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Formulation and Evaluation of Ascorbic Acid Tablets using Co-processed *Caesalpinia* Gum and Annealed Maize Starch

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Abstract:
Background: *Gum and starch do not always provide the required performance for active pharmaceutical ingredient to be formulated or manufactured by direct compression due to poor flow properties and dilution potential, hence it is necessary to co-process the two excipients that is, Caesalpinia gum and maize starch. hence this study.*
Materials and Methods: *Caesalpinia gum was extracted from the fresh seeds of Caesalpinia pulcherrima plant (Family Caesalpiniaceae). Maize starch was annealed. The gum was co-processed with the annealed maize starch in a co-solvent system consisting of acetone and distilled water (2:1). The physical properties of the co-processed excipient were determined using standard methods. The co-processed excipient and microcrystalline cellulose PH 101 were used in the formulation of ascorbic acid and the tablet properties were evaluated.*
Results: *Caesalpinia gum obtained was off-white, tasteless powder with characteristic odour. FTIR spectral study showed that there was no chemical interaction between the constituents of the co-processed excipient, and between the co-processed excipient and the model drugs. The dilution potential of the co-processed excipient with respect to ascorbic acid was 70:30 with a tensile strength of 0.97 MN/m^2. The tablet properties of ascorbic acid tablets formulated with the novel co-processed excipient (1.25:98.75) met the requirements in the British Pharmacopoeia. The dissolution studies showed that the co-processed excipient (1.25:98.75) gave better results than microcrystalline cellulose.*
Conclusion: *The novel co-processed excipient performed better than microcrystalline cellulose PH 101 as a filler-binder-disintegrant in the formulation of ascorbic acid. It can serve as a locally sourced alternative to costly commercially available directly compressible excipients such as microcrystalline cellulose PH 101 for immediate release tablets.*
Key Words: *Caesalpinia gum, annealed maize starch, co-processed excipient, ascorbic acid, direct compression*

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I. Introduction

Tablets are the most commonly used solid pharmaceutical dosage form[1,2,3]. Tablets comprise of a mixture of active pharmaceutical ingredients and excipients, usually in powder form, compressed from a powder into a solid dosage form. A tablet can be defined as a solid dosage form containing active pharmaceutical ingredients with or without excipients. It is also a solid dosage preparation that contains a single dose of one or more active pharmaceutical ingredients[4]. They may vary in shape, and differ greatly in sizes depending on the quantity of medicinal substances, weight, hardness, thickness, disintegration, dissolution characteristics and intended mode of administration. Tablet is the most popular pharmaceutical dosage form and 70% of the total medicines are dispensed in the form of tablet. Virtually all medicaments are available in tablet form except where it is difficult to formulate or administer[5]. The methods of tablet formulation impart good flow and compression characteristics to the powdered drug [1,2].

In wet granulation, a solution or slurry of the binding agent is used to form granules of the components of the tablets. The binder may be added to the powdered drug and excipient and then mixed with a suitable liquid. The moistened mass is passed through a sieve to produce wet granules which are then dried and screened again to break agglomerates of granules[6,7].

The dry granulation process involves the preparation of a dry blend of the active pharmaceutical ingredient and excipients followed by pre-compression of the powder with high pressure rollers to form ribbons, which are then milled and sized. If needed, a dry binder and/or a lubricant are added and the mixture is compressed into a tablet.This method is used for drugs which do not compress well after wet granulation or those which are sensitive to moisture[8].

Direct compression is the process by which tablets are prepared directly from the powder blends of active ingredients and suitable excipients without a preliminary granulation step[6,7]. In recent years, most of the pharmaceutical manufacturing industries opt for direct compression tableting due to the fact that it requires fewer processing steps, elimination of heat and moisture, economy, and improved drug stability compared with wet granulation technique. Nevertheless, direct compression is more prone to segregation due to the difference in density of the active pharmaceutical ingredients and excipients. Most of the directly compressible materials can accommodate only 30-40 % of the poorly compressible active ingredients and are relatively costly[8,9]. Consequently, there is need to develop affordable excipients. In addition to the development of directly compressible excipients by the modification of a single substance, co-processing of two or more components is used to prepare directly compressible excipients. Co-processed excipients are combinationof two or more excipients that possess performance advantages that cannot be achieved using a physical admixture of the same combination of excipients.

The co-processed excipients are introduced to achieve better flow, better dilution potential, and reduced fill weight variation in comparison with a single substance or the physical admixture. Several of these excipients are commercially available. Examples include Cellactose® (lactose-cellulose), Avicel® CE-15 (microcrystalline cellulose and guar gum), Ludipress® (lactose, polyvinylpyrrolidone, and crosspovidone), and Prosolv® (microcrystalline cellulose and silicon dioxide) [9,10,11].

Nigeria has the natural resources for preparation of co-processed excipients for direct compression. Natural polymers are in abundance locally in Nigeria, and as a result co-processing two or more polymers will be of great advantage in direct compression tabletting process in the pharmaceutical companies. *Caesalpinia pulcherrima*plant (Family *Caesalpiniaceae*) is widely cultivated in Nigeria. This study was designed to assess the suitability of co-processed *Caesalpinia* gum and annealed maize starch as a direct compression excipient in the formulation of immediate release tablets.

