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Fifth Stage

First Semester/ Industrial Pharmacy II

# Microencapsulation

## Lectures 13

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# Topics:

- Definition
- Types of microcapsules
- Applications
- Manufacturing process (materials, methods and equipment)
- Evaluation

# Microencapsulation

Is a process of enclosing or encapsulation of drug (API) molecules ( in solid, liquid or even gaseous state) as core materials by coating materials (polymeric wall) in microscopic level.

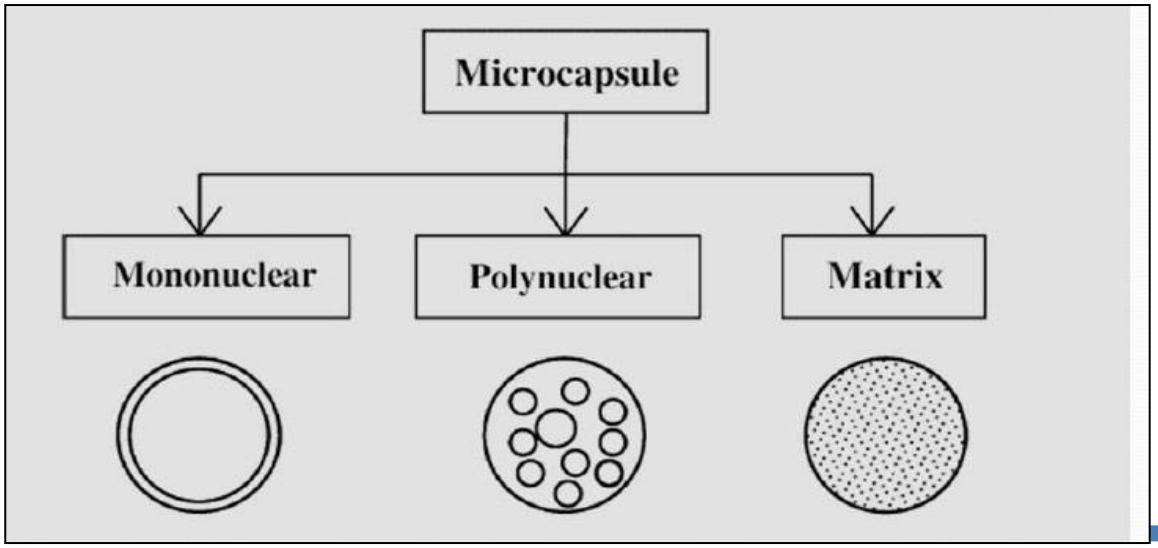
Therefore, making them more desirable in terms of physical and chemical properties (solubility, taste, stability, flow properties …..)

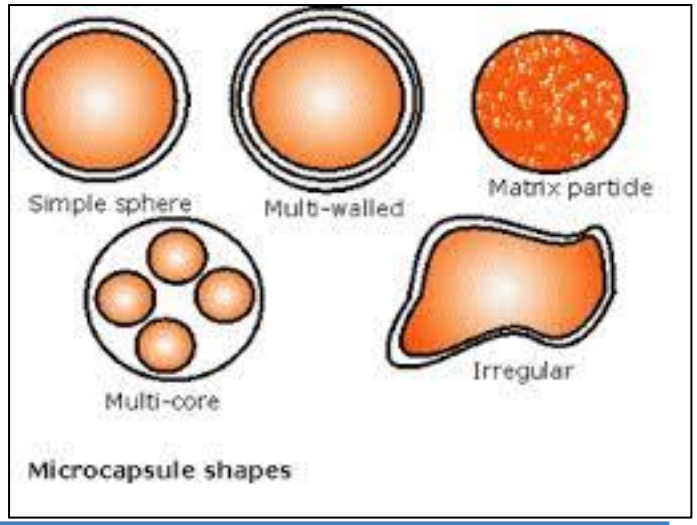
**Polymeric materials can act as physical stabilizers??**

The resulted particles are called microcapsules, microspheres or micro-particles depending on the shape (morphology) and distribution of core to wall materials.

Microcapsules can be classified according to their shapes, into:

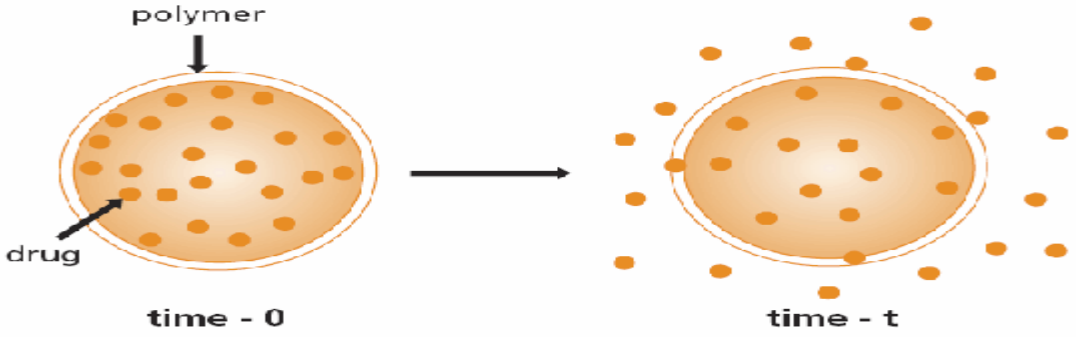
- Mononuclear microcapsules
- Poly-nuclear microcapsules
- Matrix type (microspheres)



