

Phytochemicals and Biological effects of *Calotropis procera*: A review

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Abstract

Calotropis procera is a medicinal plant whose pharmacological properties are associated with its latex. It is used in several traditional medicines to cure various diseases. This shrub has been known to possess Analgesic, Antitumor, Anthelmintic, Antioxidant, Hepatoprotective, Inflammatory, Antidiarrhoeal, Anticonvulsant, Antimicrobial, Oestrogenic, Antinociceptive, and Antimalarial activity. A wide range of chemical compounds including- Benzoyllineolone, benzoylisolinolone and β -amyrin. The root bark contained the calotropoleanyl ester, proceroleanol A and proceroleanol B. The latex contains the calactin, calotropin, uscharin, sitosterol, and calotoxin.

Keywords: *Calotropis procera*, chemical compounds, plants, bioactivities.

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1. Introduction

Medicinal plants have been used to treat various ailments of the poor population around the world; hence the interest among researchers to know the active ingredients of certain plants has been increased. The search for new compounds that may be useful as a source of medicine has aroused the interest among many researchers who study plants and biologically active compounds, especially plants that are used by people since ancient times and are perpetuated in different cultures. *Calotropis procera* is a plant original from Africa, commonly found in northeastern Brazil. It is well known for their pharmacological properties, since it produces large amounts of latex. It is from Asclepiadaceae family and is known popularly as jealousy, jealousy cotton, silk, flower-silk, milk or queimadeira. The scientific name of the family is derived from Asklepios, the Greek god of medicine [1]. The latex is used as an abortifacient[2], spasmogenic and carminative properties [3], antidysenteric, antisyphilitic, antirheumatic, antifungal, molluscicide, diaphoretic and for the treatment of leprosy, bronchial asthma and skin affliction[4,5]. Different

parts of the plant have been reported to possess a number of biological activities such as proteolytic[6], antimicrobial [7], larvicidal[8], nematocidal[9], anticancer [10,11], anti-inflammatory[12]. Its flowers possess digestive and tonic properties. On the contrary, the powdered root bark has been reported to give relief in diarrhoea and dysentery [13]. This article gives a review about the major phytochemicals and pharmacological activities of *Calotropis procera*.

2. Phytochemicals

Phytochemical studies on *Calotropis procera* showed several types of compounds such as Cardenolide, triterpinoids, alkaloids, resins, anthocyanins and proteolytic enzymes in latex, flavonoids, tannins, sterol, saponins, cardiac glycosides [14,15]. Flowers have terpenes, multiflorenol, and cyclisadol[16].

2.1 The leaves

The leaves have mainly the α -amyrin, α -amyrin acetate, β -sitosterol, urosolic acid, cardenolides, calotropin, calotropagenin [17-23].

2.1 The latex

The latex has caoutchouc, calotropin, calotoxin 0.15%, calactin 0.15%, uscharin 0.45%, trypsin, voruscharin, uzarigenin, syriogenin and proceroside [24-27].

2.2 The Flower

The flower has the flavonoids, quercetin-3-rutinoside, sterol, calactin, calotoxin, calotropagenin, calotropin, polysaccharides with D-arabinose, glucose, glucosamine and L-rhamnose. Flowers also contain enzymes 3-proteinase and calotropain [28].

2.3 The bark

Root bark of *Calotropis procera* contains triterpenes, A new norditerpenyl ester, named Calotropterpenyl ester, and two unknown pentacyclic triterpenoids, namely calotropursenyl acetate and calotrofpriedelenyl acetate, akundarolisovalerate, mundarolisovalerate and quercetin-3-rutinoside [29-31].

3. Biological activities

3.1 Antiinflammatory effect

The latex of *C. procera* is well known for its medicinal and toxic properties. When administered locally, it induces an intense inflammatory process that can be characterized by increased vascular permeability, edema and increased cellular infiltration [32]. This inflammation produced by latex involves the release of histamine from mast cells, and the presence of histamine in the latex itself. Thus, it appears that antihistamine drugs can be effectively used in the treatment of inflammation induced by the latex of this plant [33]. The inflammation produced by *C. procera* latex has been demonstrated in different experimental models of inflammation such as paw edema, air bag [34] and pleurisy in rats. Thus, the latex is a potent phlogistic agent useful for evaluation of new anti-inflammatory drugs.

3.2 Antifertility activity

The effect of ethanolic extract of the roots of *Calotropis procera* has been studied in albino rats to explore its Antifertility and hormonal activities. A strong anti-implantation (inhibition 100%), and uterotrophic activity was observed at the dose level of 250 mg / kg (1 / 4 of LD₅₀) [35].

3.3 Antimicrobial activity

Antimicrobial activities of chloroform and methanol extracts of seeds of *Calotropis procera* located in the forest area of Ghaziabad, India. Chloroforms extract of *Calotropis procera* seeds exhibited better antimicrobial activity. On the other hand, the extracts obtained *Calotropis procera* seeds tested have been evaluated for their possible in vitro antibacterial activities based on paper disc method [36].

