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(54) **Title:** NOVEL MOISTURE BARRIER IMMEDIATE RELEASE FILM COATING COMPOSITION

(57) **Abstract:** A dry film coating composition and a method for preparing the same. The coating composition comprises a polymer, a waxy emulsifier, a plasticizer, a wetting agent, a hydrophobic agent and optionally other pharmaceutically acceptable excipients such as opacifier, pigment, diluent, lubricant, flavoring agent, sweetener, and the like. The coating composition is used for coating of substrate such as pharmaceutical tablet, nutritional supplement, food, confectionery forms, agricultural seed, and the like.

NOVEL MOISTURE BARRIERIMMEDIATE RELEASE FILM COATING COMPOSITIONS

FIELD OF INVENTION

The present invention relates to immediate release film coating compositions with excellent moisture barrier properties for use in film coating of substrates like pharmaceutical materials, food, confectionery forms, nutritional supplements, agricultural seeds and the like.

BACKGROUND OF INVENTION

Many therapeutic ingredients are sensitive to moisture and undergo degradation when in contact with moisture from the atmosphere. Moreover, the humidity level of different places is different. Thus, relying on packaging material isn't sufficient to prevent therapeutic ingredients from moisture degradation. A moisture barrier coating on a substrate prevents or delays the substance from being degraded by moisture.

Certain film coating compositions are said to have moisture barrier properties. For instance, WO 199600 1874 discloses a moisture barrier film coating composition comprising polyvinyl alcohol and soya lecithin. WO0104195 discloses film coating compositions comprising poly vinyl alcohol or poly ethylene glycol or Glycerol in combination with talc and soya lecithin. Certain film coating compositions with PVA and stearic acid are also reported to have moisture barrier properties.

However, there are certain disadvantages of the prior art compositions asthe compositions which contain soya lecithin are highly hygroscopic, tends to pick up moisture, andhence the material stability is very poor with low shelf life. It also tends to become pale yellow to dark yellow on storage, thus interfering with the color shade of the final product. Compositions which use polyvinyl alcohol (PVA) in solution form render tackiness to the final coating dispersion. The increased tackiness of the final composition may cause variety of problems including tablet sticking, agglomeration and may lead to variation in batches.

WO2010132204discloses a moisture barrier immediate release film coating composition comprising a polymer, a polymer with pH dependent solubility, optionally plasticizer and/or glidant, alkalizing agent, emulsifier and pigment. However this process includes glycerin (having hygroscopic properties) in higher amount of 6-12%, therefore composition could possibly retain some amount of water.

WO20061 11981discloses a coating composition capable of forming an immediate release moisture barrier film coat for pharmaceutical substrates like tablets and the like, comprising polyvinyl alcohol and self emulsifyingself-emulsifyingglyceryl monostearate as an anti-tack agent. However this process includes use of hot water for reconstitution and final film coating,which is cumbersome in an industrial set up and not suitable for heat sensitive materials Hence, there is still a need for a moisture barrier coating that is quick and easy to reconstitute, is not tacky and easy to handle.

OBJECT OF INVENTION

An object of the present invention is to provide a novel immediate release, moisture barrier, non-tacky film coating composition of PVA that is completely dispersed at ambient temperature and the process for producing the same.

SUMMARY OF INVENTION

It has been surprisingly found that the use of plasticizer in combination withwaxyemulsifierand a hydrophobic barrier forming material and the polymer results in a composition giving smooth coating, which is non-tacky and disperses easily in ambient temperature. Further the resultant film exhibits good adhesion and tensile strength.

DETAILED DESCRIPTION

Unless otherwise stated all terms used in the following description, shall constitute the same meaning as understood by a person skilled in the art. All terms used here in the specification carry the same meaning as in common scientific parlance.

Film coating is the process whereby a tablet, capsule, or pellet is surrounded by a thin layer of polymeric material. Coating tablet with a thin polymeric film is commonly performed to modify drug release, mask the taste of therapeutic agents, to enhance the stability of the drug within the gastrointestinal fluids or may be used for pure aesthetic reasons.

The present invention provides a novel moisture barrier, immediate release film coating composition comprising a polymer, a waxy emulsifier, a plasticizer, a wetting agent, a hydrophobic agent,and optionally an opacifier, a pigment, a diluent, lubricant or other pharmaceutically acceptable excipients.

For the purpose of this invention 'hydrophobic polymer composite base' comprises the dry mass obtained by mixing the polymer with heated plasticizer, emulsifier, hydrophobic agent and wetting agent.

For the purpose of present invention, 'ambient temperature' shall be understood to mean temperatures generally in the range of from about 20°C (68°F) to about 30°C (86°F) +/-3°C.

An immediate release film coating composition of the present invention comprises a dry hydrophobic polymer composite base along with pharmaceutically acceptable excipients.

