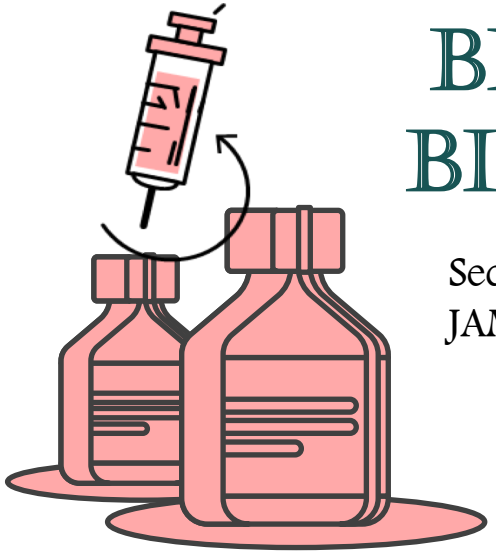
Libyan International Medical University

Faculty of Pharmacy

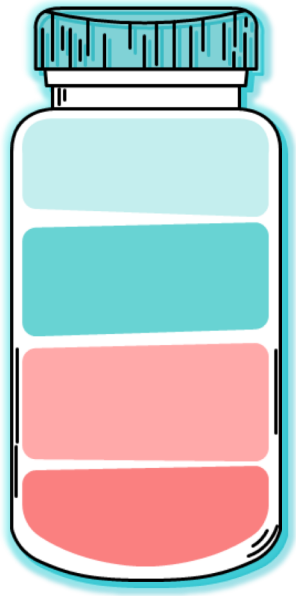
BIOAVAILABILITY & BIOPHARMACEUTICS



Second year: SAFA JAMAL 2658, NARIMAN JAMAL 2453, BALAQES MATHI 2649

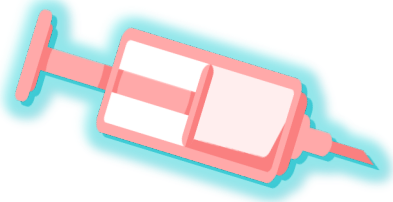
INTENDED LEARNING OUTCOMES

1. *Define bioavailability.*
2. *Explain Bioavailability studies.*
3. *Discuss are the factors influencing Bioavailability.*
4. *Define bio pharmaceutical.*
5. *Define(ADME) and (Pharmacokinetics).*
6. *List Drug Product Performance Parameters.*



Bioavailability:

- It is the ratio of the drug that is absorbed and actually reaches the blood plasma without change in the state of rotation to the actual dose that was given to the person, and the bioavailability of doses administered intravenously or by mouth.

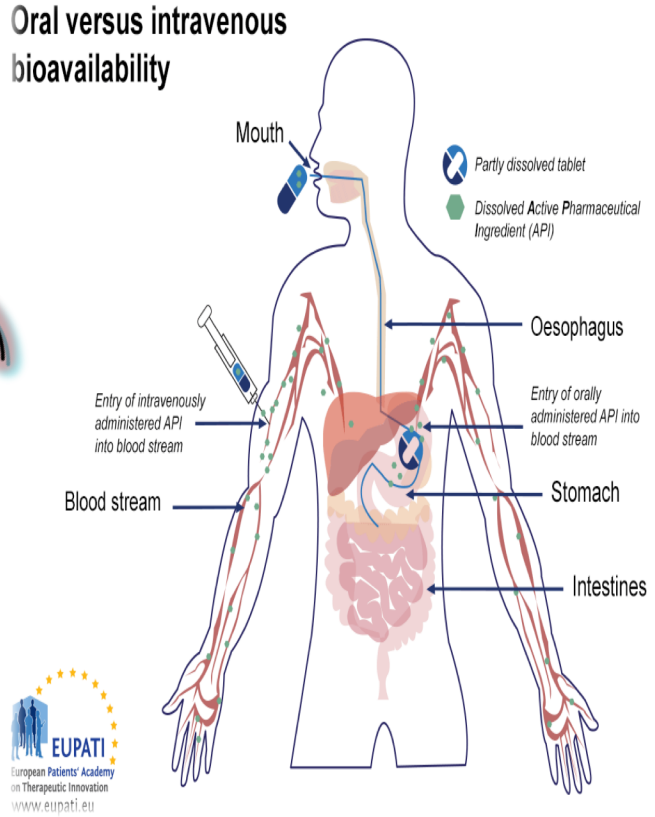


Bioavailability studies?

