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FORMULATION AND EVALUATION OF DOXYLAMINE RAPID DISSOLVING TABLETS

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ABSTRACT

Histamine H1 antagonists like doxylamine have strong sedative effects. Additionally, it has hypnotic, allergy, and antiemetic effects. Doxylamine succinate is a popular antihistaminic used to treat and prevent nausea and vomiting. It comes in the form of tablets that dissolve swiftly. The idea of fast-dissolving drug administration was born by providing the patient with a more traditional way to take their prescription. Doxylamine succinate-containing fast-dissolving tablets were produced using the direct compression method. Weight variation, hardness, friability, disintegration time, drug content, wetting time, and short-term stability studies were among the evaluation criteria for the manufactured fast-dissolving tablets. It was discovered that all of the formulations' percentage weight fluctuation and drug content homogeneity fell within the permitted limit. Friability and hardness, two evaluation measures, demonstrated that every formulation's tablet had good mechanical resistance.

1. INTRODUCTION

The primary goal of any medication delivery system is to provide a safe and effective therapy to a human. The distribution of oral medications has long dominated the market for pharmaceuticals worldwide. Due to its popularity as a medication delivery route, it is expanding daily. (Tiwari and others, 2008). Several advances in pharmaceutical technology have made tablet manufacture a science. When compared to other possible dose forms, tablets have recently shown to be the most beneficial kind. In 2002, Rasena et al. The primary selling characteristics of this dosage form are its stability, safety, high dose accuracy, convenience of production, and ease of administration. Tablets are often produced using a variety of methods, such as direct compression, dry granulation, and wet granulation. (Shangraw, 1989; Rudnic et al. 2005).

Tablets remain the most popular and generally accepted dosage forms due to their continuous development and adoption of innovative concepts to solve the basic shortcomings in the present formulations. With benefits that have been shown for decades, tablets and capsules are perhaps the most widely used oral dosage forms. However, as dysphasia is more prevalent in bedridden, elderly, and pediatric patients, there are certain drawbacks, such trouble swallowing. The necessity to provide patients with a conventional method of taking their prescriptions gave rise to the concept for the quick dispersible drug delivery system.

Due to its ease of use, pain avoidance, diversity, and—above all—patient compliance, the oral route of administration has grown in favor recently. (Ghosh and others, 2005). As a result, a new medicine delivery technique known as "fast dissolving," "disintegrating," or "melt-in-mouth" tablets is gaining popularity. As saliva enters the stomach, these tablets are designed to be absorbed through the buccal mucosa and esophagus. In the latter case, a drug's bioavailability from formulations that dissolve and/or disperse quickly may be significantly higher than it is in conventional oral dosage forms. (Anil et al. 2012).

2. METHODOLOGY

2.1 Formulation of doxylamine succinate tablets

Using the direct compression technique and superdisintegrants, the formulation creation of rapidly dissolving Doxylamine succinate tablets was explored in this study. The market offers Doxylamine succinate pills in strengths of 10 mg and 20 mg. For this experiment, a dose of 20 mg has been utilized. Venkatesh, Mutalik S, Venugopal K., and Udupa N. (2001). The main factors influencing the formulation creation of the current study were the kind and concentration of polymers as well as the properties of the drug (Mahajan HS, Kuchekar BS, Badhan AC 2004). Several polymers were utilized in varying concentrations (5%, 7.5%, and 10%) to produce tablets with favorable physical characteristics.

Table 2.1 Formulation of Doxylamine succinate rapid dissolving tablets.

Ingredients(mg)	F1	F2	F3	F4	F5	F6	F7	F8	F9
Doxylamine succinate	20	20	20	20	20	20	20	20	20
SSG	5	7.5	10	-	-	-	-	-	-
Crosscarmellose	-	-	-	5	7.5	10	-	-	-
Crospovidone	-	-	-	-	-	-	5	7.5	10
Aspartame	5	5	5	5	5	5	5	5	5
Raspberry flavor	3	3	3	3	3	3	3	3	3
Talc	12	12	12	12	12	12	12	12	12
Magnesium state	5	5	5	5	5	5	5	5	5
MCC(q.s)	100	100	100	100	100	100	100	100	100

Doxylamine succinate quick dissolving tablets were made in nine different formulations (F1 through F9), all of which had the same total weight (100 mg). Both the drug and the excipients were filtered using a #60-sieve. Using the geometric addition approach, the drug and excipients—aside from magnesium stearate—were weighed and manually mixed for 20 minutes in a polybag. To lubricate it, magnesium sterate (#60-sieve) was then added to the mixture. The powder blend was squeezed using flat-faced puncablets on a 10-station rotary punching machine after the mixture had been flavored and dried at 40 to 45 degrees Celsius to eliminate moisture. Pills were compressed using round punches with an 8 mm diameter. (Modasiya MK, Smith RD, Michel JH. 2009.