The dry hydrophobic polymer composite base of present invention comprises a polymer, awaxy emulsifier, a plasticizer, a wetting agent and a hydrophobic agent.

The novel immediate release film coating composition of the present invention includes a polymer which forms a good film lacks toxicity and has a suitable solubility profile upon ingestion. The film must provide a tough, yet elastic film even in the presence of powdered additives such as pigments. The film must be stable to heat, light, moisture and be free from undesirable taste and odor.

The polymer may be selected from the group comprising polyvinyl alcohol (PVA), copolymers

based on PVA, polyvinylpyrrolidone-vinyl acetate copolymer (copovidone), polyvinylacetate phthalate, methacrylic acid copolymers, hypromellose (hydroxypropylmethyl cellulose), hydroxypropylcellulose, sodium carboxymethyl cellulose, ethyl cellulose. The polymer may be preferably polyvinyl alcohol.

Polyvinyl alcohol is an odorless and tasteless, translucent, white or cream colored granular powder. All grades of polyvinyl alcohol may be used in the present invention.

The amount of polymer used in the composition of the present invention may be range of 55 to80%, preferably 60 to 75% by weight of the hydrophobic polymer composite base.

Plasticizer of the present invention may be selected from the group comprising phthalate esters, phosphate esters, and other esters like citrates, stearates, sebacate, oleate, oils, glycerols, glycols etc. Preferably, the plasticizer of the present invention is selected from the group comprising polyethylene glycol, ethyl phthalate, methyl phthalate, propylene glycol, fractionated coconut oil, lecithin, castor oil, dibutylsebacate, diethyl phthalate, dipropyl phthalate, dibutyl phthalate, triacetin, liquid paraffin, triethyl citrate, and combinations thereof. More preferably, the plasticizer is dibutylsebacate, diethyl phthalate, and dibutyl phthalate.

The amount of plasticizer used in the composition of the present invention may be range of lOto 25% w/w, preferably 10-20% by weight of the hydrophobic polymer composite base.

The composition of the present invention comprises a waxy emulsifier. A non-limiting list of materials that may be used as 'waxy emulsifier' includes glyceryl monostearate, glycerylbehenate (e.g. Compritol 888 ATO), glycerylpalmitostearate (e.g. Precirol ATO 05), sorbitan ester (e.g. sorbitan monopalmitate—Span 40), palmitic acid, polyoxyethylene alkyl ether (e.g. Cremophor AG; Brij 52; Brij 72; Volpo S2; and Ethylan 2512), lauroylpolyoxylglyceride (e.g. Gelucire 44/14) and stearoylpolyoxylglyceride (e.g. Gelucire 50/13), ceresin, cetostearyl alcohol, cetyl alcohol, docusate sodium, ethyl maltol, ethylene glycol stearates, glycerylmonooleate, lanolin, myristic acid, petrolatum/lanolin alcohol, polyoxyl 6 stearate, polyoxyl 8 stearate, propylene glycol monostearate, sorbitan tristearate, sodium

stearylfumarate, stearyl alcohol, hydrogenated vegetable oil, carnauba wax, microcrystalline wax and zinc stearate, and the like.

The amount of waxy emulsifier used in the composition may be in the range of 0.3% w/w to 10 % w/w, preferably in the range of 0.5 % w/w to 5% by weight of the hydrophobic polymer composite base.

Wetting agents of the present invention may be selected from the group comprising Tween 20 (polysorbate 20 or poloxyethylene (20) sorbitan monolaurate), Tween 40 (polysorbate 40 or polyoxyethylene (20) sorbitan monopalmitate), Tween 60 (polysorbate 60 or polyoxyethylene (20) sorbitan monostearate), Tween 80 (polysorbate 80 or polyoxyethylene (20) sorbitan monoleate), sodium lauryl sulphate and combinations thereof.Preferably the wetting agent is polysorbate 80.

The amount of wetting agent used in the composition of the present invention may be in the range of 0.3% w/w to 10% w/w, preferably in the range of 0.5% w/w to 5% by weight of the hydrophobic polymer composite base.

The hydrophobic agent gives moisture barrier properties to the film coating composition. Further the hydrophobic agent gives smooth flowing properties to film coating composition.The Hydrophobic agent of the present invention may be selected from the group comprising Stearic acid, Sodium stearate, calcium stearate, zinc stearate, glyceryl palmitostearate.Preferably the hydrophobic agent is stearic acid.

The amount of hydrophobic agent used in the composition of the present invention may be in the range of 1% w/w to 25% w/w, preferably in the range of 5% w/w to 20% by weight of the hydrophobic polymer composite base.

The composition of the present invention may additionally comprise other ingredients such as an opacifier, a colorant, a diluent, a lubricant, a flavoring agent and/or a sweetening agent etc.

Opacifiers of the present invention may be selected from the group comprising titanium dioxide, zinc oxide, calcium carbonate, magnesium oxide and the like.

