WORLD JOURNAL OF PHARMACEUTICAL RESEARCH
Coden USA: WJPRAP Impact Factor 8.453
Volume 14, Issue 24, 1099-1107. Review Article ISSN 2277–7105

REVIEW ON BIOPHARMACEUTICS AND IT'S IMPACT ON DRUG DESIGN

Palapati Witson Paul*[1], Dr. Ch. N.V.S. Mastanrao*[2], Dr. D. Rama Brahma Reddy*[3]

[1]Student of Nalanda Institute of Pharmaceutical Sciences, Siddharth Nagar, Kantepudi (V), Sattenapalli (M.D), Palnadu (D.t)-522438, A.P, India.

[2]Associate Professor, Department of Pharmaceutics**,** Nalanda Institute of Pharmaceutical Sciences, Siddharth Nagar, Kantepudi (V), Sattenapalli (M.D), Palnadu (D.t)-522438, A.P, India.

[3]Professor and Principal, Nalanda Institute of Pharmaceutical Sciences, Siddharth Nagar, Kantepudi (V), Sattenapalli (M.D), Palnadu (D.t)-522438, A.P, India.

Article Received on 15 Nov. 2025,
Article Revised on 05 Dec. 2025,
Article Published on 16 Dec. 2025,

https://doi.org/10.5281/zenodo.17963107

***Corresponding Author**

Palapati Witson Paul

Student of Nalanda Institute of Pharmaceutical Sciences, Siddharth Nagar, Kantepudi (V), Sattenapalli (M.D), Palnadu (D.t)-522438, A.P, India.

How to cite this Article: Palapati Witson Paul*1, Dr. Ch. N.V.S. Mastanrao*2, Dr. D. Rama Brahma Reddy*3. (2025). REVIEW ON BIOPHARMACEUTICS AND IT'S IMPACT ON DRUG DESIGN. World Journal of Pharmaceutical Research, 14(24), 1099–1107.

ABSTRACT

Biopharmaceutics plays a pivotal role in the pharmaceutical sciences, focusing on the relationship between a drug's physicochemical properties, dosage form, and biological performance. This discipline is essential in guiding the rational design and optimization of drug formulations to enhance therapeutic efficacy and patient compliance. The integration of biopharmaceutics principles into drug design enables better prediction of pharmacokinetics, improved bioavailability, and more efficient regulatory approval. This article explores the fundamental concepts of biopharmaceutics and its significant impact on modern drug development.

KEYWORDS: Biopharmaceutics, Drug Design, Bioavailability, Pharmacokinetics, Drug Absorption, Formulation Development.

INTRODUCTION

Biopharmaceutics is a scientific discipline that studies how the drug's formulation, route of Drugs in the form of different dosage forms i.e, solids, semisolids, liquids (monophasic and

biphasic), etc. are administered to provide systemic or local therapeuticresponse. These dosage forms releases and delivers the API to the site of action to induce the desired therapeutic potential and intended to meet the patient's acceptability, convenience, palatability and safety.[1] Pharmaceutics is the branch of science that focuses on the design and development of pharmaceutical dosage forms that helps in treatment, prevention and diagnosis of a particular disease. It is concerned with novel drug product measures. It deals with the fabrication of a drug product. Drug products that contain same therapeutic agent uses different inactive ingredients. The selection of inactive ingredients is based on the physicochemical properties of the drug, the type of formulation and the route from where it gets administered. The usage of drugs serves several stable, fruitful and preventive purposes.[2]

Biopharmaceutics and Drug Design

Biopharmaceutics: It is the branch that study the factors affecting the dose and extent of drug (API) that provides systemic or local therapeutic potential after reaching systemic circulation to measure the therapeutic response of drug.[3]

1. Pharmacokinetics: It is a branch of biopharmaceutics that deals with the study of body's effect on the drug i.e., the drug ADME properties with its therapeutic and toxic effects.

There are several applications of these pharmacokinetic studies such as:

- Measurement of bioavailability
- Clinical pharmacokinetics
- Predict the designing of optimal dosage regimen
- Design and development of sophisticated pharmacokinetic models
- Analytical techniques (HPLC, GC, mass spectrometry) for the assay of drugs and its metabolites.

2. Pharmacodynamics: It deals with the study of drug's effect on the body i.e., the mechanism of action of drug that is related with the response of drug concentration in the body. It is defined as the coordination of the drug concentration at the receptor site and its corresponding pharmacological response i.e., the various biochemical and physiological effects that influences the interaction of a drug molecule with the receptor.[4] This interaction either respond a pharmacological response or a toxic response.

