



PHYTOCHEMICAL AND BIOLOGICAL EFFECTS OF ELEPHANTOPUS SCABER L: A REVIEW

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ABSTRACT

Elephantopus scaber L. is a perennial herb from Asteraceae family. It is found in Asia, Africa, Australia and Europe. The most common name in English for *Elephantopus scaber* is Elephant's Foot, but often it is called more precisely Prickly-leaves Elephant's Foot or Rough-leaved Elephant's Foot. Tribes across India traditionally employ different preparation of it to treat various ailments. A spectrum of phytochemicals is reported from the organic solvent extracts of the whole plant and their parts mainly leaves and rhizome. Result of phytochemical analyses presents an impressive array of phytomedicines as Elephantopin, Elescaberin, Deoxyelephantopin, Iso-deoxyelephantopin. *Elephantopus scaber* has various pharmacological functions as anticancer, antimicrobial, hepatoprotective, antioxidant, antidiabetic, anti-inflammatory, analgesic, antiasthmatic, antiplatelet and wound healing ability.

Keywords: *Elephantopus scaber*, chemical compounds, plants, bioactivities.

INTRODUCTION

Plants have been an important source in cancer drug discovery. The medicinal values of plants lie in their phytochemicals, which makes specific physiological actions on the human body. Phytochemicals are compounds found in plants that are utilized as food and medicine top reserve against illness and to ensure human health. *Elephantopus* is a genus comprised of about 30 species worldwide, mainly distributed in South America, with only 2 species *E. scaber* and *E. tomentosus* found in Southwest China [1]. Flavonoids have been shown to be good taxonomic markers for Asteraceae. More than 800 compounds comprising 4700 flavonoid were occurred with some implications of flavonols, flavones and other types of the family Asteraceae apart from that *Elephantopus scaber* has been explored for a large amount of salt such as potassium chloride and minerals especially calcium, magnesium, iron and zinc. *Elephantopus scaber* L., a scabrescent, erect, perennial herb belongs to the family Asteraceae is a well reputed medicinal plant. The plants vigorously grow in tropical deciduous forest areas [2]. Traditionally the plant is used as folk medicine by tribal communities across India, Reports reveal that different tribal communities regularly depend on this plant in treatment of rheumatism, dysentery, gout, eczema, gummosis, toothache, spider and snake bite (3, 4). 30 compounds have been reported from *E. scaber*, including 4 sesquiterpene lactones, 9 triterpenes, and 5 flavones. Previous bioactivity studies on *E. scaber* demonstrated that the extracts or compounds from this species have antibiosis, antiviral, and cytotoxicity activities [5]. The sesquiterpene lactones in particular have been explored for their anti-inflammatory and hepatoprotective activities [6], which partially proved the traditional knowledge of *E. scaber*. This review paper presents phytochemical and biological properties of *Elephantopus scaber*.

Phytochemicals:

A number of phytochemical studies have demonstrated the presence of several classes of chemical compounds, the main ones being Phenolic acids, flavonoids, terpenoids, coumarines, quinones, essential oils.

Phenolic acids and flavonoids:

Phenolic acids are distributed in nature in their free and bound forms like esters and glycosides. Phenolics range from simple low-molecular weight compounds namely simple phenylpropanoids, coumarins and benzoic acid derivatives, to more complex structures such as flavonoids and tannins [7] investigated total phenol of hydro-alcoholic, hexane, ethyl acetate and methanolic fractions of leaves and it was found to be 4.49, 3.39, 8.76 and 3.34 mg g⁻¹, respectively. Ethanolic fraction of the plant possess phenolic compounds such as 3,4-dihydroxy benzaldehyde, p-coumaric acid, syringic acid, isovanillic acid, p-hydroxybenzoic acid, ferulic acid, vanillic acid, 3-methoxy-4-hydroxyl cinnamic aldehyde, triclin, syringic acid, E-3-(3-ethoxy-4-hydroxyphenyl) acrylic acid and 2-hydroxybenzoate acid [8, 9]. Methanolic extract aerial part of *E. scaber* possess flavonoid aglycoside luteolin and flavonoid glycosides luteolin-7-O-glucuronide 6"-methyl ester and luteolin-4-O-β-D glucoside were identified along with three polyphenols trans-p-coumaric acid, methyl trans-cafeate, trans-cafeic acid [10]. Bioassay guided isolation of ethanol extract of rhizome leading to obtain dicaffeoyl derivatives methyl 3, 4-dicaffeoylquinic acid, 3, 4-di-O-caffeoyl quinic acid, 3, 4-di-O-caffeoyl quinic acid methyl ester, 4, 5-di-O-caffeoyl quinic acid, 4, 5-di-O-caffeoyl quinic acid methyl ester, 1α, 2β-di-O-

caffeoyl-cyclopentan-3 β -ol [11]

Terpenes or Terpenoides:

All terpenoides consist of a combination of one or more isoprene units. Terpenes have not only a variety of roles in mediating antagonistic and beneficial interactions among organisms but also defend many species of plants, animals and microorganisms against predators, pathogens and competitors [12].

Sesquiterpene lactones:

Three isoprenoid units form the sesquiterpenoids which are C₁₅ compounds. Their structure may be linear, monocyclic or bicyclic. They constitute a very large group of secondary metabolites, the characteristics of the Compositae family. Based on their carbocyclic skeletons, sesquiterpene lactones can be classified into four major groups: these are Germacranolides, guaianolides, pseudoguaianolides and eudesmanolides. Pharmacological activities of sesquiterpene lactones include antimicrobial, antiviral, anti-inflammatory, anti-tumor [13].

