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Bioavailability enhancement

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Abstract

The rate and extent (amount) of unmodified medication absorption from its dose form is referred to as bioavailability. It is one of the critical criteria for achieving optimal medication concentration in the systemic circulation. Bioavailability is a significant factor of a drug's therapeutic efficacy, which is determined by the drug's solubility in gastro intestinal fluid. Poor water solubility, sluggish dissolution rate, poor stability of dissolved drug at physiological pH, poor penetration through biological membrane, and extensive first pass metabolism are all signs of a medication with poor bioavailability. To achieve therapeutic plasma concentrations after oral administration of medicines that are weakly water soluble, substantial doses are required.The main issue is low aqueous solubility. Poor solubility continues to be a key difficulty for the pharmaceutical business, which is increasingly recognised as a critical subject in biomedical research. Any medicine that needs to be absorbed must be in the form of an aqueous solution on the absorption side. This article discusses numerous ways for increasing medication bioavailability. Size reduction, solubilizing excipients, colloidal drug delivery systems, Ph adjustment, solid dispersion, complexation, co-solvency micellarsolubilization, hydrotropy, and other approaches are among them.

Keywords: Medication; Bioavailability; Biological membrane; Solubility

1. Introduction

The percentage of an administered dose of unmodified drug that enters systemic circulation is referred to as bioavailability, which is one of the major pharmacokinetic features of pharmaceuticals. The bioavailability of a medication delivered intravenously is 100 percent. The rate and extent to which the active drug moiety is absorbed from the drug product and becomes available at the site of action are indirectly represented by the amount of drug in plasma measured at specified time intervals.

The solubility and permeability properties of drugs are used to classify them. Drugs are divided into four classes by the Biopharmaceutical Classification System (BCS): Class I, Class II, Class III, and Class IV. BCS Class II drugs are poorly soluble in water and have high permeability, making them attractive candidates for improving bioavailability simply by increasing solubility.

2. Bioavailability enhancement techniques

Improved solubility of poorly soluble medications can be achieved using a variety of ways. The following are some of the techniques that can be used:

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2.1. Traditional techniques

2.1.1. Use of co-Solvents

Increasing the solubility of a nonpolar medication by adding a water-miscible or partially miscible organic solvent is a popular and effective method. This is referred to as cosolvency, and the solvents used in conjunction to promote medication solubility are referred to as co-solvents. The co-solvent system operates by lowering the interfacial tension between the aqueous and hydrophobic solutes.

2.1.2. Hydrotropy method

Hydrotropy is a solubilization strategy that involves adding a significant amount of a second solute to increase the aqueous solubility of a third solute. Due to the presence of a considerable number of additives, hydrotropy causes an increase in solubility in water.

2.1.3. Micronization

The huge surface provided by the particle size reduction approach improves the solubility and dissolving rate of poorly water soluble medicines. Spray drying or air attrition technologies such as fluid energy mill, jet mill, rotor stator colloid mill, and others are used to reduce the size of the solid particle to 1 to 10 microns.

2.1.4. Use of surfactants

Surfactants have polar and non-polar ends and are amphiphilic in nature. The surface-active agent promotes wetting and penetration of the dissolving fluid into the solid drug particles, which increases dissolution rate.

2.1.5. Solvent deposition

The poorly water soluble medication is dissolved in an organic solvent such as alcohol and then placed over an inert, hydrophilic solid matrix such as starch or microcrystalline cellulose after the solvent has evaporated.

2.1.6. Precipitation

To precipitate the drug in nanosize particles, the poorly water soluble drug is dissolved in a suitable organic solvent and quickly mixed with a non-solvent. "Hydrosol" is another name for the finished product. Hydrosols are colloidal aqueous solutions for intravenous delivery that contain drug nanoparticles of poorly water-soluble medicines.

2.1.7. Use of hydrates or solvates

Inclusions, which are entrapped solvent molecules within the crystal lattice, can contain either stoichiometric or non-stoichiometric adducts in a crystalline compound. A stoichiometric adduct, also known as " Solvate," is a chemical complex in which the crystallising solvent molecules have been integrated into particular locations within the crystal lattice. The compound is named "Hydrate" when the integrated solvent is water. "Anhydrous" refers to a chemical that has no water in its crystal structure.

