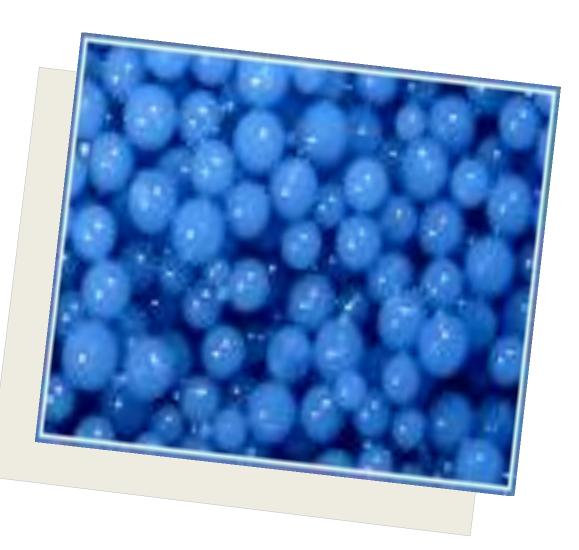
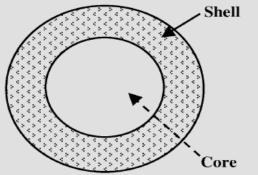
# MICROENCAPSULATION

Lecture 3



#### DEFNITION

- □ Microencapsulation is a mean of applying relatively thin coatings to small particle of solids or droplets of liquids and dispersions.
- □ Microencapsulation also means the process by which individual particles or droplets of solid or liquid material [the core] are surrounded or coated with a continuous film of polymeric material [the shell] to produce capsules in the micrometer to millimeter range.

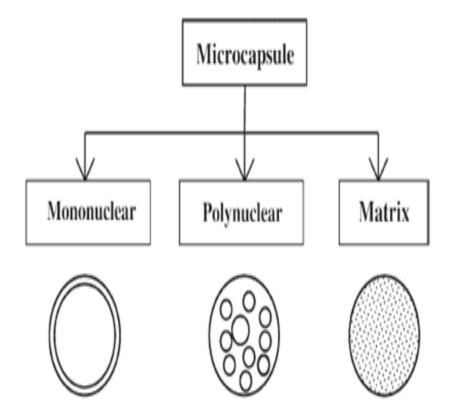


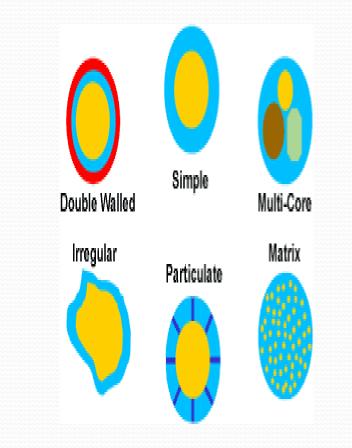
□ Microencapsulation differ from macrocoating technique in the former involves coatings of particles ranging from several tenths of a micron to 5000 micron in size

## **Morphology of Microencapsulation**

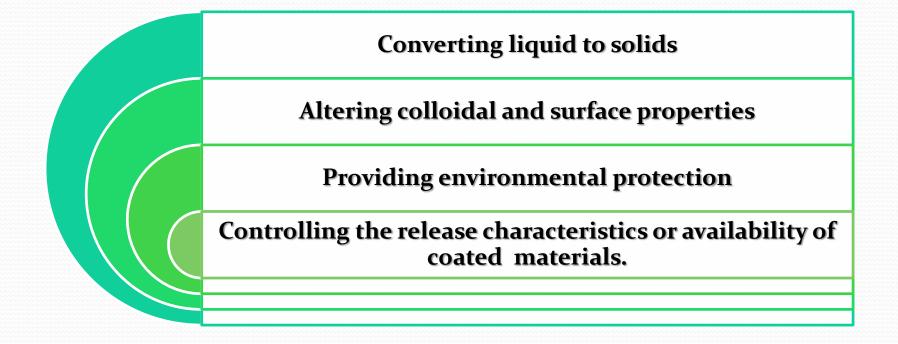
- Depends mainly on the core material and the deposition process of the shell.
- **1. Mononuclear** (core-shell) microcapsules contain the shell around the core.
- 2. **Polynuclear** capsules have many cores enclosed within the shell.
- **3. Matrix encapsulation** in which the core material is distributed homogenously into the shell material.