Other compounds:

A number of triterpenes and steroids have been isolated from *E. scaber* as lupeol, lupeol acetate, ursolic acid, stigmasterol. An essential oil is a concentrated hydrophobic liquid containing volatile aroma compounds from plants. Other constituents include essential oils, salt and minerals. Essential oils are primarily composed of terpenes mostly monoterpenes and sesquiterpenes [13].

Biological activities:

Antimicrobial activity:

Elephantopus scaber is a herb with good antimicrobial activity. The methanolic leaf extract of *E. scaber* showed significant antibacterial activity against *S. aureus*, *E. coli*, *P. aeruginosa*, *B. subtilis* and *P. vulgaris* [14]. Ethyl acetate extract of the plant showed growth inhibitory effect at 4 mg/ml concentration in all the bacterial isolates tested except *Klebsiella pneumonia* where it showed ~75% inhibition. Lower concentration of the extract showed concentration-dependent inhibition effect. At 2 mg/ml 50% inhibition in all the cultures [15]. Further research into the antimicrobial activity was done by the use of different strains of pathogenic bacteria and fungi. The result was analysed using well diffusion method [16]. The acetone fraction of *E. scaber* proved remarkable antibacterial effect against methicillin resistant *Staphylococcus aureus* and methicillin sensitive *Staphylococcus aureus* [17].

Anti-inflammatory activity:

A compound isolated from the hydroalcoholic extract of aerial part of *E. scaber* was studied for the *in vivo* anti-inflammatory activity in albino rats and showed that higher dose of the compound is highly effective in inhibiting carragenan induced edema [18]. A study to investigate protective mechanism of *E. scaber* using lipopolysaccharide (LPS) induced inflammation of BV-2 microglial cells and acute liver injury in Sprague-Dawley rats. *E. scaber* reduced LPS induced nitric oxide (NO), interleukin (IL)-1, IL-6, reactive oxygen species and prostaglandin (PG) production in BV-2 cells. It significantly decreased serum aspartate aminotransferase

(AST) and alanine aminotransferase (ALT) levels in LPS-treated rats [19]. Ethyl acetate fraction from the leaves of *E. scaber* exhibited anti-neuroinflammatory effect in lipopolysaccharide (LPS)-induced microglia cells (BV-2) by blocking nuclear factor B (NF- κ B) via the significant reduction of NO, inducible nitric oxide synthase (iNOS), cyclooxygenase(COX)-2, Prostaglandin-E2 (PGE2), IL-1b, and Tumor necrosis factor(TNF)- α production [20].

Hepatoprotective activity:

E. scaber was observed for its hepatoprotective effect in mice; the plant extract was able to reverse the liver damage induced by ethanol administration. This action buttressed the traditional use of the plant as a liver tonic (21). The water extract of *E. scaber* was observed to have a hepatoprotective effect on SD rats (LPS-induced liver damage). The mechanism of *E. scaber* protection involves an antioxidant effect and inhibition of p38 MAP kinase and COX-2 expressions in LPS-stressed acute hepatic injury in SD rats. The hepatoprotective activity of *E. scaber* was evaluated using the methanolic extract. Administration of the extract to rats in which liver damage was previously induced by carbon tetrachloride was found to reverse the damage (22).

Anti-parasitic activity

Twenty three extracts derived from eleven plant species including *Elephantopus scaber* (leaf) showed promising antiplasmodial activities against the blood stage chloroquine resistant *P. falciparum* (EC50 < 10 μ g/ml) with negligible toxicity effect to MDBK cells in-vitro [23]. In vitro antitrypanosomal (parasitic) activity of *Elephantopus scaber* was also reported (24).

Anti-HIV activity:

Potent HIV-1 RT inhibitory actions were obtained from the water extract of *Elephantopus scaber* L. leaves and root. IC50 of leaves and root were 69.9 and 107.57 μ g/ml, respectively (25). The hot aqueous extract of *Elephantopus scaber* L. Leaves shown 48% inhibition against HIV-1 protease at the dose of 250 microgram/ml (26).

Anti-asthmatic activity:

Ethanol extract of *Elephantopus scaber* leaves was evaluated for preliminary phytochemical screening and antiasthmatic activity using histamine and acetylcholine-induced bronchospasm, mast cell degranulation and histamine induced constriction on isolated guinea pig tracheal chain at different dose levels (26).

Nephroprotective Activity:

The nephroprotective effect of *Elephantopus scaber* could be due to flavonoid content and the inherent antioxidant moieties in the extract. The ethanolic extract of *Eelphantopus scaber* leaves could constitute a lead to discovery of a novel drug for the treatment of drug-induced Nephrotoxicity (27).

Wound healing activity:

Deoxyelephantopin, may be due to the presence of active moiety, α methylene γ lactone showed more significant effect than ethanolic extract towards wound healing activity by increasing cellular proliferation,

formation of granulation tissue, synthesis of collagen and increase in the rate of wound contraction (28). Aqueous extract of *E. scaber* is taken orally to heal wounds (29).

Anti-pest activity:

Elephantopus scaber leaf extract was found to be toxic against pests like Red Flour Beetle (*Tribolium castaneum*), Cotton Stainer (*Dysdercus cingulatus*) and Maize Weevil (*Sitophilus zeamais*), therefore it can be used as a natural pesticide against those bugs, and the plant is worth further investigation for its anti-pest potential (30).

CONCLUSION

Elephantopus scaber has Different biological activities as anticancer, antimicrobial, anti-inflammatory, anti-parasitic, anti-HIV, anti-diabetic, anti-asthmatic, hepatoprotective, nephroprotective, anti-asthmatic wound healing, memory power and anti-pest activities. This plant may be a source to bring the new lead as anticancer and antimicrobial in near future. As evidenced from the barrage of reports regarding the biological activity and chemical composition of *Elephantopus scaber* the plant could be a potential source of income for the regions where it is found.

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