ROLE OF BIOAVAILABILITY

By Dr Ayesha Jamil

- Define bioavailability
- Define area under the curve
- Enlist and explain the factors effecting bioavailability
- Define first pass effect
- Define Bioequivilence

What is bioavailability

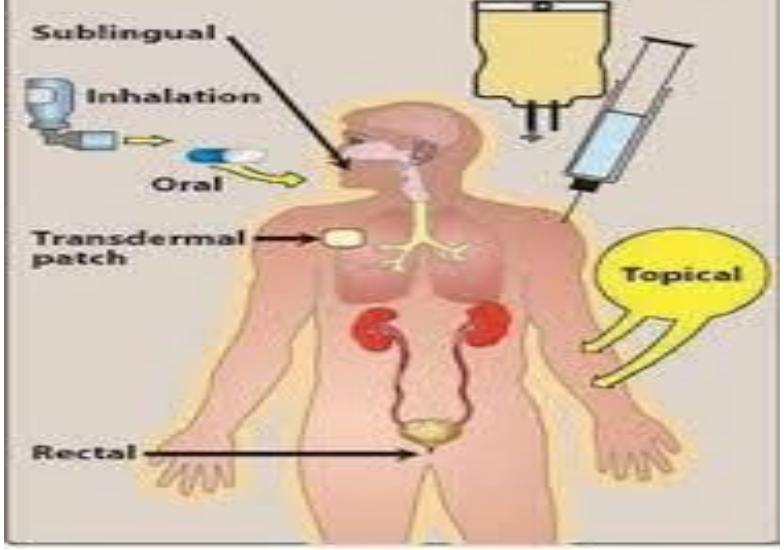
Bio: living organisms

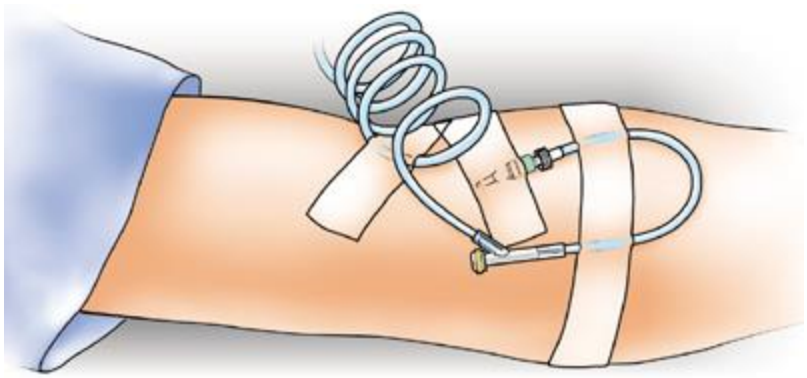
availibility: available for action

It is the rate and extent with which the drug reaches the general circulation in an unchanged form.

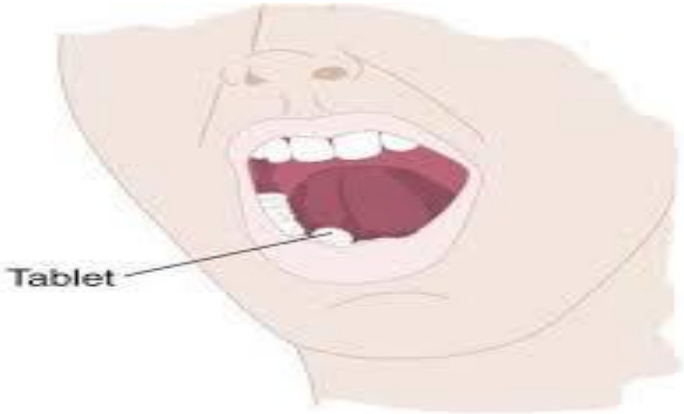
It is the fraction of unchanged drug that reaches the systemic circulation administered through any route.

