Bioavailabity

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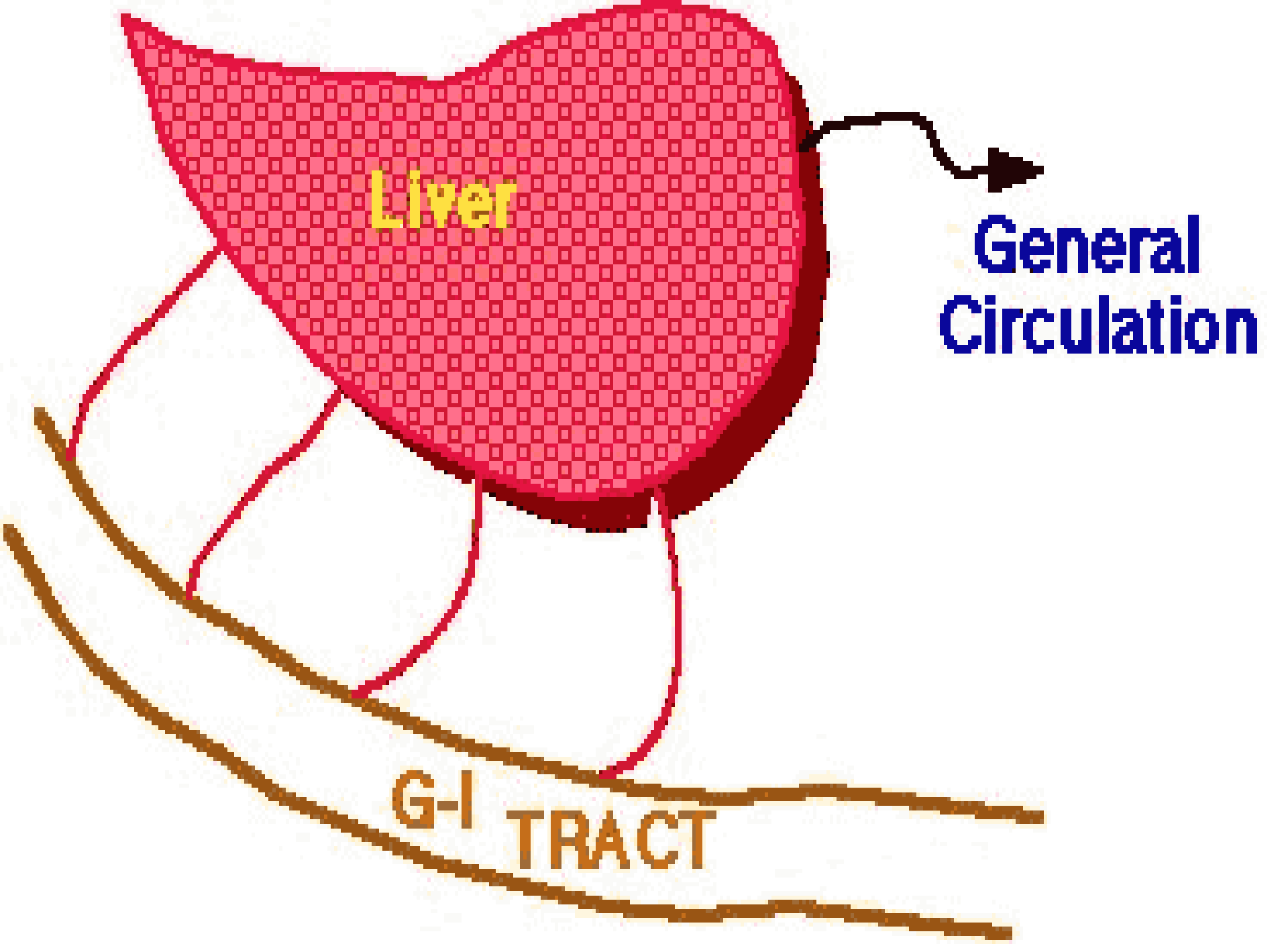
Defination

- 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.


