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Formulations and Evaluation of Chlroquine Phosphate Tablet

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ABSTRACT

An antimalarial medication called chloroquine phosphate (CP) gained popularity due to its quick onset of action, safety during all pregnant trimesters, and positive effects for lupus erythematosus and rheumato arithitice.

For the production of chloroquine phosphate tablets, the defatted seed gum of Detarium microcarpium (Squill and Sperr), a naturally occurring hydrophilic polymer, was examined as a normal release binder matrix and contrasted with crospovidone.

Investigating the physicochemical equivalency of seven brands of tablets containing the antimalarial drug chloroquine phosphate that were bought from various retail pharmacies is the goal of the current investigation.

We evaluated the physicochemical equivalency and quality of seven distinct brands of chloroquine phosphate tablets. The evaluation of the tablets' chemical assay, disintegration and dissolution tests, crushing strength, uniformity of weight, and friability were all part of the assessment.

In comparison to official maize starch, the binding characteristics of trifoliate yam starch, which is derived from Dioscorea dumetorum (Pax), in chloroquine phosphate tablet formulations were investigated.

Keywords Friability and chemical equivalency of chloroquine phosphate tablets.

Introduction

Affordability, and use as fillers, binders, disintegrants, and glidants, starches are widely accessible and have proven to be highly beneficial in the manufacturing of tablets 6, 7. Numerous starches derived from various food crops have demonstrated sufficient promise as excipients in tablet formulations to encourage more study and development. (1)

Cohesiveness, binders significantly enhance the properties of tablets and granules(1-2). The compatibility of a binder with the physico-chemical characteristics of other excipients or active components in the formulation greatly influences the choice of binder and the manner in which it is incorporated. A normal release or sustained release formulation may result from the type, amount, and technique of inclusion. Polymeric substances that dissolve or disperse in water to form viscous solutions or dispersions4 are known as gums.(3)

About 9% of all prescription medications prescribed in the US in 19751. This percentage increased to 40% in 19912 and 20% in 1984. More than 80% of the over 10,000 prescription medications that were on the market in 1990 came from multiple sources, and there is evidence of varying clinical reactions to these dose forms that were provided by two or more drug makers. (4) The formulation materials used, handling, packaging, and storage techniques, as well as the demands of in-process quality, could all be responsible for these inconsistent results.(5)

With rheumatoid arthritis and lupus erythematosus, while its use for these conditions is not common due to its harmful effects on eye and hair pigments12, and its usage as an antimalarial is decreasing due to resistant strains of Plasmodium. However, due to its quick onset of action, it continues to be one of the most widely used antimalarial treatments.(6).

World, all four species can be found in tropical and subtropical regions. A strong antimalarial drug called chlorquine phosphate is used to treat malaria. It seems be safe and is used to prevent malaria. (7) Effective against susceptible strains of Plasmodium falcipetast, Plasmodium vivax, and Plasmodium malariae erthyrocytic forms. (8)

An aminoquinolone derivative called chloroquine was initially created in the 1940s to treat malaria.4. Prior to the creation of more recent antimalarial medications like mefloquine, artemisinin, and pyrimethamine, it was the preferred medication for treating malaria.(9) Since then, chloroquine and its derivative hydroxychloroquine have been used to treat a variety of other illnesses, such as rheumatoid arthritis, HIV, and systemic lupus erythematosus.(10)

Where Vp is the bulk volume following compression and Vo is the powder's original bulk volume. The material's lowest porosity prior to compression is represented by the constant "a," and its plasticity is represented by the constant "b." A presure term Pk, or the pressure needed to lower the powder bed by 50%, is defined by theterm Pk, or the pressure needed to lower the powder bed by 50%, is defined by the reciprocal of b 14, 15. In order to compare the effects of trifoliate yam starch as a binder in a formulation of chloroquine phosphate tablets to approved corn starch BP grade, the current study was created. Since chloroquine phosphate has poor tableting qualities and needs a binder in addition to other excipients to create good tablets, it was selected for the study(11, 12)

Material

Chlroquine phosphate, microcrystalline cellulose, sodium starch glycolate, crospovidone, talc, magnesium sterate, polyethylene glyol.

