Use of Kaolin in the Pharmaceutical and Cosmetic Industries

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ABSTRACT

Kaolin, a white clay mineral composed mainly of kaolinite with the chemical formula Al2O3.2SiO2.2H2O, has been a subject of interest since the days of medieval western literature. This interest increased especially after the advent of a more empirical approach to pharmacology, the establishment of pharmacopoeias, and developments in mineralogy, chemistry, as well as pharmaceutical technology. The use of kaolin in pharmaceutical (both topical and oral) and cosmetic applications has become important. Although it has long been recognized as a remedy in traditional medicine, the use of kaolin as an active ingredient for various diseases continues to be researched. Unfortunately, research focused on the use of kaolin in pharmaceuticals and cosmetics is still fairly limited. Therefore, this article aims to highlight the role and benefits of kaolin in both fields. Kaolin has been shown to have antibacterial, antiviral, and antidiarrheal properties when administered orally, as well as serve as a skin-protective agent when used topically. Aside from being an active ingredient, kaolin is also often used as an excipient in pharmaceutical formulations, acting as a filler, emulsifier, suspending agent, and crusher. In the cosmetic world, kaolin is often used as a sunscreen and to treat the skin. This article is organized based on a literature review of various articles and journals relevant to the topic discussed.

Keywords: active pharmaceutical ingredients, excipients, kaolin, cosmetics.

INTRODUCTION

Clay raw materials have become a common choice in various health and cosmetic applications, driven by studies conducted by many researchers who have reported on their physical and chemical properties (Mattioli et al., 2016; Roselli et al., 2015; Silvavalenzuela et al., 2018). The criteria for selecting clay as a raw material in a particular technology largely depends on the properties and purity level of the clay, such as mineral composition, particle size distribution, reactivity and catalytic activity, specific surface area, development capacity, and cation exchange capacity. When used in healthcare applications, clay selection should take into account the active principles, adsorbent ability, and ability as an excipient.

In the context of pharmaceutical and cosmetic formulations, clay must also meet other requirements to avoid potential risks to human health. Stability, resistance to chemical reactions, minimal or zero toxicity, and microbiological activity must comply with the standards set out in the Indonesian and International Pharmacopoeia. In addition, from a physical point of view, clay must also have a pleasant color, neutral odor, softness, and appropriate rheological properties.

Clay minerals have become materials used by the pharmaceutical and cosmetic industries for various purposes, both as excipients due to their rheological properties, and as substances with biological activity due to their chemical properties. The cosmetic industry in particular has adopted the use of clay minerals due to their attractive characteristics, such as ease of application and cleaning, rapid drying, and dermatological harmlessness (Velasco et al., 2016).

Kaolin, one type of clay mineral widely used in various industrial applications, must have very fine particles, typically less than 2 μm, and high quality, especially for use in plastics, paints, paper industry, pharmaceuticals, and cosmetics. Pure kaolin, with the chemical formula Al2O3.2SiO2.2H2O, has a characteristic white color. To ensure its quality, kaolin is often cleaned of contaminants such as FeO, CaCO3, and MgCO3 through elutriation and drying processes. The level and type of contaminants present in kaolin depends on its source, which can affect its disorder and particle size.

METHODS

The method of writing this article was developed by referring to a literature review that included articles and journals that had relevance to the problem being investigated. This process involved a review of current and related literature that unearthed the information required to thoroughly and in-depth elaborate on the topic.

DISCUSSION

Kaolin Applications in Pharmaceuticals as Active Ingredients and Excipients

Kaolin has long been a part of traditional medicine and continues to be examined as an active ingredient for the treatment of various diseases. Kaolin can be administered orally for antibacterial, antiviral, and antidiarrheal purposes, as well as used topically as a skin protectant. Besides being an active ingredient, kaolin is also often used as an excipient in pharmaceutical applications. The selected excipients must be inert and able to support the drug formulation design process. The use of excipients in drug formulation is very important to improve the appearance, maintain stability, and consistency of the final preparation. In addition, excipients also play a role in improving the pharmacokinetic properties of drugs, such as increasing bioavailability and regulating the release of active components during drug administration and delivery.

Kaolin has been widely used in pharmaceutical applications as an excipient in various solid and semi-solid dosage form formulations, such as tablets, capsules, pills, granules, powders, pastes, poultices, ointments, creams, lotions, and suspensions. Kaolin concentrations for various purposes have been established in several drug formularies. The main functions of kaolin as an excipient include the role as filler, emulsifying agent, suspending agent, and crusher (Rowe et al., 2009; Dogan et al., 2012).