It involves the study of

- Mechanism of Action
- Biochemical Reaction
- Physiological Effect

Pharmacokinetics

1. **Absorption:** Absorption of a drug is a process in which the drug from the dosage form reaches the site of action from the site of administration. There are various mechanisms of drug absorption such as transcellular/ intracellular transport (Passive transport, active transport, facilitated diffusion), paracellular/ intercellular transport and vesicular transport (pinocytosis and phagocytosis).[5]
2. **Distribution:** Once drug molecules enter the systemic circulation, these molecules mix with the body fluids and reaches the site of action. Drug distribution refers to the transfer of drug from one compartment to other i.e., from blood to extra vascular tissues through passive diffusion. Drug distribution can occur through blood and other fluids, cells, central nervous system and placenta.
3. **Metabolism:** It is defined as the conversion of drug from one form to another form by enzymatic action. The term metabolism is used synonymously with biotransformation. The drug can be metabolized either by phase I (oxidation, reduction and hydrolysis) or phase II (conjugation) reactions.[6]
4. **Excretion:** It is a process in which drugs or their metabolites are flushed out from the body irreversibly either through kidney (renal excretion) or by other organ (non-renal excretion).

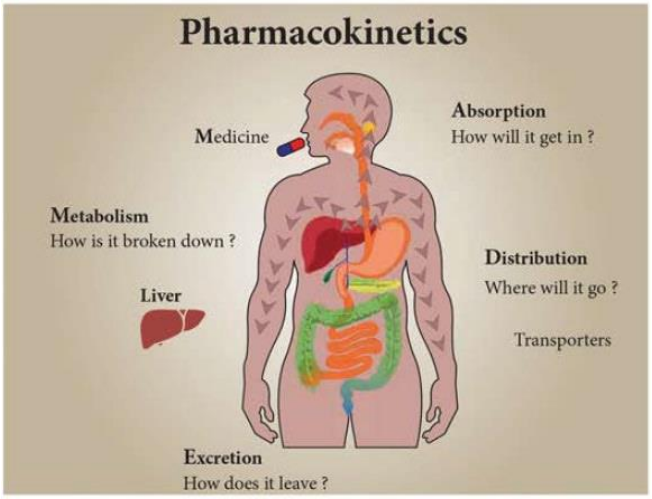

Fig. 1: Pharmacokinetics.

2. Drug design: Drug design, also known as rational drug design, is a systematic approach to finding, selecting, and optimizing drug molecules based on their interactions with biological.

3. Drug Absorption: Drug absorpton refers to the process by which a Drug moves from its site of administraton into the Bloodstream (systemic circulaton). This step is Crucial in determining the onset, intensity, andDuraton of a drug's pharmacological action.

4. Bioavailability: It is defined as the rate and extent to which drug gets absorbed from site of administration to the site of action after reaching systemic circulation. The drug concentration in blood and its onset of action, the intensity and duration of drug response depends on drug bioavailability from a dosage form.

5. Formulation development: Formulation Development is a critical process in pharmaceutical sciences that involves designing and producing a drug in a form that ensures optimal therapeutic effect, stability, patient compliance, and manufacturability. It transforms a drug substance (API – Active Pharmaceutical Ingredient) into a final dosage form like tablets, capsules, suspensions, creams, or injectables.[7]

Key Steps in Formulation Development

1. Preformulation Studies
2. Selection of Dosage Form
3. Excipients Selection
4. Formulation
5. Process Development
6. Stability Testing
7. Bioavailability and Bioequivalence Studies

DESCRIPTION

Biopharmaceutics plays a crucial role in drug design by understanding how the physicochemical properties of drugs and dosage forms impact their therapeutic effects. Here are some types of drug design approaches in biopharmaceutics:

1. Immediate Release (IR) Dosage Forms

The tablets under this category are required to be dissolved first in water or other solvents before administration or application.[8] This solution may be for ingestion or parenteral application or for topical use depending upon type of medicament used. Immediate release tablets are those which disintegrate rapidly and get dissolved to release the medicaments. Immediate release may be provided for by way of an appropriate pharmaceutically acceptable

