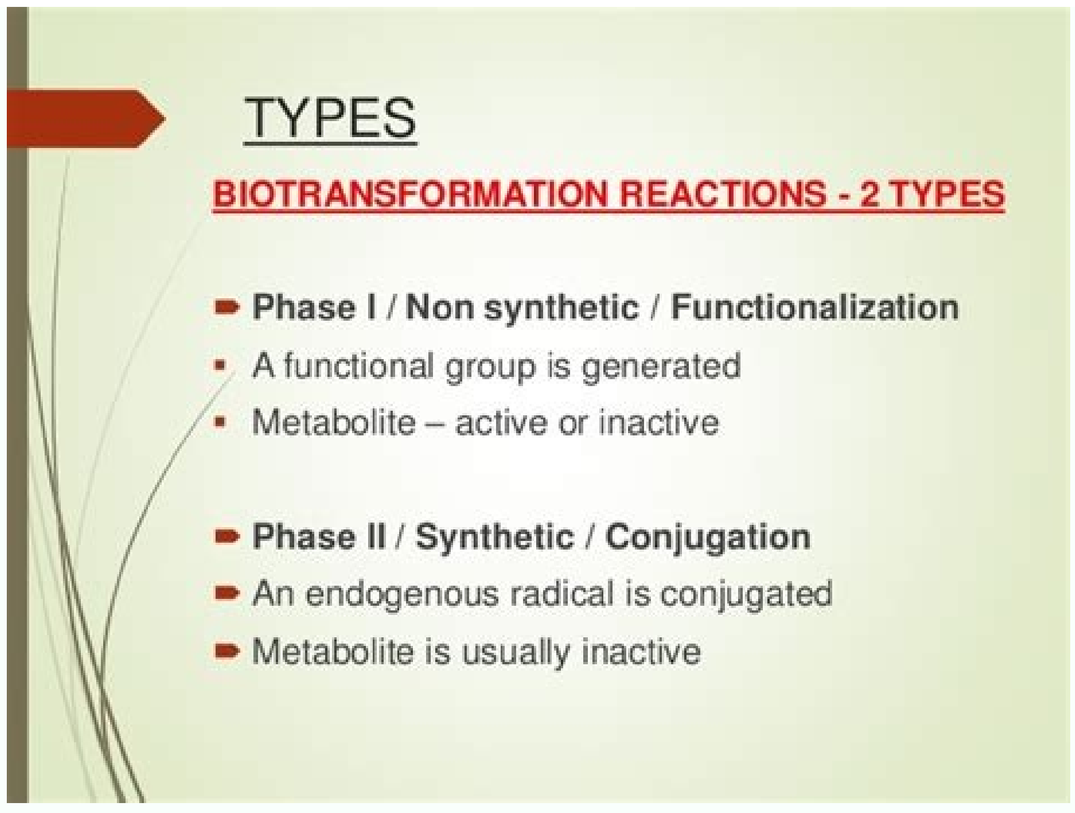
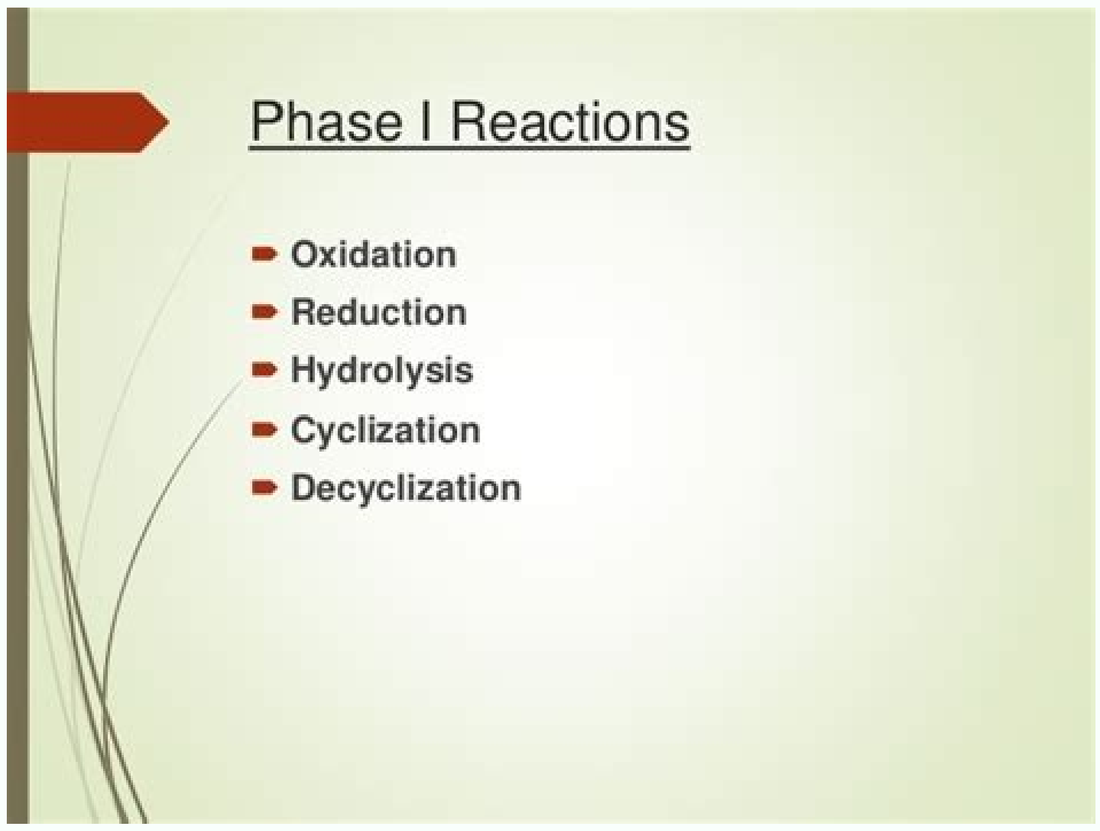
Definition of biotransformation in pharmacology

