



Dosage Form Design

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Formulation considerations: Excipients



Formulation considerations: Excipients

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Definitions and types

- Ansel's Pharmaceutical dosage forms and drug delivery systems, ninth edition, P. 128, table 4.3:
examples of pharmaceutical ingredients.


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INGREDIENT TYPE	DEFINITION	EXAMPLES
<i>Acidifying agent</i>	Used in liquid preparations to provide acidic medium for product stability	Citric acid Acetic acid Fumaric acid Hydrochloric acid Nitric acid
<i>Alkalinizing agent</i>	Used in liquid preparations to provide alkaline medium for product stability	Ammonia solution Ammonium carbonate Diethanolamine Monoethanolamine Potassium hydroxide Sodium bicarbonate Sodium borate Sodium carbonate Sodium hydroxide Trolamine


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<i>Adsorbent</i>	An agent capable of holding other molecules onto its surface by physical or chemical (chemisorption) means	Powdered cellulose Activated charcoal
<i>Aerosol propellant</i>	Agent responsible for developing the pressure within an aerosol container and expelling the product when the valve is opened	Carbon dioxide Dichlorodifluoromethane Dichlorotetrafluoroethane Trichloromonofluoromethane
<i>Air displacement</i>	Agent employed to displace air in a hermetically sealed container to enhance product stability	Nitrogen Carbon dioxide


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<i>Antifungal preservative</i>	Used in liquid and semisolid preparations to prevent growth of fungi. Effectiveness of parabens is usually enhanced by use in combination	Butylparaben Ethylparaben Methylparaben Benzoic acid Propylparaben Sodium benzoate Sodium propionate
<i>Antimicrobial preservative</i>	Used in liquid and semisolid preparations to prevent growth of microorganisms	Benzalkonium chloride
<i>Antioxidant</i>	Used to prevent deterioration of preparations by oxidation	Ascorbic acid Ascorbyl palmitate Butylated hydroxyanisole Butylated hydroxytoluene Hypophosphorous acid Monothioglycerol Propyl gallate Sodium ascorbate Sodium bisulfite Sodium formaldehyde Sulfoxylate Sodium metabisulfite
<i>Buffering agent</i>	Used to resist change in pH upon dilution or addition of acid or alkali	Potassium metaphosphate Potassium phosphate, monobasic Sodium acetate Sodium citrate, anhydrous and dihydrate


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<i>Chelating agent</i>	Substance that forms stable water-soluble complexes (chelates) with metals; used in some liquid pharmaceuticals as stabilizers to complex heavy metals that might promote instability. In such use, they are also called <i>sequestering agents</i>	Edetic acid Edetate disodium
<i>Colorant</i>	Used to impart color to liquid and solid (e.g., tablets and capsules) preparations	FD&C Red No. 3 FD&C Red No. 20 FD&C Yellow No. 6 FD&C Blue No. 2 D&C Green No. 5 D&C Orange No. 5 D&C Red No. 8 Caramel Ferric oxide, red
<i>Clarifying agent</i>	Used as a filtering aid for its adsorbent qualities	Bentonite
<i>Emulsifying agent</i>	Used to promote and maintain dispersion of finely subdivided particles of liquid in a vehicle in which it is immiscible. End product may be a liquid emulsion or semisolid emulsion (e.g., a cream)	Acacia Cetomacrogol Cetyl alcohol Glyceryl monostearate Sorbitan monooleate Polyoxyethylene 50 stearate

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<i>Encapsulating agent</i>	Used to form thin shells to enclose a drug for ease of administration	Gelatin
<i>Flavorant</i>	Used to impart a pleasant flavor and often odor to a preparation. In addition to the natural flavorants listed, many synthetic ones are used	Anise oil Cinnamon oil Cocoa Menthol Orange oil Peppermint oil Vanillin
<i>Humectant</i>	Used to prevent drying of preparations, particularly ointments and creams	Glycerin Propylene glycol Sorbitol
<i>Levigating agent</i>	Liquid used as an intervening agent to reduce the particle size of a powder by grinding, usually in a mortar	Mineral oil Glycerin Propylene glycol


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<i>Ointment base</i>	Semisolid vehicle for medicated ointments	Lanolin Hydrophilic ointment Polyethylene glycol ointment Petrolatum Hydrophilic petrolatum White ointment Yellow ointment Rose water ointment
<i>Plasticizer</i>	Component of film-coating solutions to make film more pliable, enhance spread of coat over tablets, beads, and granules	Diethyl phthalate Glycerin
<i>Tablet antiadherents</i>	Prevent tablet ingredients from sticking to punches and dies during production	Magnesium stearate

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Solvent	Used to dissolve another substance in preparation of a solution; may be aqueous or not (e.g., oleaginous). Cosolvents, such as water and alcohol (hydroalcoholic) and water and glycerin, may be used when needed. Sterile solvents are used in certain preparations (e.g., injections)	Alcohol Corn oil Cottonseed oil Glycerin Isopropyl alcohol Mineral oil Oleic acid Peanut oil Purified water Water for injection Sterile water for injection Sterile water for irrigation
Stiffening agent	Used to increase thickness or hardness of a preparation, usually an ointment	Cetyl alcohol Cetyl esters wax Microcrystalline wax Paraffin Stearyl alcohol White wax Yellow wax
Suppository base	Vehicle for suppositories	Cocoa butter Polyethylene glycols (mixtures) PEG 3350