diluent or carrier, which diluent or carrier does not prolong, to an appreciable extent, the rate of drug release and/or absorption. This term excludes formulations which are adapted to provide for “modified”, “controlled”, “sustained”, “prolonged”, “extended” or “delayed” release of drug. Release term includes the provision (or presentation) of drug from the formulation to the gastrointestinal tract, to body tissues and/or into systemic circulation. For gastrointestinal tract release, the release is under pHconditions such as pH=1 to 3, especially at, or about, pH=1. In one aspect of the invention a formulation as described herein with a compound of formula (I), or an acid addition salt thereof, in crystalline form releases drug under a range of pH conditions. In another aspect of the invention a formulation as described herein with a compound of formula (I), or an acid addition salt thereof, releases drug under pH conditions such as pH=1 to 3, especially at, or about, pH=1. Thus, formulations of the invention may release at least 70% (preferably 80%) of active ingredient within 4 hours, such as within 3 hours, preferably 2 hours, more preferably within 1.5 hours, and especially within an hour (such as within 30 minutes), of administration, whether this be oral or parenteral.

2. Sustained release dosage forms

- The sustained release (S.R.), are term used to identify drug. Delivery systems that are designed to achieve a prolonged Therapeutic effect by the continuous release of the Medication over an extended period of time after. Administration of a single dose.
- In the case of injectable dosage forms (depot), this period .May vary from days to months while in oral dosage forms, It lasts for hours depending on the residence time in the GIT.[9]

With many drugs, the basic goal Is to achieve a steady state blood level that is Therapeutically effective and non-toxic for an extended Period of time. The design of proper dosage form is an Important element to accomplish this goal. Sustained Release, sustained action, prolonged action, controlled Release, extended action, timed release and depot dosage Form as term used to identify drug delivery system that Are designed to achieve prolonged therapeutic effect by Continuously releasing medication over an extended Period of time after administration of a single dose2. In The case of oral sustained released dosage form, an effect Is for several hours depending upon residence time of Formulation in the GIT. Conventional drug therapy Requires periodic doses of therapeutic agents. These Agents are formulated to produce maximum stability, Activity and bioavailability. For most drugs, conventional

Methods of drug administration are effective, but some Drugs are unstable or toxic and have narrow therapeutic Ranges. Some drugs also possess solubility problems.

3. Extended Release (ER) Dosage Forms

A drug delivery system is defined as a formulation or a device that enables the introduction of a therapeutic substance in the body and improves its efficacy and safety by controlling the rate, time, and place of release of drugs in the body.[10] This process includes the administration of the therapeutic product, the release of the active ingredients by the product, and the subsequent transport of the active ingredients across the biological membranes to the site of action. The term therapeutic substance also applies to an agent such as gene therapy that will induce in vivo production of the active therapeutic agent. Drug delivery system is an interface between the patient and the drug. It may be a formulation of the drug to administer it for a therapeutic purpose or a device used to deliver the drug. This distinction between the drug and the device is important, as it is the criterion for regulatory control of the delivery The advantage of administering a single dose of a drug that is released over an extended period of time to maintain a near–constant or uniform blood level of a drug often translates in to better patient compliance, as well as enhanced clinical efficacy of the drug for its intended use.[11]

Drug Design Techniques

Biopharmaceutics significantly impacts drug design by understanding how a drug's physicochemical properties affect its absorption, distribution, metabolism, and excretion (ADME) in the body. This field helps design effective and safe pharmaceutical products.[12]

Structure-Based Drug Design (SBDD): Utilizes structural information about the target protein to design molecules that interact with specific biological targets.

- **Computational Modeling and Simulation:** Predicts molecular interactions, pharmacokinetics, and pharmacodynamics, facilitating the design of effective drugs.
- **Artificial Intelligence (AI) and Machine Learning:** Enhances drug discovery by analyzing vast datasets, predicting potential drug candidates, and optimizing clinical trial designs.
- **Nanotechnology and Microencapsulation:** Enables targeted delivery and controlled release of biopharmaceuticals, enhancing therapeutic efficacy while minimizing side effects.[1,2,3]

Benefits of Biopharmaceutics in Drug Design

- Improved Efficacy: Designing drugs with optimal ADME properties enhances therapeutic effects.[13]
- Reduced Side Effects: Understanding how drugs interact with the body minimizes adverse reactions.
- Personalized Medicine: Tailoring treatments to individual genetic profiles and physiological characteristics optimizes therapeutic efficacy and minimizes adverse reactions.[14]

Applications

Biopharmaceutics plays a crucial role in drug design, with various applications:

1. **Optimizing bioavailability:** Enhancing drug absorption and solubility.
2. **Predicting pharmacokinetics:** Understanding ADME properties.
3. **Designing dosage forms:** Developing formulations that ensure optimal drug release.
4. **Improving patient compliance:** Reducing dosing frequency and side effects.
5. **Developing targeted therapies:** Designing drugs that target specific sites or mechanisms.
6. **Enhancing drug safety:** Reducing toxicity and side effects.
7. **Streamlining drug development:** Informing formulation and clinical trial design.