II. Materials and Methods

Materials

Maize starch (Sigma-Aldrich, France), ascorbic acid (Scharlau, Spain), magnesium stearate (Aldrich, Germany), stearic acid (Sigma-Aldrich, Malaysia), talc (Sterling Organics, England), potassium bromide (Guangdong GuanghuaSci-Tech Co. Ltd,China), hydrochloric acid (BDH laboratory supplies, England), microcrystalline cellulose PH 101 (AcrosOrganics,USA), caesalpinia gum locally processed from the fresh seeds of *Caesalpinia pulcherrima* plant (Family *Caesalpiniaceae*) in western region of Nigeria and authenticated by Ibhamesebhor G and Omomoh B.E, Botany Department, Obafemi Awolowo University, Ile-Ife (authentication no IFE 17226).

Methods

Extraction of *Caesalpinia* gum

The method reported by Senthil *et al*[12]was adapted. Fresh seeds obtained from *Caesalpiniapulcherrima* plant were washed, after which the seed coats were removed. The endosperms were soaked in distilled water for 24 h, after which the endosperms were wet-milled. The slurry obtained was allowed to stand for 12h, wet-milled and filtered using muslin bag. The filtrate was kept at 12 ^{0} C for 6 h, dehydrated with acetone, and air-dried. It was further dried in an oven (Gallenkhamp BS, England) for 2 h at 50 ^{o}C. The product obtained was pulverized in a pulverizer (Rocklab, New Zealand), passed through sieve number 180 µm mesh and bottled for further use.

Modification of maize starch

The method reported by Adebowale *et al*[13] was adapted in the preparation of annealed maize starch. To 500 g of maize starch was added 6 litres of distilled water and heated at 50 ^{o}C for 24 h in an oven. The excess water was decanted and the starch was air-dried. The dried starch was passed through sieve number 250 µm mesh and bottled for further use.

Preparation of co-processed *Caesalpinia* gum-annealed maize starch

The method of Chandile*et al*[14] was adapted. To homogeneous mixture of *Caesalpinia* gum and annealed maize starch of ratio 1.25: 98.75 was added 10 mL solution of acetone and distilled water in ratio 2:1 respectively. The content of the beaker was mixed thoroughly and stirring was continued to form a wet coherent

mass which was passed through a 500 µm mesh sieve. The wet granules were dried in an oven drier (Gallenkhamp BS, England) at 50 ^{o}C for 1 h. The dried granules were then size-reduced by passing it through 250 µm mesh sieve and stored in an airtight bottle.

Physical properties of Excipients

Particle size and shape of excipients

The particle size and shape of co-processed excipient containing *Caesalpinia* gum and annealed maize starch of ratio 1.25:98.75 and microcrystalline cellulose were determined using optical microscope (LEICA Galen III Research Microscope, USA) equipped with an integrated camera(Celestron digital microscope imager, model 44421, USA) on 300 particles randomly selected from the optical field. The photomicrographs taken were analyzed using Image–J software (Model 1.48v, Wayne Rasband, USA).

Angle of repose

This was measured using fixed height method.

Densities of excipients

Bulk density was determined using graduated measuring cylinder. A known quantity of the powder was introduced into a graduated measuring cylinder of known diameter. The height of the powderat zero pressure was noted and the bulk volume V_o was calculated, after which the bulk density was calculated as weight per unit volume. Tapped density was obtained as weight per unit volume at 100 taps. The experiment was carried out in triplicate and the mean value was calculated.

Compressibility index

This was calculated using the equation:

$$\mathrm{CI} = \frac{\text{Tapped density} - \text{Bulk density}}{\text{Tapped density}} \mathrm{X}\ 100 \qquad (1)$$

where:

CI = Compressibility index

Hausner ratio

Hausner ratio, HR was calculated as the ratio of tapped density to bulk density of the excipients.

$$\mathrm{HR} = \frac{\text{Tapped density}}{\text{Bulk density}} \qquad (2)$$

Compatibility study

Fourier transform infrared spectra of caesalpinia gum, annealed maize starch, caesalpinia gum-annealed maize starch co-processed excipient (1.25:98.75) ascorbic acid as well as mixtures of the co-processed excipient with ascorbic acid (1:1) were obtained using Fourier transform infrared spectrophotometer (ThermoFisher Scientific, Nicolet iS5, USA). Thin pellets containing the material and potassium bromide in ratio 1:50 were used and the spectra were obtained at room temperature as an average of 32 scans in the 500 to 4000 cm^{-1} range with a spectral resolution of 6 cm^{-1}.

Determination of dilution potential of co-processed excipient

Compacts containing binary mixtures of the co-processed excipients and ascorbic acid was prepared in ratios (20:80, 40:60, 60:40, 70:30, 80: 20) at compression pressure of 273 MNm^{-2} on a single punch hydraulic hand press (Carver Laboratory Press, Model C, USA) fitted with 8.4 mm die and flat-faced punches lubricated with a 1 % w/v dispersion of stearic acid and talc in acetone. Tablet target weight was 250 mg. The tablet analysis was carried out after the tablets were stored for at least 24 h to allow for elastic recovery and hardening. The crushing strength, diameter as well as the thickness of the tablets were determined. The tensile strength of the group of three tablets was calculated.