A pigment may be used to provide color to the film coating. For instance, pigments of the present invention may include iron oxide colors, Lake or soluble colors, natural colors, candurin colorsand the like or any food approved colors or dyes or combinations thereof.

Diluent of the present invention may include Tri basic calcium phosphate, Micro-crystalline cellulose, calcium phosphateand the like.

Lubricants of the present invention may be selected from the group comprising magnesium stearate, calcium stearate, zinc stearate, stearic acid, mineral oil, and combinations thereof.

Sweeteners of the present invention may be selected from the group comprising glucose, fructose, sorbitol, aspartame, glycerol, saccharin, xylitol and the like.

Flavoring agents of the present invention may be selected from the group comprising powder, liquid, encapsulated flavors either natural or syntheticorigin.

Substrates of the present invention comprise but are not limited to pharmaceutical materials, nutritional supplements, food, confectionery forms, agricultural seeds, and the like.

The present invention provides a composition for coating , wherein the a dry hydrophobic polymer composite base present in the range from about 55 to about 80%, preferably in the range of 60 % w/w to 75%, with other pharmaceutical excipients further comprising alubricant is present in the range of 1-15% w/w,and optionally an opacifier is present in the range of 0.1-25% w/w, a pigment is present in the range of 0.1 - 15% w/w a diluent is present in the range of 0.1-15% w/w, or combinations thereof along with other pharmaceutically acceptable excipients.

In another aspect the composition of the present invention may be prepared by a process comprising the steps of:

1. combining the liquefied plasticizer with the waxy emulsifier, hydrophobic agent and wetting agent;
2. heating the above said mixture to obtain a homogenous liquid dispersion;
3. adding the polymer to the heated mixture of step 1 to obtain the hydrophobic polymer composite base in dry powder form;
4. optionally adding other pharmaceutical excipients to obtain the composition of the present invention.

The process of preparing the composition of the present invention includes combining the waxy emulsifier and wetting agent with the liquefied plasticizer. The combination may be achieved by several processes such as heating, stirring etc. The resultant mixture obtained on combining the liquefied plasticizer with the waxy emulsifier and hydrophobic agent may be heated in the temperature range of about 60-90 °C (degree Celsius), more preferably about 70-80°C, for a period of 5-10 min to obtain a homogenous liquid dispersion.

The polymer of the present invention is added to the homogenous liquid dispersion to obtain the hydrophobic polymer composite base. In addition other pharmaceutical acceptable excipients may be added to polymer composite base.

In another embodiment, the present invention provides a method for coating substrates comprising reconstituting the film coating composition into water at ambient temperature to form an aqueous coating solution, applying the coating solution onto the substrates to form a film coating on the substrates, and drying the film coating on said substrates.

In another aspect of the invention, the composition of the present invention is reconstituted inpurified water, de-mineralized water, andlike.The amount of solidpresent after reconstitution may be in the range of 10-40% w/v, preferably 15to 30% w/v.

The hydrophobic polymer composite base of the present invention may be coated by methods such as simple pan coating, fluidized bed coating, pans with one-way air flow through the tablet bed and the like.

The film coating process may be carried out in conventional pan. Operation variables such as speed of pan, rotation, angle of pan axis, and temperature and humidity control, optimum spray rate may beadjusted whichhelp in increasing the efficiency of the process and obtaining desired coating effect. Surprisingly inventive composition displayed good spray delivery rates even at such high solids in the coating suspension.

For instance, the composite base or the composition of the present invention may be sprayed by adapting the following coating parameters, such as Inlet air temperature in the range of 50-70 degree Celsius, Tablet bed temperature in the range of 30-50 degree Celsius, suitable pump speed, pan speed, an atomizing air pressure in the range of 1.4-3.0KG/cm sq. as per requirement of lot size to be coated.

Without being limited by theory, the composition of the present invention uses a hydrophobic plasticizer in combination with other ingredients and PVA, which results in a composition which provides a smooth coating, which is non-tacky and disperses easily in ambient temperature. Further the resultant film exhibits good adhesion and tensile strength. Moreover, the process of preparing the composition of the present invention, using a combination of heating and maintaining the mixture at appropriate temperatures and addition of the composition in sequence. It is postulated that the plasticizer interacts with the waxy emulsifier thereby surprisingly reducing the relative size of GMS and hydrophobicity of mixture. Such a mixture is easily dispersed in the polymer, thereby easing reconstitution. The composition of the present invention is highly effective in spite of the presence of another hydrophobic agent.

Hence, the composition of the present invention is synergistic, is easily dispersible, readily reconstitutable and eliminates the problems of prior art. Further the composition of the present invention provides a highly effective moisture barrier.