Method

Formulations of chlroquine phosphate

One hundred tablets with 100 mg of chloroquine phosphate each were made in five batches at The crospovidone had binder concentrations of 1% w/w, 2% w/w, 3% w/w, and 4% w/w, respectively. Table 1 lists the amounts of the substances that were used.(14)

Sr. No	Ingredients	F1	F2	F3	F4	F5
1)	Chlroquine phosphate	5	5	5	5	5
2)	Microcrystalline cellulose	50	10	10	9	11
3)	Sodium starch glycolate	10	50	6	10	5
4)	Crospovidone	5	6	50	5	9
5)	Talc	14	13	6	50	10
6)	Magnesium sterate	11	10	13	10	10
7)	Polyethylene glyol	5	6	10	11	50

Table:1 formulations and composition of chlroquine phosphate

GRANULES' EVALUATION (micromeritic characteristics)

Calculating the Fines Percentage Each batch of granules had a 5g quantity that was further filtered using a No. 11 sieve. The coarse granules and particles were gathered and weighed. The fines percentage was computed as(15)

Weight fine granules/weight coarse granules

×100% . … .. … .. … . 1)

Bulk density

A dry 100ml measuring cylinder was filled with 5g of granules, and the volume (Vb) was recorded. A revolving cam with a constant velocity was used to mechanically tap the cylinder on a level table surface until there was no more (16) discernible drop in volume (Vt). (16) The bulk and densities were calculated thus: Bulk density = Mass / weight of granules (M) ----------(2)

Tapped density

Applying 100 taps to 10 g of starch inside a graduated cylinder at a standardized rate of 38 taps per minute from a height of 2.5 cm allowed for the measurement of the tapped density. . (17)

Tapped density = Mass / weight of granules (M) ..... 3)

Final volum tapped density

Flowability

the starches' flowability using Carr's index and the Hausner ratio, respectively.

Carr's index x Tapped density The density that is taped Hausner ratio Density in bulkEquations 2 and 3 were used to evalute(18).

Angle of repose

A conical heap was created by allowing 5 g of starch powder to freely flow through a funnel while being pulled by gravity. Equation 4 was used to compute the angle of repose:where radius denotes the radius at the cone's base and height denotes the powder's height(19)

Carr's index and Hausner's Quotient

Carr's Index and Hausner's Quotient Using the values of Db and Dt, Hausner's quotient (H.Q.) = Dt /Db was computed from Carr's equation 13 together with the % compressibility (Carr's Index). ..5. Dt – Dt /Db x 100 = {1 – Db /Dt } x 100 is Carr's IndeConstant… .. … 4)

Evaluation of chlroquine phosphate tablet

The tablets were allowed a 24 hours post compression

Relaxation time before the following tests were

Conducted.

Hardness of tablet

Using a Monsanto Hardness tester, ten tablets were chosen at random from each batch for this test. Every tablet was positioned between the tester's anvil and spindle, and the knob was screwed until the tablet broke, at which point the value was recorded in Kgf units. The value was determined by taking the mean of the ten determinations.(20)

Uniformity of weight /mass test

In 2009, twenty tablets were chosen at random from each batch and weighed separately using an analytical balance (Adventurer®), per the BP's recommendation. Additionally, the mean and variation were computed.

Friability test

After being dedusted and weighed collectively, ten tablets chosen at random from each tablet batch were employed for the test in an Erweka TAR 200 friabilator. The tablets were gathered, dedusted, and any broken tablets were rejected after the drum was cycled for four minutes at 25 rpm. After determining the initial weight (wo) and end weight (w), the abrasion resistance (B) was computed as follows15: B = 100 (1 – W/Wo) or 100 [wo – w/wo]. … .. 5)

Disintegration time test

An Erweka ZT 120 basket and rack assembly and O. IN hydrochloric acid kept at 37.0 + 1.0°C were used as the disintegration media in the disintegration time test. The test was conducted using a minimum of six pills from each batch, using the protocol outlined in BP 2009 for uncoated normal release tablets.