Preparation of ascorbic acid tablets by direct compression

Table 1shows the formulae used for preparing ascorbic acid tablets. In all the formulations, the powder mix of the excipents and drug individually passed through 250 µm aperture sieve, without lubricants were blended for 4 min in a closed jar using doubling up technique. The sieved lubricants (250 µm aperture sieve) were added and tumbled for 30 secs. The powder mix was compressed into tablets on a single punch hydraulic press (Carver press, USA) at compression pressure of 273 MNm^{-2}. The tablet target weight was 344.82 mg for ascorbic acid tablets containing the co-processed excipient (1.25:98.75) using 8.2 mm die and flat-faced punches. Microcrystalline cellulose was used as basis for comparison. The tablets were evaluated for weight uniformity, crushing strength, friability, disintegration time as well as dissolution studies.

Table 1: Formula for preparation of ascorbic acid tablet directly compressed with the excipients

Ingredients (mg)	F1	F2
Ascorbic acid	100	100
Co-processed excipient	241.38	-
Microcrystalline cellulose	-	241.38
Talc	1.72	1.72
Stearic acid	1.72	1.72
Target weight	344.82	344.82

Evaluation of Tablet Properties

Tablet weight

Twenty tablets randomly selected from each batch of the tablets containing ascorbic acid were weighed as a whole and individually, after which the average tablet weight was determined. The percentage coefficient of variation was calculated from the equation below.

$$\% \text{ Coefficient of variation} = \frac{\text{Standard deviation}}{\text{Mean weight}} \text{ X } 100 \qquad (3)$$

Tablet Crushing Strength

Ten tablets were selected from each batch of ascorbic acid tablets. Each tablet was placed in-between the plunger of the hardness tester (Monsato, England). The plunger was then screwed to apply force to the tablet via a compressed spring. The force at which the tablet fractured was read as indicated by a pointer that moved along the gauge on the barrel of the tester. The mean value was determined.

Friability Test

The friability of ten tablets from each batch of ascorbic acid tablets was determined using ErwekaFriabilator (TA3R Erweka, Germany) at a rotation speed of 25 rpm for 4 min. The tablets were introduced into the friabilator after taking the initial weight of the tablets. The tablets were removed at the end of 100 rpm, dusted and re-weighed.

$$\% \text{ Friability} = \frac{\text{Loss in weight}}{\text{Initial weight}} \text{X} 100 \qquad (4)$$

Disintegration time

Disintegration test using Manesty disintegration apparatus (Manesty Machines Limited, Liverpool, UK) was performed on ascorbic acid tablets at 37 ± 1 ^{o}C in 200 mL of distilled water. The time taken for each tablet to disintegrate and pass through the mesh was noted. The average of the disintegration time for six tablets was obtained.

Total drug content

The quantity of active ingredient in each tablet formulation was determined spectrophotometrically. Five tablets selected from each batch of ascorbic acid tablets were crushed in a mortar. The powdered drug equivalent to weight of one tablet was weighed, triturated with 0.1 N HCl and transferred into a 1000 mL volumetric flask. The volume was made up to 1000 mL with 0.1 N HCl and then shaken. Some quantity of the mixture was filtered through Whatman number 1 filter paper. One millilitre of the filtrate was diluted with 9 mL of distilled water before analyzing spectrophotometrically. The absorbance of the filtrate was determined spectrophtometrically at 244 nm and the average drug content per tablet was calculated.

Dissolution studies of tablets

Dissolution studies were carried out on each batch of ascorbic acid tablets using Erweka rotating basket dissolution apparatus (Erweka, GmbH, Germany). The dissolution medium used was 0.1 N HCl. One thousand millilitres of the dissolution medium was used during each study. The rotation speed was 50 rpm and the temperature was maintained at 37 ± 1 ^{o}C. In each case, one tablet taken from each batch was placed in the basket and then lowered into the vessel containing 1000 mL of the dissolution medium. Five millilitres of each sample was withdrawn at intervals of 5 min for 45 min. The initial volume of the vessel was maintained by replacing with 5 mL of the dissolution medium, maintained at 37 ± 1^{o}C after each sampling. This was filtered through a Whatman number 1 filter paper. One millilitre of the filtrate was diluted with 9 mL of distilled water before analyzing spectrophotometrically. The samples containing ascorbic acid were

analysedspectrophotometrically at 244 nm to determine the percentage drug released. The experiment was performed in triplicate for each batch and the average was taken. The percentage drug released was calculated from the formula:

$$\%\ \text{Drug release} = \frac{\text{Concentration of drug in the withdrawn sample at a given time}}{\text{Maximum concentration}} \text{x } 100 \qquad (5)$$

