

[REDACTED]	<i>KETOCONAZOLE</i>
<i>Common Technical Document Module 2.6</i>	Non-Clinical Summary Updated, September 2024
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KETOCONAZOLE

shampoo 2%

2.6.5 Pharmacokinetics tabulated summary

Redactions under Section 41 and 43 of
the Freedom of information Act.

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Pharmacokinetics tabulated summary

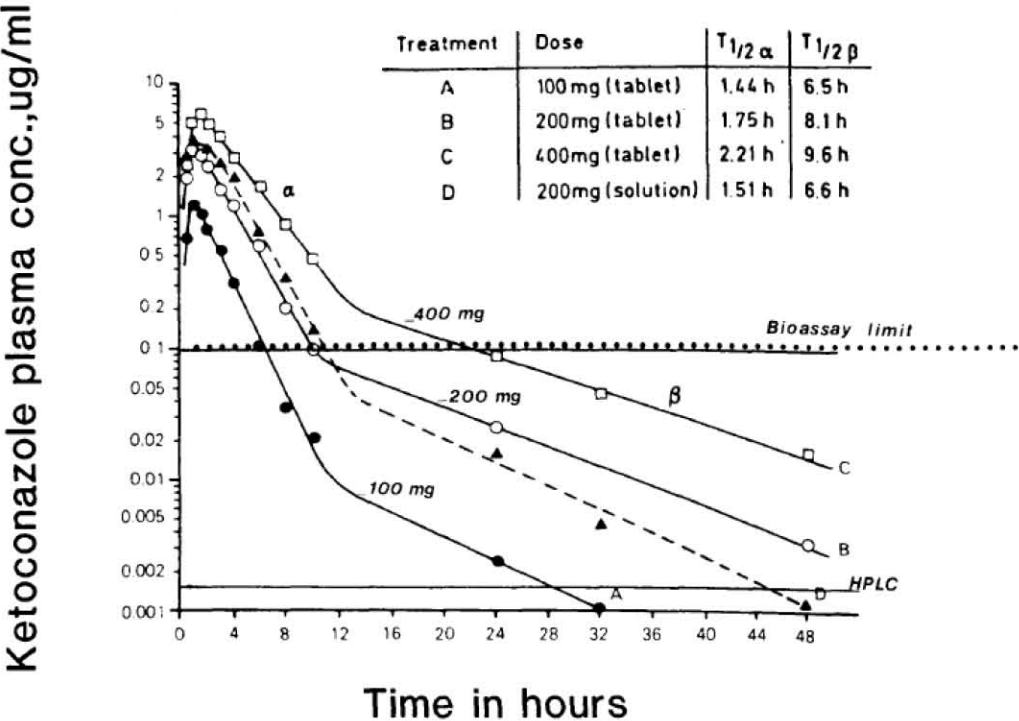
Table 1 Summary of pharmacokinetic data

Variable	Value	f
Half-life (biphasic)	$T_{1/2\alpha} = 2 \text{ hr}$ $T_{1/2\beta} = 8 \text{ hr}$	
Elimination rate	0.3408 hr^{-1} 0.0866 hr^{-1}	
Estimated V_d (L/kg) ^a	0.36 L/kg	
Estimated clearance ^a	8.66 L/hr	
Bioavailability factor	$F = 0.76$	
Fraction eliminated unchanged in urine	0.02–0.04 excreted unchanged in the urine	
Metabolism	Highly metabolized (> than 95%) Oxidation O-dealkylation Aromatic hydroxylation	
Protein binding	93–96% (in serum) 99% (in whole blood)	
Mean peak (C_{\max}) administration with a meal		
After 200 mg	3.60 (\pm 1.65 $\mu\text{g/ml}$)	
After 400 mg	6.50 (\pm 1.44 $\mu\text{g/ml}$)	
Time to peak (T_p) administration with a meal		
After 200 mg	2.0 hr	
After 400 mg	2.5 hr	
Ionization constant	$\text{pKa}_1 = 6.51$ $\text{pKa}_2 = 2.94$	
Route of administration	Oral	
Dosage (mg/day)	Usual adult = 200–400 mg Up to 800 mg in select cases	
Interval	Once daily (suggested not to administer in multiple daily doses)	

^aAn intravenous product is needed to confirm these estimates.

(Van Tyle, et al 1984)

Figure 1. Pharmacokinetic profile of ketoconazole indicating the limits of bioassay procedures (top) and chromatographic methods (bottom).



(Van Tyle, et al 1984)

Table 2
Absorption and bioavailability of antifungal agents in man

Drug	Absorption (%)	Bioavailability	References
Amphotericin B		about 1	(3)
Flucytosine		> 80	(4)
Griseofulvin microsize		27 – 73	(5)
solid dispers.		124*	(6)
Clotrimazole	90	5	(7)
Fluconazole	97	97	(8)
Ketoconazole		76	(9)
Itraconazole	≥ 35		(10)

* relative to microsize griseofulvin

(Schäfer-Korting, 1989)