Biopharmaceutics-informed drug design enables the creation of more effective, safe, and targeted therapies, ultimately improving patient outcomes.[15]

CONCLUSION

Biopharmaceutics is an essential field that integrates knowledge from various disciplines to optimize drug development, formulation, and patient care. By understanding the principles of drug absorption, distribution, metabolism, and excretion, biopharmaceutics guides the design of effective drug formulations, facilitates bioequivalence assessments, enables personalized medicine, and improves patient outcomes. Continued advancements in biopharmaceutics will further enhance drug delivery strategies, contribute to the development of innovative therapies, and foster the evolution of precision medicine in the future.[16] Biopharmaceutics is a vital field that supports the development, optimization and regulation of pharmaceuticals. By focusing on how drug properties influence the body's response, biopharmaceutics allows scientists and researchers to design formulations that maximize efficacy while minimizing side effects. This field not only advances pharmaceutical science but also improves the

quality of healthcare by ensuring that medications are both safe and effective for patients. As new technologies and discoveries emerge, biopharmaceutics will continue to play a central role in enhancing drug delivery and therapeutic outcomes.[17]

REFERENCE

1. "Biopharmaceutics and Pharmacokinetics: A Treatise" by D.M. Brahmankar and Sunil B. Jaiswal, 1: 1-28.
2. "Pharmaceutics: The Science of Dosage Form Design" by M.E. Aulton Dosage Form Design, 11-30.
3. Alamgir, A.N.M. Drugs: Their Natural, Synthetic, and Biosynthetic Sources. In: Therapeutic Use of Medicinal Plants and Their Extracts: Volume 1. Progress in Drug Research, 2017; 73 Springer, Cham.
4. B Shekhawat P, B Pokharkar V. Understanding peroral absorption: regulatory aspects and contemporary approaches to tackling solubility and permeability hurdles. Acta Pharm Sin B., May 2017; 7(3): 260-280.
5. Chow SC. Bioavailability and Bioequivalence in Drug Development. Wiley Interdiscip Rev Comput Stat., 2014; 6(4): 304-312.
6. Daryaee, F., & Tonge, P. J. Pharmacokinetic-pharmacodynamic models that incorporate drug-target binding kinetics. Current opinion in chemical biology, 2019; 50: 120–127.
7. A Sayed; S Sharma, Int. J. of Biopharm. & Toxi., 2011; 1(1): 25-46.
8. J. Swarbrick; JC Boylan; L Augsburger. Tablet formulation, Encyclopedia of pharmaceutical technology, 2nd Ed., Marcel Dekker, New York, 2002; 2711.
9. Mamidala R , Ramana V, Yamsani M, Factor influencing the design and performance of oral sustained/controlled release dosage form, International journal of pharmaceutical sciences and Nanotechnology, 2009; 2: 583-594.
10. Zameruddin M, Namdev H, Jadhav S B, Kadam V S, Bharkd V B, Recent Advances of Sustained Release Oral Drug Delivery System: A Review, World Journal of Pharmacy and Pharmaceutical Science, 2014; 3: 1477-1490.
11. Qiu Y, Zhang G. Research and development aspects of oral controlled release dosage forms. Handbook of pharmaceutical controlled release technology.1st Indian Ed. Replika press. New York, 2005; 465-503.
12. Chien YW. Oral drug delivery systems in novel drug delivery pharmaceutical technology. Marcel Dekker Inc. New York. Basel, 1992; 152-96.

13. Chen X, Wen H, Park K. Challenges and new technologies of oral controlled release. Oral Controlled Release Formulation Design and Drug Delivery: Theory to Practice, 2010; 257-77.
14. Guedeney N., Cornu M., Schwalen F., et al. (2023) - PROTAC technology: A new drug design for chemical biology with many challenges in drug discovery. Drug Discov. Today, 28: 103395.
15. Weng G., Cai X., Cao D., et al. (2023) - PROTAC-DB 2.0: An updated database of PROTACs. Nucleic Acids Res, 6: D1367–D1372.
16. Deep Raj S (2024) - Biopharmaceutical Formulation Development: Challenges and Innovations. Clin Pharmacol Biopharm, 13: 462.
17. Yukun K (2024) - Clinical Implications of Biopharmaceutics in Drug Development. J Appl Pharm., 16: 420.