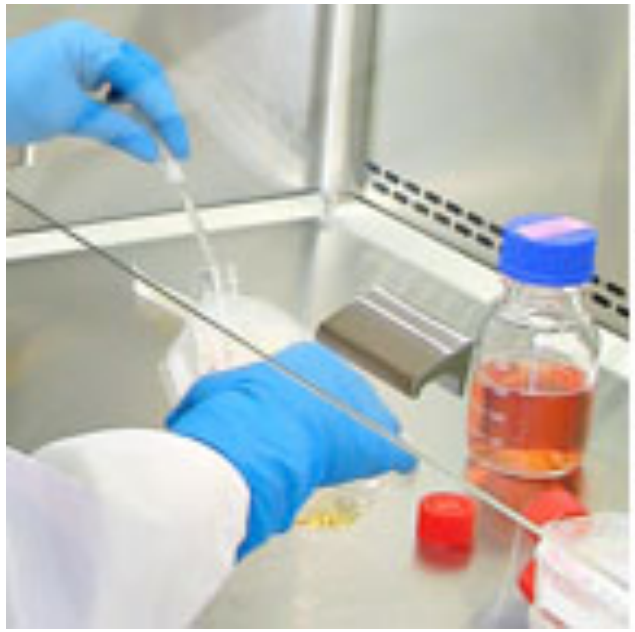
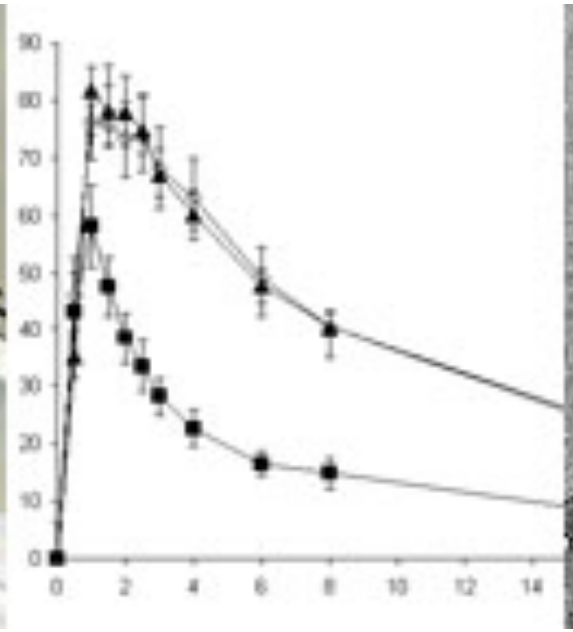
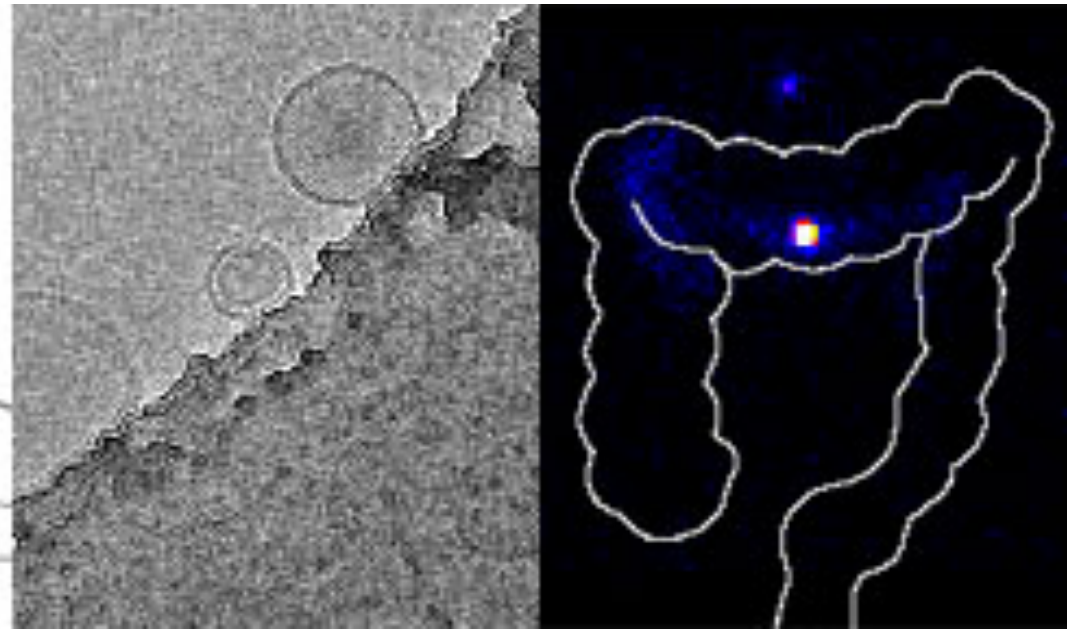
Biopharmaceutics

