Abacavir PK Fact Sheet

Details

Generic Name: Abacavir (ABC)
Trade Name: Ziagen®
Class: Nucleoside Reverse Transcriptase Inhibitor
Molecular Weight: 670.76 (as sulphate)

Structure:

Summary of Key Pharmacokinetic Parameters

Abacavir is metabolised intracellularly to the active moiety, carbovir 5'-triphosphate.

- Plasma half life: 1.5 h
- Cmax: 3.0 ± 0.89 µg/ml (300 mg bd dosing); 4.26 ± 1.19 µg/ml (600 mg once daily).
- Cmin: 0.01 µg/ml (300 mg twice daily).
- AUC: 6.02 ± 1.73 µg/ml.hr (300 mg bd dosing); 11.95 ± 2.51 µg/ml.hr (600 mg once daily).
- Bioavailability: 83%
- Absorption: Food delayed absorption and decreased Cmax but did not affect AUC. Therefore abacavir can be taken with or without food.
- Protein Binding: ~49%
- Volume of Distribution: 0.86 ± 0.15 L/kg
- CSF:Plasma ratio: 30-44%
- Semen:Plasma ratio: Good penetration into the male genital tract has been observed [1].
- Renal Clearance: Minor route (<2%)
- Renal Impairment: No dosage adjustment required, but should be avoided in those with end-stage renal disease.
- Hepatic Impairment: Abacavir is primarily metabolised by the liver. The manufacturer makes no dose recommendation for mild hepatic impairment. No data are available in patients with moderate hepatic impairment; the use of abacavir is not recommended unless judged necessary. Use in severe hepatic impairment is contraindicated.
## Metabolism and Distribution

<table>
<thead>
<tr>
<th>Metabolised by</th>
<th>P450 does not play a major role in abacavir metabolism. Primary pathways of metabolism in man are by alcohol dehydrogenase and by glucuronidation.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Inducer of</td>
<td>Induction of hepatic metabolism has not been observed in clinical studies.</td>
</tr>
<tr>
<td>Inhibitor of</td>
<td>BCRP((in \text{ vitro})^{[2]}), MRP1, MRP2(^{[1]}). Does not inhibit CYP3A4, CYP2C9 or CYP2D6 at clinically relevant concentrations.</td>
</tr>
<tr>
<td>Transported by</td>
<td>P-gp(^{[4]}), BCRP1(^{[5]})</td>
</tr>
</tbody>
</table>

## References

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

Ziagen\(^{®}\) Summary of Product Characteristics, Viiv Healthcare UK.
Ziagen\(^{®}\) US Prescribing Information, Viiv Healthcare.


