

EXCIPIENTS USED IN LIQUID DOSAGE FORMS,SOLUBILITY ENHANCEMENT TECHNIQUES

Types of additives used in the liquid dosage forms:

For the preparation of a liquid dosage form, we need a lot of additives materials. These materials are known as Active Pharmaceutical Ingredients (APIs).

Vehicles:

Vehicles are those materials that are chemically inert and don't have any therapeutic value. But these are used in the formulation of liquid dosage forms to improve the stability, patient acceptability, function of the dosage form.

Examples of aqueous vehicles: Water, glycerin, alcohol, etc.

Examples of oily vehicles: Vegetable oils, mineral oils.

Stabilizers:

Stabilizers are those materials used to increase the stability of material or formulation by preventing degradation of the product.

According to the material, it varies.

Preservatives:

Preservatives are those ingredients used for the preservation or for the protection of the formulation from the attack of microorganisms.

Examples of preservatives: benzoic acid, sorbic acid, sodium benzoate.

Suspending Agents:

Suspending agents are those excipients, which are useful to help active pharmaceutical ingredients to stay suspended into the formulation and prevent the cake formation under the container of the formulation.

Suspending agents are using in the preparation of the suspension.

Emulsifying Agents:

Emulsifying agents are those surface-active ingredients used in the formation of the emulsion. It's useful to adsorb the water-oil droplet interface.

Examples of emulsifying agents: Gum acacia, Tragacanth.

Solubilizers:

Example of solubilizers: Polysorbate.

Coloring agents:

Colouring agents are used in the liquid dosage form to improve the acceptance of consumers.

Examples of natural colors: Titanium dioxide, carotene, ferric oxide, etc.

Examples of Synthetic colors: Erythrosine, Tetrazine, etc.

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Flavoring Agents:

Flavoring agents are used to overcome the unpleasant smell of a formulation.

Examples of flavoring agents: Apple, ginger, clove, rose, etc.

Sweetening Agents:

Sweetening agents are used to overcome the unpleasant taste of the formulation.

Examples of sweetening agents: Sucrose, fructose, saccharine, sorbitol

Solubility Enhancement Techniques

Solubility Enhancement Techniques- Particle size reduction, Crystal engineering, Salt formation, Solid dispersion, Use of surfactant

As the pharmaceutical industry trends show, many manufacturers of drugs are creating materials with higher degrees of lipophilicity, molecular weight, and complexity of physical form, and lower aqueous solubility. Due to these characteristics, poorly soluble drugs are often produced, which necessitates the addition of excipients or processes that improve the dissolution and bioavailability of the drug.

To achieve desired pharmacological responses in the systemic circulation, solubility is one of the most important parameters, which gives rise to homogeneous (anticipated) reactions between a solute and solvent. In both the generic drug development as well as formulation development of new chemical entities, low aqueous solubility is the major obstacle.

The majority of new chemical entities (NCEs) developed within the pharmaceutical industry cannot be dissolved in water. The challenge of ensuring water solubility for formulations is enormous. An absorbed drug must be present in the solution at the absorption site to be absorbed. The solubility of poorly soluble drugs can be increased through a variety of methods, including modifying the physical and chemical properties and use of particle size reduction, crystal engineering, salt development, solid dispersion, and surfactants.

An oral formulation's oral bioavailability is greatly influenced by the solubility of the drug, which determines its liberation and absorption. Drug solubility directly affects how fast it dissolves. Drugs in the new generation are low in water solubility; therefore, they are difficult to formulate into delivery systems for drug administration. In the formulation phase of pharmaceutical product development research, therefore, solubility enhancement is an essential element of poorly water-soluble drugs. This method is uniquely suitable for improving dissolution characteristics, oral bioavailability, and dissolution characteristics of poorly water-soluble drugs.

Particle size reduction

As one of the most widely used and crucial unit operations within the pharmaceutical manufacturing industry, size reduction is a highly valued unit operation. Reducing the size of large masses of solids into smaller masses, coarse particles, or fine particles is known as size reduction. Comminution,

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diminution, or pulverization are also known as processes for reducing size. In most cases, it is a hardness that determines the characteristics of size reduction because nearly all size reduction methods create new surface areas, and increasing that area requires adding pressure proportional to the strength of the bonds holding the feed particles together. Many pharmaceutical applications require size reduction. Besides simplifying handling and increasing surface area per unit volume, size reduction is important to separate components that are entrapped in the unit volume.

Crystal engineering

Drug molecule properties and structures are altered through crystal engineering by utilizing intermolecular interactions. The knowledge of this kind has been applied by pharmaceutical scientists to modify the structure of crystalline drugs to remedy the problems of a large number of new drugs developed which suffer from low solubility and, consequently, poor bioavailability, thus limiting their application.

Salt formation

Most acidic and basic drugs dissolve more readily when they are dissolved in salt, which is the most common and effective method for increasing solubility and dissolution rates. Increasing the solubility of drugs may be accomplished through the formation of salts. Besides its effectiveness, this technique offers many other benefits. The method is also fairly affordable. Salt is produced by the protonation of active pharmaceutical ingredients or by protons functional group structures that can be ionizable.

Solid dispersion

A solid dispersion approach can greatly enhance the solubility of an aqueous solution. Dispersions or suspensions are solid products that have at least two components, such as a hydrophilic matrix and a hydrophobic drug. Both crystallized and amorphous matrixes can be used.

Use of surfactant

Lipophilic drugs are better dispersed in aqueous media when surfactants are added. In addition, they stabilize liquid medicaments. Additionally, surfactants improve wetting and increase the rate at which solids are disintegrated into smaller particles.