Introduction







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Introduction to Biopharmaceutics

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

Solubility, particle size, dosage form ,pka

an inactive substance that serves as the vehicle or medium for a drug or other active substance: excipients are things like coloring agents, preservatives

- **Thus**, biopharmaceutics 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; and
4. The availability of the drug at its site of action .

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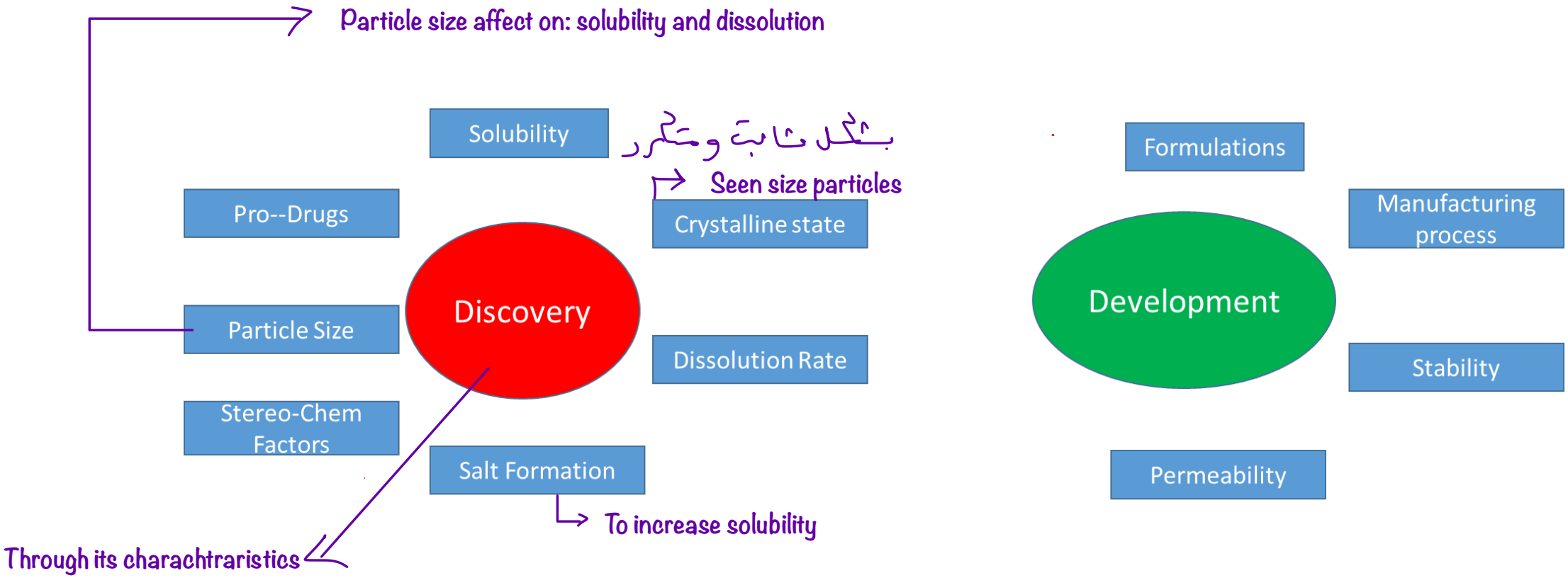
- The Scheme below is demonstrating the importance of biopharmaceutics in the drug discovery and development.



