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عنوان المحاضرة باللغة الانجليزية	Effervescent granules
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المصادر والمراجع	The Theory and Practice of Industrial Pharmacy by Leon Lachman

محتوى المحاضرة

Effervescent granules are mixtures of medicinal agents with citric acid, tartaric acid, and sodium bicarbonate. They are dissolved in water before administration and taken during or immediately after effervescence. Medicinal agent in carbonated water considered more acceptable than ordinary water.

Why granulated rather than fine powder?

Tartaric acid and citric acid can be used in variable proportions but the commonly used ratio with sodium bicarbonate is:
Citric acid (1): Tartaric acid (2): Bicarbonate (3.4). Or:
19%: 53 :%28

By chemical reaction: 2 moles of bicarbonate will be neutralized by 1 mole of tartaric acid, 3 moles of bicarbonate will be neutralized by 1 mole of citric acid.
Proportions of the two acids used should give the proper acidity for neutralization.
This can be converted to weights as:

2g of tartaric acid reacts with 2.24g of bicarbonate,
1g of citric acid reacts with 1.2g of bicarbonate.

What could result from using tartaric acid, or citric acid only?

Tartaric acid: will produce powdery and chalky granules, with salty taste.

Citric acid: will produce sticky mass which is difficult to manipulate.

The amount of medicinal agent is determined according to the dose desired.

For example, the dose required of certain drug is 0.5 gram per administered dose, and the approximate total weight (in teaspoonful) is 5 grams for the single dose.
Therefore, 10% of the total weight of the formula contains medicinal agent and 90% contains the mixture of acids and bicarbonate.

Steps of preparation:

Preparing the formula

Preparing and mixing of ingredients

Moistening and granulating

Drying

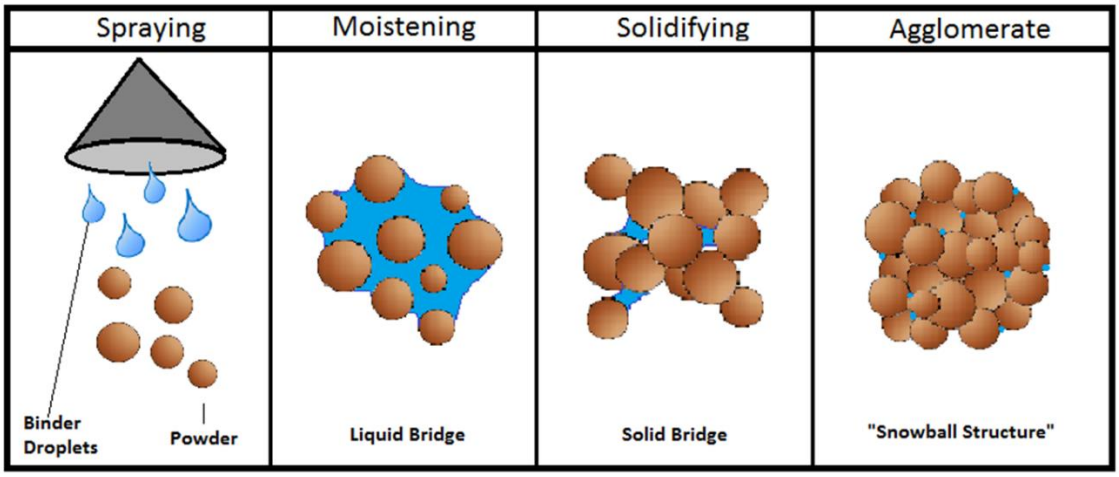
Packaging and storing

Methods of preparation:

Fusion method (commonly used):
mixed powders are moistened by heating in oven or over boiling water bath.
citric acid is in un-effloresced crystalline form which release water upon heating, this water is responsible of moisturizing of mixed powder.

Wet method:
use non solvent moistening agent.
If all ingredients are in anhydrous form, the moistening agent should contain a small amount of water to produce a satisfactory mass.

Wet granulation



Experimental note:

To know the proper degree of moistening; take a handful of the moistened mass and squeeze it into a ball, if the ball sticks together and is of sufficient hardness, it has become sufficiently moist and immediately remove it to the granulator or sieve.

Experimental work:

Prepare 25 g of effervescent granules using 1.5 g magnesium sulfate as laxative.

Calculations:
Number of doses = total amount of granules / weight of each dose.
= 25/5 = 5 doses
5 X 1.5 = 7.5 g of Mg sulfate should be used in the whole formula
other ingredients = 25 – 7.5 = 17.5 g

Citric acid 1 : tartaric acid 2 : bicarbonate 3.4
for citric acid: 1 in 6.4 , X in 17.5
X = 2.7 g
for tartaric acid: 5.4 g
for bicarbonate: 9.3 g

Procedure:

Mix sod. Bicarbonate and tartaric acid thoroughly then heat to 100 ºC.

Add citric acid and active ingredient to the heated mixture (34-40 ºC) in porcelain dish.

Continue heating until citric acid releases its water of crystallization, wet mass will be formed for granulation purpose.

Sieve the wet mass to produce granules immediately.

Dry the granules in the oven (~50 ºC).