CALOTROPIS GIGANTEA: A COMPREHENSIVE REVIEW

Ajay Kshirsagar1*, Deepa Ingawale2, Purnima Ashok3, Neeraj Vyawahare4

1, 3 Department of Pharmacology K. L. E. Society’s College of Pharmacy, Bangalore-5600109 (Karnataka) India.

1, 2, 4 Department of Pharmacology, A.I.S.S.M.S. College of Pharmacy, Kennedy Road, Pune-411001 (Maharashtra) India.

Corresponding author*

Ajay Kshirsagar

Department of Pharmacology

K. L. E. Society’s College of Pharmacy,
Bangalore-5600109 (Karnataka) India Email: ksagar.ajay@gmail.com

Summary

Plants used in traditional medicine represent a priceless tank of new bioactive molecules. *Calotropis gigantea* R.Br. is one of the important plant from traditional system of medicine found all over the world. *Calotropis gigantea* R.Br. Asclepiadaceae, commonly known as milkweed or swallow-wort, is a common wasteland weed, has been reported to possess potent pharmacological properties like hepatoprotective, anti-diarrhoeal, analgesic, anti-inflammatory, anti-ulcer and anti-asthmatic activities, etc. The various chemical constituents like cardiac glycosides, triterpenoids, proteases, flavonoid glycosides, triterpenoids, volatile long chain fatty acids, β-sitosterol and many others were identified in this plant. This review gives a bird’s eye view mainly on the pharmacognostic characteristics, traditional uses, phytochemistry and Pharmacological actions.

Keywords: Calotropis gigantea, swallow-wort, phytochemistry, pharmacological actions.

Introduction

There exists a plethora of knowledge and information and benefits of herbal drugs in our ancient literature of Ayurvedic and Unani medicine. One of the earliest treatises of Indian medicine, the Charaka Samhita (1000 B.C.) mentions the use of over 2000 herbs for medicinal purpose. According to the WHO survey 80% of the populations living in the developing countries rely almost exclusively on traditional medicine for their primary health care needs.
Exploration of the chemical constituents of the plants and pharmacological screening may provide us the basis for developing the leads for development of novel agents. In addition, herbs have provided us some of the very important life saving drugs used in the armamentarium of modern medicine. However, among the estimated 250,000-400,000 plant species, only 6% have been studied for biological activity, and about 15% have been investigated phytochemically. This shows a need for planned activity guided phyto-pharmacological evaluation of herbal drugs.

**General Information**

*Calotropis gigantea* belonging to family Asclepiadaceae.

**Vernacular names**

Marathi- Ruvi

Bengali – Akanda/ Gurtakand/ swetakand

English - Swallowort/ Maddar

Gujrathi - Akdo/Aakado

Hindi – Madar/ Ag/ Ark/ak

Tamil –Aerukku/ Erukkam

Oriya- Akondo/ kotuki

Sanskrit- Aditya/arka/mandara

Telgu - Mandaramu/Jilleedudoodi(floss)/ nallajilleedu. (3, 4)

Habitat is wild throughout India, in comparatively drier and warmer areas, upto to an altitude of 1050 meters. (5)

**Taxonomical hierarchy of Calotropis gigantea** (6, 7)

Kingdom - Plantae

Subkingdom - Tracheobionta

Superdivision - Spermatophyta

Division - Magnoliophyta

Class - Magnoliopsida
Subclass - Asteridae
Order - Gentianales
Family - Asclepiadoideae
Genus - Calotropis.
Species - C. gigantea

Botanical description

A much-branched, hardy, erect, woody shrub, 1-5 m in height, native to India, found growing up to an altitude of 1050 m throughout India including the Andamans. Stems woody, round, tender ones covered with soft, loosely appressed, whitish, waxy or sometimes powdery pubescence; bark thick, light yellow or ash-grey, soft, corky, deeply fissured; leaves fleshy, cuneate-ovate or obovate-oblong, with a narrow cordate or often amplexicaule base, 10.0-20.0 cm X 2.5-7.5 cm, smooth above, cottony below; flowers lilac or pale rose or purple, rarely light greenish yellow or white, in simple or compound cymose-corymb; follicles 2 or 1 fleshy, or recurved, 7-10 cm long; seeds brown, numerous, broadly ovate, flattened with 2.5-3.2 cm long, white, tuft of silky hair (coma) at the pointed end. (4)