Antibacterial

As an additive, kaolin has also shown prominent antibacterial activity. Several studies have reported that kaolin, or kaolinite, has antibacterial effects, especially against bacteria such as

Staphylococcus aureus. This activity is related to its physical and/or chemical properties. Kaolin exhibits a physical bactericidal process through surface adsorption between kaolin particles and the bacterial cell wall due to its electrostatic attraction. Certain bacteria such as Pseudomonas putida and Staphylococcus gallinarum show a high adsorption affinity towards the kaolin surface, which results in the envelopment of bacterial cells and interferes with their uptake of metabolic nutrients.

ZnO/kaolinite composites and modification of kaolin with dimethyl sulfoxide (DMSO) have shown significant antimicrobial activity against various types of bacteria and yeast. The study by Dedkova et al. (2015) states that ZnO/kaolinite composites are effective against S. aureus, E. coli, E. faecalis, and P. aeruginosa thanks to their photocatalytic activity and biological interaction with bacterial cells. Meanwhile, Holesova et al. (2016) reported that kaolin modified with DMSO into nanocomposites showed high antimicrobial efficiency against S. aureus, E. coli, and Candida albicans. Furthermore, research by Jou and Malek (2016) on silver-kaolinite (CAAg-kaol) with chlorhexidine acetate showed high antibacterial activity against various types of bacteria, highlighting its potential as an effective antibacterial agent. Meanwhile,

Antivirus

Ali et al. (2014) found that kaolin mineral derivatives showed promising inhibitory activity against hepatitis C virus (HCV) infection, suggesting potential as complementary or alternative drugs for HCV virus infection.

Studies conducted by Bellou et al. (2015) found that human enteric pathogenic adenoviruses (hAdVs) and coliphage (MS2 and ΦX174) could be eliminated through adsorption on the surface of diluted kaolin (kaolinite). Research conducted by Silva et al. (2015) also showed that kaolinite particles in aqueous suspension can reduce viral genome copy number and infectivity of adenovirus 5 (HAdV-5).

Antidiarrheal

Research conducted by Primandini et al. (2012) focused on increasing the adsorption ability of kaolin through the calcination process at 400°C. The results showed that kaolin that has undergone a calcination process is more effective as an antidiarrheal agent compared to kaolin that did not go through the process. Kaolin is a mineral compound that is insoluble in water, mineral acids, and alkali hydroxide solutions.

Kaolin is known as one of the main adsorbents in the gut. Traditionally, kaolin has been used internally for the treatment of various enteric disorders such as colitis, enteritis, dysentery, and food-related diarrhea and alkaloid poisoning. The antidiarrheal ability of kaolin is attributed to its hydrophilicity, high surface area, microporosity, water osmosis, and retention ability, along with its antibacterial and antiviral effects.

Dermatological Protective

Kaolinite has been used in topical applications as an active sunscreen agent to reduce the effects of ultraviolet radiation from sunlight, including the UV-B and UV-A wavelength ranges, which are known to be the main causes of skin damage and cancer. In particular, kaolinite with a high $Fe2O3$ content has been shown to be effective in this regard (Etich et al., 2014). Products such as face masks, creams, poultices, and lotions containing kaolinite have been shown to have therapeutic activity as anti-acne treatments. This is because kaolinite is able to absorb surface lipids, excess oil secretion, and exfoliated dead skin cells. In addition, kaolinite is also able to absorb superficial toxins such as toxins from oak and poison ivy, as well as bacteria and viruses that cause acne infections, thus preventing the appearance of blackheads and reducing the spread of acne (Pura et al., 2014). In addition, Marcotegui et al. (2015) reported that kaolin application is also effective for relieving and healing insect bite marks.

Kaolin as a Filler Material

The selection of suitable fillers depends mainly on the hydrophobicity and bioavailability properties of the active components involved. In formulations with active components that have low solubility in water, the use of water-soluble fillers is recommended, while the opposite is true for formulations with water-soluble active components. The purpose of selecting these excipients is to avoid problems related to bioavailability. The most crucial physical tests and parameters to ensure that kaolin is suitable as a filler in solid dosage formulations include powder density, powder fineness, moisture, hardness, friability, disintegration time, and drug release profile (Mathur et al., 2015; Uddin et al., 2015).

