Aerosols

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- Pharmaceutical aerosols are pressurized dosage forms that, upon actuation, emit a fine dispersion of liquid and/or solid materials containing one or more active ingredients in a gaseous medium. Pharmaceutical aerosols are similar to other dosage forms because they require the same types of considerations with respect to formulation, product stability, and therapeutic efficacy .A system that depends on the power of compressed or liquified gas to expel the contents from the container However, they differ from most other dosage forms in their dependence upon the function of the container.
- Aerosols generally contain an active drug in a liquid gas propellant, in a mixture of solvents with a propellant, or in a mixture with other additives and a propellant. The gas propellants can be formulated to provide desired vapor pressures for enhancing the delivery of the medication through the valve and actuator in accordance with the purpose of the medication. Aerosols are used as space sprays, surface sprays, aerated foams, and for oral inhalation
- Advantages over other dosage forms
- A dose can be removed without contamination of remaining material
- Sterility can be maintained while dose is being dispersed
- Stability is enhanced for those substances adversely affected by moisture or light
- The medication can be delivered directly on the affected area in a desired form
- Irritation produced by the mechanical application of topical medication is reduced or eliminated

Aerosol principle

- An aerosol formulation consists of two component parts: the product concentrate and the propellant.The product concentrate is the active ingredient of the aerosol combined with the required adjuncts, such as antioxidants, surface-active agents, and solvents, to prepare a stable and efficacious product. When the propellant is a liquefied gas or a mixture of liquefied gases, it frequently serves the dual role of propellant and solvent or vehicle for the product concentrate. In certain aerosol systems, compressed gases—carbon dioxide, nitrogen, and nitrous oxide—are employed as the propellant.
- Fluorinated hydrocarbons are gases at room temperature. They may be liquefied by cooling below their boiling point or by compression at room temperature.

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- Disadvantages

-Expensive

-performance can deteriorate during life of product

-limited safety hazard (pressurized, flammable)

-incorrect use

-aerosol abuse : volatile substance abuse (addiction for gas)

- The term pressurized package is commonly used when referring to the aerosol container or completed product. Pressure is applied to the aerosol system through the use of one or more liquefied or gaseous propellants. Upon activation of the valve assembly of the aerosol, the pressure exerted by the propellant forces the contents of the package out through the opening of the valve. The physical form in which the contents are emitted. depends on the formulation of the product and the type of valve. Aerosol products may be designed to expel their contents as a fine mist; a coarse, wet, or dry spray; a steady stream; or a stable or a fast-breaking foam. The physical form selected for a given aerosol is based on intended use. For instance, an aerosol for inhalation therapy, as in the treatment of asthma must present particles in the form of a fine liquid mist or as finely divided solid particles. Particles less tha 6 mm will reach the respiratory bronchiole and these less than 2 m will reach the alveolar duct.
- By contrast, the particle size for a dermatologic spray intended for deposition on the skin is coarser and generally less critical to the therapeutic efficacy of the product. Some dermatologic aerosols present the medication in the form of a powder, a wet spray, a stream of liquid (usually a local anesthetic), or an ointment-like product. Other pharmaceutical aerosols include vaginal and rectal foams.

Aerosol types

- 1-space sprays
- Dispense the product as finely divided spray in which the particles are less than 50 microns in diameter
- It is intended that the particles remain suspended in the air for a time
- Ex: insecticide, room deodorants
- 2-coating sprays
- Produce spray with particles larger than those produced by space aerosol
- The intention is to deposit the particles directly on the surface
- Ex: crawling insecticide, paint remover, Christmas tree snows

- 3-foam aerosols
- The propellant is emulsifyed (o/w) into the aqueous product by agitation just before the valve is opened. As soon as the emulsion is expelled into the atmosphere , propellant globules within it vaporize and produce a thick foam (w/o)..coarse wet spray or stream foam.
- o/w most of product
- w/o coarse wet spray or stream

- Two-Phase Systems
- As noted previously, the two-phase aerosol system consists of the liquid phase, containing the liquefied propellant and product concentrate, and the vapor phase.
- Three-Phase Systems
- The three-phase system consists of a layer of water-immiscible liquid propellant, a layer of highly aqueous product concentrate, and the vapor phase. Because the liquefied propellant usually has a greater density than the aqueous layer, it generally resides at the bottom of the container with the aqueous phase floating above it. As with the two-phase system, upon activation of the valve, the pressure of the vapor phase causes the liquid phase to rise in the dip tube and be expelled from the container. To avoid expulsion of the reservoir of liquefied propellant, the dip tube must extend only within the aqueous phase (product concentrate) and not down into the layer of liquefied propellant.

- Compressed Gas Systems
- Compressed rather than liquefied gases may be used to prepare aerosols. The pressure of the compressed gas in the head space of the aerosol container forces the product concentrate up the dip tube and out of the valve. The use of gases that are insoluble in the product concentrate, as is nitrogen, will result in emission of a product in essentially the same form as it was placed in the container. An advantage of nitrogen as a propellant is its inert behavior toward other formulative components and its protective influence on products subject to oxidation. Also, nitrogen is an odorless and tasteless gas and thus does not contribute adversely to the smell or taste of a product.
- Other gases, such as carbon dioxide and nitrous oxide, which are slightly soluble in the liquid phase of aerosol products, may be employed when their expulsion with the product concentrate is desired to achieve spraying or foaming.

- Unlike aerosols prepared with liquefied gas propellants, compressed gas–filled aerosols have no reservoir of propellant. Thus, higher gas pressures are required in these systems, and the pressure in these aerosols diminishes as the product is used.
- Aerosol Container and Valve Assembly
- The effectiveness of a pharmaceutical aerosol depends on achieving the proper combination of formulation, container, and valve assembly. The formulation must not chemically interact with the container or valve components so as to interfere with the stability of the formulation or with the integrity and operation of the container and valve assembly. The container and valve must be capable of withstanding the pressure required by the product, it must resist corrosion, and the valve must contribute to the form of the product to be emitted.

Containers

- Various materials have been used in the manufacture of aerosol containers, including (a) glass, uncoated or plastic coated; (b) metal, including tin-plated steel, aluminum, and stainless steel; and (c) plastics. The selection of the container for an aerosol product is based on its adaptability to production methods, compatibility with formulation components, ability to sustain the pressure intended for the product, the interest in design and aesthetic appeal on the part of the manufacturer, and cost. Were it not for their brittleness and danger of breakage, glass containers would be preferred for most aerosols.

Category and Comments	Representative Commercial Products	Aerosol
Beta-adrenergic agonist for prevention and relief of	Proventil Inhalation Aerosol (Key) Ventolin Inhalation Aerosol (GlaxoSmithKline)	Albuterol
Adrenocortical steroid; aerosol for oral inhalation to control bronchial asthma in patients	Beclovent Inhalation Aerosol (Glaxo Wellcome) Vanceril Inhaler (Schering)	Beclomethasone dipropionate
Antiasthmatic, antiallergic, mast cell stabilizer; metered dose for oral use to prevent exercise-induced bronchospasm	Intal Inhaler (King)	Cromolyn sodium