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FORMULATION AND EVALUATION OF ASCORBIC ACID EFFERVESCENT GRANULES

Pratiksha S. Londhe*, Poonam D. Khalate, Bharatee P. Chaudhari, Vivekkumar K. Redasani

YSPM, Yashoda Technical Campus Faculty of Pharmacy, Wadhe Satara.

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***Corresponding Author**
Pratiksha S. Londhe
YSPM, Yashoda Technical Campus Faculty of Pharmacy, Wadhe Satara.

ABSTRACT

Effervescent granules have occupied a unique place in the field of pharmaceutics. Widely use in clinical diagnosis of heart burn, urinary tract infection, acidity. The aim of these study to design and optimize effervescent granules of ascorbic acid. Eight different formulation of ascorbic acid effervescent granules was prepared and formulations are made up of chemical ingredients such as citric acid, tartaric acid, sodium bicarbonate and calcium carbonate. Effervescent granules were prepared by heat or fusion method. The study also focused on the water of crystallization concept which is related to the reaction between citric acid, tartaric acid and sodium bicarbonate. Evaluation test were performed such as disintegration test, amount of carbon dioxide, pH of formulation. There were eight different formulation prepared and denoted as F1, F2, F3, F4, F5, F6, F7 and F8. Fifth formulation that is F5 gives precise result. They give significantly low disintegration time, pH within range and also amount of carbon dioxide in accepted range. F5 give significant result as compared to other formulations.

KEYWORDS:- Effervescent granules, Disintegration time, Damped mass, Heat method, Water of crystallization, Ascorbic acid.

INTRODUCTION

Effervescence is Latin word it means escape of gas from an aqueous solution. Effervescent granules have short half-life as react rapidly with polar solvent or water. There is a liberation of carbon dioxide gas due to chemical reaction between acid and base.[1]

Effervescent granules are one of the solid dosages forms that is taken orally. In daily life, use of effervescent preparation is increasingly due to many advantages such as good stability, quickly dissolve, masking of unpleasant taste and ease administration with highly compliance in patients with difficulty in swallowing of pills and tablet. The bioavailability of low absorbed drugs can be increased by effervescent granules preparation.[2]

Effervescent granules are spherical in shape and very coarse in nature. They were prepared by hot method. Effervescent granules are not administered directly. They are intended to be dispersed in water before use. Effervescent granules are a type of compound powder. For dissolution of effervescent granules only water is used due to acid dissociate in the water and produce hydrogen ions which is needed for evolution carbon dioxide gas.[3]

Due to the high content of carbonate salt, upon the ingestion of drug solution, the gastric pH is temporarily elevated, resulting in first gastric emptying. This in turn promotes drug adsorption from the upper small intestine, which is primary site of drug absorption. Effervescent granules are responsible for higher bioavailability and fast disintegration rates. Within a couple of minutes, the granules are completely dissolved and the drug become available in solution.[4]

The ideal disintegration time for effervescent granules is 6 to 9 sec. While disintegration time for uncoated tablet, coated tablet, film coated tablet and enteric coated tablet is 15min, 60min, 30min and 60min respectively.[5]

Ascorbic acid or vitamin C is water soluble vitamin. They widely used in prevention of scurvy disease. They also prevent oxidation of molecules inside a body. So, they act as potent antioxidant agent. Vitamin C naturally found in citrus fruit, lemon, oranges. Ascorbic acid involved in production of collagen fibres. Also play a vital role in diagnosis of cancer. Vitamin C is potent anti-inflammatory, antibacterial, immunostimulant agent. It is potent antioxidant and cofactor of gene regulating enzymes. Ascorbic acid enhanced the action of B cells and T cells.[6]

The scientist research on the deficiency of vitamin C cause major disease known as scurvy. Ascorbic acid is essential part of diet. Bruising, bleeding gums, weakness, fatigue and rash are among scurvy symptoms. Minimum intake of ascorbic acid causes haemodynamic instability.[7]

Concept of water crystallization

Crystals of some compound seem to be dry or anhydrous but actually contain some amount of water molecule attached to them. This water molecule is called water of crystallization. Fixed number of water molecules present in formula unit of salt. Hydrated salt contain water in its structure that cause crystallization.

When all excipients and active drug mixed together in a clean porcelain dish. As the heat were provided to the porcelain dish and powder mixture get converted into damp mass or lumps due to water of crystallization. When heat is provided water molecules is released out and water of crystallization is taken place.

Citric acid is reacted with sodium bicarbonate is gives sodium citrate, carbon dioxide, water molecules are release

$$C6H8O7 + 3NaHCO3 \longrightarrow C6H5Na3O + 3CO + 3H2O$$

Tartaric acid is reacted with sodium bicarbonate it gives sodium tartrate, carbon dioxide, water molecule is release.

$$C4H6O6 + 2NaHCO3 \longrightarrow C4H5Na3O + 3CO + 3H2$$ [8]

MATERIALS AND METHODS

Chemical used for this formulation are purchased from SD Lab of Mumbai. The excipients were used for preparation such as- Sodium bicarbonate (S D LAB CHEM MUMBAI), Ascorbic acid (S D LAB CHEM MUMBAI), Calcium bicarbonate (S D LAB MUMBAI), Citric acid (S D LAB MUMABAI), Tartaric acid (S D LALB MUMBAI)

Instruments – Hot Plate, Weighing Balance

Glassware – Volumetric flask, stirrer, beaker

Preparation of effervescent granules

There were six formulations of effervescent granules are prepared. Formulation batches are denoted by symbol F.