Statistical Analysis

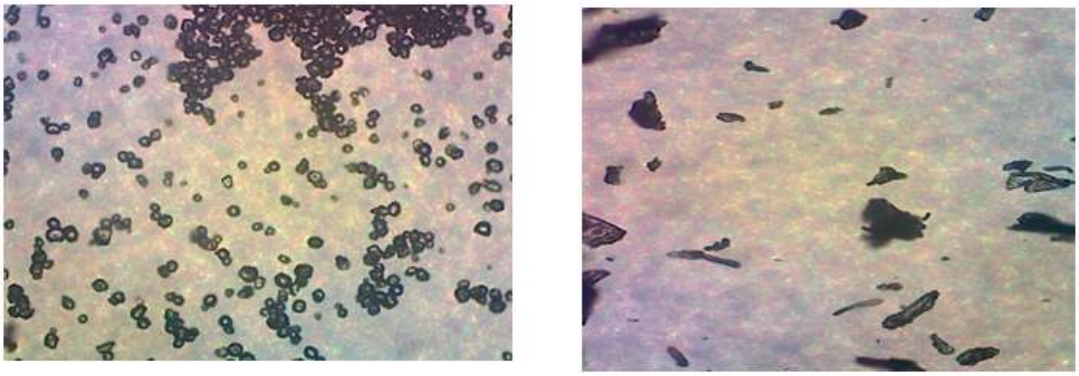
Analysis of variance (ANOVA) was used to analyze the results obtained for the dissolution studies using Statistical Package for the Social Sciences (SPSS) software. This was used to determine if there were any statistically significant differences between the means of three groups.

III. Results and Discussion

The co-processed excipient produced using *Caesalpinia* gum and annealed maize starch was white to off white in colour depending on the amount of *Caesalpinia* gum in the co-processed excipient.

Particle size and shape of excipients

The photomicrographs of the excipients in the plate below showed that the shape obtained for microcrystalline cellulose powder particles were irregular in shape. This was similarly reported in the literatures[15,16]. The co-processed excipient containing *Caesalpinia* gum and annealed maize starch were found to be polygonal in shape. Particles having irregular shape possess maximum interparticle contact, thus reducing powder flowability.This implies that the microcrystalline cellulose powder would not flow well during tableting. Irregularly shaped particles form stronger compacts because they have various points of contacts through which bond formation can take place compared to spherically shaped particles which have limited points of contacts [1,17,18].



A B

Plate 1: Photomicrograph of excipients (x 400)[19]

A. Photomicrograph of granules of *Caesalpinia* gum-annealed maize starch co-processed excipient (1.25:98.75)

B. Photomicrograph of Microcrystalline Cellulose Powder

Physical Properties of Excipients

The physical properties of co-processed excipient containing *Caesalpinia* gum and annealed maize starch at ratio 1.25:98.75 respectively and that of microcrystalline cellulose are presented in Table 3. The bulk and tapped density of a powder describes its packing behavior during tableting [6,20]. An increase in the tapped density is an advantage in tableting because the fill volume of the die would be reduced. The bulk and tapped density of the co-processed excipient containing *Caeselpinia* gum and annealed maize starch are shown in Table 3. The bulk and tapped densities were used in the determination of Compressibility index and Hausner ratio.

The Hausner ratio has been used to predict the flow behaviour of powdered solids [6]. As a general rule Hausner ratio values less than 1.25 indicates good flow, while greater than 1.25 indicates poor flow [1]. Angle of repose could be used as a qualitative measure of the cohesiveness or the tendency of powdered or granulated materials to flow, for instance, from hoppers through the feed frame into tableting machines. Such uniformity of flow will minimize weight variations in tablets produced [20]. An angle of repose less than 25^o is considered to have very good flow whereas 50^o is poor[1]. The angle of repose of the excipients are presented in Table 6.

Comparing the angle of repose and Hausner ratio of the co-processed excipient and that of microcrystalline cellulose, the co-processed excipient possessed better flow thus minimizing tablet weight variation.

Fourier transform infrared spectra of the excipients and that of the mixture of the co-processed excipient with the ascorbic acid (1:1) are presented in Figures 1 to 8[19]. It was observed that the prominent peaks of annealed maize starch at 2930, 2359, 1643, and 1018 cm^{-1} were present in the co-processed excipient containing *Caesalpinia* gum and annealed maize starch. It was also found that the characteristic peaks of *Caesalpinia* gum at 2359, 1642 and 1025 were present in the co-processed excipient. It was also observed that the peaks reflected in the Fourier transform infrared spectra of the pure drugs, that is, ascorbic acid were characteristic to the drugs. The Fourier transform infrared spectral study showed that there was no chemical interaction between the constituents of the co-processed excipient, that is, *Caesalpinia* gum and annealed maize starch due to the fact that the prominent peaks were retained after co-processing. The absence of any chemical change is an essential property desirable for co-processed excipient [19]. It was also observed that the peaks reflected in the Fourier transform infrared spectra of the pure drugs, that is, ascorbic acid were characteristic to the drugs. The Fourier transform infrared spectral study reflected no chemical interaction between the co-processed excipient and ascorbic acid due to the fact that the characteristic peaks of the drugs as well as that of the co-processed excipient were retained. Consequently, the co-processed excipient could be used in the formulation of directly compressible tablets containing ascorbic acid.