Dissolution rate test

Using an Erweka DT 600 dissolving device and the paddle method (BP 2009), the in-vitro dissolving profile for every tablet batch was ascertained. 900 milliliters of freshlymade 0.IN was used as the dissolution media. The temperature of hydrochloric acid is kept at 37.0 + 1.00 C. 50.0±1.0 rpm was the paddle speed setting. Over the course of 60 minutes, 5 ml samples were taken out at 10-minute intervals, and an SP-6-450 UV/VIS Pye Unicam spectrophotometer was used to measure absorbances at 251 nm. The 5ml samples that were taken out for testing were replaced with a 5ml volume of 0.1N HCL that was kept at 37.0+1.00 C. The Beer Lamberts equation was used to determine the samples' concentration.

A = KC ---------- (6)

(where A = absorbance, C = concentration and K = Beers

Constant

Result and discussion

Chloroquine phosphate micromeritic examination (Table 2) reveals that DMSG had good and comparable values with those of crospovidone as binder matrices for all granule batches. As the polymer content for rose from 1% to 4% w/w,the granule flow rate increased from 6.75 ± 0.15 g/s to 8.00 ± 0.01 g/s. Similar actions with crospovidone were noted. Additional indicators of well-flowing granules that would improve the manufacturing of premium tablets were bulk and tapped densities, Hausner's quotient, Carr's Index values, and angle of repose.

Test	F1	F2	F3	F4	F5
Bulk density	0.58 ± 0.15	0.55 ± 0.10	0.53 ± 0.10	0.52 ± 0.05	0.59 ± 0.00
Tapped density	0.61 ± 0.10	0.59 ± 0.01	0.56 ± 0.01	0.62 ± 0.00	0.60 ± 0.05
Flowability	6.78 ± 0.15	6.89 ± 0.10	7.25 ± 0.25	8.00 ± 0.01	9.00 ± 0.00
Angle of repose	30.05 ± 0.10	28.80 ± 0.05	27.30 ± 0.02	25.00 ± 0.05	28.10 ± 0.90
Car's index	4.92 ± 0.01	6.78 ± 0.03	5.36 ± 0.15	7.14 ± 0.01	4.84 ± 0.01

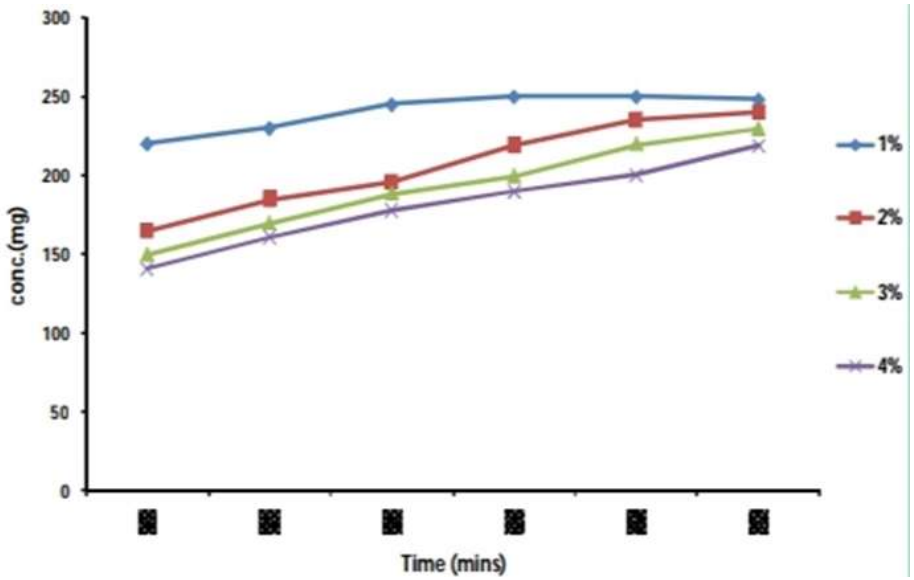
Table:2 micromeritic properties of chlroquine phosphate