In addition to these 3 basic morphologies, microcapsules can also be **mononuclear with multiple shells** or they may be **clusters of microcapsules**.





Microencapsulation provide the mean of :

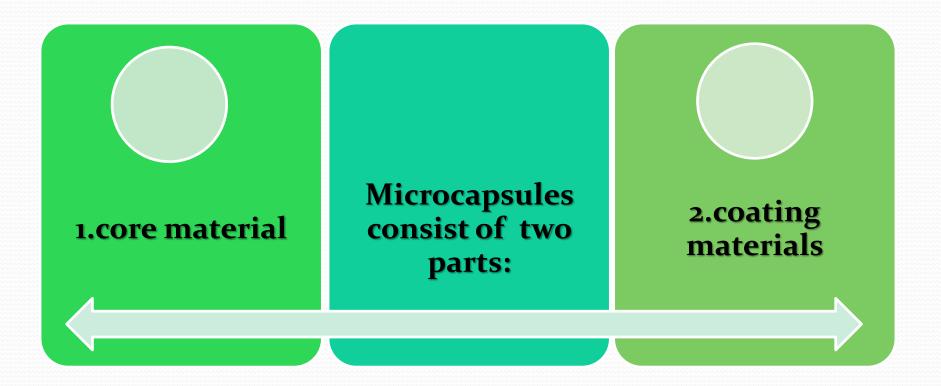


<u>Note:</u> Uniqueness of microencapsulation is the smallness of the coated particles and their subsequent use in variety of dosage forms.

### **Applications**

1	Sustained release or prolonged action medications	4	Taste masked chewable tablets, powders and suspension
2	Single layer tablet containing chemically incompatible ingredients	5	New formulation for creams, ointment, aerosols, injectables, plasters, dressings and suppositories.
3	Pharmaceutically related areas such as hygiene, diagnostic aid, and medical equipment design.	6	Decrease the gastric irritation e.g.: KCl

### Fundamental considerations





It is defined as the specific material to be coated, can be liquid or solid in nature .

The composition of core material can be varied:  Liquid core: dispersed or dissolved materials

• **Solid core:** mixture of active constituents, stabilizers, diluents, and release retardants or accelerators.

Core Material	Characteristic Property	Purpose of Encapsulation	Final Product Form	
Acetaminophen	Slightly water- soluble solid	Taste-masking	Tablet	
Activated charcoal	Adsorbent	Selective sorption	Dry powder	
Aspirin	Slightly water- soluble solid	Taste-masking; sustained release; reduced gastric irritation; separation of incom- patibles	Tablet or capsule	
Isiet of Langerhans	Viable cells	Sustained normal- ization of diabetic condition	Injectable	
lsosorbide dinitrate			Capsule	
Liquid crystals	Liquid	Conversion of liquid to solid; stabili- zation	Flexible film for thermal mapping of anatomy	
Menthol/methyl salicylate camphor mixture	Volatile solution	Reduction of volatility; sus- tained release	Lotion	
Progesterone	Slightly water- soluble solid	Sustained release	Varied	
Potassium chloride	Highly water- soluble solid	Reduced gastric irritation	Capsule	
Urease	Water-soluble enzyme	Permselectivity of enzyme, substrate, and reaction products	Dispersion	
Vitamin A palmitate	Nonvolatile liquid	Stabilization to oxidation	Dry powder	

Properties of Some Microencapsulated Core Materials

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### **Coating materials:**

The selection of a specific coating material from a list of candidate materials depends on the following:

The specific dosage or product requirement like (stabilization, reduced volatility, release characteristics and environmental conditions)

Microencapsulation method that is best suited to accomplish the coated product objectives.

Coating material should satisfy the product objectives and requirements.

#### Classification of coating material

#### Water soluble resin

Gelatin Gum Arabic PVP CMC MC Hydroxy ethyl cellulose Ethyl cellulose Polyethylene Polyamide (nylon) Polymethacrylate Cellulose nitrate silicones

Water insoluble resin

**Waxes and Lipids** 

Paraffin Carnauba Beewax Stearic acid Stearyl alcohol Glyceryl stearates **Enteric resin** 