Table 3: Physical properties of excipients[19]

Property	Co-processed Excipient (1.25:98.75)	Microcrystalline Cellulose
Angle of repose (^{o})	40.99±1.66	42.69±2.65
Bulk density (g/cm^3)	0.52±0.20	0.37± 0.15
Tapped density (g/cm^3)	0.64±0.12	0.49±0.20
Carr's index	36.66	23.54
Hausner ratio	1.24	1.33

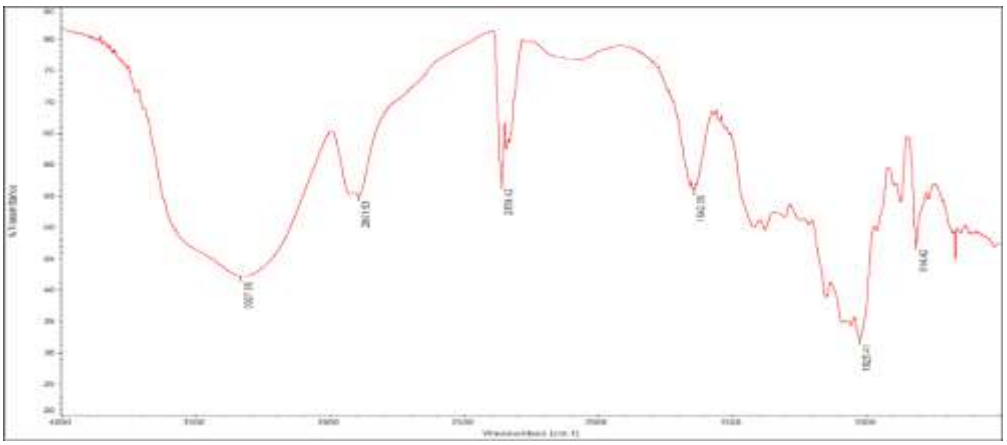


Figure 1: Fourier transform infrared spectrum of dried powder of *Caesalpinia* gum

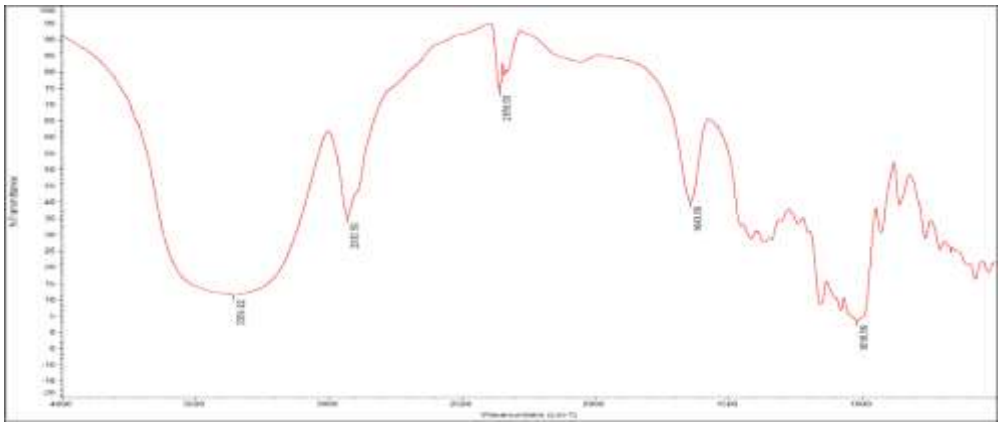


Figure 2: Fourier transform infrared spectrum of annealed maize starch

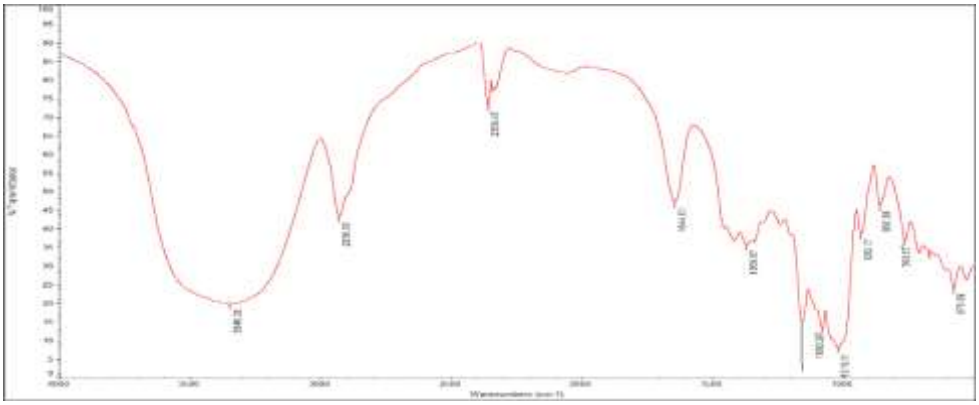


Figure 3: Fourier transform infrared spectrum of *Caesalpinia* gum – annealed maize starch co-processed excipient (1.25:98.75)