The rate and extent of drug absorbed from its dosage form is Bioavailability

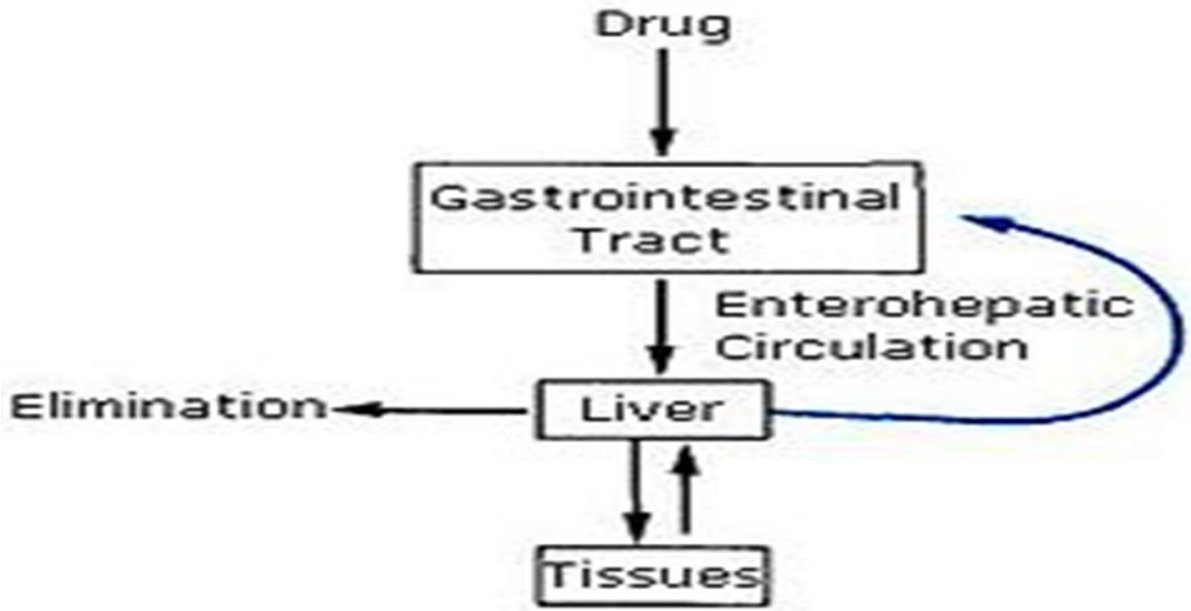




I/V Route
100% Bioavailibility



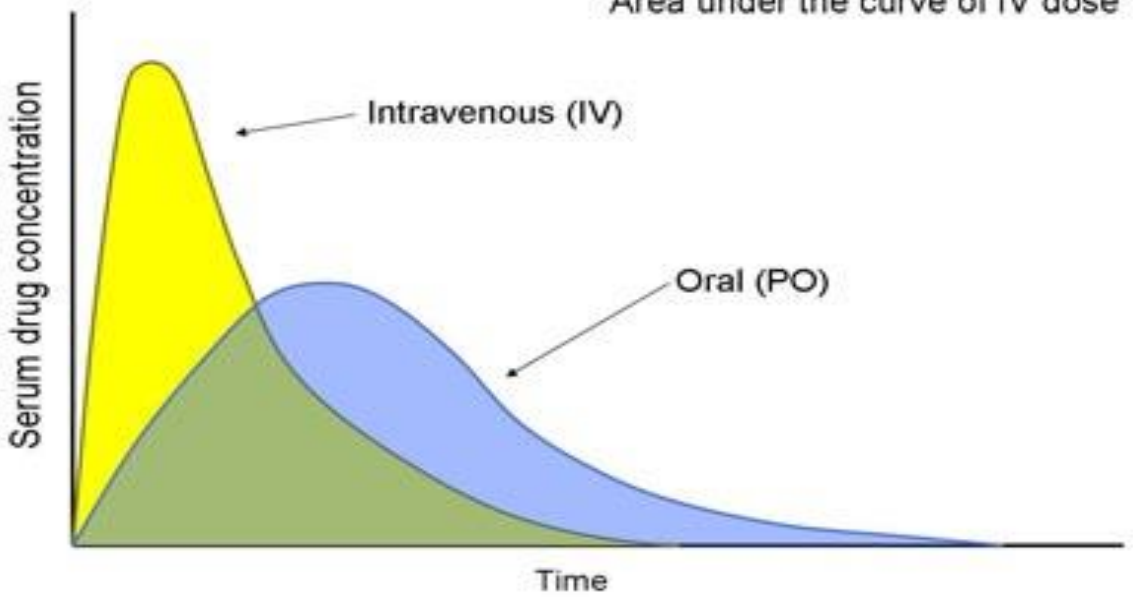
Always less than 100%



AREA UNDER CURVE (AUC)

