Pharmacokinetic Parameters of Drugs: A Simplified Approach to Study in Pharmacology

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SUMMARY OF INNOVATION

Pharmacokinetics, sometimes described as what the body does to the drug or how the drugs are handled by the body. The parameters of pharmacokinetics (absorption, distribution, biotransformation and excretion) for various drugs are difficult to remember as these are available as scattered form in many Pharmacology books including the text books and the correlation among them was not shown clearly. For the first time, a table has been designed to accommodate and correlate all those parameters (absorption, distribution, biotransformation and excretion) of drugs under the same umbrella for the medical students and doctors. Antibiotics (Penicillins, Cephalosporins, Protein synthesis inhibitors, Macrolides, Aminoglycosides, Quinolones and Antitubercular drugs) were introduced in this table as a sequential pattern for education and quick referencing.

Type of Drug	Drug	Absorption	Distribution	Biotransformation	Excretion
Antibiotics:	Tetracycline	It is partially absorbed from gut and impaired by food, Ca^{2+}, Mg^{2+}, Fe^{+}, Al^{3+} dairy products and antacids	Throughout the body (tissue, body fluids except CSF) and cross the placental barrier.	5% of which is excreted as metabolite epitetracycline.	Renal, Non-renal (faces, bile)
	Macrolides: Erythromycin	Erythromycin estolate is the best-absorbed oral preparation. Food interferes with absorption.	Absorbed drug is distributed widely except to the brain and CSF. It traverses the placenta and reaches the fetus	It is metabolized in the liver by P450A.	Elimination is almost exclusively in the bile and faeces but only 5% is excreted in the urine
	Aminoglycosides: Gentamicin	Poor absorption from the intestine (as they are water soluble) necessitates their administration i.v or im.	They distribute mainly to extracellular fluid ; transfer to CSF is poor even with inflamed meninges	Gentamicin is not metabolized in the body but is excreted unchanged in microbiologically active form predominantly via the kidneys.	They are cleared by kidney. In patients with normal renal function the elimination half life is about 2 to 3 hours.
	Chloramphenicol	After oral administration, crystalline chloramphenicol is rapidly and completely absorbed. Chloramphenicol palmitate is a prodrug that is hydrolysed in the intestine to yield free chloramphenicol	Chloramphenicol is widely distributed to virtually all tissues and body fluids including the central nervous system and CSF	Most of the drug is inactivated either by conjugation with glucuronic acid (Principally in the liver) or by reduction to inactive aryl amines.	Active Chloramphenicol, about 10% of the total dose administered and its inactive degradation products are eliminated in the urine. A small amout of active drug is excreted into bile and feces
	Quinolones: Ciprofloxacin, Norfloxacin, Ofloxacin	After oral administration, the quinolones are well absorbed (bioavailability 80-90%)	Quinolones are distributed widely in body fluids and tissues.	Hepatic metabolism	Most quinolones are eliminated by renal mechanism, either tubular secretion or glomerular filtration.
	Penicillins: (Ampicillin, Amoxicillin, Dicloxacillin)	Ampicillin, Amoxicillin, Dicloxacillin are acid- stable and relatively well absorbed, producing serum concestration 4-8mcg/mL after a 500mg oral dose.Absorption of most oral penicillins (except. Amoxicillin) is impaired by food.	Penicillins distribute mainly in the body water and enter well into the CSF (cerebrospinal fluid) if the meninges are inflamed.	Hepatic Metabolism	Penicillin is rapidly excreted by the kidneys, small amounts are excreted by other routes. Tubular secretion accounts for about 90% of renal excretion and glomerular filtration accounts for the remainder.
	Cephalosporins	Cephalexin, cephradine and cephdroxil are absorbed from gut to a variable extent. After oral doses of 500mg serum levels are 15-20mcg/mL.	Wide distribution in the body allows treatment of infection at most sites, including bone, soft tissue, muscle (in some cases) CSF.	Hepatic Metabolism	Excretion is mainly by glomerular filtration and tubular secretion into the urine.
Antitubecular Drugs:	Isoniazid (H)	Rapid and complete both orally and parenterally; plasma level peak 1 to 2 hours after oral ingestion	Total body water; intra- and extra-cellular	Wide individual variability; elimination is principally dependent on genetically controlled polymorphic liver N-acetyltransferase	Elimination is virtually independent of renal function
	Rifampicin (R)	Rapid absorption, peak serum concentration occurs in 2 hours after administration	Approximately 80% of rifampicin is transported in blood bound to plasma proteins, mainly albumin. Rifampicin is well distributed, although to a different degree, in the various tissues of the human body.	The metabolic derivative, desacetylrifampicin, is more polar than the parent compound, and microbiologically active. This metabolite accounts for the majority of the antibacterial activity	almost equally excreted in the bile and urine
	Pyrazinamide (Z)	Rapidly and well absorbed from the gastrointestinal tract	Approximately 10% bound to plasma proteins. Half-life is 9-10 hours.	Hepatic metabolism	Approximately 70% of an oral dose is excreted in the urine, mainly by glomerular filtration within 24 hours.
	Ethambutol (E)	About 75% to 80% of an orally administered dose of ethambutol is absorbed from the gastrointestinal tract.	Around 20 – 30% of protein binding. Half life in patients with normal renal function in 3 to 4 hours. In patients with impaired renal function, up to 8 hours.	Hepatic. Up to 15% of administered drug is metabolized to inactive metabolites. The main path of metabolism appears to be an initial oxidation of the alcohol to an aldehydic intermediate, followed by conversion to a dicarboxylic acid.	Excretion mainly by kidney, by tubular secretion as well as by glomerular filtration.

USEFULNESS/INNOVATION

1. Medical students and doctors will be able to quickly recapitulate and correlate all the pharmacokinetic parameters of drugs based on absorption, distribution, biotransformation and excretion.
2. It is a simplified approach to study in Pharmacology and it is less time consuming.

COMMERCIAL POTENTIALS

It can be commercialized to medical students and doctors, clinical practitioners, nurses, pharmacists as a guideline of drugs in respect with parameters of Pharmacokinetics.