2.1.8. Use of prodrug

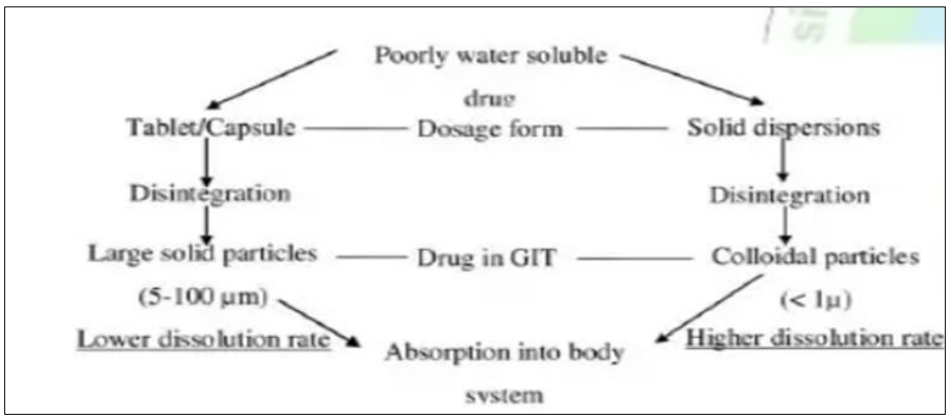

Figure 1 Schematic representation of the bioavailability enhancement of a poorly water soluble drug

A prodrug is a chemically modified inert drug precursor that releases the pharmacologically active parent molecule during biotransformation.

2.2. Newer techniques

2.2.1. Microemulsion technology

A microemulsion is an oil and water system that is fluid, transparent, and thermodynamically stable, and is stabilised by a surfactant and co-surfactant mixture. Microemulsions increase the bioavailability of poorly soluble drugs by solubilizing them in the excipient matrix or interface and dispersing them in the gastrointestinal tract.

2.2.2. Size reduction technologies

Nano formulations are among the most difficult to create. Not only must the drug particles be reduced to nanoparticle size, but they must also be stabilised and formed precisely to maintain the nanoparticles' nature and properties.

2.2.3. Solid dispersion

Solid dispersion is a phrase used to describe a collection of solid goods made up of at least two separate components, usually a hydrophilic matrix (carrier) and a hydrophobic medication. The matrix might be crystalline or amorphous in nature.

Solid dispersions accelerate the rate of dissolution of medications that are weakly water soluble in one of the following ways:

- Particle size reduction
- Increased wettability and dispersibility
- Converting a crystalline drug to an amorphous form
- Drug particle aggregation and agglomeration are reduced.

2.3. Eutectic mixtures

Fusion is also used to prepare the systems. Eutectics melts differ from solid solutions in that the fused melt of the solute solvent exhibits perfect miscibility but negligible miscibility, resulting in systems that are essentially a physically blended mixture of two crystalline components. Figure 1 shows a phase diagram of a two-component system.

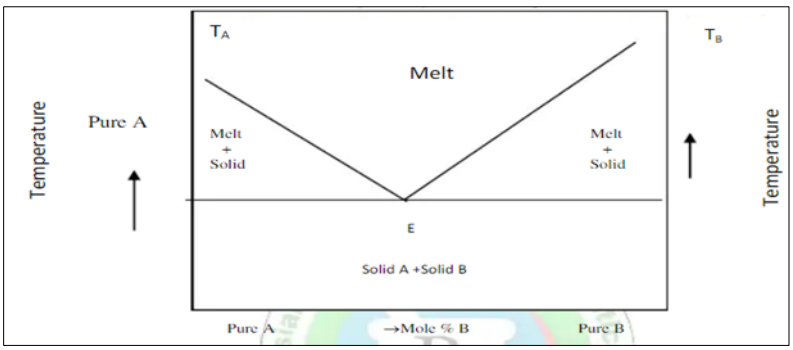

Figure 2 Simple binary phase diagram showing eutectic point E. The eutectic composition at point E of substance A and B represents the one having dose melting point T_A and T_B are melting points of pure A pure B

3. Conclusion

A pharmacological activity can only be noticed at the minimum effective concentration, hence the drug must be at a concentration that is higher than the MCE.

The importance of bioavailability studies can be summed up as follows:

- Creating an appropriate dosage form for a new drug entity.
- Creation of a new formulation for an established medicine.

- Determination of the impact of various parameters on absorption efficiency.

The various techniques described above alone or in combination can be used to enhance the bioavailability of poorly soluble drugs.

Compliance with ethical standards

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There is no conflict of interest between any author for this review.

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