- Area under the curve gives a true picture of bioavailability.

$$\text{Bioavailability} = \frac{\text{Area under the curve of oral dose}}{\text{Area under the curve of IV dose}}$$



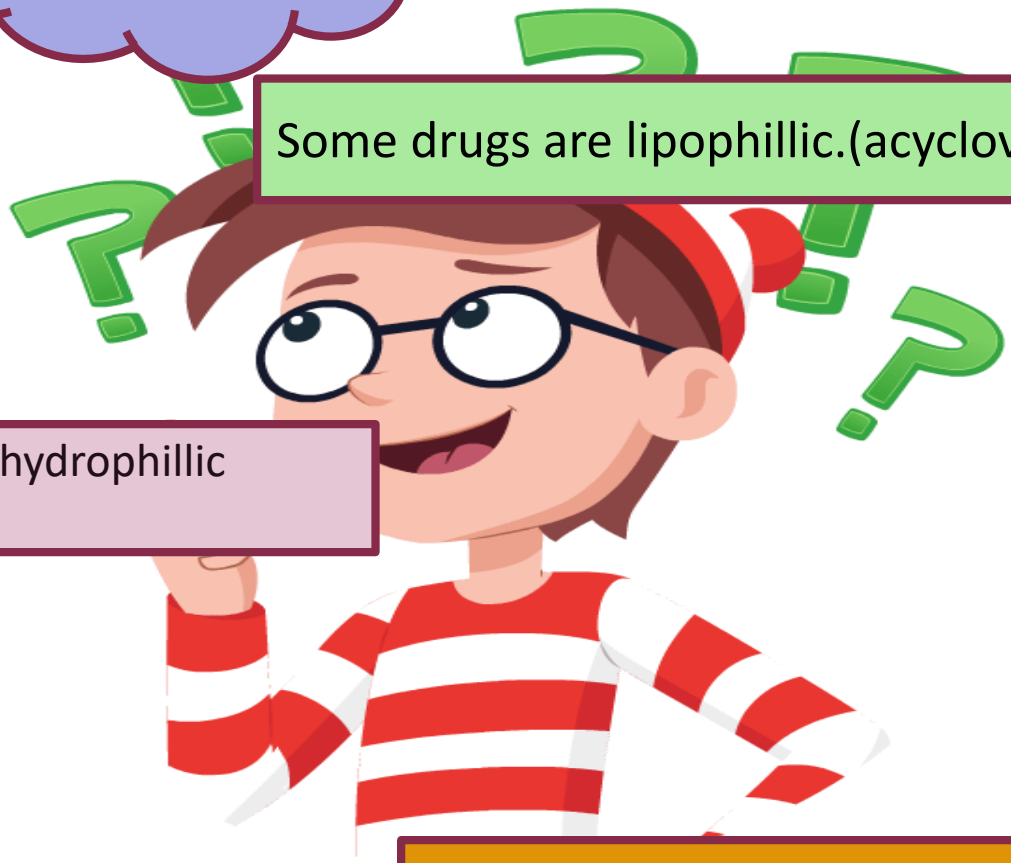
- Bioavailability is considered to be 100 % if the drug is given by i/v route.
- For other routes it is considered to be less than 100%.