Fig.1. Leaves & flowers of Calotropis gigantea

Fig.2. Flowers of *Calotropis gigantea*

Phytochemistry

*Root*

**Major**
Mudarol, akundarol (8,9), uscharidin (10), Calotropin (10,11), Frugoside (11,12), 4’-O-β-D-glucopyranosylfrugoside (11,12), Calotroposides A to G. (12,13)

**Others**
α-Amyrin, β-amin, taraxasterol and its Ψ-isomer, β-sitosterol, α-amyrrin methylbutazone, β-amyrrin methylbutazone, α-amyrrin acetate, β -amyrrin acetate, taraxasteryl isovalerate, taraxasteryl acetate (14), calotroplupenyl acetate A, lupeol acetate B, gigantursenyl acetate A, gigantursenyl acetate B. (12,15)

*Flowers*

**Major**
α-Calotropeol, β -Calotropeol, (9) rutin. (16)

**Others**
β- amyrrin, hyperoside. (16)

Fig.3. Structure of α-amyrrin and β-amyrrin
Calotropogenin (17)

9-Calotroposide A, 10- Calotroposide B (18)

<table>
<thead>
<tr>
<th>Structure No.</th>
<th>Nomenclature</th>
<th>R₁</th>
<th>R₂</th>
</tr>
</thead>
<tbody>
<tr>
<td>2</td>
<td>Calotropin</td>
<td>α-OH, β- H</td>
<td>H</td>
</tr>
<tr>
<td>3</td>
<td>Uscharin</td>
<td>S-CH₂</td>
<td>H</td>
</tr>
<tr>
<td>4</td>
<td>Calotoxin</td>
<td>γ-H, γ-OH</td>
<td>H</td>
</tr>
<tr>
<td>5</td>
<td>Calactin</td>
<td>α-H, β- OH</td>
<td>H</td>
</tr>
</tbody>
</table>
Pharmacological Actions

Analgesic activity

The ethanolic extract of \textit{C. gigantea} flowers showed significant analgesic activity in the Eddy's hot plate method (20, 21) and acetic acid induced writhing. (22, 23) In acetic acid induced writhing test, an inhibition of 20.97\% and 43.0\% in the number of writhes was observed at the doses of 250 and 500 mg/kg, respectively. In the hot plate method the paw licking time was delayed. The analgesic effect was observed after 30 min of dose administration which reached its maximum after 90 min. The ethanolic extract of \textit{C. gigantea} flower produced a significant decrease in the number of writhings and paw licking time.

CNS activity

1. Assessment of analgesic activity

a. Hot plate method.

Alcoholic extract of peeled roots of \textit{C. gigantea} R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity. Prominent analgesic activity was observed in Eddy’s hot plate method. The paw licking time was delayed. (24)

b. Acetic acid induced writhing.

Alcoholic extract of peeled roots of \textit{C. gigantea} R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity. Prominent analgesic activity was observed in acetic acid induced writhings and the numbers of writhings were greatly reduced. (25, 26)
2. Assessment of locomotor activity

Alcoholic extract of peeled roots of *C. gigantea* R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity and there was a decrease in the locomotor activity. (27, 28)

3. Assessment of antianxiety activity

Alcoholic extract of peeled roots of *C. gigantea* R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity. The extract treated rats spent more time in the open arm of elevated plus maze (EPM) showing its antianxiety activity. (28, 26)

4. Assessment of skeletal muscle relaxant activity (motor coordination)

Alcoholic extract of peeled roots of *C. gigantea* R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity and the fall off time (motor coordination) was also decreased. (27, 28)