Liver
General
Circulation
G-I TRACT

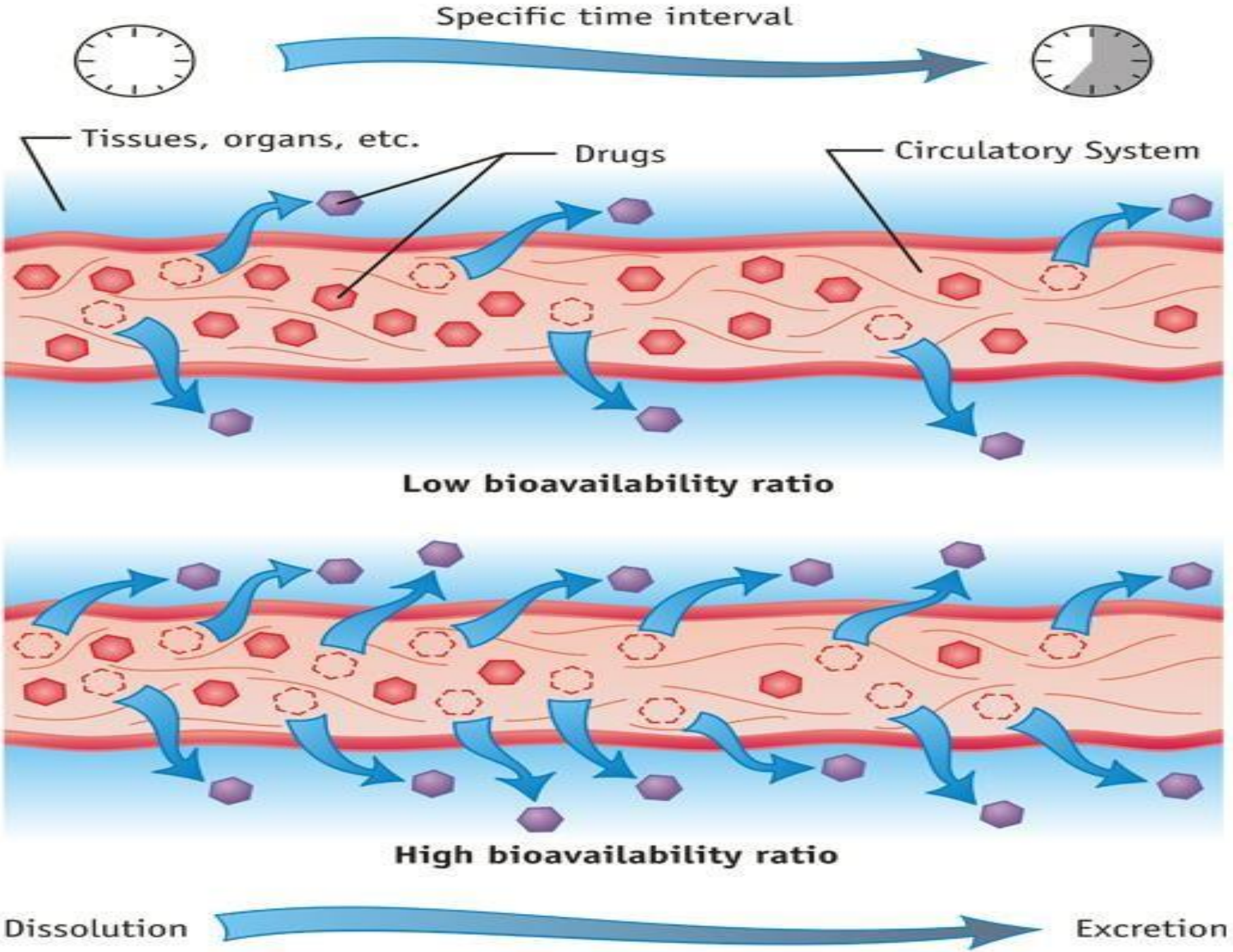
Area under the curve (AUC)

- The area under the curve gives us the true picture of bioavailability.
- It is the obtained by plotting concentration against the time.

- **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%. The causes of lesser than 100% B.A are**
- **Lesser absorption**
- **First pass metabolism**