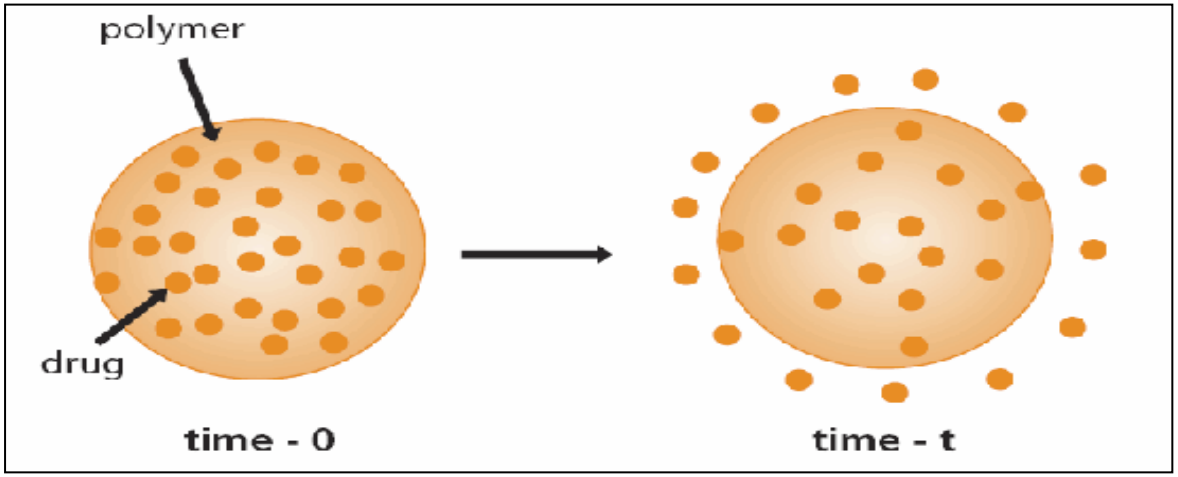
- ✓ Mono- and poly-nuclear microcapsules are characterized by well defined (core and wall), or surrounded with continuous, porous or non porous, polymeric wall but contain one or many cores.

This shape have a reservoir release system.



- ✓ Matrix type is characterized by uniform, homogeneous distribution of core material within the wall material (one or more miscible polymers).

This shape have a monolithic release system.



# Question??

What are the difference between Microparticles and Nanoparticles? Or Microencapsulation and Nanoencapsulation (Nanotechnology)?

# Applications

- ✓ Protection (from environmental or biological conditions) as for vit. A and menthol
- ✓ Masking of taste and odor as in paracetamol
- ✓ Modification or targeting of release (progesterone)
- ✓ Improve the bulk properties of powders
- ✓ Separation of incompatible drugs (ex. Acid and base) = aspirin and chlorpheniramine maleate
- ✓ Decreasing some side effects (aspirin and KCl)

# Materials

*Includes the core (drug particles), the wall (polymeric in nature) and miscellaneous materials.*

- The core may be water soluble or water insoluble, may be single or mixture of drug, stabilizer, diluent and other excipients.
- The polymeric coating or wall material are selected depending on different factors related to:

**The aim of microencapsulation, the available equipment and the selected method.**

**As ideal properties for wall materials, we have**

- They are capable of forming a wall that is cohesive with the core materials.
- Must be chemically compatible with the core materials.
- Must provide the desired coating properties like the strength, flexibility and stability.

# Types of wall materials

- ✓ Water soluble polymers like acacia, gelatin, starch, sodium alginate, Chitosan, PVP and PVA.
- ✓ Water insoluble polymers like EC, some Eudragits grades, polyethylene and polyamide (Nylon).
- ✓ Enteric polymers like shellac, some Eudagits and CAP
- ✓ Waxes and lipids like hard paraffin, carnauba wax, stearic acid and bees wax.

# Miscellaneous materials

- ✓ Hardening agent or cross-linking agent like formaldehyde, glutraldehyde and calcium chloride.
- ✓ Surfactants : poloxamers and non ionic types??
- ✓ Solvents: like water, dichloromethane, ethanol, liquid paraffin and n- Hexane. (for dissolving, dispersing or washing)
- ✓ Plasticizers: like PG, glycerol, sorbitol, castor oil

pH modifiers or buffers

- ✓ Electrolytes as precipitating agents : like NaCl or $Na_2SO_4$

# Methods of microencapsulation

- The selection of particular process depend on the physical and chemical nature of the core as well as the aim of microencapsulation.
- There is no definite method can be used for encapsulation of all drugs and vice versa.
- The methods are generally divided into physical and chemical methods.

