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Barbaloin: A concise report of its pharmacological and analytical aspects

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ABSTRACT

Barbaloin is C-glucoside of aloe emodin anthrone which is found in the plant name as *Aloe vera* is a perennial succulent (Liliaceal), also called the healing plant. Barbaloin have variety of pharmacological activity such as strong inhibitory effect on histamine release, anti-inflammatory, cathartic, antiviral, antimicrobial, anticancer, antioxidant activity and alternative for pharmaceutical or cosmetic applications. The peak amount of barbaloin was reached about 3 h after oral administration. Concentration of barbaloin in *Aloe vera* leaves was shown to depend on the leaf part, age, and position of the leaf. Young leaves contain more barbaloin compared to old one. Various researches have been done on barbaloin but still the relationship between the barbaloin and its overall effect has not been clarified. A more specific perceptive of the pharmacological activities of barbaloin is required to develop for pharmaceutical purpose. Many attempts have been made regarding its isolation, biological activity to examine their effects, and clarify their functional mechanism. This review gives a brief idea about its uses, ethnomedicinally and commercially important analytical techniques and their pharmacological activities.

1. Introduction

The barbaloin (10- beta -D-glucopyranosyl-1, 8-dihydroxy-3-hydroxymethyl-9(10H)-anthracenone) is considered to be the most specifc secondary phytoconstituent in *Aloe* species, widely distributed throughout world. One of the main characteristics of barbaloin is to gives yellow fluorescence. Barbaloin has been found to have a strong inhibitory effect on histamine release from mast cells. The inhibitory effect of barbaloin is much higher then that of a potent antiinflammatory drug, Indomethacin. This results indicate that barbaloin have differrent active sites at mast cells. The barbaloin content in different aloe species is higher in young leaves than that in older leaves of aloe species, whereas the terminal third of the leaves has the highest percentages of barbaloin[1]. Barbaloin is C-glucoside of aloe emodin anthrone, found in the outer rind of the aloe plant. It has been reported to constitute up to 30% of the aloe plants dried leaf exudates and play an important part in the defense mechanisms against herbivores. Orally administered barbaloin is poorly absorbed but is metabolized by intestinal microflora to aloe emodin, which is readily absorbed. Barbaloin and aloe emodin are widely used for its cathartic properties and as a bittering agent in alcoholic beverages. Barbaloin demonstrates anti-inflammatory and cathartic effects *in vivo*. *In vitro* studies suggested that it has preferential toxicity to carcinoma cells and is a potent inhibitor of stellate cell transformation[2]. Barbaloin was one of the effective components, whose remarkable laxative effect was observed in rats. Some methods were developed and validated for the determination of barbaloin such as colorimetry, fluorometry and HPLC[3].

2. Pharmacological activity of barbaloin

The interaction with model membranes of barbaloin has been studied in order to explain their effects in biological membranes. Barbaloin showed affinity for phospholipid membranes whereas barbaloin stabilized lamellar structures. Barbaloin showed antiviral activity and may be used as a potential candidate for an alternative for antimicrobial, pharmaceutical or cosmetic applications[4].

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Barbaloin is the main medicinal constituent of *aloe vera* which has antiinflammatory activity[5]. Some of the pharmacological activities of barbaloin are described here.

2.1. Antimicrobial activity

An orthogonal experimental design L9 (34) in glass house was conducted to investigate the effect of *Glomus mossae*, *Azotobacter* sp., rock phosphate and soil type on *Aloe vera* plant growth and barbaloin content. Inoculation by both Glomus mossae and *Azotobacter* sp. showed increase in barbaloin content. Thus dual inoculation of *Aloe vera* with AM fungi and Azotobacter is recommended to enhance barbaloin content in *Aloe vera*[6]. Inhibitory effects of herb extracts on caries-related bacteria and glucan of dental plaque in vitro was investigated and found that barbaloin had inhibitory effect on soluble glucan synthesis[7]. Barbaloin was found to inhibited the growth of *Trichophyton mentagrophytes* at minimum concentrations[8].

2.2. Antioxidant and anticancer activity

The antioxidant effect of barbaloin was investigated and found to have stronger antioxidants in preventing AAPH-induced hemolysis of erythrocytes. Barbaloin protected Ca^{2+}-ATPase and protein sulfhydryl groups on erythrocyte membranes against oxidative attack by tBHP/hemin[9]. Effect of barbaloin on nitric oxide (NO), tumour necrosis factor-alpha (TNF- alpha) and interleukin (IL-12) were investigated and found significant inhibitory potential. Activities of barbaloin could be caused by inhibition at the pretreated LPS/IFN- gamma activation event[10]. The cytotoxic activity of barbaloin was evaluated using the *in vitro* MTT colorimetric assay and barbaloin had shown no effect[11].

