

## A Short Note on Drug Metabolism in Drug Discovery

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### DESCRIPTION

Drug metabolism is the term which is used to describe the biotransformation of pharmaceutical substances within the body in order to be eliminated more easily. Most of the metabolic processes that involve drugs occur in the liver, because the enzymes that facilitate the reactions are concentrated there. The aim of metabolism in the body is to change the chemical structure of the substance, and to increase the ease with which it is excreted from the body.

Drugs can be metabolized by oxidation, reduction, hydrolysis, hydration, conjugation, condensation, or isomerization; regardless of the method, the goal is to create the drug easier to excrete. The enzymes concerned in metabolism are present in several tissues however they are more concentrated in the liver [1]. Drug metabolism rates vary among patients. Some patients metabolize a drug so rapidly that therapeutically effective blood and tissue concentrations don't seem to be reached. In others, metabolism is slow that usual doses have toxic effects. Individual drug metabolism rates are influenced by genetic factors, coexistent disorders (particularly chronic liver disorders and advanced coronary failure), and drug interactions (especially those involving induction or inhibition of metabolism).

Drug metabolism could be a crucial aspect of medical practice and pharmacological medicine. Most medicine undergoes chemical alteration by numerous bodily systems to make compounds that are simply excreted from the body. These chemical alterations occur primarily in the liver and are called as biotransformation. Understanding these alterations in chemical activity is crucial in utilizing the optimal medicine intervention for any patient and is so of interest to any provider who is habitually treats patients with medication [2].

The rate of drug metabolism will vary considerably for various patients. This affects the efficacy and toxicity of the drug for patients who have very high or low metabolism rates. For example, rapid metabolizers clear the drug quickly, and therefore the therapeutic concentration of the drug in the blood and tissues might not be reached. In other patients, the drug is metabolized slowly that it accumulates in the blood stream. The higher concentration of the drug within the body creates a

greater potential for adverse effects [3]. The patient factors that have an effect on the rate of metabolism include:

- A genetic predisposition.
- Chronic liver disorders.
- Advanced heart failure.
- Interactions with different concurrent medications.

For many drugs, metabolism takes place in two phases. Phase I reactions involves the formation of a new or changed functional group or cleavage (oxidation, reduction, hydrolysis); these reactions are organic. Phase II reactions involve conjugation with associate endogenous substance (e.g., glucuronic acid, sulfate, glycine); these reactions are artificial. Some drugs undergo only phase I or phase II reactions. Thus, phase numbers reflect functional rather than sequential classification. Hepatic drug transporters are present throughout parenchymal liver cells and have an effect on a drug's liver disposition, metabolism, and elimination [4]. The two primary types of transporters are influx that translocates molecules into the liver, and efflux that mediate excretion of medication into the blood or digestive fluid. Genetic polymorphisms will variably have an effect on the expression and performance of hepatic drug transporters to potentially alter a patient's susceptibility to drug adverse effects and drug-induced liver injury [5].

### CONCLUSION

The study of drug metabolism or biotransformation is vitally important to our understanding of the time course of drugs in the body, the structuring of indefinite quantity regimens, the pharmacological medicine and pharmacology of drug metabolites, and the interactions of multivalent drug combinations. Hydrophobicity is a vital chemical characteristic of most drug molecules, because of the chances of both good oral absorption and interactions with molecular targets tend to hydrophobicity increases. Sadly, the probability of efficient renal or biliary excretion of medication from the body diminishes as hydrophobicity increases. Thus, the metabolism or biotransformation of hydrophobic drug molecules to more hydrophilic molecules is a very vital factor for the elimination of drugs from the body. Although the enzymes that mediate drug metabolism are found in many tissues, it is within the liver and

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**Received:** 01-Mar-2022, Manuscript No. JCT-22-17066; **Editor assigned:** 03-Mar-2022, PreQC No. JCT-22-17066 (PQ); **Reviewed:** 17-Mar-2022, QC No. JCT-22-17066; **Revised:** 24-Feb-2022, Manuscript No. JCT-22-17066 (R); **Published:** 31-Mar-2022, DOI: 10.35248/2161-0495-22.S22.003.

**Citation:** Albatros G, Safonov M (2022) A Short Note on Drug Metabolism in Drug Discovery. J Clin Toxicol. S22:003.

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the epithelial cells of the upper portion of the intestines where most drug metabolism occurs. For a drug that is subject to biotransformation, if it is administered by intravenous infusion, then the liver is likely to be the major site for biotransformation. On the other hand, it is possible that the same drug administered orally will be subject to biotransformation both in the intestine during absorption and in the liver as well.

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