Formulation and Evaluation of Effervescent Granules of
*Parquetina nigrescens*
Leaf for Use as Oral Anti-anaemic Agent

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ABSTRACT

The study formulated, determined the physical properties and assessed the release properties of effervescent granules of *Parquetina nigrescens* leaf. These were with a view to obtaining information on the formulation and use of *Parquetina nigrescens* leaf as an oral anti-anemic agent.

*Parquetina nigrescens* leaf was collected and identified at the Obafemi Awolowo University Herbarium, Department of Botany. The leaf samples were subsequently washed and dried in hot air oven at 40 °C for 72 hrs before it was milled into powder. A single step granulation method was used in preparing the effervescent granules from the milled with *Parquetina nigrescens* leaf. Polyvinylpyrrolidone (PVP), Acacia (AC), and Corn starch (CS) were used as binders at 1 %, 3 %, and 5 % binder concentrations. Three different effervescent mixture ratios (10 % citric acid and 30 % tartaric acid, 20 % citric acid and 20 % tartaric acid, 30 % citric acid and 10 % tartaric acid) were used as acid sources while 60 % Sodium bicarbonate (NaHCO₃) was used as alkali source.

The results of the proximate and elemental analysis of the *Parquetina nigrescens* leaf justifies its use as haematinic in folk medicine. The mean granular size and size distribution of the granules were determined by using sieve size analysis while the flowability of the granules was determined using angle of repose, Hausner’s ratio, Carr’s compressibility index and flow rate. The pH of the solution of granules, the effervescence time, friability of the granules was also determined. The effects of the nature of binder (N), binder concentration (C) and effervescent mixture ratio (R) on granule size (GS), effervescence time (ET), friability (FR),
amount of iron released (RR) and compressibility index (CI) were equally assessed using factorial designs (p>0.05).

From the results obtained, the size of the effervescent granules was dependent on the nature of binder used in formulation. The granules made with PVP had the highest granule size than those made with CS and AC respectively. The flow property results showed that granules prepared with PVP and AC generally had good flow property while those made with CS had fair flow. All granules prepared had good friability values. The granules prepared with PVP also released the highest amount of iron per dose of the formulated granules of *Parquetina nigrescens* leaf. The results of bulk density and tapped density of the granules prepared with PVP and AC were the most desirable for packaging and subsequent handling of the effervescent granules. Also, Quantitative ranking for individual and interaction effects were determined and the binder type showed the highest independent effect amongst the variables tested. The ratio of citric to tartaric acid also showed some independent effect. The concentration of the binder had variable influence on the granules depending on acid type and the binder type in the formulation.

The study concluded that the nature of binder had the highest independent effect on the granule properties considered while the concentration of the binders used in preparing the granules and the acid combination types had varied effects on the granules.
CHAPTER ONE

INTRODUCTION

1.1 Background to the Study

Natural products with known therapeutic activities have been used by man since time immemorial. For a very long time, drugs of plant and animal origin were the main sources of therapeutic agents for mankind (Rates, 2001). Oral evidences unambiguously indicate that herbal medicine is the oldest and most trusted form of medication known to man. Until after the industrial revolution, majority of our medicaments were directly obtained from plant or animal sources. Not minding the unhindered breakthrough we have so far recorded in developing effective and cost-effective synthetic drugs, herbal substances continues to be the “treatment of choice” for myriad health challenges amongst many people across the world (Elujoba, 2012; Halberstein, 2005; Rates, 2001). Herbal medicines, due to their history of use in treating and mitigating infectious and non-infectious diseases is still relied on by about 80% of world populations for emergency and primary health care needs according to World Health Organization data (Kumari, 2016; WHO, 2013).

According to the World Health Organization, “traditional medicine includes all diverse health practices, approaches, knowledge and beliefs incorporating plants, animal, and/or mineral based medicines, spiritual therapies, manual techniques and exercises applied singularly or in combination to maintain well-being, as well as to treat, diagnose, or prevent illness” (WHO, 2005). Finished herbal medicines are those in which there is one or more potent therapeutic herbal materials. If more than one herb is in present, the resulting preparation which is referred to as “mixture herbal product” is also widely used. Finished herbal products and mixture herbal products often contain excipients added to the active ingredients for a variety of reasons.
However, it must be noted that it is customary not to refer to herbal products containing named chemical substances such as synthetic chemical derivatives or isolates from herbal materials as herbal mechanical products (WHO, 2005).

In traditional medicine, great contributions have been made by primary health-care providers at the community level. Traditional and alternative remedies have served people in developing parts of the world, where it is estimated that one-third of the population do not have access to medicines that considered basic in functional health settings. The integration of safe and proven herbal remedies into the orthodox medical practices has been proffered as an effective way of improving healthcare in rural communities (WHO, 2000). However, the feasibility of a wider acceptance of natural or alternative therapies by the international community depends so much on the ability to modernize herbal medicine so that it loses redundant practices or explanations. It therefore becomes an urgent necessity to standardize and appropriately control herbal materials using the instrumentality of modern science and technology.

Over the years, the patronage of herbal medicine and its use has drastically increased in Nigeria. This can be attributed to the rate at which publicity and trade fairs related to herbal medicine have rapidly increased. It estimated that 53% of Nigerians who reside in the countryside lack the access to government healthcare facilities in the year 2010 (Nelson and Nelson, 2010). Furthermore, a good number of health facilities situated in these areas do not have adequate supply of essential drugs, neither are there state-of-the-art medical equipment, and wherever these are available, there are not enough qualified hands as there is always chronic shortage of well-trained, non-stressed health workers. The inability of a large section of rural dwellers to access or afford orthodox medicine has left many with little or no option than to patronize and use traditional medical practitioners (Alade et al, 2011). The people living in these areas depend
so much on wild plants within their reach to satisfy their routine basic health needs. Therefore, it is common to see that rural dwellers have a compendium detailing the constituents of effective herbal preparations that have served the community over time. Sometimes, it is not unusual for people in different localities within the country to have dissimilar collections of such ethno-medicinal and ethno-pharmacological knowledge of a particular plant found in different geographical locations. This leads inevitably to the widespread believe amongst locals that there is a potent herb for all existing ailments (Pesek et al., 2006; Segun et al, 2018).

Despite the huge advancements witnessed in modern medicine, medicinal plants still makes a large and important contribution to healthcare and a lot of herbal extracts have been shown to have activity in certain conditions. The industrial revolution which heralded advances in organic chemistry led to a preference for synthetic products for pharmacological treatment. The reason simply being that pure compounds were easier to deal with and manipulate for intended pharmacological benefits (Altemimi et al, 2017; Moreira et al, 2014; Rates, 2001).

About one-fourth of all the drugs currently in use are derived from plants, 11% of the drugs considered as basic and essential by the World Health Organization (WHO) are obtained from plants and a significant number are synthetic drugs obtained from natural sources (Fabricant and Farnsworth, 2001). Examples of important drugs obtained from plants are digoxin from *Digitalis* spp., quinine and quinidine from *Cinchona* spp., vincristine and vinblastine from *Catharantus roseus*, atropine from *Atropa belladonna*, and morphine and codeine from *Papaver somniferum* (Rates, 2001; Yue-Zhong Shu, 1998).