Lab 4

Effervescent granules

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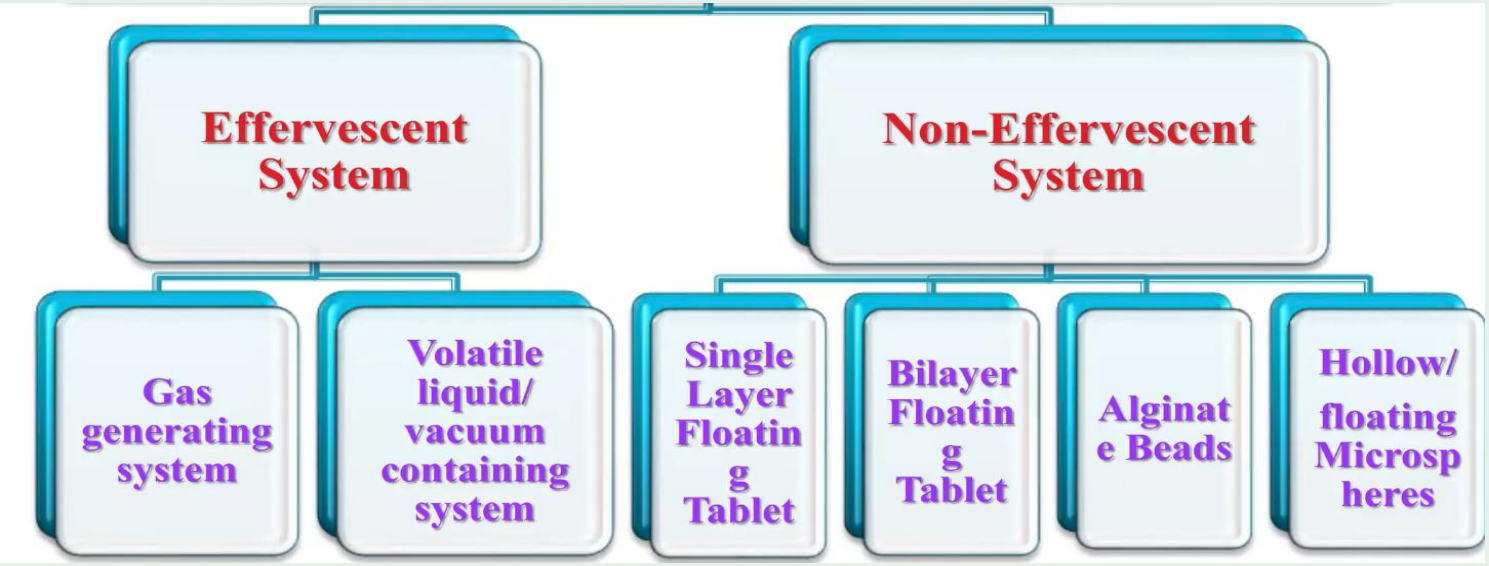
Floating system



Granules are a unique type of dosage form which are composed of dried aggregates of powder solid particles which contain one or more Active Pharmaceutical Ingredients, with or without other ingredients.

Small irregular particles ranging from 4-10 mesh size containing medicinal substances

Gas generating systems: are prepared using effervescent compounds along with hydrophilic polymers.

Effervescent granules

- Effervescent granules are having high solubility, high stability, fast dissolving property and are also convenient dosage forms.
- They are coarse to very coarse powder containing of medicinal agent in a dry mixture usually composed of three primary parts; Active component, Acidic source (citric acid and tartaric acid) and Alkaline substances (mainly carbonates/ bicarbonates)
- Granules can be packed as: Bulk granules or Divided granules

- Combination of citric acid and tartaric acids rather than either acid alone.
- Sodium bicarbonate used instead of sodium carbonate?

Mechanism

granules are to be mixed in a glass of water and this solution or dispersion should be immediately drunk. The granules are quickly dispersed by the evolution of Carbon dioxide in water due to interaction between acid and base in the presence of water.