Factors effecting Bioavailability

Lesser Absorption

First pass effect

How does absorption effect BA

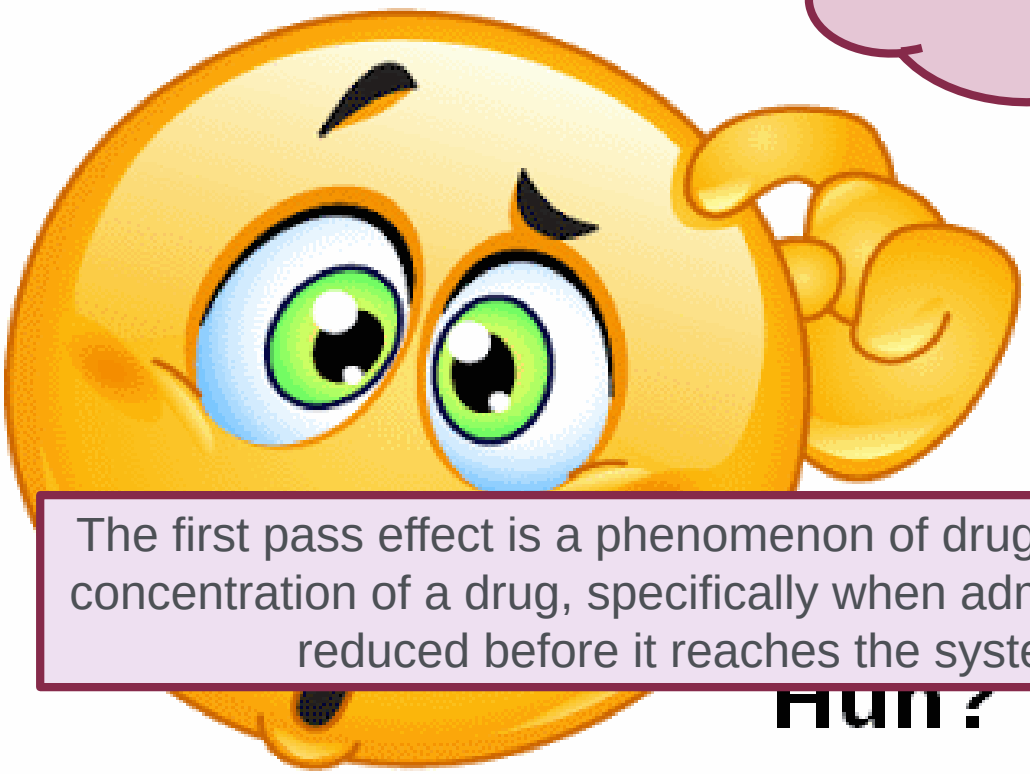


Some drugs are lipophillic.(acyclovir)

Some drugs are hydrophillic (atenolol)

Presence of P glycoprotein pumps(anti tumor drugs)

What is first pass effect?
How does it effect B.A ?



The first pass effect is a phenomenon of drug metabolism whereby the concentration of a drug, specifically when administered orally, is greatly reduced before it reaches the systemic circulation

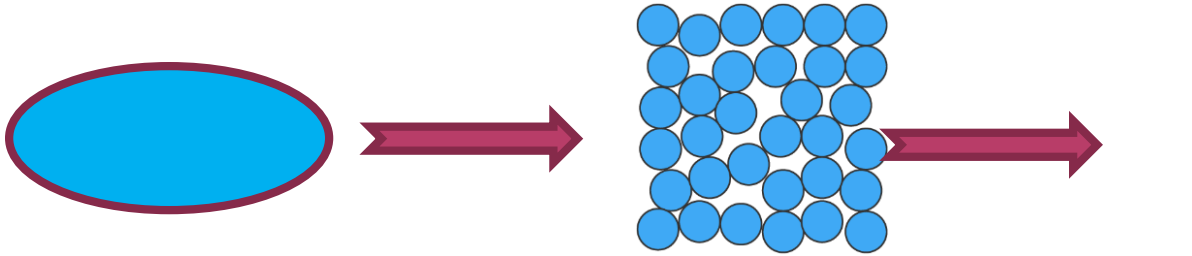
First pass effect if expressed as
ER=CL(liver)**/Q**

ENTERO HEPATIC CIRCULATION

Some drugs are excreted via bile. These drugs will be reabsorbed after reaching the intestines and the cycle will be repeated ---such recycling is called entero-hepatic circulation.

Entero-hepatic circulation increases the bioavailability.