In formulation development, kaolin must be compatible with the active components and other excipients used. The use of excipients that have high adsorption ability must be considered so as not to exceed the critical limit in tablet or capsule formulations. Active ingredients consumed in clinically small doses, such as cardiac glycosides, alkaloids, and synthetic estrogens, should not be mixed with excipients that have high adsorption ability. The presence of such excipients may lead to the absorption of excessive amounts of active ingredients, thereby decreasing bioavailability after administration (Shalini, 2012).

Many pharmaceutical studies have examined the role of kaolin as a filler or excipient in adsorbing and releasing active ingredient molecules. Interactions between drugs and kaolin can occur, with kaolin often used as an excipient in the formulation of controlled release systems. Kaolin can be added as a filler in tablets or capsules to increase volume and ease the compression process. For example, research shows that the interaction between kaolin and metronidazole can affect the release and diffusion of metronidazole in kaolin-metronidazole tablet formulations. In addition, kaolin can also interact with other drugs such as atenolol and naproxen, resulting in physical adsorption influenced by the main chelating ligands on the drug molecules.

In general, kaolin can be viewed as an economical excipient, focusing mainly on the interaction with active pharmaceutical ingredients. The interaction of kaolin with drugs can be useful in the design of modified drug delivery systems (Viseras et al., 2010).

Kaolin as Emulsifying Agent

Emulsion formulations require the addition of emulsifying agents to maintain stability between the oil phase and the water phase in oil-in-water or water-in-oil emulsions. The mechanism of emulsification involves a decrease in the interfacial tension between the two immiscible phases or repulsive forces that maintain both phases suspended in the dispersion medium. Emulsification also depends on the three-phase (oil-water-solids) contact angle (Bora et al., 2014).

Kpogbemabou et al. (2014) studied the ability of kaolin to stabilize oil-in-water pickering emulsions using dodecane as the oil phase. This study showed that the addition of kaolin (15%) to the aqueous phase at pH 7.2, resulted in sustained emulsion stability without the need for surfactants. Meanwhile, Tawfeek et al. (2014) evaluated the effect of kaolinite in the stabilization of non-aqueous oil-in-oil emulsions. They found that the addition of kaolinite to paraffin oil/formamide did not result in a stable emulsion system at all concentrations.

Kaolin as a Suspending Agent

Suspensions are dispersion systems with particles larger than 1 μm in size, while colloidal sols have particles smaller than 1 μm. Suspending and anticaking agents are used in formulations to keep suspended particles dispersed and prevent settling before use. The effect of electrostatic potential energy between charged particles affects the stability of the suspension. To ensure optimal performance, suspending agents should have the properties of high yield stress and viscosity at low shear rates, resistance to temperature variations, stability during long-term storage, and consistent response over a wide range of pH (Kulshreshtha et al., 2010).

Kaolin suspensions often exhibit non-Newtonian pseudoplastic flow behavior with Bingham yield stress, where the viscosity decreases with increasing shear rates. Changes in the rheological characteristics and stability of kaolin suspensions are influenced by differences in particle surface charge, which can be affected by kaolin crystallinity, electrolyte concentration, and pH value. In general, the yield stress and settling rate of kaolin suspensions tend to decrease with increasing pH and particle surface charge. Suspensions with low crystallinity kaolin usually exhibit higher stress and viscosity compared to high crystallinity kaolin (Gupta et al., 2011; Ndlovu et al., 2015).

The surface of hydrated kaolinite is dominated by negative charges resulting in electrostatic repulsion among the particles, causing deflocculation stability. Therefore, kaolinite is often used as a suspending agent in pharmaceutical formulations.

Kaolin can also act as a crushing agent in solid formulations to facilitate the fragmentation or breakdown of solid preparations into particulates after oral administration, thereby enhancing the release and absorption of active ingredients. Chitosan/kaolin co-precipitate was evaluated by Goyanes et al. (2013) as a crusher in the formulation of microcrystalline pellets of cellulose and hydrochlortiazide (HCT). The study showed that these pellets could disintegrate rapidly in the dissolution medium, increasing the dissolution rate of the drug without significantly affecting the release of HCT.

Briefly, kaolin (kaolinite) has porous and friable properties when hydrated, so it is considered an effective crusher in solid dosage formulations. Its porosity facilitates the penetration of water, which helps in breaking down large particles into smaller fragments. Moreover, the predominant negative charge on the hydrated surface of kaolin is responsible for its enhanced crushing ability through electrostatic repulsion effect.