In another aspect, the present invention relates to the intimate mixture obtained by heating the plasticizer, hydrophobic agent and wetting agent to a temperature of about 60-85°C and subsequent addition of waxy emuisifier with continuous mixing of 10-15 minutes. The intimate mixture thus obtained has a desirable particle size. This intimate mixture is capable of freely passing through #120 mesh to #325 mesh, 38 micron, 28 micron sieves. Subsequently addition of polymer to this intimate mixture provides granules having ranges of #100 mesh to #120 mesh.

In another aspect, the composition of the present invention has a moisture vapor transmission rate (MVTR) in the range of 0.004-0.009 grams water/day/cm2, much lower than the data obtained for HPMC based films.

ADVANTAGES

1. The present invention uses a wetting agent with a waxy emuisifier and a liquefied plasticizer in raised temperature, thereby dissolving the waxy emuisifier easily and hence eliminating the problem of prior art.
2. The composition of the present invention may be adapted to provide colorless and transparent film coat to the materials with the enhanced film properties like finish, aesthetics, moisture barrier and luster.
3. The composition of the present invention has minimum tackiness, good adhesion, good tensile strength, high stability and high percentage of reconstitution.
4. The reconstitution of composition of the present invention is simple and the high solid content does not lead to any viscosity problem for spray and does not dry at the orifice of the spray gun and the coating can easily be completed very quickly.
5. The reconstitution of the composition of the present invention may be carried out in water, and thereby eliminates the use of harmful organic solvents and vapors.
6. The composition of the present invention provides a uniform and nearly perfect coat in relatively less time.
7. High solid content of the present composition helps to build up necessary weight and coating thickness quicker than other compositions thus increasing the speed of the process and thereby decreases the time consumed and is more economic.

8. During reconstitution of the composition, there is no sedimentation or floating of the particles thereby eliminating continuous stirring. Thus the compositions of the present invention are highly stable with respect to storing after reconstitution for long hours.

9. The formulation of the present invention solves the problems of prior art, with regards to the use of glycerol monostearate in a composition.

10. The composition of the present invention reduces the dispersion time of the composition and renders ease of constitution. The composition of the present invention is reconstituted within a time period of 20-25 minutes, whereas the compositions of prior art are reconstituted only in about 35-40 minutes.

11. The solid content of the composition of the present invention is much higher than that of prior art.

The invention illustratively disclosed herein suitably may be practiced in the absence of any component, ingredient, or step which is not specifically disclosed herein. Several examples are set forth below to further illustrate the nature of the invention and the manner of carrying it out. However, the invention should not be considered as being limited to the details thereof.

EXAMPLES

The present invention will next be described in further detail based on examples and comparative examples, although the present invention shall not be limited by the following examples. All units and percentages in the examples are by weight.

Example 1:

Process of preparation of hydrophobic polymer composite base comprises of heating 39.31% of diethyl phthalate to 65degree Celsius and adding 13.95% of Glyceryl monostearate, 9.74%ofPolysorbate 80 and 37.00% of Stearic acid to form a homogenous liquid dispersion.

Take 33% w/w of the above liquid dispersion (at a temperature 70-80°C) and mix with 67% w/w poly vinyl alcohol to prepare the desired hydrophobic polymer composite base. The same is gradually cooled to room temperature to obtain a dry powder base. The powder obtained is sifted through sieve of 40 mesh to ensure the absence of lumps or agglomerates. The final composition of the dry hydrophobic polymer composite base thus obtained is given in table 1.

Table 1

Component	Percentage in Hydrophobic polymer composite base
Glyceryl Monostearate	4.6035
Polysorbate 80	3.2142
Diethyl phthalate	12.9723
Stearic Acid	12.21
Polyvinyl alcohol	67
Total	100

This powder is reconstituted in pharmaceutically acceptable solvent (e.g. water) at ambient temperature (20°Cto 30°C) and the suspension thus obtained is used as film coating composition to coat various substrates. The solid content of the re-dispersed hydrophobic polymer composite base is about 6 to 9% w/v. The film coating can be applied as part of a pan coating or spray coating process commonly used to coat such articles.

In this case types of tablet used were medium sized, round, plane on both sides with sharp edges with diameter 10mm, thickness 5mm and hardness of core 4.2 kg/cm sq.

Example 2:

Process of preparation of hydrophobic polymer composite base comprises of heating 43.84% of diethyl phthalate to 65degree Celsius and adding 9.74% of Glyceryl monostearate, 9.71%ofPolysorbate 80 and 36.71% of Stearic acid to form a homogenous liquid dispersion.

Take 33% w/w of the above liquid dispersion (at a temperature 70-80°C) and mix with 67% w/w poly vinyl alcohol to prepare the desired hydrophobic polymer composite base. The same is gradually cooled to room temperature to obtain a dry powder base. The powder obtained is sifted through sieve of 40 mesh to ensure the absence of lumps or agglomerates. The final composition of the dry hydrophobic polymer composite base thus obtained is given in table 2

Table 2

Component	Percentage in Hydrophobic polymer composite base
Glyceryl Monostearate	3.2142
Polysorbate 80	3.2043
Diethyl phthalate	14.4672
Stearic Acid	12.1143
Polyvinyl alcohol	67
Total	100

.