Effect of Composition of drug on Bioavailability



Very limited dissolution

limited dissolution

Best dissolution

Poor absorption and minimum B.A

Moderate absorption better B.A

Best absorption absorption and higher B.A

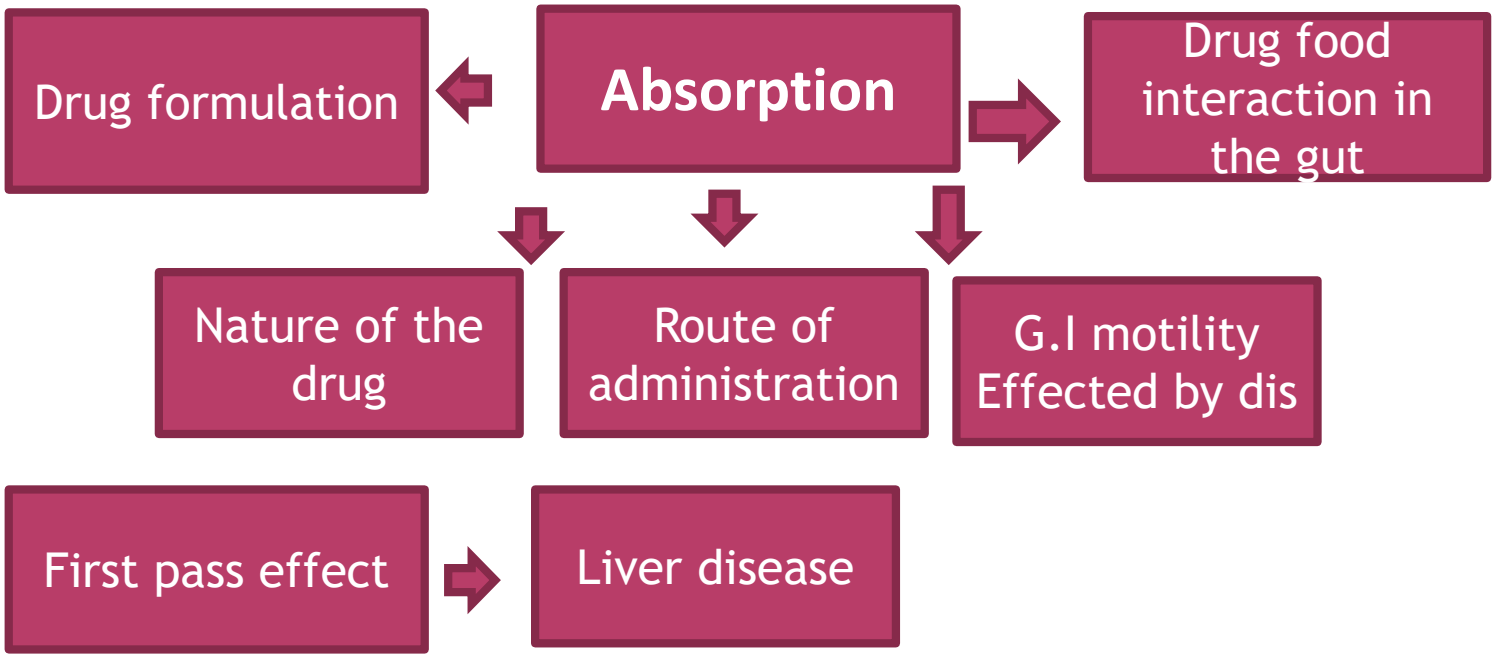
Chemical nature of the drugs

Chemical instability

insulin

Summary

Factors effecting bioavailablity are



BIOAVAILABILITY BY DIFFERENT ROUTES

- i/v 100%
- Transdermal 80—100%
- s/c i/m 75 -100%
- Rectal route 30—100%
- Oral 5—100%

Bioequivalence

Same drug of same dosage strength prepared by different manufactures having comparable bioavailability and requiring same time to achieve peak plasma concentrations are said to be bioequivalent.

Same drug prepared by different manufactures in same dosage strength but not exhibiting similalar bioavailablity and having different time periods to achieve peak plasma concentrations are said to be bioinequivalent.

Causes of BioInquivalence

Different formulation technique used.

Different method and equipment used

Different material like solvents,binders,dyes,coating materials and disintegrents used


Thank You!