

TABLE 34–1 Pharmacokinetics of Selected Beta-Lactam Drugs

Group/Drug Name	Route of Administration	Protein Binding (%)	Half-Life (Minutes) With Normal Renal Function	Main Route of Elimination	Action		
					Onset	Peak	Duration
<b>Penicillins</b>							
<b>Penicillin G (aqueous)</b>	IM, IV	60	30–60	Renal	Rapid	30 min	4–6 h
<b>Penicillin V</b>	PO	80	30–60	Renal	Varies	60 min	4–6 h
<b>Amoxicillin</b>	PO	20	60–90	Renal	30–60 min	1–2 h	6–8 h
<b>Dicloxacillin</b>	PO	98	30–60	Hepatic/renal	Varies	30–60 min	4–6 h
<b>Nafcillin</b>	PO, IM, IV	87–90	60	Hepatic/renal	PO varies	60 min	4 h
					IV immediate	15 min	4 h
<b>Piperacillin</b>	IM, IV	16	60	Renal	Rapid	30–60 min	
<b>Ticarcillin</b>	IM, IV	45	60	Renal	Rapid	30–75 min	
<b>Cephalosporins</b>							
<b>Cefaclor</b>	PO	25	35–54	Renal		30–60 min	8–10 h
<b>Cefazolin</b>	IM, IV	80–86	90–120	Renal	IM 30 min	1.5–2 h	6–8 h
					IV immediate	5 min	6–8 h
<b>Cefepime</b>	IM, IV	20	102–138	Renal	IM 30 min	1.5–2 h	10–12 h
					IV immediate	5 min	10–12 h
<b>Cefixime</b>	PO	65	180–240	Renal		2–6 h	
<b>Cefoperazone</b>	IM, IV	82–93	120	Biliary	IM 1 h	1–2 h	6–12 h
					IV 5–10 min	15–20 min	6–12 h
<b>Cefotetan</b>	IM, IV	88–90	180–276	Renal	IM 30–60 min	1.5–3 h	18–24 h
					IV 15–20 min	30 min	18–24 h
<b>Ceftazidime</b>	IM, IV	<10	114–120	Renal	IM 30 min	1 h	24–28 h
					IV rapid	1h	24–28 h
<b>Ceftriaxone</b>	IM, IV	85–95	348–522	Hepatic	IM 30 min	1.5–4 h	15–18 h
					IV rapid	Immediate	15–18 h
<b>Cefuroxime</b>	PO, IM, IV	50	80	Renal	PO varies	2 h	18–24 h
					IM 20 min	30 min	18–24 h
					IV rapid	Immediate	18–24 h
<b>Cephalexin</b>	PO	10	50–80	Renal		60 min	8–10 h
<b>Cephadrine</b>	PO, IM, IV	8–17	48–80	Renal	PO varies	1 h	6–8 h
					IM 20 min	1–2 h	6–8 h
					IV rapid	5 min	6–8 h
<b>Carbapenem</b>							
<b>Imipenem/cilastatin</b>	IM, IV	20/40	60 (for each component)	Renal	Varies	2 h	6–8 h
<b>Monobactam</b>							
<b>Aztreonam</b>	IM, IV		90–120	Renal	IV rapid	30 min	6–8 h
					IM varies	60–90 min	6–8 h

described in the following sections. Pharmacokinetic characteristics of selected drugs are listed in Table 34–1 and routes and dosage ranges are listed in the Drugs at a Glance tables.

## Mechanism of Action

Beta-lactam antibacterial drugs inhibit synthesis of bacterial cell walls by binding to proteins (penicillin-binding proteins) in bacterial cell membranes. This binding produces a defective cell wall that allows intracellular contents to leak out, destroying the microorganism. In sub-bactericidal concentrations, the drugs may inhibit growth, decrease viability,

and alter the shape and structure of organisms. The latter characteristic may help to explain the development of mutant strains of microorganisms exposed to the drugs. Beta-lactam antibiotics are most effective when bacterial cells are dividing.

## PENICILLINS

The penicillins are effective, safe, and widely used antimicrobial agents. The group includes natural extracts from the *Penicillium* mold and several semisynthetic derivatives. When **penicillin G**, the prototype, was introduced, it was  
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