Tablet

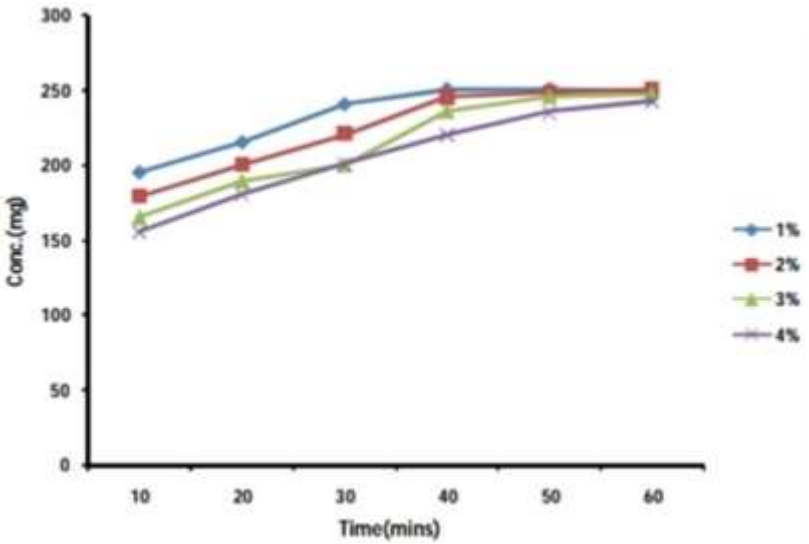
The findings of the evaluation of the tablets made with crospovidone in contrast to NaCMC are displayed in Table 3. Every batch of tablets passed the weight test for uniformity, and the variations met BP criteria of no more than +7.5% for tablets containing 300 mg or more.Friability values decreased as the binder concentration rose and were determined to fall within acceptable of less than 2.00.

Test	F1	F2	F3	F4	F5
Hardness	5.60 ± 1.50	6.02 ± 1.00	6.80 ± 1.20	7.50 ± 1.00	5.80 ± 0.70
Uniformity Mass test	298.00 ± 0.50	305.00 ± 0.15	307.00 ± 0.20	313.00 ± 0.20	290.00 ± 0.30
Friability test	1.08 ± 1.00	0.70 ± 0.80	0.45 ± 0.50	0.20 ± 0.00	0.95 ± 0.20
Disintegration test	5.00 ± 0.31	8.00 ± 0.25	10.00 ± 0.10	15.00 ± 0.50	7.00 ± 0.41
Dissolution test	1.08 ± 1.00	0.70 ± 0.80	0.45 ± 0.50	0.20 ± 0.00	0.95 ± 0.20

Table :3 Evaluation of chlroquine phosphate tablet



All of the batches' average tablet hardness values were within the permissible range of 4.0 to 7.0 kgf for typical compressed tablets. While values for ranged from 6 to 34 minutes, the disintegration time for all batches of crospovidone matrix tablets came within the acceptable official standards of less than 15 minutes, with values rising as the binder concentration increased (i.e., 4%> 3% > 2% > 1%). Therefore, the disintegration performance of tablets made with crospovidone w>as superior. More than 70% of the total drug content was available for absorption within 60 minutes in all batches of matrix tablets made with defatted Detarium microcarpium gum, according to the dissolving data (Fig. 1). As the concentration of the binder increased, the amount of the dissolved medication that was available reduced.(21)



The crospovidone-formulated tablets (Fig. 3) similarly exhibited a release rate of over 70% dissolution in 60 minutes. With the exception of tablets made with 1% w/w crospovidone a comparison of the two binders reveals that defatted Detarium gum had a better release rate profile for all tablet batches.

Conclusion

At all binder concentrations, the three gums/binders that were studied demonstrated good granule properties, and tablets that were compressed from these granules demonstrated good tablet features as well. The three binders' dissolving profiles complied with the BP requirements for tablets that were not coated22. In the manufacture of normalrelease chloroquine phosphate tablets, Detarium microcarpium seed gum is suggested as a potential substitute for both binders due to its favorable comparison wrelease chloroquine phosphate tablet. (22)

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