Kaolin Applications in Cosmetics

Inorganic materials are frequently used in the cosmetic industry, often combined with organic materials to improve the quality of cosmetic products. Its functions include use as fillers, adsorbers, foam stabilizers, foundations, and concealers to camouflage skin imperfections and provide a natural look. Kaolin (kaolinite) is one of the commonly used inorganic ingredients in the cosmetic industry.

Kaolin is also used as a sunscreen, considering that ultraviolet radiation is a serious threat to human skin health and can cause skin cancer and other skin disorders. These diseases pose a significant economic burden in terms of diagnosis, treatment and prevention in developing countries. Sunscreens made from natural sources such as plants and clay are currently being researched as inexpensive, reliable and easy-to-find alternatives for maintaining skin health.

The use of clay in cosmetic products has been the focus of many studies. Etich et al. (2014) explained that one type of clay that is often used as skin protection is kaolin (kaolinite). Kaolin has good adsorption ability and can form a film on the skin, which mechanically protects it from exposure to physical and chemical agents.

Kaolin as a Crushing Ingredient

Crushers are additional components used to facilitate the breakdown or cleavage of solid dosage forms into small particles when interacting with digestive juices after consumption, thereby facilitating the release and absorption of their active ingredients (Gopinath et al., 2012). Goyanes et al. (2013) evaluated chitosan/kaolin mixtures as crushers in the formulation of microcrystalline cellulose and hydrochlorothiazide (HCT) pellets. The results showed that the pellets could disintegrate rapidly in solution, increasing the dissolution rate of the drug without significantly affecting the release of HCT (Goyanes et al., 2013).

Overall, kaolin (kaolinite) has porosity that makes it friable with low volume change due to hydration, so it can act as an effective crusher in solid preparations. Its porosity helps in water penetration and facilitates the decomposition of large particles into smaller fragments. In addition, the predominant negative charge on the surface of kaolin is responsible for the enhanced crushing ability due to electrostatic repulsion.

Kaolin Applications in Cosmetics

Inorganic ingredients are often used in the cosmetic industry, either independently or together with organic ingredients, to improve the performance of cosmetic products. These inorganic ingredients have a variety of functions, including as fillers, adsorbers, foam stabilizers, foundations, and concealers to camouflage skin imperfections and provide a natural look. One example of an inorganic material often used in cosmetics is kaolin (kaolinite) (Hameed et al., 2019).

Ultraviolet radiation is a serious problem for human health as it can cause skin cancer and other skin disorders. These diseases are a great economic burden especially in developing countries, as they require high costs for diagnosis, treatment, and prevention. Therefore, current research is leading to the exploration of sunscreens from natural sources such as plants and clays as cheap, reliable, and readily available alternatives to maintain healthy skin (Etich et al., 2014).

Research into the use of clay in cosmetics has been the focus of significant attention (Dlova et al., 2013). Etich et al. (2014) explained that one type of clay that is often used to protect the skin is kaolin (kaolinite), a mineral. Kaolin has the ability to absorb harmful substances and form a protective film over the skin, which mechanically protects it from damage caused by physical and chemical agents (Etich et al., 2014).

Kaolin as a *Skin Care* Agent

Skin health is a vital aspect of aesthetics, which demands special attention from dermatologists and scientists to continuously develop new methods and ingredients to achieve this goal. Facial cosmetics are the primary means of maintaining moisture and regulating skin sebum, which in turn supports overall skin health (Nilforoushzadeh et al., 2018). For example, face masks are a commonly used cosmetic product to rejuvenate the skin (Nilforoushzadeh et al., 2018). Kaolin, an ingredient that can be applied directly to the skin after mixing with water at room temperature, has been proposed as an effective ingredient in skin care (Velasco et al., 2016). The use of kaolin with hot water can even solve skin problems such as blackheads, dark spots, and acne, as the hot temperature can stimulate perspiration and sebum, and open skin pores, which in turn can help reduce shine and discomfort due to excess oil on the skin (Velasco et al., 2016). This shows that kaolin is one of the effective ingredients in solving oily skin problems and provides satisfactory results in skin care.

CONCLUSION

Kaolin is a versatile mineral that has a wide range of benefits and applications across fields. In the pharmaceutical industry, kaolin is used both as an active ingredient in drug formulations and as an excipient to improve the quality of preparations. In addition, kaolin is also a top choice in the cosmetic industry to treat skin and improve various skin conditions. The diverse use of kaolin demonstrates its versatility as an effective and beneficial ingredient in various application contexts.

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