Powder is sifted, reconstituted, sprayed on tablet as explained in example 1

Example 3:

Process of preparation of hydrophobic polymer composite base comprises of heating 36.86% of diethyl phthalate to 65degree Celsius and adding 7.96% of Glyceryl monostearate, 8.16% of Polysorbate 80 and 47.02% of Stearic acid to form liquid dispersion

Take 37% w/w of the above liquid dispersion (at a temperature 70-80°C) and mix with 63% w/w poly vinyl alcohol to prepare the desired hydrophobic polymer composite base. The same is gradually cooled to room temperature to obtain a dry powder base. The powder obtained is sifted through sieve of 40 mesh to ensure the absence of lumps or agglomerates. The final composition of the dry hydrophobic polymer composite base thus obtained is given in table 3

Table 3

Component	Percentage in Hydrophobic polymer composite base
Glyceryl Monostearate	2.9452
Polysorbate 80	3.0192
Diethyl phthalate	13.6382
Stearic Acid	17.3974
Polyvinyl alcohol	63
Total	100

Powder is sifted, reconstituted, sprayed on tablet as explained in example 1

Example 4:

Process of preparation of hydrophobic polymer composite base comprises of heating 57.17%of diethyl phthalate to 65degree Celsius and adding 9.74%of Glyceryl monostearate, 9.71%ofPolysorbate 80 and 23.38% of Stearic acid to form liquid dispersion.

Take 30% w/w of the above liquid dispersion (at a temperature 70-80°C) and mix with 70% w/w poly vinyl alcohol to prepare the desired hydrophobic polymer composite base. The same is gradually cooled to room temperature to obtain a dry powder base. The powder obtained is sifted through sieve of 40 mesh to ensure the absence of lumps or agglomerates. The final composition of the dry hydrophobic polymer composite base thus obtained is given in table 4

Table 4

Component	Percentage in Hydrophobic polymer composite base
Glyceryl Monostearate	2.922
Polysorbate 80	2.913
Diethyl phthalate	17.151
Stearic Acid	7.014

Polyvinyl alcohol	70
Total	30

Powder is sifted, reconstituted, sprayed on tablet as explained in example 1

Example 5:

The hydrophobic polymer composite base is taken from any of the preceding examples and blended with other pharmaceutical ingredients.

Table 5

Ingredient	Percentage by weight	Weight in grams
Hydrophobic polymer composite base	63%	630
Calcium Carbonate	3%	30
Magnesium Stearate	4%	40
Titanium Dioxide	17%	170
Pigment	13%	130
Total	100%	1000

The powder composition is prepared using standard dry blending or mixing techniques known to those of ordinary skill. The ingredients are individually weighed, added to high-speed mixer, blended for a sufficient time until a substantially uniform mixture of the ingredients is obtained. The time required to achieve such substantial uniformity will, of course, depend upon the batch size. This mixture is then passed through a 40 mm sieve to ensure smoothness of the mixture. If any of the powder formulation ingredients are liquids, they are added only after all of the dry ingredients have been sufficiently blended, and the combination of wet and dry ingredients is blended for an additional amount of time to ensure homogeneity once all of the liquid is introduced.

The film coating can be applied as part of a pan coating or spray coating process commonly used to coat such articles. The amount of coating applied will depend upon several factors, including the nature and functionality of the film coating, the substrate to be coated and the apparatus employed to apply the coating, etc.

In this case types of tablet used were medium sized, round, plane on both sides with sharp edges with diameter 10mm, thickness 5mm and hardness of core 4.2 kg/cm sq.

Example 6:

The hydrophobic polymer composite base is taken from any of the preceding examples and blended with other pharmaceutical ingredients.

Table 6

Ingredient	Percentage by weight	Weight in grams
Hydrophobic polymer composite base	70%	700
Calcium Carbonate	10%	100
Magnesium Stearate	10%	100
Titanium Dioxide	10%	100
Total	100%	1000

The powder mixtures are blended, sifted, reconstituted, sprayed on tablet as explained in example 5.

Example 7:

The hydrophobic polymer composite base is taken from any of the preceding examples and blended with other pharmaceutical ingredients.

Table 7

Ingredient	Percentage by weight	Weight in grams
Hydrophobic polymer composite base	64%	640
Polyethylene Glycol/Triacetin	8%	80
Magnesium Stearate	3%	30
Titanium Dioxide	24%	240

Pigment	1%	10
Total	100%	1000

The powder mixtures are blended, sifted, reconstituted, sprayed on tablet as explained in example 5.

Example 8:

The hydrophobic polymer composite base is taken from any of the preceding examples and blended with other pharmaceutical ingredients.