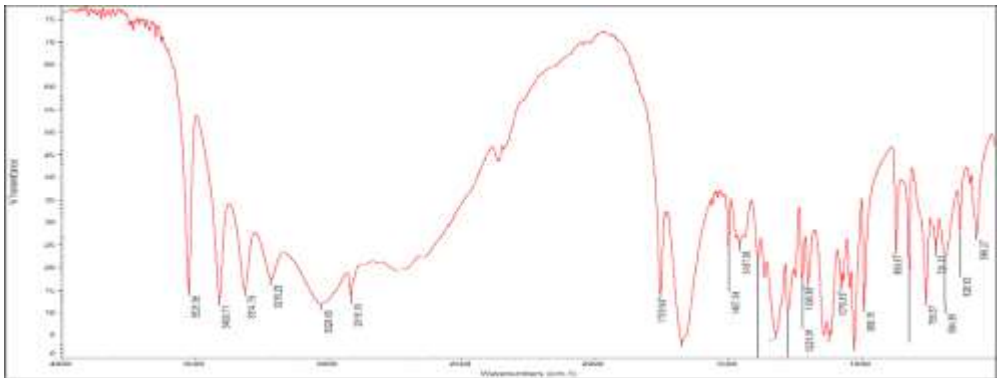


Figure 4: Fourier transform infrared spectrum of ascorbic acid

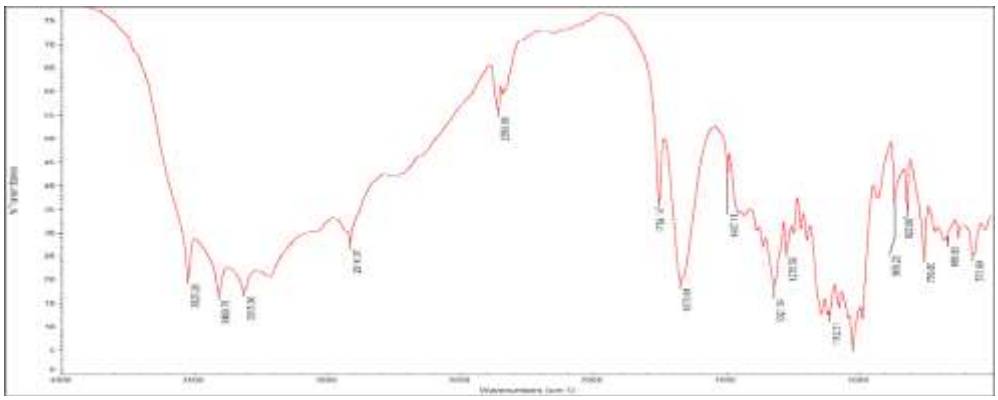


Figure 5: Fourier transform infrared spectrum of *Caesalpinia* gum – annealed maize starch co-processed excipient and ascorbic acid (1:1)

Dilution Potential of Co-processed Excipient

Dilution potential is an important property of excipient for direct compression. Dilution potential reflects the amount of an active ingredient that can be satisfactorily compressed into tablets with the given directly compressible excipient. A directly compressible excipient should have high dilution potential so that the final dosage form has a minimum possible weight. Generally, the more the drug that can be added to an excipient, the higher the dilution potential[21,22].

Table 4 reflects the diametrical crushing of tablets made from binary mixtures of the excipients and ascorbic acid. Generally, it was observed that the tensile strength of the tablets increased as the ratio of the excipient increased relative to the drug. Ascorbic acid tablets produced with the novel co-processed excipient yielded reasonable tensile strength at a dilution potential of 70:30 (excipient: drug). This indicates that up to 30 % of ascorbic acid, a soluble low-dose drug could be satisfactorily compressed into tablets with the co-processed excipient. This percentage is within the range (30-40%) of established result in the literatures [22,23].

Table 4: Tensile strength of compacts of binary mixtures of the co-processed excipient and drug

Tensile strength (MNm^{-2})	Excipients : Drug 20:80	40:60	60:40	70:30	80:20	100:0
Ascorbic acid	0.58±0.29	0.77±0.10	0.76±0.06	0.97±0.10	1.08±0.00	1.12±1.04

Physical properties of ascorbic acid tablets

The results of the physical properties of ascorbic acid tablets containing the novel co-processed excipient (1.25:98.75) or microcrystalline cellulose are shown in Table 5. Low values of percent coefficient of tablet weight variation were obtained for all the batches indicating good flow of the powder mix. On the basis of weight uniformity and percent drug content of ascorbic acid tablets formulated with the co-processed excipient (1.25:98.75) as well as microcrystalline cellulose it can be concluded that the powder blends flowed well. The result showed that percent drug content of ascorbic acid tablets formulated with co-processed excipient (1.25:98.75) gave the higher flow with respect to the percent drug content of ascorbic acid in the tablet. This indicates good flow of the powder mix.

The tablets of ascorbic acid containing co-processed excipient (1.25:98.75) gave good crushing strength. Crushing strength could not be obtained for ascorbic acid tablets containing microcrystalline cellulose. The low friability of tablets from each batch is in conformity with the crushing strength of the tablets. This is expected, due to the fact that the harder the tablet, the less likely it is for the tablet to chip, cap or break. Hence, the tablets will be able to withstand abrasion, friction and shock during packaging, handling and shipping [25,26]. Disintegration time of ascorbic acid tablets formulated with the co-processed excipient (1.25:98.75) was 7.56 min. This is in conformity with pharmacopoeia requirement for immediate release tablets. But the tablets formulated with microcrystalline cellulose did not meet up with the official requirement for immediate release tablets due to the fact that the tablet did not disintegrate within 15 min. The disintegration time of the tablets formulated with microcrystalline cellulose was prolonged. This may be attributed to the hardness of the tablets. These tablets exhibited hardness values of more than 137 N, whereas that containing the co-processed excipient was 43.5N. The harder the tablet, the less pores available for ingress of the disintegration fluid. This may be responsible for the long disintegration time for this batch of tablets. The co-processed excipient compared well with microcrystalline cellulose as a directly compressible excipient in the formulation of immediate release tablets. The novel co-processed excipient was able to function as filler-binder-disintegrant due to the fact that no disintegrant was included in the formulation.

