Table 3.4 Comparison of selected pharmacokinetic parameters for the azoles and caspofungin

Generic name (Trade name)	Fluconazole (Diflucan)	Itraconazole (Sporanox)	Voriconazole (Vfend)	Posaconazole (Noxafil)	Caspofungin (Cancidas)	Anidulafungin (Eraxis)	Micafungin (Mycamine)
Oral bioavailability	>80%	Capsule: 30–55% Solution: 60–80%	90%	> 90%	Only I.V.	Only I.V.	Only I.V.
C_{max}	10.2	0.2–0.4 µg/mL after 2–4 h of 200 mg P.O.	2 µg/mL after 200 mg P.O.	0.28 µg/mL after 5h	10 µg/mL end infusion	3.55 to 10.9 µg/mL	10 µg/mL end infusion
Time to C_{max} (hour)	2–4	4–5	1–2	3–5	-	-	-
Cerebrospinal fluid (CSF) penetration	50–94%	<1%	20–50%	<1%	Unknown (very low)	Unknown	Undetectable
Plasma half-life (hour)	22–35	24–42	6–24	35	9–11 (terminal half-life 40–50)	26	11–21
Tissue distribution	Widely distributed in most tissues including CSF.	Levels in body fluids/CSF low; concentrations in lung, liver & bone 2–3 times > serum. High concentration in stratum corneum due to drug secretion in sebum.	Widely distributed into body tissues & fluid including brain & CSF.	Widely distributed into body tissues except CSF.	Widely distributed; highest concentration in liver.	Widely distributed.	Widely distributed.
Principal route of elimination	Renal	Hepatic	Hepatic	Hepatic	Hepatic	-	Hepatic

Generic name (Trade name)	**Fluconazole (Diflucan)**	**Itraconazole (Sporanox)**	**Voriconazole (Vfend)**	**Posaconazole (Noxafil)**	**Caspofungin (Cancidas)**	**Anidulafungin (Eraxis)**	**Micafungin (Mycamine)**
Active drug in urine (%)	80%	<1%	2%	14%	1%	<1%	<15%
Dosage	P.O. or I.V. 50–400 mg/day depending on indications	P.O. 200–400 mg/day	Adult, P.O., 200–400 mg q12h for 24 h, then 100–200 mg q12h; I.V. 6 mg/kg q12h for 24 h, then 4 mg/kg q12h	*Aspergillosis*/ *Candida*: Adult, P.O. 200 mg q8h *Mucormycosis*/ *Cryptococcus*: Adult, P.O. 400 mg q12h	I.V. infusion of 70 mg loading, then 50 mg daily	I.V. infusion of 200 mg on day 1, then 100 mg daily	I.V. 100–150 mg daily
Renal insufficiency	Reduce dose; removed by haemodialysis.	Usual dose. At glomerular filtration rate <10 mL/min, some recommend decrease dose 50%.	No dose adjustment need with P.O. voriconazole. Avoid I.V. voriconazole in renal failure.	No dose adjustment necessary	No dose adjustment needed. Not removed by haemodialysis.	No dose adjustment	No dose adjustment. Poorly dialysed.
Hepatic insufficiency	-	Avoid	Mild to moderate (Child A/B) same loading, reduce maintenance 50%. Avoid in severe impairment.	-	Reduce dose to 35 mg daily (after the 70 mg loading dose) in moderate (Child's score 7–9). No data on usage in patient with severe hepatic failure.	No dose adjustment	No dose adjustment