Table 8

Ingredient	Percentage by weight	Weight in grams
Hydrophobic polymer composite base	85%	850
Magnesium Stearate	13%	130
Titanium Dioxide	1%	10
Pigment	1%	10
Total	100%	1000

The powder mixtures are blended, sifted, reconstituted, sprayed on tablet as explained in example 5.

Example 9

Process of preparation of hydrophobic polymer composite base comprises of heating 36.86%of diethyl phthalate to 65degree Celsius and adding 7.96%of Glyceryl monostearate, 8.16%ofPolysorbate 80 and 47.02% of Stearic acid in order to form liquid dissolution so that the total amount of all the ingredients in the blend will be 100 % by weight, heating the Mixture to 70-80 °C for 5- 10 min to get a homogenous dispersion.

Take 37% w/w of the above liquid dispersion (at a temperature 70-80°C) and mix with 63% w/w poly vinyl alcohol to prepare the desired hydrophobic polymer composite base. The same is gradually cooled to room temperature to obtain a dry powder base. The powder obtained is sifted

through sieve of 40 mesh to ensure the absence of lumps or agglomerates. The final composition of the dry hydrophobic polymer composite base thus obtained is given in table 9

Table 9

Component	Percentage in Hydrophobic polymer composite base
Glyceryl Monostearate	2.9452
Polysorbate 80	3.0192
Diethyl phthalate	13.6382
Stearic Acid	17.3974
Polyvinyl Alcohol	63
Total	100

The above composite base is used as a ready mix to be blended with color, lake colors, titanium dioxide and Magnesium stearate to produce the desired product.

Table 10

Ingredient	Percentage by weight	Weight in grams
Hydrophobic polymer composite base	68%	680
Magnesium Stearate	9%	90
Titanium Dioxide	22%	220
Pigment	1%	10
Total	100%	1000

The powder mixtures are prepared using standard dry blending or mixing techniques. The ingredients are individually weighed, added to high speed mixer, blended for a sufficient time until a substantially uniform mixture of the ingredients is obtained. The time required to achieve such substantial uniformity will, of course, depend upon the batch size. This mixture is then passed through a 40mm sieve to ensure smoothness of the mixture:

The film coating can be applied as part of a pan coating or spray coating process commonly used to coat such articles. The amount of coating applied will depend upon several factors, including the nature and functionality of the film coating, the substrate to be coated and the apparatus employed to apply the coating, etc.

In this case types of tablet used were medium sized, round, plane on both sides with sharp edges with diameter 10mm, thickness 5mm and hardness of core 4.2 kg/cm sq.

Example 10

Process of preparation of Hydrophobic polymer composite base comprises heating of Diethyl phthalate to 80 degree Celsius, Glyceryl monostearateand stearic acid in amounts as given in table no 11. Heat the mixture to 70-80 °C for 5-10 min.

Table 11

Component	Percentage by weight
Glyceryl Monostearate	4.6035
Polysorbate 80	
Stearic Acid	25.1823
Diethyl phthalate	3.2142
Polyvinyl Alcohol	67%
Total	100%

When mixture obtained from above is taken in amounts of 33% w/w and mixed with 67% w/wpolyvinyl alcoholit results in the formation of a powder. Powder thus obtained is re-dispersed in water, however homogenous dispersion is not achieved.The properties expected to result that were not comparable to those of earlier compositions suggesting that presence of each component is necessary to obtain the desired properties.

Example 11

Preparation of the Aqueous Dispersion:

The inventive film coating composition as per above examples (20 grams) is dispersed into 80 grams of ambient temperature water to providean aqueous coating suspension having 20% w/w

non-water ingredients. The water is weighed into a vessel with a diameter approximately equal to the depth of the final dispersion. A low shear mixer is lowered into the water and turned on to create a vortex from the edge of the vessel down to just above the mixing blade to prevent entrapment of air. The 20 grams of dry film coating composition is added to the vortex at a rate where there is no excessive build-up of dry powder. The speed and depth of the mixing blade is adjusted to avoid air being drawn into the suspension so as to avoid foaming. The suspension is stirred at low speed (350 rpm or less) for 45 minutes to form a homogeneous aqueous dispersion suitable for coating.

A kilogram batch of placebo tablets is spray coated with the aqueous dispersion described above in fully perforated side-vented coaling pan equipped with a pan insert having a diameter of 15 and one spray gun fitted with a nozzle having an aperture of 1 mm. The average coating parameters were: Inlet air temperature 55-60 degree Celsius, tabletbed temperature 40-43 degree Celsius, pump RPM 1- 1.5 RPM, pan speed 35-38 RPM,atomizing air pressure 1.4-2.0 KG/cm sq.

A non-limiting list of suitable substrates that can be coated with the inventive coating system include compressed tablets, caplets, cores including pharmaceuticals, nutraceuticals and dietary supplements as well as any other art-recognized orally ingestible core.