2.2 Evaluation parameters

2.2.1 Thickness and Diameter

The tablet's thickness and diameter were measured with vernier calipers. It is measured in millimeters. A difference of ± 5% may be allowed, depending on the size of the tablet. **(Dollery C.)**

2.2.2 Hardness test

The hardness of a tablet indicates its strength. The hardness was measured with a Monsanto tester. The tablet must remain stable under mechanical pressure during handling and transportation. The hardness of the different tablet types and manufacturers varies. **(Parrot, E. L. 1970)**

2.2.3 Weight variation test

The following table displays the official USP limits for tablet percentage variation. (Gupta and others). The percentage difference in the weight fluctuation must be within the permitted parameters (±7.5%). The total number of pills that were prepared was 100 mg. The table below displays the % deviation for tablet weight uniformity according to IP limitations.

$$PD = \frac{(W_{avg}) - (W_{initial})}{(W_{avg})} X\,100$$

Where,
PD = Percentage deviation,
W_{avg}= Average weight of tablet,
$W_{initial}$ =individual weight of tablet.

2.2.4 Friability test

The Roche friabilator was used to assess the tablets' friability. One percent is the maximum permissible friability. A Roche friabilator was used to evaluate the tablets' friability. When the tablets in the friabilator were rolled, they fell easily (6 inches) into the chamber. It rotated at a rate of 25 revolutions per minute. After four minutes, or 100 revolutions, the tablets were taken out of the friabilator, and their intact weight was again calculated as a group. **(Margret Chandira. R., Jaykar. B., Chakrabarty B. L., 2010)**

The percentage of weight loss was calculated using the formula.

$$\% \text{ Friability} = \frac{(W1 - W2)}{W1} \times 100$$

Where,
W1= Weight of tablet before test
W2 = Weight of tablet after test

2.2.5 Wetting time

The contact angle and wetting time of the dose form are related. The wetting time was the length of time it took for water to reach the top surface of the tablets.

2.2.6 Disintegration time

When a unit is disintegrated, it either vanishes off the screen of the device or, if it does, is reduced to fragments of the tablets' broken component components, such the insoluble coating, which is a sticky mess with an invisible core. Put the assembly in the beaker with the specified liquid and let the machine run for the specified duration. If none of the pills or capsules disintegrate when the assembly is removed from the solution, the test is successful. If any of the first 18 tablets or capsules do not dissolve, repeat the test with 12 more; at least 16 of the 18 tested pills or capsules should dissolve.

2.2.7 Drug content determination

Three randomly selected uncoated tablets were weighed to establish their average weight. After the tablets were crushed in a mortar, a precisely weighed amount of tablet powder was removed from the crushed mixture. The

samples were then transferred into three 100 ml volumetric flasks and diluted to the proper amount using a phosphate buffer (pH 6.8) solution. For a whole day, the contents were shaken once daily to ensure the medication was completely dissolved. The quantity of medicine in each tablet was calculated using the standard calibration curve of Doxylamine succinate in phosphate buffer pH 6.8 solution.

2.2.8 Stability study

At every step of development, stability testing is crucial to the quality of pharmaceuticals and medical goods. The safety and effectiveness of pharmaceutical products throughout use and storage depend on stability assurance. (Sheinin EB. 1998) In a thermal lab, stability tests were carried out for nearly three months in a range of conditions, including 25ºC/60% H and 40ºC/75% RH. Samples were gathered over the first three months.

3. RESULT AND DISCUSSION

3.1 Evaluation parameter

Drug content, friability, hardness, thickness, and weight variation were among the many assessment methods used on doxylamine succinate tablets of different formulations. The whole result is shown in Table 3.1.

3.1.1 Thickness & Diameter

A Vernier Caliper was used to measure the tablets' thickness; the uncoated tablets' thicknesses varied from 2.9 to 3.8 mm. As a result, all formulations showed uniform thickness.

3.1.2 Hardness test

The tablet's hardness was assessed using a Monsanto hardness tester. Ten of the sample's tablets underwent hardness testing, and the results showed that their densities varied between 3.6 and 4.3 kg/cm^2.

