-7.44), 200 mg twice daily
- **Cmin**: 3.73 μg/ml (3.20-5.08), 200 mg twice daily
- **AUC**: 109.0 μg/ml.hr (96.0-143.5), 200 mg twice daily
- **Bioavailability**: 93% for 50 mg tablet, 91% for oral solution

Absorption: Nevirapine may be administered with or without food. When nevirapine (200 mg) was administered to 24 healthy adults (12 female, 12 male) with a high-fat breakfast (857 kcal, 50 g fat, 53% of calories from fat), nevirapine AUC was comparable to that observed under fasting conditions.

- **Protein Binding**: ~60%
- **Volume of Distribution**: 1.21 ± 0.09 L/kg
- **CSF:Plasma ratio**: 45 ± 5% of concentrations in plasma
- **Semen:Plasma ratio**: 0.6-1.0 [1]
- **Renal Clearance**: <3% as unchanged drug
- **Renal Impairment**: Renal impairment (mild, moderate and severe) has been found to result in no significant change in the pharmacokinetics of nevirapine.
- **Hepatic Impairment**: Safety and efficacy not established in patients with significant underlying liver disorders. Nevirapine is contraindicated in patients with severe hepatic impairment (Child-Pugh C). Caution should be exercised in patients with moderate hepatic dysfunction (Child-Pugh B).
### Metabolism and Distribution

<table>
<thead>
<tr>
<th>Metabolised by</th>
<th>CYP3A4, CYP2B6</th>
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</thead>
<tbody>
<tr>
<td>Inducer of</td>
<td>CYP3A4, potentially CYP2B6</td>
</tr>
<tr>
<td>Inhibitor of</td>
<td>BCRP (<em>in vitro</em>) (^2), MRP1, MRP2, MRP3 (^3)</td>
</tr>
<tr>
<td>Transported by</td>
<td>Unknown</td>
</tr>
</tbody>
</table>

### References

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

Viramune® Summary of Product Characteristics, Boehringer Ingelheim Ltd.

Viramune® US Prescribing Information, Boehringer Ingelheim Pharmaceuticals Inc.