Dissolution studies of ascorbic acid tablets made from directly compressible excipients

Figure 6 and Table 6 reflect the dissolution profile and parameters of ascorbic acid made from directly compressed excipients. It was found that the time $T_{50\ \%}$, that is, the time required for 50 % of the drug to be released into the dissolution medium obtained for ascorbic acid tablets formulated with co-processed excipient (1.25:98.75) as well as microcrystalline cellulose were 9.50 and 3.00 min respectively, while their $T_{70\ \%}$ was 17.00 and 7.50 min. The $T_{90\ \%}$ for ascorbic acid tablets formulated with co-processed excipient (1.25:98.75) was 28 min, while none was observed for ascorbic acid tablets containing microcrystalline cellulose. This may be due to the delay in release of the drug into the dissolution medium as a result of very high crushing strength of the tablets even though the dissolutiontest was stopped at 45 min. The percent drug released at 30 min obtained for ascorbic acid tablets containing co-processed excipient (1.25:98.75) or microcrystalline cellulose was found to be 92.90 and 89.30% ($p < 0.05$) respectively. The batch containing microcrystalline cellulose passed the dissolution test for immediate release tablets though it did not pass the disintegration test. This is because for water soluble drugs, dissolution occurs simultaneously with disintegration. Because the active ingredient, ascorbic acid, is soluble, as soon as it gets into contact with the aqueous medium, it dissolves. It is not until the tablet disintegrates before dissolution occurs. Even though the tablets were hard, they are not impervious to water. Microcrystalline cellulose is a hydrophilic excipient; it will not hinder the dissolution of water-soluble drugs such as ascorbic acid. The British Pharmacopoeia specifies that not less than 70% of the stated amount of active pharmaceutical ingredient should have been released at 45 min. This indicates that the tablet batches passed the dissolution test. The dissolution studies showed that the co-processed excipient (1.25:98.75) gave better results than microcrystalline cellulose due to the fact that at 30 min, 92.90% of the drug has been released from ascorbic acid tablets containing the co-processed which was higher than that containing microcrystalline cellulose.

Table 5: Physical properties of ascorbic acid 100 mg tablets[19]

Tablet properties	Co-processed Excipient (1.25:98.75)	Microcrystalline cellulose
Weight uniformity (mg) (n = 20)	338.50±3.07	335.50±2.46
Crushing strength (N) (n = 10)	43.54±0.46	>137.29±0.00
Thickness (mm) (n = 10)	5.32±0.08	4.60±0.00
Friability (%) (n = 10)	0.59±0.00	0.58±0.00
Disintegration time (min) (n =6)	7.56±2.47	> 15±0.00
Drug content (%)	103.92	90.20

Values are Mean ± S.D, except for average weight where values are Mean ± % coefficient

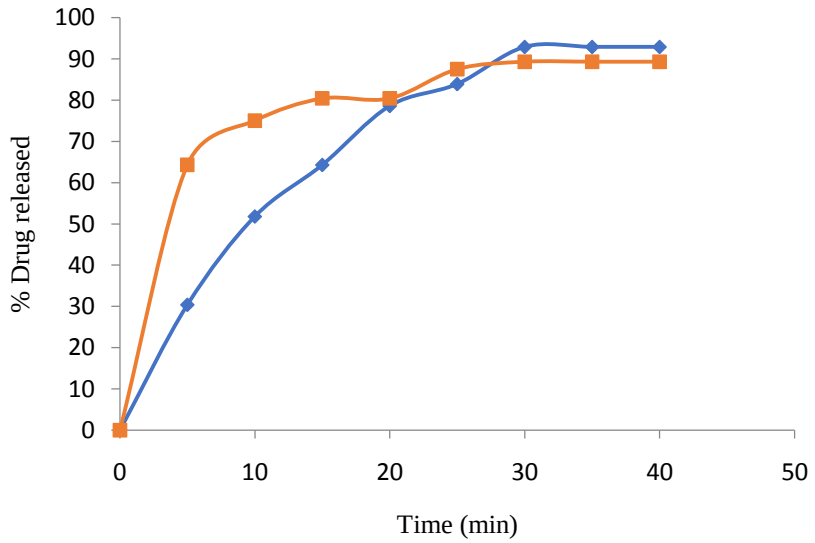


Figure 6: Dissolution Profile of Ascorbic Acid Tablets made from Directly Compressible Excipients

Caesalpinia gum-annealed maize starch co-processed excipient (1.25:98.75)

Microcrystalline cellulose

Table 6: Dissolution Parameters of Ascorbic Acid 100 mg Tablets

Parameters	Co-processed Excipient (1.25:98.75)	Microcrystalline cellulose
$T_{50\,\%}$ (min)	9.50	3.00
$T_{70\,\%}$ (min)	16.50	7.50
$T_{90\,\%}$ (min)	28.00	-
Drug released at 30 min (%)	92.90	89.30

IV. Conclusion

The results obtained from this work showed that the co-processed excipient containing *Caesalpinia* gum and annealed maize starch can serve as a multifunctional direct compression excipient for ascorbic acid tablets since it exhibited good flow properties, reasonable dilution potential and excellent disintegration property.