- During primary stages of development of suitable dosage forms of new drug entity .
- Determination of influence of excipients , patient related factors & possible interaction with other drugs on the efficiency of absorption .
- Development of new formulations of existing drugs.

- Bioavailability of drug from dosage form depends upon following.
- Route of administration Patient related factors Physicochemical properties of the drug Characteristics of the dosage form.

- Bioavailability , Indicates measurement of the rate and extent (amount) of therapeutically active drug that reaches the systemic circulation and is available at the site of action.



Factors Influencing Bioavailability

- Pharmaceutical factors.
- Pharmacological factors.

❑ ***Pharmaceutical factors:***

A) Particle Size:

The rate at which a drug is dissolved can be increased by increasing its surface area by decreasing its piratical size.

B) Salt Form:

The rate at which a particular salt dissolves differs from its parent compound.

Salts of weakly acidic drugs are highly water soluble, free acidic drugs is precipitated from these salts is micro crystalline form, which has a faster dissolution rate and increases bioavailability.

c) Water of Hydration:

Many drugs incorporate water to produce a crystalline form called hydrates.

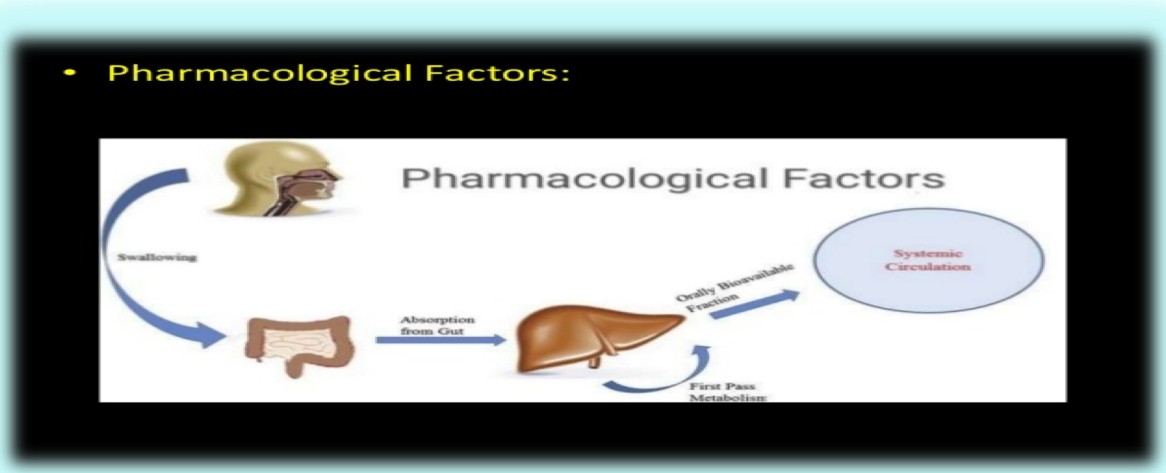
If water molecules are already present in a crystal structure, the tendency of the crystal to attract additional water to initiate dissolution process is reduced, compared to anhydrous forms.

Pharmacological Factors:

A) Gastric Emptying and Gastrointestinal Motility:

Factors that accelerate gastric emptying increases the bioavailability.

This is because the drug is exposed to the larger surface area of the small intestine.



B) Gastrointestinal Diseases

There are many gastrointestinal diseases which have an effect on drug absorption, the outcome of Coeliac disease is complex, it increases the absorption of cephalexin, whereas reduces of amoxycillin.

In case of Crohn's disease, there is disproportionate absorption of individual components of cotrimoxazole, increases absorption of sulfamethoxazole, decreases of trimethoprim.

C) Food and Other Substances

In general, GI absorption rate is reduced after ingestion of food, although it has no effect on extend of absorption.

Both rate and extend of absorption of certain antibiotics like rifampicin is reduced after meals.

Biopharmaceutics

Biopharmaceutics: is the study of how the physicochemical properties of drugs, dosage forms and routes of administration affect the rate and extent of the drug absorption.