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Surfactant (surface active agent)	Substances that absorb to surfaces or interfaces to reduce surface or interfacial tension. May be used as wetting agents, detergents, or emulsifying agents	Benzalkonium chloride Nonoxynol 10 Octoxynol 9 Polysorbate 80 Sodium lauryl sulfate Sorbitan monopalmitate
Suspending agent	Viscosity-increasing agent used to reduce sedimentation rate of particles in a vehicle in which they are not soluble; suspension may be formulated for oral, parenteral, ophthalmic, topical, or other route	Agar Bentonite Carbomer (e.g., Carbopol) Carboxymethylcellulose sodium Hydroxyethyl cellulose Hydroxypropyl cellulose Hydroxypropyl methylcellulose Kaolin Methylcellulose Tragacanth Veegum
Sweetening agent	Used to impart sweetness to a preparation	Aspartame Dextrose Glycerin Mannitol Saccharin sodium Sorbitol Sucrose


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<i>Tablet binders</i>	Substances used to cause adhesion of powder particles in tablet granulations	Acacia Alginic acid Carboxymethylcellulose sodium Compressible sugar (e.g., Nu-Tab) Ethylcellulose Gelatin Liquid glucose Methylcellulose Povidone Pregelatinized starch
<i>Tablet and capsule diluent</i>	Inert filler to create desired bulk, flow properties, and compression characteristics of tablets and capsules	Dibasic calcium phosphate Kaolin Lactose Mannitol Microcrystalline cellulose Powdered cellulose Precipitated calcium carbonate Sorbitol Starch

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<i>Tablet-coating agent</i>	Used to coat a tablet to protect against decomposition by atmospheric oxygen or humidity, to provide a desired release pattern, to mask taste or odor, or for aesthetic purposes. Coating may be sugar, film, or thick covering around a tablet. Sugar-coated tablets generally start to break up in the stomach. Film forms a thin cover around a formed tablet or bead. Unless it is enteric, film dissolves in the stomach. Enteric coating passes through the stomach to break up in the intestines. Some water-insoluble coatings (e.g., ethylcellulose) are used to slow the release of drug in the gastrointestinal tract	
<i>Sugar coating</i>		Liquid glucose Sucrose
<i>Film coating</i>		Hydroxyethyl cellulose Hydroxypropyl cellulose Hydroxypropyl methylcellulose Methylcellulose (e.g., Methocel) Ethylcellulose (e.g., Ethocel)
<i>Enteric coating</i>		Cellulose acetate phthalate Shellac (35% in alcohol, pharmaceutical glaze)
<i>Tablet direct compression excipient</i>	Used in direct compression tablet formulations	Dibasic calcium phosphate (e.g., Datab)

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<i>Tablet disintegrant</i>	Used in solid forms to promote disruption of the mass into smaller particles more readily dispersed or dissolved	Alginic acid Polacrillin potassium (e.g., Amberlite) Sodium alginate Sodium starch glycolate
<i>Tablet glidant</i>	Used in tablet and capsule formulations to improve flow properties of the powder mixture	Colloidal silica Cornstarch Talc
<i>Tablet lubricant</i>	Used in tablet formulations to reduce friction during tablet compression	Calcium stearate Magnesium stearate Mineral oil Stearic acid Zinc stearate
<i>Tablet or capsule opaquant</i>	Used to render a coating opaque. May be used alone or with a colorant	Titanium dioxide
<i>Tablet polishing agent</i>	Used to impart an attractive sheen to coated tablets	Carnauba wax White wax

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<i>Tonicity agent</i>	Used to render solution similar in osmotic-dextrose characteristics to physiologic fluids, for example, in ophthalmic, parenteral, and irrigation fluids	Sodium chloride
<i>Vehicle</i>	Carrying agent used in formulating a variety of liquids for oral and parenteral administration. Generally, oral liquids are aqueous (e.g., syrups) or hydroalcoholic (e.g., elixirs). Solutions for intravenous use are aqueous, whereas intramuscular injections may be aqueous or oleaginous	
<i>Flavored, sweetened</i>		Acacia syrup Aromatic syrup Aromatic elixir Cherry syrup Cocoa syrup Orange syrup Syrup
<i>Oleaginous</i>		Corn oil Mineral oil Peanut oil Sesame oil

**Sterile**

Bacteriostatic sodium chloride
injection

**Viscosity-
increasing agent**

Used to render preparations more resistant to flow.
Used in suspensions to deter sedimentation, in
ophthalmic solutions to enhance contact time (e.g.,
methylcellulose), to thicken topical creams, etc.

Alginic acid
Bentonite
Carbomer
Carboxymethylcellulose
Sodium
Methylcellulose
Povidone
Sodium alginate
Tragacanth

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Appearance and palatability

- Most drug substances in use today are unpalatable and unattractive in their natural state.



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