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- **ADME:** is an acronym in pharmacokinetics (PK) and pharmacology for **a**bsorption, **d**istribution, **m**etabolism, and **e**xcretion, and describes the disposition of a pharmaceutical compound within an organism.

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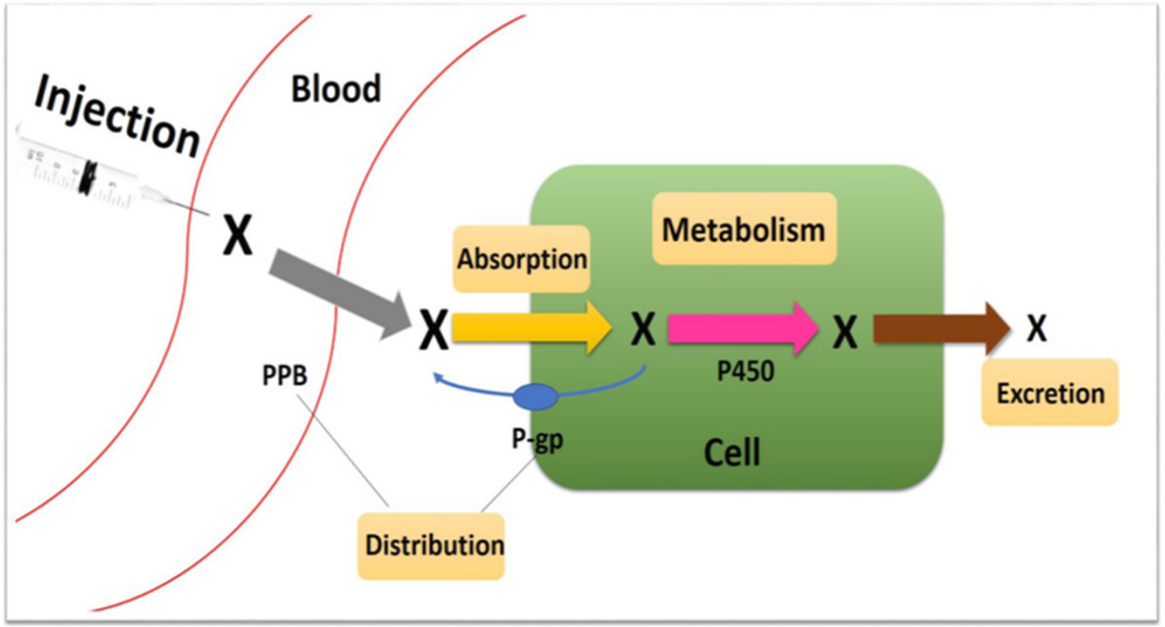
- **Pharmacokinetics (PK)**: The study and characterization of the time course (kinetics) of drug absorption, distribution, metabolism and elimination (ADME).



- **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.

↳ Through renal, lungs.

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- **Basic PK considerations**

Delivered unchanged

100 mg of drugs → 70mg → So, the bioavailability= $\frac{70}{100}$ = 70% BA

➢ **Bioavailability (BA):** The rate and extent of drug absorption, and **Bioavailable dose** is expressed as the fraction of an administered dose of a particular drug that reaches the systemic circulation intact (unchanged drug).

- **For example**: if 100 mg of a drug x is administrated orally, and 60 mg of this drug absorbed unchanged, the bioavailability is 0.6 is sixty percent.

*BA of iv=100% because it enters directly into the blood without any loss.