- Thus, bio pharmaceutics involves factors that influence the:

1) protection and stability of the drug within the product.
2) the rate of drug release from the product.
3) the rate of dissolution of the drug at the absorption site.
4) the availability of the drug at its site of action.

(ADME) and (Pharmacokinetics)

- ***ADME:*** is an acronym in pharmacokinetics and pharmacology for absorption, distribution, metabolism, and excretion, and describes the disposition of a pharmaceutical compound within an organism.

Absorption: is the process of a substance entering the body.

Distribution: is the dispersion of substances throughout the fluids and tissues of the body.

Metabolism: is the irreversible transformation of parent compounds into daughter metabolites.

Excretion: is the elimination of the substances from the body.

- ***Pharmacokinetics:*** The study and characterization of the time course (kinetics) of drug absorption, distribution, metabolism and elimination (ADME).

Drug Product Performance Parameters:

1- Minimum effective concentration (MEC): The minimum concentration of drug needed at the receptors to produce the desired pharmacologic effect.

2- Minimum toxic concentration (MTC): The drug concentration needed to just produce a toxic effect.

3- Onset time: The time required for the drug to reach the MEC.

4- Duration of action: The difference between the onset time and the time for the drug to decline back to the MEC.

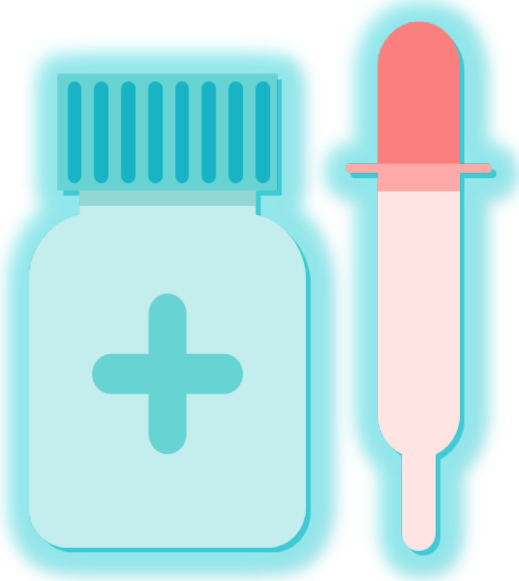
5- The time of peak plasma level: The time of maximum drug concentration in the plasma and is proportional to the rate of drug absorption.

6- The peak plasma level: The maximum drug concentration, usually related to the dose and the rate constants for absorption and elimination of the drug.

7- Area under the curve: It is related to the amount of drug absorbed systemically.

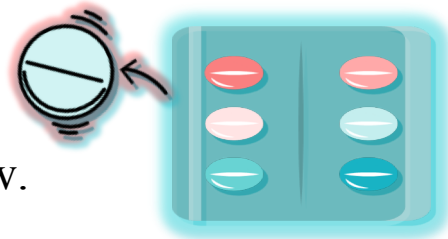
Summary

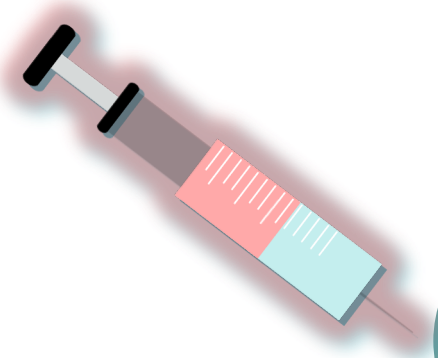
- Bioavailability is the rate and extent of drug absorption , and the bioavailability of doses administered intravenously or by mouth.
- Biopharmaceutics the study of how the physicochemical properties of drugs, dosage forms and routes of administration affect the rate and extent of drug absorption.



➢ *References:*

- https://www.amrutpharm.co.in/doc/ppt/BIOPHARMACEUTICS_IV.pdf.
- https://www.slideshare.net/bknanjwade/bioavailability-73395359.
- https://www.glocaluniversity.edu.in/files/eContent/eDpharma/Factors%20Affecting%20Bioavailability.pdf.
- https://www.google.com/url?sa=i&url=https%3A%2F%2Fwww.
- https://www.google.com/url?sa=i&url=https%3A%2F%2Ftoolbox.eupati.eu%2Fresources%2Fbioavailability.





THANK YOU