References

[1]. Aulton ME. Pharmaceutics: The Science of Dosage Form Design, London: Churchill Livingstone, Ninth edition 1999; p 247.
[2]. Avinash G, Rahul KP, Ajay KS, Purnima DA. A novel directly compressible co-processed excipient for sustained release formulation. Journal of Applied Pharmaceutical Science, 2013; 3(9): 89- 97.
[3]. Adeleke IO. Evaluation of co-processed Caesalpinia gum and annealed maize starch as a direct compression excipient. PhD. Thesis, University of Jos, 2019.
[4]. Mattson S. Pharmaceutical binders and their function in directly compressed tablets. Online PhD. Thesis, Uppsala University, Sweeden,2000.
[5]. Onichabor FK. Quality evaluation of some brands of Ibuprofen tablets in Benin, Nigeria. B. Pharm project, Igbinedion University, Okada, 2020.
[6]. Okafor IS. A comparative study of modified starches in direct compression tableting. MSc. thesis, University of Nigeria, Nsukka, 1990.
[7]. Gohel MC, Jogani PD. A review of co-processed directly compressible excipients. Journal of Pharmacy and Pharmaceutical Sciences, 2005;8(1): 76-93.
[8]. Mohammed KI. Recent advances in direct compression technique for pharmaceutical formulations. International Journal of Pharmaceutical Research and Development, 2014, 6(1):49-57.
[9]. Liew KB., Anand G, Uttam KM. A review on co-processed excipient: current and future trend of excipient technology. International Journal of Pharmacy and Pharmaceutical sciences, 2019;11(1): 1-9.
[10]. Camargo JR. Assessment of cellulose II and silicon dioxide as a platform to enhance excipient functionality. Online doctoral thesis, University of Iowa, Iowa, 2011.
[11]. Vasinee L, Narueporn S. Poj K. Spherical composite particles of rice starch and microcystalline cellulose: A new co-processed excipient for direct compression. Journal of the American Association of Pharmaceutical Scientists, 2004;5(2): 40-49.
[12]. Senthil V, Gopalakrishnan S, Sureshkumar R, Jawaher N, Ganesh GNK, Nagasamyvenkatesh D. Mucoadhesive slow release tablets of theophylline: Design and evaluation. Asian Journal of Pharmaceutics, 2010; 4(1): 64-68.
[13]. Adebowale KO, Olu-Owolabi BI, Olayinka OO, Lawal OS. Effect of heat moisture treatment and annealing on physicochemical properties of redsorghum starch. African Journal of Biotechnology, 2005;4(9): 928-933.
[14]. Chandile GK, Kumar U, Kakade SM, Rajasekar S, Jadhav R.T. Development and evaluation of haloperidol orally disintegrating tablets using novel co-processed superdisintegrants.International Journal of ResourcePharmaceutical Science, 2011; 2(3), 348 -352.
[15]. Kolakovic R., Peltonen L, Laaksonen T, Putkisto K, Laukkanen A, Hirvonen J. Spraydried cellulose nanofibers as novel tablet excipient. AAPS Pharmaceutical Science Technology, 2011;12(4), 1366-1373.
[16]. Kulkarni PK, Dixit SA, Singh UB. Evaluation of bacterial cellulose produced from Acetobacter xylinum as pharmaceutical excipient. American Journal of Drug Discovery and Development. 2012; 2(2): 72-86.
[17]. Bodhmage A. Correlation between physical and flowability indices for fine Powders. Online master thesis,University of Saskatchenwan, Saskatchenwan., 2006.
[18]. Erica E. Flowproperties of selected pharmaceutical powders. Unpublished master thesis, University of Saskatchewan, Canada, 2008.
[19]. Adeleke IO. Preparation and evaluation of Caesalpinia gum-annealed maize starch co-processed excipient for direct compression tableting. International Journal of Chemistry and Pharmaceutical Sciences, 2016;4(9): 458-463.
[20]. Okunola A, Odeku OA. Compressional characteristics and tableting properties of starches obtained from four dioscorea species. Farmacia, 2009; 57(7):756-770.
[21]. Adeoye O, Alebiowu G. Flow, packing, and compaction properties of novel co-processed multifunctional directly compressible excipients prepared from tapioca starch and mannitol. Pharmaceutical Development and Technology, 2013; early online, 1-10.
[22]. Chowdary KPR, Ramya K. Recent research on co-processed excipients for direct compression- A review.International Journal of Comprehensive Pharmacy, 2013;4(2): 1-5.
[23]. Dokala GK, Pallavi C. Direct compression- An overview.International Journal of Research in Pharmaceutical and Biomedical Sciences ISSN 2229-3701, 2013.
[24]. Adeleke IO. Application of grewia gum in microencapsulation of ibuprofen and paracetamol. MSc. Thesis, 2009.
[25]. Vemula K. Quality controlling of tablets. Retrieved December 14, 2015, from www.academia.edu/4110379/ Qcontrolling tablets,2015).

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