Mechanism of Effervescence:

$C_6H_8O_7.H_2O + 3NaHCO_3\ (aq) \rightarrow Na_3C_6H_5O_7 + 4H_2O + 3CO_2\ (g) \uparrow$

Citric acid + Sodium bicarbonate → Sodium citrate + Water + Carbon dioxide

$C_4H_6O_6 + 2\ NaHCO_3 \rightarrow Na_2C_4H_4O_6 + 2H_2O + 2CO_2\ (g) \uparrow$

Tartaric acid + Sodium bicarbonate → Sodium tartrate + Water + Carbon dioxide

Benefits of Effervescent granules:

- Rapid onset of action
- pleasant taste
- reduced gastrointestinal irritation
- prevent first-pass metabolism?
- can include a high amount of active ingredient

but it is

- unstable and give inaccurate dose ?

method of effervescent granules preparation

- 1. formulation
- 2. mixing
- 3. Moistening and granulation
- 4. Drying
- 5. packaging

Method of Effervescent granules preparation

1. Formulation:

a/ Amount of each material in the formulation.

b/ Number of doses: usually 1 tsp. as ordinary dose which is equal to 5 gm of effervescent.

No. of doses =total amount /wt .of each dose

c/ The physio-chemical properties of each component.

2. Mixing

to get uniform distribution.

3- moistening and granulation: use certain solvent to get paste through wet granulation

*** In small amount we use sieve with suitable particle size instead of granulator.**

Methods of Moistening and Granulation

A- Dry method (heat fusion method)

Used in preparation of drugs which are not affected by heat (e.g. Mg Sulfate).

During the heating process 60-80°C, the heat causes the release of 1 molecule of water of crystallization from the citric acid, which in turn dissolves a portion of powder mixture to prepare the moist mass and granulation, and thus consequent release of some carbon dioxide.

B- Wet fusion method:

Used to

1- prepare small amount of effervescent granules.

2- prepare compounds which are affected by heat.

1st mix the powder together and then add ethanol as moisture to get wet mass.

Why Water can´t be used as moisture?.

4. Drying:

only for heat fusion method

By using tray dryer

-Tray dryers use convective heat transfer to flow heated air over solids to dry them

5. Packaging and storage (cool and dry place):

Stored in a wide mouth bottle with colored glass, tightly closed and sealed to exclude air, and kept in a cool dry place.

EXPERIMENTAL WORK

Prepare 25 gm of effervescent granules using 1.5 gm of Mg sulfate per dose as laxative.
As known that effervescent granules composed of effervescent base and active ingredient (Mg sulfate).

The steps of calculation:

Find no. of doses

No. of doses =total amount of granules /wt. of each dose

=25/5

=5

Find the amount of active ingredient added to the total amount of effervescent granules (25gm):

5 × 1.5=7.5 gm of Mg sulfate should be added

3. Find the wt. of each active constituent of effervescent base that should be added to the added to the formula.

25 -7.5=17.5 gm amount of the base.

Ciric acid	1 part
Tartaric acid	2 part
$NaHCO_3$	3.44 part
Total	6.44

For Citric acid, for Tartaric acid and for $NaHCO_3$:

Total	gm of citric acid
6.4	1
17.5	x

x =2.7 gm

total	gm of tartaric acid
6.4	2
17.5	x

X =5.4 gm

Total	gm of NaHCO3
6.4	3.4
17.5	x

x = 9.3 gm

PROCEDURE

wet fusion method

1. Mix all ingredients including Tartaric acid, Citric acid, $NaHCO_3$, and the active ingredient Mg sulfate.

2. Add Alcohol (75%) drop by drop with the continuous mixing till we get a wet paste.
3. Sieving.
4. Drying.

- The weight ratio of the acid and total carbonate is 1:1 for ideal for effervescent. When this ratio is 1:10, the system will be highly soluble and reactive. Essential oils and fragrances are included as 0.5–3% in effervescent.
- Mainly they are used in pain relief such as stomach disturbances.


Thank you