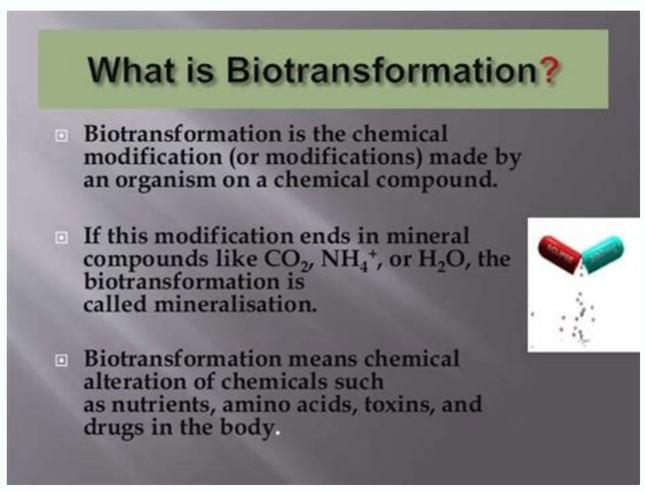
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PHARMACOKINETICS - BIOTRANSFORMATION

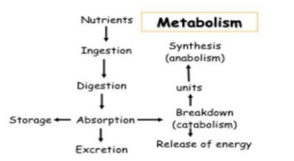
- ***Biotransformation*** is also called *drug metabolism*, *drug inactivation*, and *drug detoxification*
- *Biotransformation* is the chemical alteration of drug molecules by the body cells into a **metabolite** that is in an activated form, an inactivated form, and/or a toxic form by enzymes
- Primary site of biotransformation is the **liver.**
  - Inhibition or induction of **Cytochrome P450**
  - **Little in lung, skin and intestinal tract**
- **Goal** = metabolite is more hydrophilic (more readily excreted in urine or bile)



DEFINITION

Biotransformation of Drug is defined as the conversion from one chemical from to another. The terms is used synonymously with Metabolism

The Drug which are enter the through ingestion inhalation or absorption are called as xenobiotics (Greek: xenos =foreign) or exogenous compound



What is drug biotransformation. What is the best definition of biotransformation. What is biotransformation in pharmacology. Define drug biotransformation.