3.4 Antinociceptive activity

Antinociceptive effect of proteins from the *Calotropis procera* latex using three different experimental models of nociception in mice. The latex protein fraction administered intraperitoneally in male mice at the doses of 12.5, 25 and 50 mg/kg showed the antinociceptive effect in a dose dependent manner compared to the respective controls in all assays. Inhibitions of the acetic acid-induced abdominal constrictions were observed at the doses of 12.5 (67.9%), 25 (85%) and 50 (99.5%) mg/kg compared to controls. Latex protein at the doses of 25 (39.8%; 42%) and 50 mg/kg (66.6%; 99.3%) reduced the nociception produced by formalin in the 1st and 2nd phases, respectively, and this effect was not reversed by pretreatment with naloxone (1 mg/kg). In the hot plate test, an increase of the reaction time was observed only at 60 min after the treatment with latex at the doses of 25 (79.5%) and 50 (76.9%) mg/kg, compared to controls and naloxone was ineffective to reverse the effect. It was concluded that the protein fraction derived from the whole latex of *Calotropis procera* possesses antinociceptive activity, which is independent of the opioid system [37].

3.5 Antimalarial activity

The ethanolic extracts of the different parts of *Calotropis procera* showed IC₅₀ values ranging from 0.11 to 0.47 mg/ml against *P. falciparum* MRC20 _CQ-sensitive. and from 0.52 to 1.22 mg/ml against MRC 76 _CQ-resistant strains, flower and bud extracts being the most active. Though 220, 440 times less effective than CQ, these extracts deserve further studies aimed at the identification of the active constituents. In the meantime, the obtained results provide a support for the ethnobotanical use of the plant [38].

3.6 Antioxidant effect

Dry latex of *Calotropis procera* possessing potent anti-inflammatory activity was evaluated for its antioxidant and anti-hyperglycemic effects against alloxan-induced diabetes in rats. Daily oral administration of DL at 100 and 400 mg/kg doses produced a dose-dependent decrease in the blood glucose and increase in the hepatic glycogen content. DL also prevented the loss of body weight in diabetic rats and brought down the daily water consumption to values comparable to normal rats. DL also produced an increase in the hepatic levels of the endogenous antioxidants, namely superoxide dismutase (SOD), catalase and glutathione, while it brought down the levels of thiobarbituric acid-reactive substances (TBARS) in alloxan-induced diabetic rats. The efficacy of DL as an antioxidant and as an anti-diabetic agent was comparable to the standard anti-diabetic drug, glibenclamide [39].

3.7 Hepatoprotective activity

Hydro-ethanolic extract (70%) of *Calotropis procera* flowers was prepared and tested for its hepatoprotective effect against paracetamol-induced hepatitis in rats. Alteration in the levels of biochemical markers of hepatic damage like SGPT, SGOT, ALP, bilirubin, cholesterol, HDL and tissue GSH were tested in both treated and untreated groups. Paracetamol (2 g/kg) has enhanced the SGPT, SGOT, ALP, bilirubin and cholesterol levels and reduced the serum levels of HDL and tissue level of GSH. Treatment with hydro-ethanolic extract of *C. procera* flowers (200 mg/kg and 400 mg/kg) has brought back the altered levels of biochemical markers to the near normal levels in the dose dependent manner [40].

3.8 Anti-tumor effects

Anti-tumor potential of root extracts of *Calotropis procera* Linn. methanolic extract (CM), hexane extract (CH), aqueous extract (CW) and ethyl acetate extract (CE) and its possible mechanism against Hep2 cancer cells has been investigated. Cellular proliferation activities were assayed by tetrazolium bromide (MTT) colorimetry. Morphological changes of cancer cells were observed under inverted microscope and cell cycle parameters were determined by flow cytometry following propidium iodide staining. Treatment with the extracts at various doses of 1, 5, 10 and 25 µg/ml revealed that CM, CH and CE possessed cytotoxicity, whereas CW did not have cytotoxic effect. CE (10 µg/ml) showed strongest cytotoxic effect (96.3%) on Hep2 at 48 hr following treatment, whereas CM and CH showed cytotoxicity of 72.7 and 60.5%, respectively. Extract-treated cells exhibited typical morphological changes of apoptosis. Results of flow cytometric analysis clearly demonstrated that root extracts initiated apoptosis of Hep2 cells through cell cycle arrest at S phase, thus preventing cells from entering G2/M phase. Results of the study indicate that the root extracts of *C. procera* inhibit the proliferation of Hep2 cells via apoptotic and cell cycle disruption based mechanisms [41].

3.9 Anticonvulsant effect

The anticonvulsant activity of different root extracts of *Calotropis procera* in rats in order to evaluate the traditional use of this plant. The anticonvulsant activity of different extracts of *Calotropis procera* roots was studied against seizures induced by maximal electroshock seizures (MES), pentylenetetrazol (PTZ), lithium-pilocarpine and electrical kindling seizures. In the MES test, the chloroform extract of *Calotropis procera* roots showed the most significant ($p < 0.01$) anticonvulsant effect by decreasing the duration of hind limb extension (extensor phase), clonus and also the duration of stupor phase, as compared to control. In the PTZ test, the chloroform extract showed a highly significant ($p < 0.001$) effect, whereas the aqueous

extract showed the most significant ($p < 0.01$) effect as compared to control by delaying the onset of convulsions. The extracts also inhibited convulsions induced by lithium-pilocarpine and electrical kindling. The results of this study indicate that the chloroform extract and aqueous extract of *Calotropis procera* roots may be beneficial in the absence (petitmal) and tonic clonic (grand mal) type of seizures [42].

4. Conclusion

This review showed phytochemicals and medicinal effects of *C. procera*. The broad pharmacological profile shown by this plant should be operated by the pharmaceutical industry for the development of new drugs.

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