

HYPERTENSION: BETA-BLOCKER PHARMACOKINETICS

Generic	Brand	Cardio-selectivity	ISA	MSA	Lipid Solubility	Protein Bound (%)	Metabolism	Elimination	Vaso-dilatory
acebutolol	Sectral	✓	✓	✓	Low	26	Hepatic	Bile, renal	
atenolol	Tenormin	✓			Low	6–16		Renal (primarily), fecal	
betaxolol	—	✓			Low	50	Hepatic	Renal	✓
bisoprolol	—	✓			Low to Medium	~30	Hepatic	Renal, fecal	
	Ziac[†]								
carvedilol*	Coreg				High	98	Hepatic (CYP2C9, CYP2D6)	Fecal (primarily), renal	✓
	Coreg CR								
labetalol*	Trandate			✓	Medium	~50	Hepatic (glucuronidation)	Renal, fecal	✓
metoprolol	Lopressor	✓			Medium	12	Hepatic (CYP2D6)	Renal	
	Toprol-XL								
nadolol	Corgard				Low	30		Renal	
nebivolol	Bystolic	✓			Low	98	Hepatic	Renal, fecal	✓
pindolol	—		✓		Medium	40	Hepatic	Renal	
propranolol	Inderal			✓	High	90	Hepatic (CYP2D6, CYP1A2)	Renal	
	InnoPran XL								
timolol	—				Medium	<10	Hepatic	Renal	

NOTES

Cardioselective products are less likely to cause bronchospasm, peripheral vasoconstriction, or hypoglycemia than non-cardioselective ones.

ISA: Intrinsic sympathomimetic activity may partially reduce the cardiac depressant and bronchoconstricting effects of β -blockade.

MSA: Membrane stabilizing activity may contribute to the clinical management of cardiac arrhythmias.

*These have both α - and β -blocking activity.

[†]Combination drug with more than one active drug ingredient.

Lipid solubility determines how readily the drug passes through the blood brain barrier and possibly the placenta. Those drugs that have high lipid solubility pass through more readily than those agents with low lipid solubility. The incidence of CNS side effects may be higher in products with higher lipid solubility.

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