5. Assessment of anticonvulsant activity

Alcoholic extract of peeled roots of *C. gigantea* R.Br. (Asclepiadaceae) was tested orally in albino rats at the dose level of 250 and 500 mg/kg body weight for CNS activity. Significant anticonvulsant activity was seen as there was a delay in the onset of pentylenetetrazole induced convulsions as well as decrease in its severity. (27, 28)

6. Repellant activity

Repellant activity of the milkweed plant, *C. gigantea* R.Br. was evaluated against important storage pests such as Callosobruchus maculatus (Fab.), Sitophilus oryzae Linn, and Tribolium castaneum (Herbst). Leaf, flower, stem, root and whole plant were Soxhlet extracted by using petroleum ether solvent and repellency test was carried out using glass olfactometer. Whole plant extract at 5 % concentration had maximum repellent effect followed by leaf, flower, stem and root extracts. (29)

7. Pregnancy interceptive activity

The ethanolic extract of the roots of *C gigantea* Linn. exhibited 100% pregnancy interceptive activity in rats when administered as a single oral dose of 100 mg/kg on Day 1 postcoitum. The extract also exhibited 100% efficacy at the dose of 12.5 mg/kg when administered in the Days 1–5 and 1–7 postcoitum schedules. When administered during the peri-cum-early postimplantation period (i.e., Days 5–7 postcoitum at 250 mg/kg), most of the implantations showed signs of resorption. On fractionation, the chloroform fraction showed 100% activity at 100 mg/kg in the single-day (Day 1 postcoitum) schedule, whereas the hexane, n-butanol-soluble and n-butanol-insoluble fractions were found to be inactive at this dose. At autopsy on Day 10 postcoitum, 7–25% loss in body weight was recorded at the minimum effective contraceptive dose (MED) in rats treated with the ethanolic extract as well as its chloroform-soluble fraction on Days 1–7, 1–5 and...
1 postcoitum, in comparison with the 6–7% increase in body weight observed in vehicle control rats. There was however no mortality in any of the treatment groups. The active ethanolic extract and its chloroform fraction were devoid of any estrogen agonistic or antagonistic activity at their respective MEDs in the ovariectomized immature rat bioassay. Efforts are being made to isolate the active principles devoid of effect on body weight. (30)

Traditional uses
The plant is analgesic, purgative, alexipharmic, anthelmintic; cures leprosy, leucoderma, ulcers, tumours, piles, diseases of the spleen, the liver, and the abdomen; the juice is anthelmintic and laxative; cures piles and “kapha”. The root bark is diaphoretic; cures asthma and syphilis. The flower is sweet, bitter, anthelmintic, analgesic, astringent. The milk is bitter, heating, oleaginous, purgative; cures leucoderma, tumours, ascites, diseases of the abdomen. (31), cures toothache and earache (32, 33), in sprain (34), in anxiety and pain (35, 36), in epilepsy (37) and in mental disorders. (38)

Conclusion
Medicinal plants have provided copious leads to combat diseases, from the dawn of civilization. Herbal medicines are in great demand in the developed as well as developing countries for primary healthcare because of their wide biological and medicinal activities, higher safety margins and lesser costs. (39, 40) The extensive survey of literature revealed that C. gigantea is important medicinal plant with diverse pharmacological spectrum. The plant shows presence of many chemical constituents, which are responsible of the various activities of the plant. C. gigantea embibing a tremendous potential deserves a special attention of the scientific fraternity to emerge as a milestone for medical science of this millennium due to its various medicinal uses. Further evaluation needs to be carried out on C. gigantea in order to explore the concealed areas and their practical clinical applications, which can be used for the welfare of the mankind.

References

5) Khare CP. Encyclopedia of Indian medicinal plants, Rational western therapy, Ayurvedic & other traditional usage, Botany. Springer publication: 120.

6) "http://en.wikipedia.org/wiki/Calotropis_gigantea".