The physical methods like:

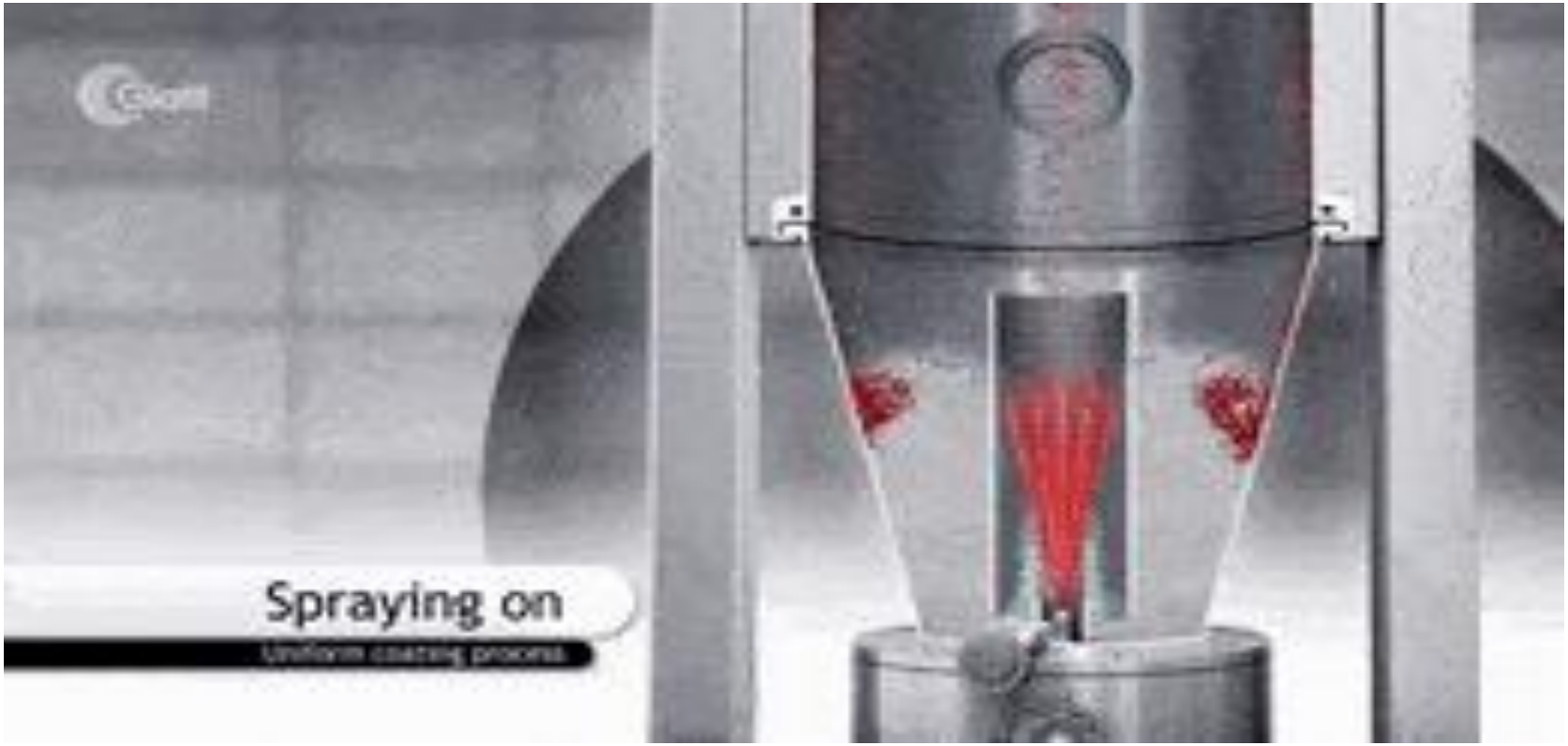
- Air suspension method
- Pan coating (As in tablet coating steps, but used for powders)
- Spray drying

The chemical methods like:

- Coacervation phase separation
- Solvent evaporation
- Gelation
- Interfacial polymerization

# Air suspension method (Wurster)

It is down-word type fluidized bed system



- ✓ Involves dispersing of the solid particulate core materials in a supporting air stream. (used for most of drugs).
- ✓ Then, spraying of the coating material (polymeric solution) using atomizer (application of the coating solution).
- ✓ Drying using fresh or hot air.
- ✓ Collection of the coated particles (microcapsules), containing one or more coating layers depending on the aim and the times of application.