2.3. Effect of barbaloin on bioavailability

Aristolochic acid-II (AA-II) conjugated with bovine serum albumin (BSA) was used as an antigen for immunizing BALB/c mice and found to have negligible cross-reactivity toward barbaloin[12]. The peak amount of barbaloin was reached about 3 h after oral administration of aloinoside B which was metabolized to barbaloin, isobarbaloin, and a hydroxyl metabolite by rat intestinal bacteria[13]. The cathartic activity of isobarbaloin in barbaloin-positive rats was nearly equal to that of barbaloin. Orally administered isobarbaloin decomposed to the active metabolite aloe-emodin-9-anthrone. Therefore, it is considered that the mechanism underlying the cathartic effect of isobarbaloin is the same as that for barbaloin[14]. Natural glycoside such as barbaloin was studied regarding their metabolic fates and actions in relation to intestinal bacteria by using germ-free and gnotobiotic rats. Barbaloin, a laxative, was ineffective in conventional rats, but showed strong purgative action to gnotobiotic rats associated with the human intestinal bacterium *Eubacterium* sp. strain BAR, which is capable of transforming barbaloin to aloe-emodin anthrone. Barbaloin is also a prodrug and activated to aloe-emodin anthrone by human intestinal bacteria. Animal differences in the laxative effect of barbaloin are due to species differences in intestinal bacteria[15]. Barbaloin, the main laxative component of *Aloe*, is decomposed to aloe-emodin-9-anthrone in the large intestine of rats. Aloe-emodin-9-anthrone is known to cause an increase in the water content of large intestines, a causative factor of diarrhoea. From the data obatined in the study, it was determined that the cathartic effect of barbaloin was due to increased water content in the large intestine, rather than increased peristalsis[16].

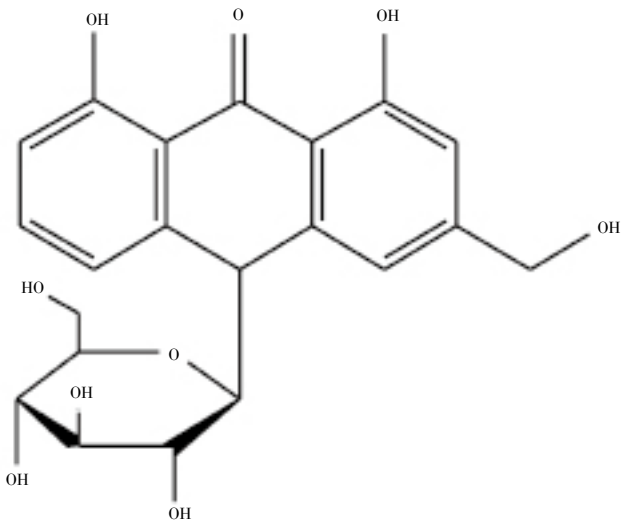

Figure 1. Chemical structure of barbaloin

3. Effect of seasonal variation on barbaloin content

Barbaloin, a secondary phenolic metabolite, is distributed in the plants as part of its internal defence mechanism and found to be highest in the youngest leaves. Its highest in the terminal third of the leaf, at its lowest in the basal third; higher in the terminal, adaxial, leaf margin and lower in the basal, abaxial, leaf centre[1]. The distribution of barbaloin, in *Aloe arborescens* leaves was shown to depend on the leaf part, age, and position of the leaf, as well as on seasonal influences[17]. Plants that are subjected to repeated leaf pruning respond by increasing the content of their secondary phenol metabolites (SPhMs). The SPhMs found in *Aloe* include barbaloin, aloenin and derivatives of aloeresin. Such compounds are used for many purposes, including human skin protection from sun and fire burns and high radiation, as products of the pharmaceutics and cosmetics industries, and as food supplements for treating stomach ulcers and diabetes[18]. A field study was conducted in *Aloe vera* with an objective to determine the effect of various levels of synthetic fertilizers on chemical constituents at the inflorescence stage of the plant. The results suggested that anhydrous barbaloin was significantly affected with application of synthetic fertilizers[19]. By frequently pruning the leaves, the content of three secondary phenolic metabolites barbaloin, aloeresin and aloenin, in the leaves can be increased dramatically. The changes in these phenolic were studied in an aqueous suspension of leaf exudate powder and in harvested leaves after storage for