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# Chemistry and Pharmacology of Miraculous Echinacea purpurea L.

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## ABSTRACT

Echinacea purpurea L. (EP) is one of the most important perennial medical herbs with enormous pharmacological and aesthetic properties. Mainly Echinacea is focused on its immunomodulatory effects, anti-inflammatory, antimicrobial, antiviral, antifungal and urinary tract infections. Other aspects of its beneficial effects viz. antioxidant, antibacterial, antiviral, and larvicidal activities antianxiety, antidepression, cytotoxicity, and antimutagenicity as induced by the plant have been revealed in various studies. The chemistry and its pharmacological actions are well documented. Several groups of bioactive constituents viz. polysaccharides (arabinogalactan, xyloglycan, echinacin), glycosides: caffeic acid and its derivatives (cichoric acid, echinacoside), alkaloids, alkylamides, polyacetylenes and other fatty acids, essential oils and phytosterols are important for the desired pharmacological action. Role of phytoconstituents and their pharmacological actions of Echinacea species has been delineated in this review article. Keywords: Immunostimulant, Antileishmanial, Cytochrome p450, Alkamides, Caffeic acid esters (cichoric acid), Polysaccharides and Polyacetylenes.

## INTRODUCTION

The *Echinacea purpurea* (L.) Moench is one of the most important and well-known medicinal plants in the world, belonging to the Asteraceae (Compositae) family. *Echinacea*, is native to the United States and was used by American Indians as early as the 1600s for snakebites, for aches, as an antiseptic and analgesic, and for prophylaxis and treatment of the common cold. Echinacea comes from the Greek "ECHINOS" meaning hedgehog or sea urchin, because of its conical spiny seeds heads. The common names include Black Sampson, Cock-Up Hat, Comb Flower, Indian comb, Indian Head, Kanas Snakeroot; Kanas Coneflower, Purple Coneflower, Red Sunflower, Rudbeckia, Scurvy root, Snake root, Sonnenhukraut. *Echinacea* was introduced into the *U.S. Materia Medica* in 1887.

Widespread use of Echinacea declined in the early 1930s with the development of antibiotics; however, it remained in the National Formulary until 1950. Most scientific studies on Echinacea (Pic 1 and 2) have been conducted in the last 50 years by European investigators, primarily in Germany. Echinacea spp L. is often called purple coneflower due to its distinctive coloration and daisy-like floral structure. The floral arrangement is a composite of both ray and disk flowers, characterized by rich purple-to-pink elongated (ray flower) petals attached to the peripheral circumference of the flower head, which surround orange-brown florets (disk flowers) that are seated in a spiral arrangement on a centrally located cone-like structure (Vafaei et al., 2015, Azadeh Manayi et al., 2015, Barrett, 2003, Hudson, 2012, Tzu-Tai et al., 2010, Pellati et al., 2005, Sloley et al., 2001). The root preparation of *Echinacea* was used internally for treating coughs, stomach upset, and easing the pain of sore throat and toothache. For external purposes, it was valued for treating wounds and snakebite and as a cure for rabies. Echinacea was introduced to Western medicine in the 1890s and was recommended for skin problems, respiratory tract infections, and sinus infections. Today, Echinacea is used primarily to reduce the symptoms and duration of colds, influenza, and upper respiratory tract infections and to help stimulate the activity of the immune system. Echinacea purpurea is one of the most important and wellknown plants in the world. It is also the most widely cultivated medicinal plant species, which has been used as a preventive for infectious diseases in both upper and lower respiratory systems (Pellati et al., 2004). Eclectic practitioners of North America, possibly because it was so widespread, and also because it was apparently effective in a number of diseases. Current herbal preparations, which have become very popular in North America, Europe, and elsewhere, have tended to favor this species over the others and the majority of the basic scientific studies have focused on this one. The plant is grown mainly for its ornamental value because of its showy flowers (Awang et al., 1991, Bauer, 1998).



Pic. 1 and 2 Echinacea purpurea Flowers.