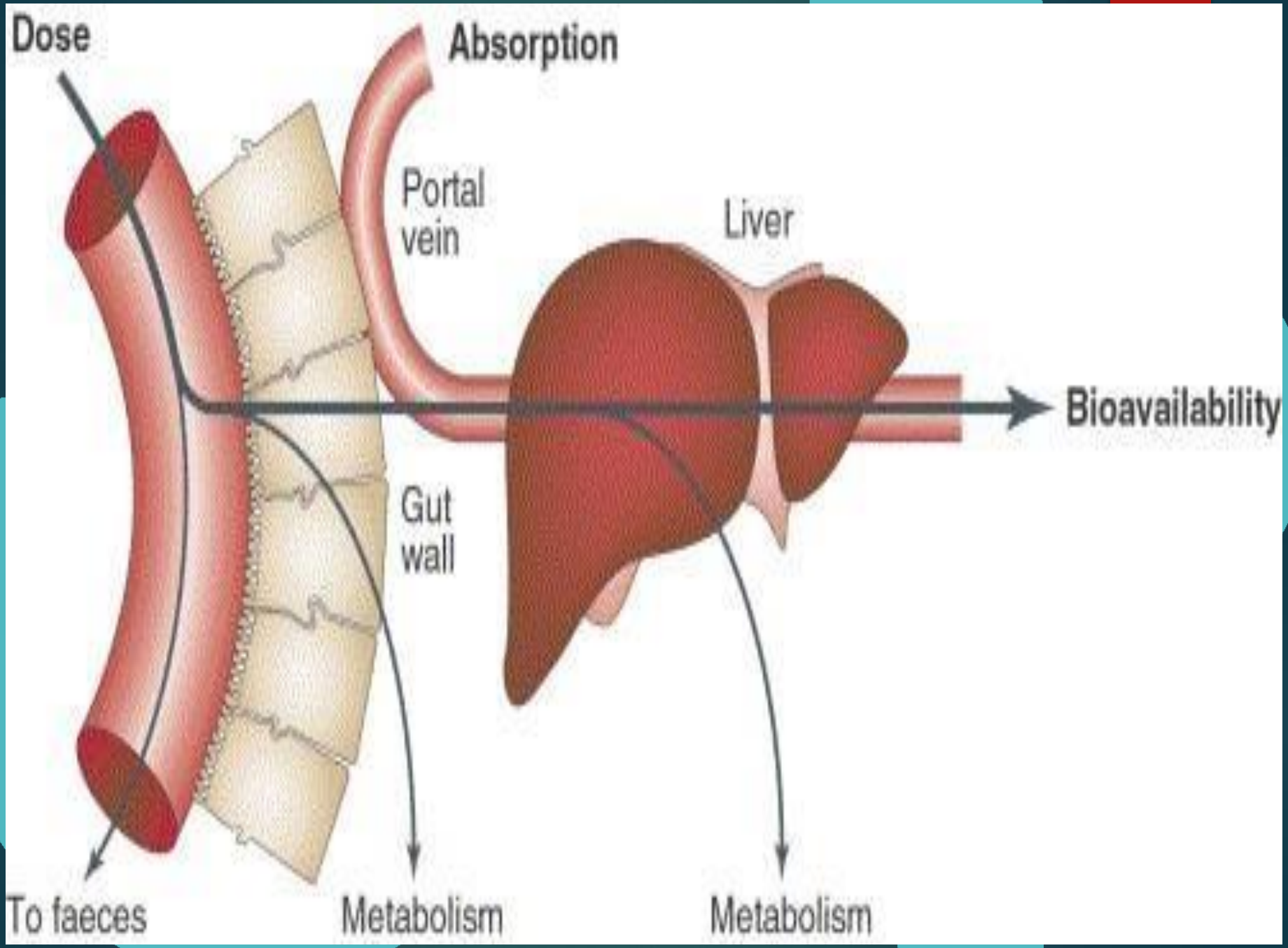
Lesser absorption

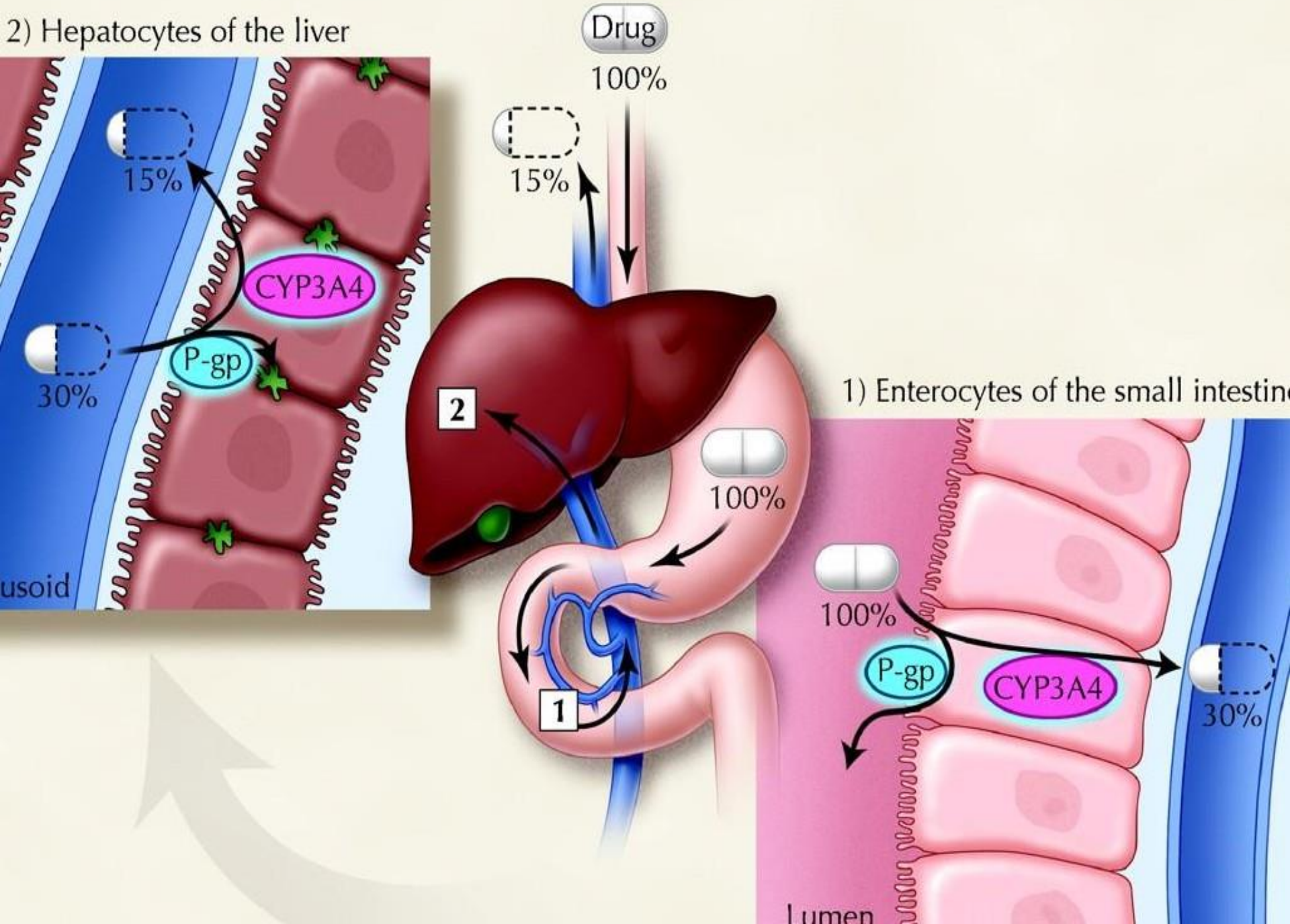
- Some drugs are lipophillic.(acyclovir)
- Some drugs are hydrophillic (atenolol)
- Presence of P glycoprotein pumps(anti tumor drugs)


Specific time interval
Tissues, organs, etc.
Drugs
Circulatory System
Low bioavailability ratio
High bioavailability ratio
Dissolution
Excretion