Shellac Cellulose acetatephthalate Zein

	Processes						
Coating Materials	Multiorifice— Centrifugal	Phase Separation— Coacervation	Pan Coating	Spray Drying and Congealing	Air Suspension	Solvent Evapor- ation	
Water-soluble resins							
Gelatin	x	х	x	х	х	x	
Gum arabic		х	х	х	x	x	
Starch		х	x	х	X		
Polyvinylpyrrolidone	х	x	x	х	x		
Carboxymethylcellulose		х	x	х	X		
Hydroxyethylcellulose		x	x	х	X	x	
Methylcellulose		x	x	x	x		
Arabinogalactan		X	x	x	x		
Polyvinyl alcohol	х	x	x	х	X	x	
Polyacrylic acid		X	x	x	X	x	
Water-insoluble resins							
Ethylcellulose		x	x	x	х	x	
Polyethylene	х				х	х	
Polymethacrylate		x	x	x	x	x	
Polyamide (Nylon)					X	х	
Poly [Ethylene-Vinyl acetate]	x	х	x	x		x	
Cellulose nitrate	X	X	x	x		x	
Silicones			x	x			
Poly (lactide-co-glycolide)		х	X			x	
Waxes and lipids							
Paraffin	х	х	х	x	X		
Carnauba			x	X	X		
Spermaceti		x	x	x	x		
Beeswax			x	x	X		
Stearic acid			x	x			
Stearyl alcohol			x	x	х		
Glyceryl stearates			x	x	x		
Enteric resins				-			
Shellac		х	х	x	х		
Cellulose acetate phthalate		x	x	x	x	х	
Zein		x	-	-	x		

Representative Coating Materials and Applicable Microencapsulation Process

#### The coating material should be:

Capable of forming a film that is cohesive with the core material

Be chemically compatible and non reactive with the core

Provide the desired coating properties, such as strength, flexibility, impermeability, optical properties and stability.

### **Selected stability, release and other properties:**

- The three important areas of microencapsulation application are:
- Stabilization of core materials: stabilization of vitamin A palmitate oil against oxidation and to retard degredative loses.
- The control of the release or availability of core materials: e.g. (sustained release of small aspirin crystals by ethylcellulose coating and release of aspirin is achieved by diffusion since the polymer is inert and pH-insensitive coating)
- Separation of chemically reactive ingredients within a tablet or powder mixture : An example of stability enhancement accomplished by microencapsulation of incompatible admixed constituents (aspirin and chlorpheniramine maleate).

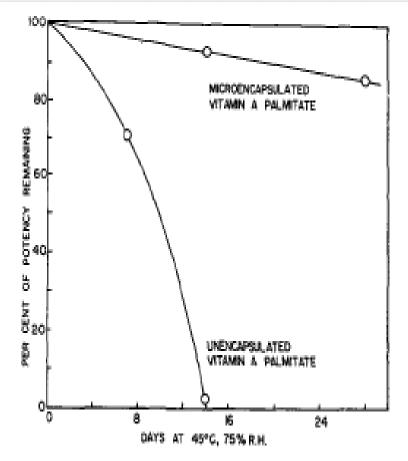


FIG. 13-32. Stability of a microencapsulated vitamin A palmitate corn oil prepared by phase-separation/coacervation technique, compared with an unencapsulated control. (From Bakan.<sup>3</sup>)

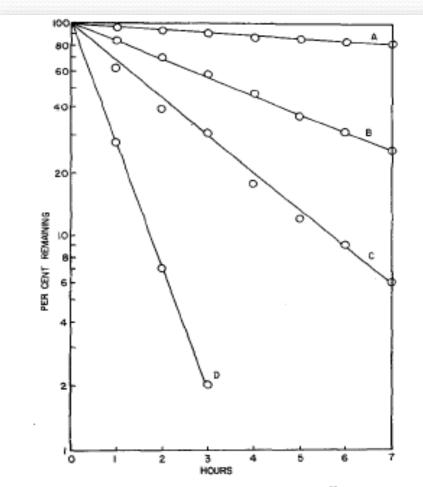


Fig. 13-35. In vitro release patterns of crystalline aspirin coated with various amounts of ethylcellulose using phaseseparation/coacervation techniques. A, 52% coating; B, 29% coating; C, 16% coating; D, 13% coating. (From The NCR Corporation.<sup>4</sup>)

# Equipment and processing

- **Processing:** Microencapsulation of bulk materials is either as a dry powder or as a dispersed form and processed to final product form.
- Equipment: Microencapsulation done by either simple laboratory equipments or complex machines specially designed for e.g. (V-blenders, Tab. Machines, granulators, homogenizers, kneaders, H.G.C. filling machines or coating equipments).

To avoid rupture, attrition, dissolution