In this case types of tablets to be used is medium sized, round, plane on both sides with sharp edges with diameter 10mm,thickness5mm and hardness of core 4-5 kg/cm sq.

MVTR (Moisture Vapour Transmission Rate) STUDIES for Example 1 Composition:

The films of Example 1 composition and other moisture barrier coating systems were prepared in the desired solvent systems using clean glass plates and an applicator. These plates were dried in oven at 40°C. Dried films were peeled from the plates with the help of surgical knife.

Pinhole free films of 100±10µwere selected and placed at 30°C/65% RH for 12 hours for stabilization. MVTR studies was conducted using specially designed glass diffusion cells.This assembly was placed in an oven at 40° C. Initial weight of the cell assembly was noted followed by successive weight loss recordings at regular intervals for 24 hours.

A Blank assembly was also kept with an open mouth without any film.

MVTR value was calculated using the following formula

$$MVTR = G / t \times a$$

a= Area of opening(exposed film): 2.833cm^{2}G = weight loss

t = time

Table 19

Moisture Barrier systems	**Time (MVTR Values)**	
	12 hrs	**24 hrs**
Example 1	0.005806	0.005563
Example 2	0.006032	0.005771
Example 4	0.006413	0.006428
Example 9	0.005424	0.005222
HPMC based film	0.08702	0.08533
Control	0.31	0.34

We Claim:

1. An immediate release film coating composition comprising a dry hydrophobic polymer composite base along with pharmaceutically acceptable excipients.

2. A dry hydrophobic polymer composite base as claimed in claim 1, comprising a polymer, awaxy emulsifier, a plasticizer, a wetting agent and a hydrophobic agent.

3. An immediate release film coating composition as claimed in claim 1, comprising a polymer, a waxy emulsifier, a plasticizer, a wetting agent, a hydrophobic agent and optionally an opacifier, a pigment, a diluent, lubricant or other pharmaceutically acceptable excipients.

4. The composition as claimed in claims 2 and 3, wherein the polymer is selected from the group comprising polyvinyl alcohol (PVA), copolymers based on PVA, polyvinylpyrrolidone-vinyl acetate copolymer (copovidone), polyvinylacetate phthalate, methacrylic acid copolymers, hypromellose (hydroxypropylmethyl cellulose), hydroxypropylcellulose, sodium carboxymethyl cellulose, ethyl cellulose.

5. The composition as claimed in claims 2 and 3, wherein the polymer is polyvinyl alcohol.

6. The composition as claimed in claims 2 and 3claims 2 and 3, wherein the polymer is in the range of 55 to 80 %w/w, more preferably 60-75% w/w of the composition.

7. The composition as claimed in claims 2 and 3, wherein the plasticizer is selected from the group comprising phthalate esters, phosphate esters, and other esters like citrates, stearates, sebacate, oleate, oils, glycerols, glycols etc.

8. The composition as claimed in claims 2 and 3, wherein the plasticizer is selected from the group comprising polyethylene glycol, ethyl phthalate, methyl phthalate, propylene glycol, fractionated coconut oil, lecithin, castor oil, dibutylsebacate, diethyl phthalate,

dipropyl phthalate, dibutyl phthalate and combinations thereof, more preferably dibutylsebacate, diethyl phthalate, dibutyl phthalate.

9. The composition as claimed in claims 2 and 3, wherein the plasticizer is in the range of 10 to 25% w/w, preferably 10-20% w/w of the composition

10. The composition as claimed in claims 2 and 3, wherein the waxy emulsifier is selected from the group comprising glyceryl monostearate, glycerylbehenate, glycerylpalmitostearate, sorbitan ester, palmitic acid, polyoxyethylene alkyl ether, lauroylpolyoxylglyceride and stearoylpolyoxylglyceride, ceresin, cetostearyl alcohol, cetyl alcohol, docusate sodium, ethyl maltol, ethylene glycol stearates, glycerylmonooleate, lanolin, myristic acid, petrolatum/lanolin alcohol, polyoxyl 6 stearate, polyoxyl 8 stearate, propylene glycol monostearate, sorbitan tristearate, sodium stearylfumarate, stearyl alcohol, hydrogenated vegetable oil, carnauba wax, microcrystalline wax and zinc stearate.

11. The composition as claimed in claims 2 and 3, wherein the waxy emulsifier is in the range of 0.3 % w/w to 10 % w/w, more preferably in the range of 0.5. % w/w to 6% w/w.