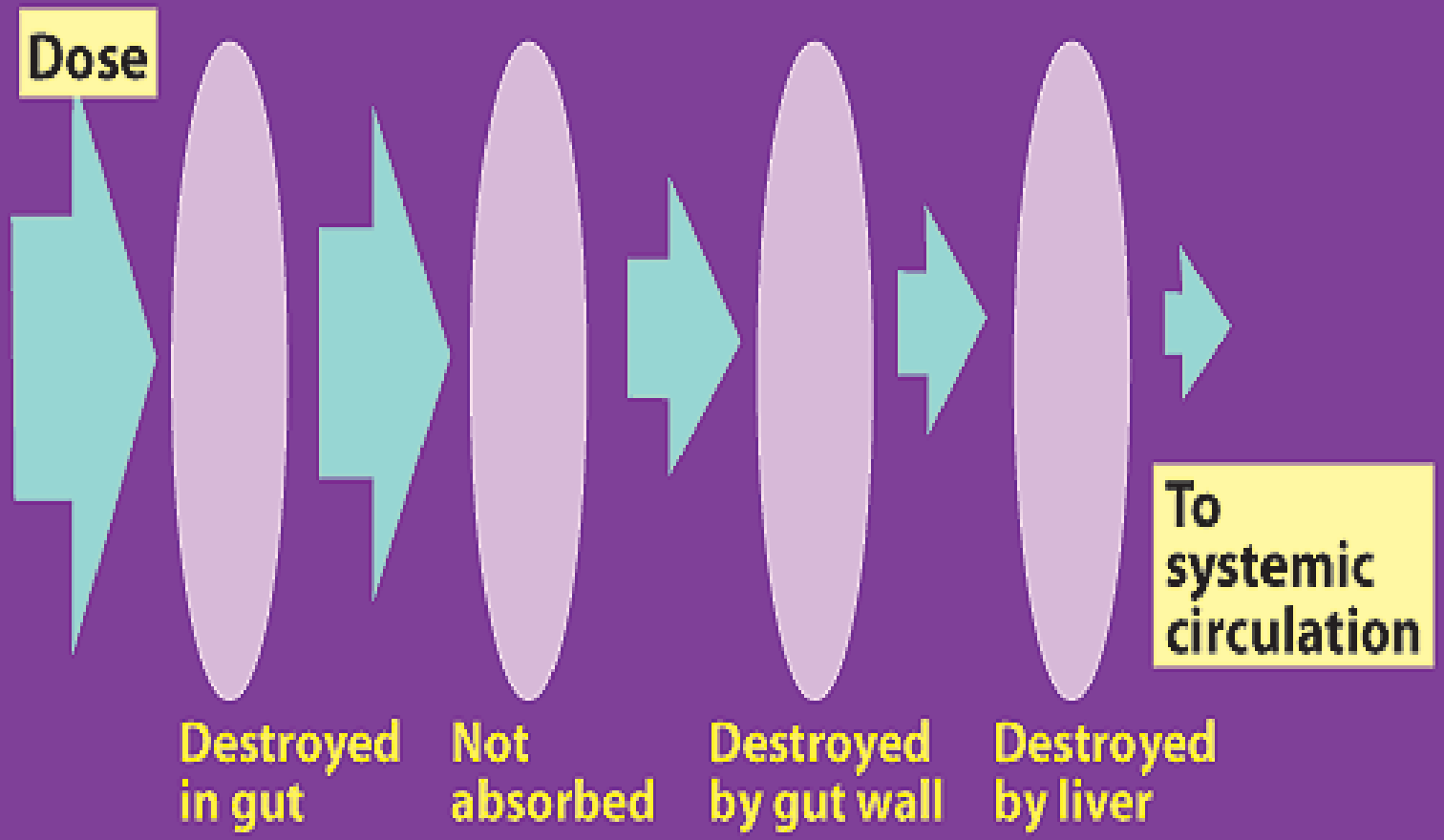
First pass metabolism

- In the intestines CYP3A4 enzymes.
- From bowel to portal circulation and then to the liver.
- ER=CL/Q


Dose
Absorption
Portal vein
Liver
Bioavailability
Gut wall
To faeces
Metabolism
Metabolism


2) Hepatocytes of the liver
Drug
100%
15%
15%
CYP3A4
P-gp
30%
usoid
2
100%
1) Enterocytes of the small intestin
100%
P-gp
CYP3A4
30%
1
Lumen

Factors that decrease oral bioavailability



Fate of the drug

- Fate of the drug determines the bioavailability.
- It depends upon the
- 1)dosage form---disintegration----dissolution----absorption -

  --distribution---metabolism---elimination.

Calculation of bioavailability

- A drug can have 100 % absorption but its bioavailability can be much less than 100%. For example morphine is 100% absorbed but its extraction ratio (ER) is only 33%

- F= f x (1-ER)
- F= 100x (1-.67)
- F= 100 x (0.33)
- F= 33%

Factors effecting the bioavailability

Absorption

Drug formulation

Route of adminstration

- Time required for disintrigation
- Tab > cap, susp > syp
- Inactivation in gut lumen or bowel wall e.g levodopa.

Factors effecting bioavailability

- PH of the gut e.g insulin
- Gastric emptying time and the gut motility
- Certain disease states of the gut
- Interaction in the gut with the other drugs and food e.g tetracyclines with milk

Bioavailability by different routes

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

Importance of bioavailability

- Dose regimen
- Toxicology and safety of the drug
- Dose recommendations in the children ,elderly and also in those with the renal or hepatic insufficiency.
- effect of meals and dosing.

Bioequivilance

- Two pharmaceutically equivalent drug preparations are labeled to be bio-equivilent when they show equal bioavailability ,same parameters of bioavailability and also require similar duration of time to achieve the peak plasma concentration.

Example of bioequivilance

- ***Panadol***
  - 500mg
  - Paracetamol
  - tablet
  - Oral
  - 88%

Colopol

500mg (dose) paracetamol(ingredients) tablet (dosage form) oral (route of administration) 88% (B.A)


Thank You