Biotransformations (1mL) are composed of buffer (50mM Tris, pH 7.0) containing substrate (1mM pulegone), external hydride source (NADP+/glucose dehydrogenase/glucose cofactor recycling system), and enzyme(s) source (cell-free extract or permeabilized cells).From: Methods in Enzymology, 2016 This chapter answers parts from Section B(iv) of the 2017 CICM Primary Syllabus, which expects the exam candidate to "describe the mechanisms of drug clearance and metabolism". Biotransformation is a handy term which describes the latter; it is defined by Wikipedia as "the chemical modification (or modifications) made by an organism on a chemical compound". As such, the term is generally used to refer to hepatic metabolism of drugs, but could in fact apply to various other settings. This brief revision summary is included here to indulge the authors' own demented need for completeness. In actual fact the college past papers have never included any reference to biotransformation in its broadest definition, and only one mention of hepatic clearance processes (in Question 20 from the first paper of 2016). Free from the need to answer any actual exam-oriented learning objectives, this chapter is therefore a largely pointless vanity exercise, and the time-poor exam candidate can skip it in favour of more examinable topics. If for whatever reason one is compelled to not only read on, but also to read more widely, one would be hard pressed to find a better resource than this (somehow freely available) Chapter 6, "Biotransformation of xenobiotics" from Casarett and Doulls Toxicology: The Basic Science of Poisons. Definition of biotransformation The official college doctrine (Birkett, 2009) has no such definition (in fact a search for "biotransformation" in the entire text yields zero results) and so a person in search of guidance turns to Google. The Pharmacology and Experimental Therapeutics Department Glossary at Boston University School of Medicine offers the following definition: "Chemical alteration of an agent (drug) that occurs by virtue of the sojourn of the agent in a biological system". Note how the chemical must merely soujourn in a biological system; no mention is made of what the system must consist of. This opens the definition to include pharmacologically important chemical reactions. The abovelinked glossary goes on to expand the definition using examples. For instance, if a radioisotope decays within the body, even though a chemical alteration results, it is not considered biotransformation (because it does not occur by virtue of the sojourn, i.e. that decay would have happened no matter where the isotope was). Similarly, a puddle of in vitro cultured cells or even a purified enzyme solution may act on a chemical, and that would be called biotransformation because a biological system was involved, even if it were totally separated form any actual living organism. Drug biotransformation versus drug metabolism Why don't we just call it "drug metabolism"? The drugs get metabolised, don't they? Indeed the terminology is pervasive. Products of drug biotransformation are called metabolites; people whose inherited enzyme deficiency results in slowed hepatic drug clearance are called poor metabolisers and so on. The terms seem so interchangeable that one occasionally finds both used in the space of the same article title. Is this a legitimate use of the term, and if so, then why do we have two words to describe the same thing? Well. It actually seems reasonable to use the terms synonymously, even though the term "metabolism" should really be reserved for nutritive processes. Serious sources keep using both terms interchangeably (eg. Rowlands' Clinical Pharmacokinetics, Jambhekar's Basic Pharmacokinetics, and the mystical grimoire of Goodman and Gilman). In order to make sense of these matters, the author may take unusual liberties by offering an original synthesis. In general, the sources seem to use the term "biotransformation" to describe the metabolic processes which chemically alter the drugs after they have been administered to an organism. In short, "metabolism of drugs" is a form of biotransformation which happens to drugs in a body, whereas "biotransformation" is a more general term which applies equally well to the actions of a disembodied enzyme digesting an oil slick. Also found in: Dictionary, Encyclopedia, Wikipedia. [bi″o-trans″for-ma´shun] the series of chemical alterations of a compound (e.g., a drug) occurring within the body, as by enzymatic activity.Miller-Keane Encyclopedia and Dictionary of Medicine, Nursing, and Allied Health, Seventh Edition. © 2003 by Saunders, an imprint of Elsevier, Inc. All rights reserved. (bī'ō-trans'fôr-mā'shŭn), The conversion of molecules from one form to another within an organism, often associated with change (increase, decrease, or little change) in pharmacologic activity; refers especially to drugs and other xenobiotics. Synonym(s): biodegradationFarlex Partner Medical Dictionary © Farlex 2012 (bī'ō-trānsˊfər-māˊshən)n. Chemical alteration of a substance within the body, as by the action of enzymes.The American Heritage® Medical Dictionary Copyright © 2007, 2004 by Houghton Mifflin Company. Published by Houghton Mifflin Company. All rights reserved. BiochemistryBioconversion, see there. MetabolismA series of chemical changes in a compound (e.g., a drug), which occur within the body (e.g., by enzymatic activity). PharmacologyDrug metabolism, see there. PhysiologyMetabolism, see there.Segen's Medical Dictionary. © 2012 Farlex, Inc. All rights reserved. Clinical pharmacology The regular measurement of serum levels of drugs requiring close 'titration' of doses in order to ensure that there are sufficient levels in the blood to be therapeutically effective, while avoiding potentially toxic excess; drug concentration in vivo is a function of multiple factors Common TDM drugs Carbamazepine, digoxin, gentamycin, procainamide, phenobarbital, phenytoin, theophylline, tobramycin, valproic acid, vancomycin Therapeutic drug levels in vivo–factors involved Patient compliance Ingestion of drug in the doses prescribed Bioavailability Access to circulation, interaction with cognate receptor(s); ionized and 'free', or bound to a carrier molecule, often albumin Pharmacokinetics Drug equilibrium requires 4-6 half-lives of drug clearance (a period of time for1/2 of the drug to 'clear', either through metabolism or excretion, multiplied by 4-6); the drug is affected by • Interaction with foods or other drugs at the site of absorption, eg tetracycline binding to cations or chelation with binding resins, eg bile acid-binding cholestyramine that also sequesters warfarin, thyroxine and digitoxin or interactions of various drugs with each other, eg digitalis with quinidine resulting in a 3-fold ↓ in digitalis clearance • Absorption may be changed by GI hypermotility or large molecule size • Lipid solubility, which affects the volume of distribution; highly lipid-soluble substances have high affinity for adipose tissue and a low tendency to remain in the vascular compartment, see Volume of distribution. • Biotransformation, with 'first pass' elimination by hepatic metabolism, in which polar groups are introduced into relatively insoluble molecules by oxidation, reduction or hydrolysis; for elimination, lipid-soluble drugs require the 'solubility' steps of glucuronidation or sulfatation in the liver; water-soluble molecules are eliminated directly via the kidneys, weak acidic drugs are eliminated by active tubular secretion that may be altered by therapy with methotrexate, penicillin, probenecid, salicylates, phenylbutazone and thiazide diuretics First order kinetics Drug elimination is proportional to its concentration Zero order kinetics Drug elimination is independent of the drug's concentration • Age Lower doses are required in both infants and the elderly, in the former because the metabolic machinery is not fully operational, in the latter because the machinery is decaying, with ↓ cardiac and renal function, enzyme activity, density of receptors on the cell surfaces and ↓ albumin, the major drug transporting molecule • Enzyme induction, which is involved in a drug's metabolism may reduce the drug's activity; enzyme-inducing drugs include barbiturates, carbamazepine, glutethimide, phenytoin, primidone, rifampicin • Enzyme inhibition, which is involved in drug metabolism, resulting in ↑ drug activity, prolonging the action of various drugs, including chloramphenicol, cimetidine, disulfiram (Antabuse), isoniazid, methyldopa, metronidazole, phenylbutazone and sulfonamides Genetic factors play an as yet poorly defined role in therapeutic drug monitoring, as is the case of the poor ability of some racial groups to acetylate drugs Concomitant disease, ie whether there are underlying conditions that may affect drug distribution or metabolism, eg renal disease with ↓ clearance and ↑ drug levels, or hepatic disease, in which there is ↓ albumin production and ↓ enzyme activity resulting in a functional ↑ in drug levels, due to ↓ availability of drug-carrying proteins McGraw-Hill Concise Dictionary of Modern Medicine. © 2002 by The McGraw-Hill Companies, Inc. (bī'ō-trans'fôr-mā'shŭn) The conversion of molecules from one form to another within an organism, often associated with change in pharmacologic activity; refers especially to drugs and other xenobiotics. Synonym(s): biodegradation. Medical Dictionary for the Health Professions and Nursing © Farlex 2012 see BIOCONVERSION.Collins Dictionary of Biology, 3rd ed. © W. G. Hale, V. A. Saunders, J. P. Margham 2005 (bī'ō-trans'fôr-mā'shŭn) The conversion of molecules from one form to another within an organism, often associated with change in pharmacologic activity. Medical Dictionary for the Dental Professions © Farlex 2012 Want to thank TFD for its existence? Tell a friend about us, add a link to this page, or visit the webmaster's page for free fun content. Link to this page:

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