Supplementary Table S4. Pharmacokinetics of quinolones used systemically in human´s antimicrobial therapy.

Quinolones	Dose/via	Protein binding (%)	$F_{abs,oral}$	Vd (L/kg)	CL (L/h)	Elimination route	$t_{1/2}$	Substrate to transporters	References
First generation									
Nalidixic Acid (NA)	1000 mg p.o.	90-97	96	1	2.9 ± 0.6 (older subjects) *** 8.3 ± 1.8 (young subjects) ***	Metabolism (42% glucuronidated, 40% hydroxylated); Renal (2-3%)	2.7 ± 0.7 h (young subjects) ** 6-7h ****	OAT1 and OAT3**	[1-6]
Second generation									
Ciprofloxacin (CIP)	750 mg p.o.	15-25	70-80	2.0-3.5	36.0 – 42.6*	Renal (40-60%); metabolism (15%), faeces (15-40%)	5.27 ± 1.14	OATP1A2, OATP1A5, OCT1, BCRP, MATE1, MATE2-K	[3, 7-9] *[10] **[11]
Norfloxacin (NOR)	400 mg p.o.	15	30-50	1.7	17.1 (renal)*	Metabolism (30%); renal (30%); biliary (30%)	3.0	OCT1, BCRP, MATE1, MATE2-K	[3, 9, 11, 12]
Ofloxacin (OFLX)	400 mg p.o.	25	80-99	2.4-3.5	15-21	Renal (80%); metabolism (< 5%); biliary (< 10%, unchanged)	5.0-7.5	OCT1, BCRP	[3, 9, 10, 13, 14]
Third generation									
Levofloxacin (LEV)	500 mg p.o.	24-38	99 - 100	1.1-1.5	8.8 ± 1.7	Renal (> 87%); limited metabolism	4.0-7.0	OATP and possibly P-gp OATP1A2, OCT1	[3, 9, 11, 15]
Pazufloxacin (PZFX)	300 mg i.v. 1h infusion 500 mg i.v.0.5h infusion	NA	NA	 00.62 ± 0.11	21.7 ± 3.5 13.26 ± 4.55	Renal (72.3 ± 20.8%)	2.99 ± 0.5	Possibly P-gp and MATE1*	[16, 17]
Tosufloxacin (TFLX)	204 mg p.o.	~ 37%		143 (Vd/F)	12.12 ± 0.6	Renal (35.4 ± 2.2 %)	3.49 ± 0.23		[18, 19]
Fourth generation									
Moxifloxacin (MXF)	400 mg	30-50	86 - 90	1.7-2.7	12 ± 2	Metabolism; renal (20%); biliary (25%)	11-15.5 h	OCT1	[3, 7, 9, 11, 20]
Lascufloxacin (LSFX)	100 mg p.o. - 100 mg i.v.	74	-	2.46 – 4.11	7.62 - 8.07		15.6 - 18.2	MDR1, BCRP	[21-23]

Sitafloxacin (STFX)	50 - 500 mg p.o. and 500 mg i.v.	50	89	1.46 – 2.57	15.8-19.7	Renal (~70%, filtration and tubular secretion), metabolism	5.19 - 7.0		[24-27]

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