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A review on: Solid dispersion

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Abstract

Solid dispersion, defined as the dispersion of one or more active ingredient in a carrier or matrix at solid state, is an efficient strategy for improving dissolution of poorly water-soluble drugs for enhancement of their bioavailability. Among all newly discovered chemical entities about 40% drugs are lipophilic and fail to reach market due to their poor water solubility. The solubility behaviour of drugs remains one of the most challenging aspects in formulation development. Solid dispersions have attracted considerable interest as an efficient means of improving the dissolution rate and hence the bioavailability of a range of hydrophobic drugs.

Key-Words: Solid dispersion, solubility, Poorly water soluble

Introduction

The work of Sekiguchi and Obi (1961) was the first to show the possibility of increasing oral absorption of a drug incorporated into a "eutectic mixture". Sulfathiazole as a "eutectic mixture" with urea showed higher oral absorption and excretion than ordinary sulfathiazole. The term 'solid-in-solid solutions' was first used by Levy (1963) and Kanig (1964) who indicated that many drugs could form 'solid-solid solutions' with mannitol.

Solid dispersion, as implied in its name, refers to the solid state where one substance is dispersed into another material. The substances can be mixed completely or partially, remaining several phase. Basically in this technique, a poorly soluble drug is dispersed in a highly soluble solid hydrophilic matrix, which enhances the dissolution of the drug. The drug in solid dispersion can be dispersed molecularly, in amorphous particles, or in crystalline particles. The matrix can also be in crystalline or amorphous state. The purpose of making hydrophobic drugs into solid dispersion formulation is to disperse the hydrophobic drug into the hydrophilic matrix so that the hydrophilic matrix can melt prior to the drug in the gastrointestinal fluid.

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The drug dispersed in the matrix can then be saturated in the gastrointestinal fluid with rapid dissolution rate when the solid dispersion drug is taken orally. Drug saturation in GI fluid can help improve the efficiency of drug absorption through the GI membrane. Most of the solid dispersion systems initially focused on producing increased dissolution rates and sustained release of drugs with improved solubility and stability.

Factors affecting solubility

The solubility depends on the physical form of the solid, the nature and composition of solvent medium as well as temperature and pressure of system.

Particle Size

The size of the solid particle influences the solubility because as a particle becomes smaller, the surface area to volume ratio increases. The larger surface area allows a greater interaction with the solvent.

Temperature

Generally, an increase in the temperature of the solution increases the solubility of a solid solute.

Pressure

For gaseous solutes, an increase in pressure increases solubility and a decrease in pressure decrease the solubility. For solids and liquid solutes, changes in pressure have practically no effect on solubility.

Nature of the solute and solvent:

While only 1 gram of lead (II) chloride can be dissolved in 100 grams of water at room temperature, 200 grams of zinc chloride can be dissolved. The great difference in the solubility's of these two substances is the result of differences in their natures.