Atazanavir PK Fact Sheet

Details

**Generic Name**  Atazanavir

**Trade Name**  Reyataz®

**Class**  Protease Inhibitor

**Molecular Weight**  704.9 (free base), 802.9 (sulphate)

**Structure**

![Atazanavir Structure](image)

**Summary of Key Pharmacokinetic Parameters**

**Linearity/non-linearity**

The pharmacokinetics of atazanavir exhibit a non-linear disposition.

**Plasma half life**

- 8.6 h (atazanavir/ritonavir 300/100 mg once daily)
- 6.5 h (400 mg once daily)

**Cmax**

- 4466 ng/ml (atazanavir/ritonavir 300/100 mg once daily)
- 3152 ng/ml (400 mg once daily)

**Cmin**

- 654 ng/ml (atazanavir/ritonavir 300/100 mg once daily)
- 273 ng/ml (400 mg once daily)

**AUC**

- 654 ng/ml (atazanavir/ritonavir 300/100 mg once daily)
- 273 ng/ml (400 mg once daily)

**Bioavailability**

~68%

**Absorption**

Atazanavir should be taken with food. Co-administration of atazanavir/ritonavir (300/100 mg single dose) with a light meal increased AUC by 33% and both Cmax and C24h by 40% relative to the fasting state. Co-administration with a high-fat meal did not affect atazanavir AUC relative to fasting conditions and Cmax was within 11% of fasting values. C24h following a high fat meal increased by ~33% due to delayed absorption; the median Tmax increased from 2.0 to 5.0 h.

**Administration of atazanavir/ritonavir with either a light or a high-fat meal decreased the coefficient of variation of AUC and Cmax by ~25% compared to the fasting state.**

**Protein Binding**

~86%

**Volume of Distribution**

Not available

**CSF:Plasma ratio**

0.0021 - 0.0226

**Semen:Plasma ratio**

0.11 - 4.42

**Renal Clearance**

7% as unchanged drug

**Renal Impairment**

No pharmacokinetic data available on patients with renal insufficiency; the impact of renal impairment on atazanavir elimination is anticipated to be minimal.

**Hepatic Impairment**

Atazanavir with ritonavir should be used with caution in mild hepatic impairment and should not be used in patients with moderate to severe hepatic impairment.
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Metabolism and Distribution

Metabolised by: CYP3A4
Inducer of: P-gp expression and function, MRP1 expression [1]
Inhibitor of: CYP3A4, UGT1A1, CYP2C8, BCRP (in vitro) [2], P-gp, MRPs [3], OATPs [4]
Transported by: P-gp, MRPs, BCRP [1]

References

Unless otherwise stated (see below), information is from:
Reyataz® Summary of Product Characteristics, Bristol-Myers Squibb Pharmaceuticals Ltd.
Reyataz® US Prescribing Information, Bristol-Myers Squibb Co.