### Phytoconstituents and Traditional Uses

*Echinacea purpurea* L. is one among the plant with both pharmacological and the properties of the aesthetic enjoyment. Herbal medicines derived from several species of the indigenous *Echinacea* genus were in use throughout the plains of North America long before

the introduction of European medicines, primarily as treatments for various infectious diseases and wounds (Dalby Brown et al., 2005, Lee et al., 2009, Thygesen et al., 2007, Gajalakshmi et al., 2012). Nine discrete species were classified subsequently by botanists, as indicated in, although medical records suggest that considerable interchange between uses of designated species occurred and consequently the association of a specific species with particular treatments has to be viewed with caution.

This species has been traditionally employed for the treatment of toothache, bowel pain, snake bite, skin disorders, seizure, chronic arthritis, and cancer. Although the isolation and structural elucidation of its main compounds have been noticed by investigators, there is no affirmation about its mechanism of action.

The complex chemical composition of aerial and root parts of *Echinacea purpurea* involves caffeic acid derivatives (0.6%-2.1% roots), including cichoric acid (1.2%-3.1% flowers), alkamides (0.001% - 0.4%),water-soluble polysaccharides (arabinoxylan and arabinogalactan), and flavonoids of quercetin and kaempferol (0.48%) (Wagner, 1988, Stimpel et al., 1984, Tharun et al., 2017, Barrett et al., 2003). The root of Echinacea purpurea differs in its constituents from the aerial plant parts by containing polyacetylene derivatives, polysaccharides (fructosans, arabinogalactans), and glycoproteins consisting of 3% protein. Alkamides, caffeic acid derivatives, and polysaccharides have been considered important constituents of the plant. A number of studies revealed that alkamides are involved in the immunomodulatory properties of Echinacea extracts in vitro and in vivo. Additionally, caffeic acid (3) is found in some species of Echinacea and could be applied toward authentication and quality control of the plant extracts. The polysaccharides play an important role in the anti-inflammatory effect of Echinacea preparations (Barnes et al., 2005, Bauer et al., 1998, Binns et al., 2002, Altamirano-Dimas et al., 2009, Sharma et al., 2009).



Pic 3. Caffeic acid.

Pic 4. Cichoric acid.

*Echinacea purpurea* root was commonly used all around the world for the stimulation of theimmune system. It was used as the herbal medicine in treating the respiratory infections, against malignant tumors and several other inflammatory conditions.

It was found to contain immunostimulant, antileishmanial, cytochrome p450, apoptotic mitotic and anti-microbial activities. It also effective on antibody and immune cell response and on the markers of aging and possess total antioxidant capability. It has contained several phytochemical compounds such as alkamides, caffeic acid esters (cichoric acid), polysaccarides, polyacetylenes etc. The EP was found to show good immunoregulatory, antiinflammatory and antioxidant capacity 1,2 with neither the symptoms of hypersensitivity nor the side effects during the clinical trial stages . The important components in the plant were found to be caffeic acid derivatives, alkamides, flavonoids, essential oils and polyacetylenes. Among them, caffeic acid (Pic. 4) derivatives and alkamides were proved to have immunoregulation effects. The caffeic acid derivatives, alkamides and polysaccharide fractions were found to show inhibition against in vitro Cu (II)-catalyzed oxidation of human low-density lipoprotein (LDL) that proves the presence of antioxidant property. It was found to contain immunostimulant, antileishmanial, cytochrome p450, apoptotic – mitotic and anti-microbial activities. It also effective on antibody and immune cell response and on the markers of aging and possess total antioxidant capability. It has contained several phytochemical compounds such as alkamides, caffeic acid esters (cichoric acid), polysaccarides, polyacetylenes etc. The plant was traditionally used for the treatment of respiratory infections, snake bite, tumour treatment, inflammation etc. and was particularly used for the stimulation of immune system. Echinacea purpurea, juices from the fresh leaves, stem and flowers is most often used, though the roots are sometimes included. More people are sensitive to supplements made with Echinacea flowers as opposed to Echinacea seeds and roots. Fortunately the strongest bioactives are in the seeds and roots, not in the flowers. It is also worth that potency runs from seed to root to leaf to least in the flowers.

**Carbohydrates:** Polysaccharides are the primary classes of immunostimulatory compounds in Echinacea species. Two immunostimulatory polysaccharides, PS I chemically to be 4-Omethylglucuronoarabinoxylan and PS II an acidic arabinorhamnogalactan were isolated from the aerial parts of *E. Purpurea*. A xyloglucan was isolated which was to be identical to pectin like polysaccharide. It also contains fructose and fructan polymers.