3.1.3 Weight variation test

The pharmacopoeial limit for the percentage deviation in a weight fluctuation for tablets containing more than 250 mg is ±5%. All pill formulations met the regulatory standards for weight uniformity since the average % variation for each formulation was within the permitted range. The Weight Variation Test findings indicated that they ranged from 98.6±0.17 to 102.3±0.41.

3.1.4 Friability test

For tablets to survive the compression force used during tablet manufacturing, they must be friable. Friability for each formulation of Doxylamine succinate tablets ranged from 0.67 to 0.75%. According to the IP requirements, each formulation's friability was less than 1%.

3.1.5 Wetting time

After measuring the tablet's wetting time, the result was found to be between 38 and 44 seconds.

3.1.6 Disintegration time

The collapse The duration of the pill was measured, and the results showed that it was between 34±0.69 and 52±0.73 seconds.

Table No. 3.1: Results of post compression parameters.

Formulation code	Hardnesskg/cm^2)	Friability (%)	Weight variation Test (%) ±S.D	Thickness of Tablets (mm) ± D	Wetting Time (Seconds)	Disintegration Time (sec)± S.D	%Drug content
F1	3.8	0.67	101.3±0.51	3.1	40	47±0.68	98.17±0.75
F2	3.7	0.72	99.2±0.83	3.7	38	49±0.41	98.23±0.67
F3	4.1	0.69	100.3±0.28	3.2	43	52±0.73	96.81±0.24
F4	3.6	0.71	100.9±0.19	3.8	41	39±0.62	98.47±0.83
F5	3.8	0.73	101.1±0.39	2.9	39	47±0.79	98.69±1.4
F6	4.3	0.75	102.3±0.41	3.5	42	42±0.68	98.29±0.49
F7	4.4	0.72	100.2±0.47	3.8	44	39±0.45	99.34±0.27
F8	3.9	0.70	99.8±0.21	3.7	38	37±0.53	98.89±0.47
F9	3.8	0.68	98.6±0.17	3.7	37	34±0.69	99.75±0.57

3.1.7 Stability study

A. Doxylamine succinate immediate-release tablet aging tests at 250°C and 60% relative humidity (2 month).

Table No 3.2: Stability studies of optimized formulation F9 at 25^{0}C/ 60% RH.

S. No	Evaluation parameters	Observation		
		Initial	1 Month	2 Months
1	Physical Appearance	White	White	White
2	Weight variation (%)	98.6±0.17	98.8±0.58	98.9±0.43
3	Friability (%)	0.68	0.69	0.69
4	Thickness (mm)	3.9±0.072	3.8±0.072	3.8±0.023

5	Hardness (kg/cm^2)	3.80±0.86	3.71±0.27	3.72±0.64
6	Disintegeration Time (sec)	34±0.69	32±0.38	32±0.31
7	Drug content (%)	99.08±1.94	99.13±1.82	99.19±1.62

B. Doxylamine succinate immediate-release tablet aging studies at 400°C/75% relative humidity (2 month.)

Table No. 3.3: Stability studies of optimized formulationF9 at 40^{0}C/ 75% RH.

S. No	Evaluation parameters	Observation		
		Initial	1 Month	2 Months
1	Physical Appearance	White	White	White
2	Weight variation (%)	98.6±0.17	98.8±0.81	98.9±0.17
3	Friability (%)	0.68	0.70	0.70
4	Thickness (mm)	3.9±0.072	3.8±0.015	3.8±0.047
5	Hardness (kg/cm^2)	3.80±0.86	3.61±0.41	3.61±0.83
6	Disintegeration Time (sec)	34±0.69	31±0.49	31±0.57
7	Drug content (%)	99.08±1.94	99.12±0.72	99.15±0.46

CONCLUSION

A rapid-release tablet containing doxylamine succinate was made using the direct compression technique. The tablet's hardness and friability are adequate, and it broke down quickly. The in vitro drug release from the tablets shows a considerable improvement in medicine solubility. The Doxylamine succinate super disintegrant, which is based on an immediate release pill, would therefore likely act quickly after being administered to cure emesis. Studies on in vitro drug release, thickness, hardness, friability, wetting time, water absorption ratio, and % drug content were some of the metrics used to evaluate the tablets. The formulation with 10% crospovidone (F-9) was found to be the best and most ideal of all the formulations created for Doxylamine succinate tablets based on the results. The optimal formulation of F-9's Doxylamine succinate fast-dissolving tablets had an in vitro drug release of 99.08% after 10 minutes.

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