12. The composition as claimed in claims 2 and 3, wherein the wetting agent is selected from the group comprising Tween 20 (polysorbate 20 or poloxyethylene (20) sorbitan monolaurate), Tween 40 (polysorbate 40 or polyoxyethylene (20) sorbitan monopalmitate), Tween 60 (polysorbate 60 or polyoxyethylene (20) sorbitan monostearate), Tween 80 (polysorbate 80 or polyoxyethylene (20) sorbitan monoleate), sodium lauryl sulphate and combinations thereof, preferably the wetting agent is polysorbate 80

13. The composition as claimed in claims 2 and 3, wherein the wetting agent is in the range of 0.3% w/w to 10% w/w, preferably in the range of 0.5% w/w to 5% w/w.

14. The composition as claimed in claims 2 and 3, wherein the hydrophobic agent is selected from a group comprising stearic acid, sodium stearate, calcium stearate, zinc stearate, glyceryl palmitostearate.

15. The composition as claimed in claims 2 and 3, wherein the hydrophobic agent is in the range of 1% w/w to 25% w/w, preferably in the range of 5% w/w to 20% w/w.

16. The composition as claimed in claims 2 and 3, optionally comprising other ingredients such as, a colorant, an opacifier, a diluent, a lubricant, a pigment, a flavoring agent or a sweetening agent.

17. The composition as claimed in claims 16, wherein the opacifier is selected from the group comprising titanium dioxide, zinc oxide, calcium carbonate, magnesium oxide.

18. The composition as claimed in claims 16, wherein the opacifier is in the range of 0.1 % w/w to 25% w/w.

19. The composition as claimed in claim 16 , wherein the pigment is selected from the group comprising, iron oxide colors, Lake or soluble colors, natural colors, candurin colors and the like.

20. The composition as claimed in claim 16, wherein the diluent is selected from the group comprising calcium carbonate, calcium phosphate, magnesium trisilicate, tri basic calcium phosphate, micro-crystalline cellulose and the like.

21. The composition as claimed in claim 16, wherein the diluent is selected from the group comprising magnesium stearate, calcium stearate, zinc stearate, stearic acid, mineral oil, and combinations thereof.

22. The composition as claimed in claim 16, wherein the flavoring agent is selected from the group comprisingpowder, liquid, encapsulated flavors either natural or syntheticorigin.

23. The composition as claimed in claim 16, wherein the sweetener is selected from the group comprising glucose, fructose, sorbitol, aspartame, glycerol, saccharin, xylitol.

24. A composition as claimed in claim 16, wherein the dry hydrophobic polymer composite base present is the range of 40 to 90%, preferably in the range of 45 % w/w to 80%, along with an opacifier in the range of 0.1-25% w/w, a pigment in the range of 0.1-25% w/w, a diluent in the range of 0.1-15% w/w, a lubricant in the range of 1-15% w/w or combinations thereof along with other pharmaceutically acceptable excipients.

25. A process for preparing the composition as claimed in claims 2 and 3 comprising the steps of:
    1. combining the liquefied plasticizer with the waxy emulsifier, hydrophobic agent and wetting agent;
    2. heating the above said mixture to obtain a homogenous liquid dispersion;
    3. adding the polymer to the heated mixture of step 1 to obtain the hydrophobic polymer composite base in dry powder form;
    4. optionally adding other pharmaceutical excipients to obtain the composition of the present invention.

26. The composition as claimed in claims 2 and 3, when reconstituted in a solvent selected from the group comprising aqueous solutions, water, de-mineralized water, preferably purified water,having solid content in the range of 10-40% w/w, preferably 15 to 30% w/w of solvent.

27. The composition as claimed in claim 26, comprising solid content of 15% to 30% by weight in the suspension on reconstitution.

28. A method of coating a substrate with the composition of claims 2 and 3, wherein the substrate is selected from the group comprising pharmaceutical materials, nutritional supplements, food, confectionery forms, agricultural seeds.

29. An orally ingestible substrate coated with the dry film coating composition of claims 2 and 3.

30. A dry film coating composition and method of coating as described in the specification with reference to forgoing examples.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2012/000434

A. CLASSIFICATION OF SUBJECT MATTER

See extra sheet

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC: A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CNPAT, CNKI, WPI, EPODOC: coating, coated, coat, polyvinyl alcohol, PVA, polyvinylpyrrolidone, cellulose, plasticizer, phthalate., hydrophobic, hydrophobe, stearate, stearic, immediate release, mix, composite

C. DOCUMENTS CONSIDERED TO BE RELEVANT

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Y	CN101199854A(TIANJIN AILEYI MEDICINE MATERI), 18 Jun. 2008 (18.06.2008), claims 1, 2, 5-10, page 2 paragraphs 3, 5, page 3 paragraph 2, example 1 of specification	10, 14, 21
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☐ Further documents are listed in the continuation of Box C. ☒ See patent family annex.

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

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A(Continuation). CLASSIFICATION OF SUBJECT MATTER

A61K 47/10(2006.01)i

A61K 47/16(2006.01)i

A61K 47/38(2006.01)i

A61K 47/44(2006.01)i

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Information on patent family members

International application No.
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