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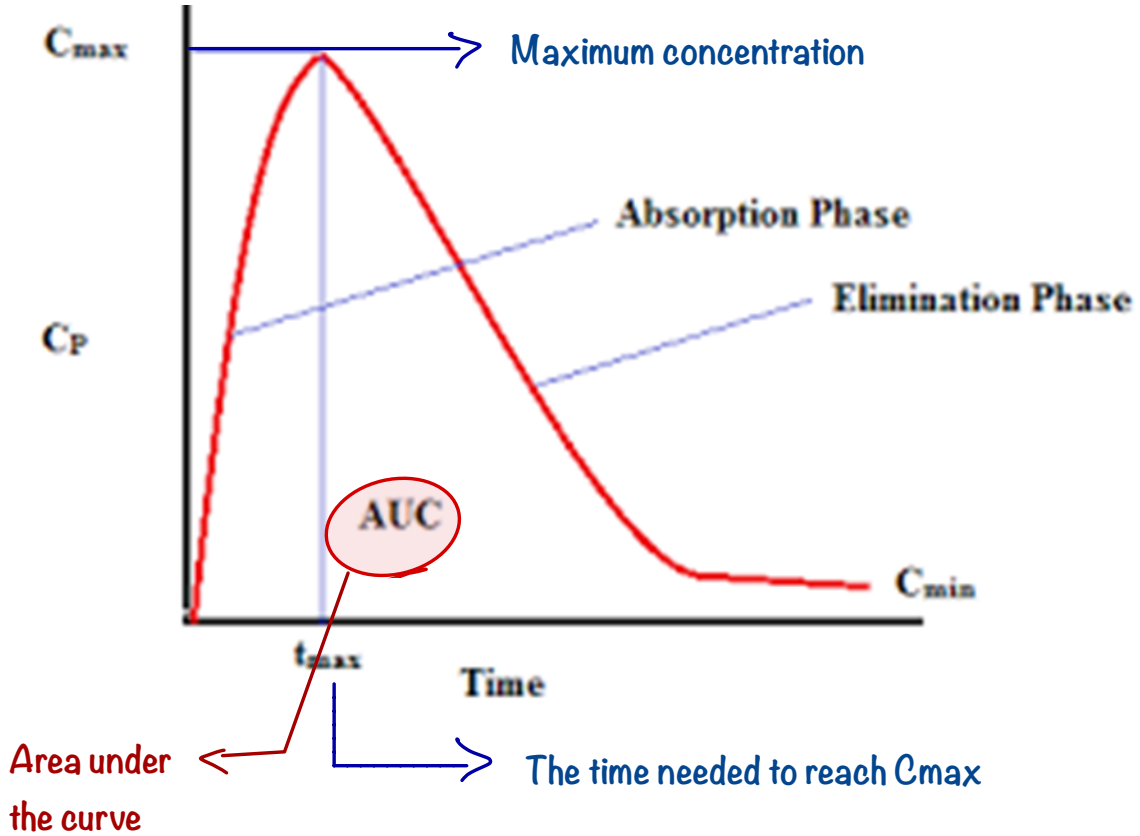
➢ Plasma level-time curve:

Drug absorption from the intestine is higher than in the stomach since it has larger surface area.

- The plasma level-time curve is generated by measuring the drug concentration in plasma samples taken at various time intervals after a drug product is administered.

- The concentration of drug in each plasma sample is plotted against the corresponding time at which the plasma sample was removed.



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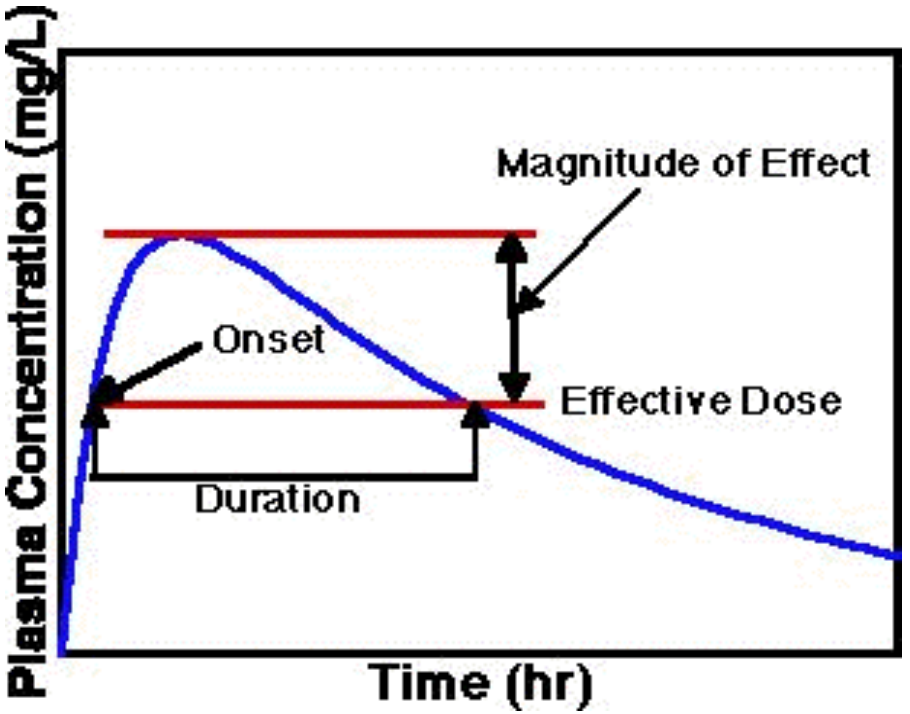
➢ Drug Product Performance Parameters:

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

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

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

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



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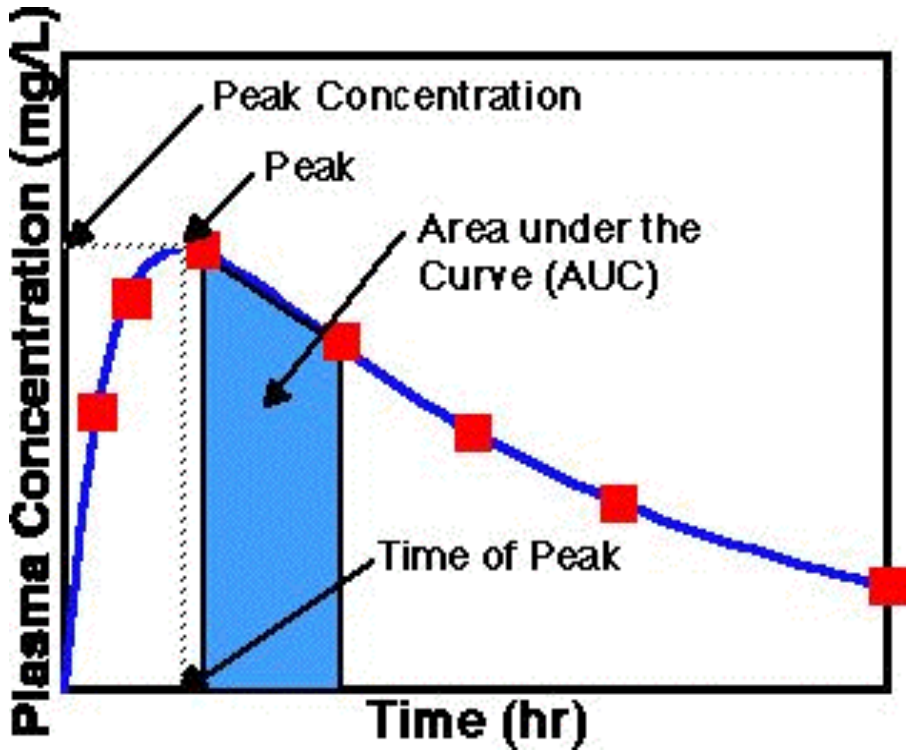
5. The time of peak plasma level: The time of maximum drug concentration in the plasma and is proportional to the rate of drug absorption.

→ Maximum plasma concentration

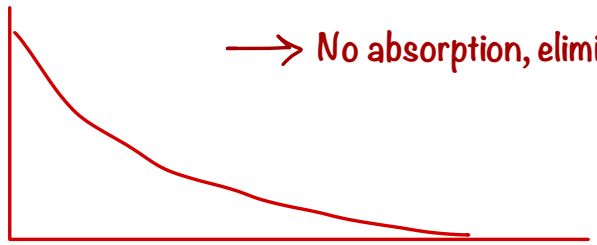
6. The peak plasma level: The maximum drug concentration, usually related to the dose and the rate constants for absorption and elimination of the drug.