**Glycosides:** echinacoside, echinacin, polysaccharides, polyacetylenes.

**Phenolic compounds:** which includes Phenylpropanoids i.e. caffeic acid derivatives like echinacoside, verbascoside, desrhamnosylverbascoside and 6-O- caffeoylechinacoside, cynarin, cichoric acid, caftaric acid, chlorogenic acid and isochlorogenic acids.

**Flavonoids:** Rutoside is the major flavonoid found in the leaves of Echinacea species. In addition, it has luteolin, kaempferol, quercetin, quercetagentin, apigenin and isorhamnetin.

Terpenoid compounds: All three commercially important Echinacea species contain varying amounts of essential oils in the roots, leaves, flowers and other aerial parts. Essential oil components common to the investigated species include borneol, bornylacetate, pentadeca-8-ene-2-one, germacrene- D, caryophyllene epoxide and palmitic acid.

**Lipid compounds:** Polyacetylenes are widespread in the asteraceae family. The main constituents were determined as trideca1-en-3, 5, 7, 9, 10-pentayne and pontica-epoxide, present in Echinacea species.

Other constituents: The other constituents reported from Echinacea species include reducing sugars, phytosterols, a series of n- alkanes and inorganic constituents like potassium, calcium, magnesium, iron, aluminum, sulphate, carbonate, chloride and silicate.

**Nitrogenous compounds:** Natural alkyl amides or alkamides are abundant in Echinacea species. The first alkyl amide isolated from Echinacea was echinacein. Alkaloids were subsequently shown due to the presence of betaine or glycine betaine. Traces of the pyrrolizidine alkaloids i.e. tussilagine and isotussilagine were reported.

Ascorbic acid has been found in the leaves and cyaniding glycosides are isolated from flowers. Presence of vitamins and minerals especially chromium, iron, manganese, niacin, riboflavin, selenium and Vitamin C in Echinacea also observed.

#### PHARMACOLOGICAL ACTIONS

Coneflower is one of the herbs used in traditional medicine has an important role. The use of this plant in the treatment of infectious diseases caused by fungal and bacterial contamination is a good result. Root, stem and leaf coneflower can be used. The extract of this plant caused to increase the amount of interferon and anti-inflammatory effect. Helps to scare treatment and increase the production of white blood cells are the results of the coneflower extract.

**Anti-inflammatory activity:** Anti-inflammatory activity of Echinacea extracts have been attributed to direct inhibition of Hyaluronidase.

*Echinacea purpurea* is one of the main medicinal *Echinacea* species and have long been used to treat infections, to aid in wound healing and to enhance the immune system.

Alkamides and caffeic acid derivatives are potent anti – inflammatory agents present in Echinacea Echinacea-derived alkamides have immunomodulatory and anti-inflammatory activity *E. purpurea* (EP) have been used for wound healing, pain relief and alleviation of cold symptoms.

The isobutyl amides found in higher concentrations in the roots of *Echinacea angustifolia* and *Echinacea purpurea* are thought to identify in *Echinacea*.

Conclusion Medicinal plants were the potent source of the ancient people for the treatment of various diseases. They used the crude extract of the plant as such without knowing the actual mechanism of action and treated many diseases. But, the recent research involves in exploring the active principles of the plant that is responsible for a particular action and uses it in the pharmaceutical field to obtain drugs. These naturally available drugs are more potential in its targeted function and tends be of less manufacturing cost. Moreover, the drugs obtained from the medicinal plants of historical values doesn't cause any side effects that are caused by the synthetic drugs obtained from the chemical sources. Echinacea purpurea L. is one among the plant with both pharmacological and the properties of the aesthetic enjoyment. It was found to contain immunostimulant, antileishmanial, cytochrome p450, apoptotic - mitotic and anti-microbial activities. It also effective on antibody and immune cell response and on the markers of aging and possess total antioxidant capability. It contains several phytochemical compounds such as alkamides, caffeic acid esters (cichoric acid), polysaccarides, polyacetylenes etc. The plant was traditionally used for the treatment of respiratory infections, snake bite, tumour treatment, inflammation etc. and was particularly used for the stimulation of immune system. This review encompasses on the advantage of using the above plant in further researches and its application in the pharmacy to produce drugs.

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