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8
Ascorbic acid	1gm	1gm	1gm	1gm	1gm	1gm	1gm	1gm
Citric acid	1gm	0.1gm	1gm	0.1gm	0.1gm	1gm	1gm	0.1gm
Tartaric acid	0.1gm	1gm	1gm	0.1gm	0.1gm	1gm	0.1gm	1gm
Sodium bicarbonate	1.5gm	1.5gm	1gm	1.5gm	1gm	1.5gm	1gm	1gm
Calcium Carbonate	0.203 gm	0.203 gm	0.203 gm	0.203 gm	0.203 gm	0.203 gm	0.203 gm	0.203 gm

Heat method or fusion method

All excipients were accurately weighted and added into clean porcelain dish. These porcelain dishes were placed on the hot plate at 50 degrees Celsius. There is a formation of lumps due to loss of water. After formation of damped mass, they were passed through sieve number 12 as obtained granules. These granules were dried at 60-degree Celsius.[9]

Evaluation test

1) Disintegration time

About 1gm of effervescent granules was added in 50ml water at 25 degrees Celsius. The stop watch were started. The granules when enter into water they instantly dispersed, formation of bubbles and carbon dioxide is released. When liberation of gas is stopped than, that time is consider as disintegration time.[10]

2) Amount of carbon dioxide

This method is used to determine the amount of carbon dioxide liberate from effervescent granules. weight of empty 50ml volumetric flask was taken (W1). About 50ml of prepared sulfuric acid solution was added in flask. One gram of effervescent granules was added into solution. After addition of granules there was formation of bubbles and CO2 was liberated from solution. A weight of flask after liberation of gas was taken (W2). A difference between W1 and W2 was calculate. This weight or value consider as total amount of liberation of carbon dioxide (T).[11]

By formula,

W1 + 500mg - W2 = T

Method of preparation of 50 ml sulfuric acid –

A clean and dry 50 ml volumetric flask was used. In flask 15-20 drops of water were added and then 10 ml of concentrated sulfuric acid was added. Final volume was made up to 50 ml with water.

3) pH of solution

About 1gm of effervescent granules was added in 50ml of water at 25 degrees Celsius the effervescent granules were kept in the beaker which allowed it completely to dissolve. The pH was measured using digital pH apparatus.[12]

RESULT

Evaluation test were performed on each formulation, the pH and disintegration time was shown in table

Table 2: pH and Disintegration time of effervescent granules.

Formulation Batches	pH	Disintegration time (sec)
F1	4.8	9.5
F2	4.4	9.1
F3	2.75	8.8
F4	6.1	8.5
F5	4.1	6.3
F6	4.0	6.7
F7	3.9	6.9
F8	3.5	6.8

Amount of carbon dioxide test was performed on the formulation the total liberation of carbo dioxide was shown in table 3

Table 3: Total amount of carbon dioxide gas evolved from effervescent granules.

Formulation	Weight of empty flask (W1)	Weight of flask after addition of 500mg effervescent granules	Weight of flask after liberation of gas (W2)	Total CO2 evolved
F1	85.672	86.112	86.0569	0.056 gm
F2	86.210	86.710	86.596	0.141 gm
F3	86.150	86.650	86.122	0.528 gm
F4	93.662	94.162	93.621	0.541 gm
F5	89.991	90.491	90.368	0.123 gm
F6	98.771	99.271	98.677	0.594 gm
F7	91.263	91.763	91.261	0.492 gm
F8	93.220	93.720	93.505	0.215 gm

DISCUSSION

The pH values of effervescent granules were in range between 2 to 6. This pH values of granules were considered as ideal values according to IP. The amount of carbon dioxide ranged between 0.528gm to 0.141gm for all formulations F1to F8 table 3. The formulation batches contain high amount of sodium bicarbonate and tartaric acid than produced more carbon dioxide. But the magnitude of effect for sodium bicarbonate was more than tartaric acid. At low concentration citric acid produce more carbon dioxide.

CONCLUSION

According to study it is confirm that F5 give significant result. they were prepared by using 1gm ascorbic acid, 0.1 citric acid ,0.1gm tartaric acid 1gm sodium bicarbonate ,0.203 calcium carbonate, 0.015gm sodium saccharin, 0.03gm aspartame. F5 gives pH value 4.1, disintegration time 6.3sec and amount of carbon dioxide is 0.123gm all outcomes of evaluation test within a standard range. Therefore, F5 formulation consider as an ideal formation of ascorbic acid effervescent granules.

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