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



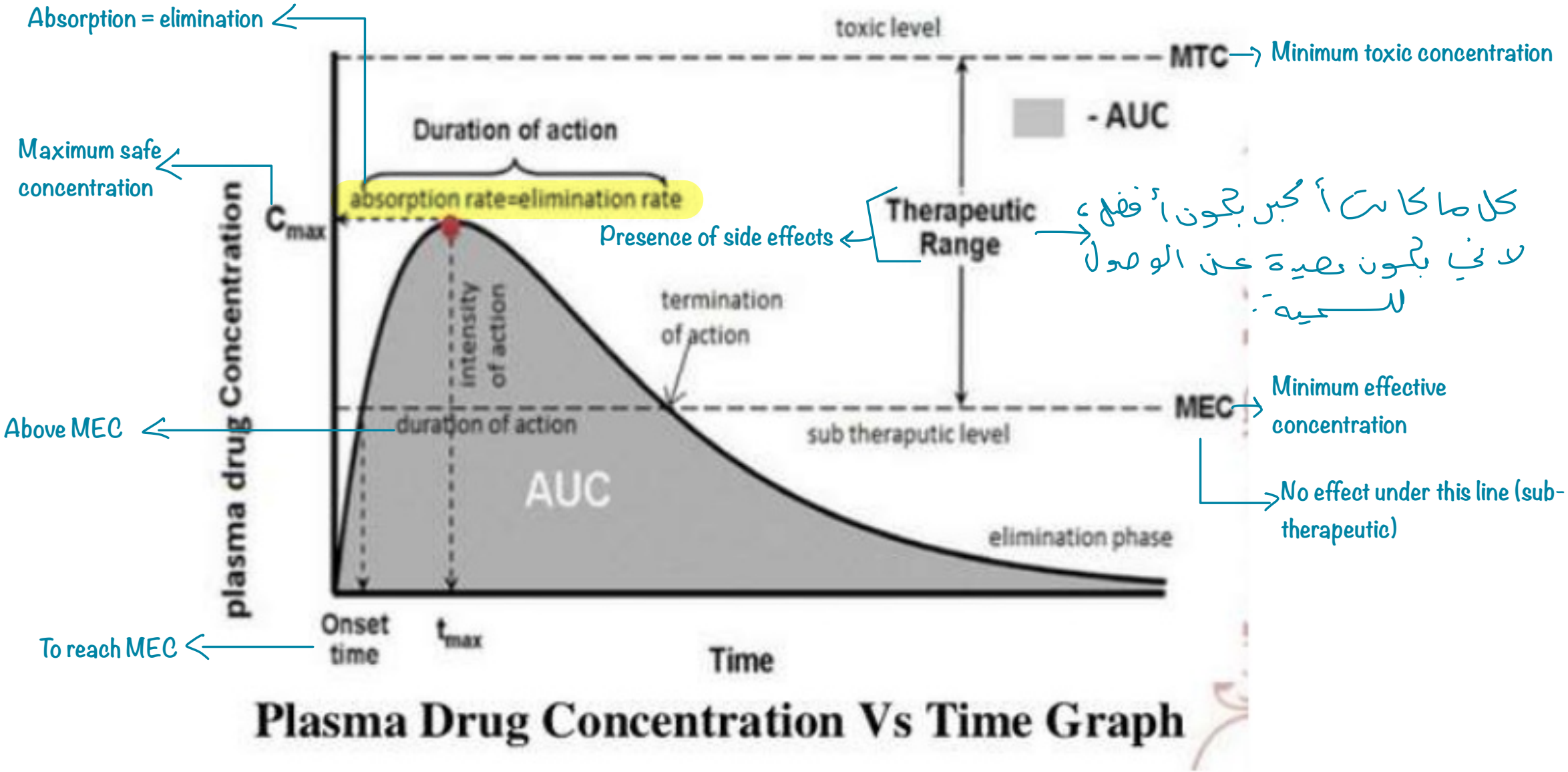
* IV:

→ No absorption, elimination starts directly



*BA = 100%

Basic PK considerations



Plasma Drug Concentration Vs Time Graph