A REVIEW ON PHYTOCHEMICAL AND PHARMACOLOGICAL PROPERTIES OF THESPESIA POPULNEA

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ABSTRACT

Most of the drugs available today are directly or indirectly derived from plants. The objective of present review is to provide advance information including traditional uses, phytochemical, Pharmacognostic and pharmacological of *Thespesia populnea* for easy access of researchers intending to work on the wide range of active chemical constituents in this plant. A fast growing ever green shrub native to saline, sandy, volcanic, limestone and rocky soils especially in tropical and subtropical areas could be seen anywhere and easy to propagate and grow. Its activity spectrum ranges from memory enhancing to cytotoxic to immunmodulatory to antidiuretic. Here we discussed the latest development in the activities of different parts of the plant *T. populnea*.

Keywords: Pharmacological activity, Phytochemical screening, Ethnomedicine, *Thespesia populnea*.

INTRODUCTION

*Thespesia populnea* belonging to Malvaceae family is a fast growing evergreen shrubby tree reaching to a height of 30-50 feet with a width of around 10-20 feet. It is commonly known as Portia tree. It is a perennial tree growing throughout the year. It propagates easily and grows rapidly. The propagation is through seeds or stem cuttings. It grows well along warm coastal areas near the mangroves and is valuable as a coastal windbreak because it is highly resistant to salt spray, sea wind, brackish water, heat and drought. It grows well in sandy and saline soils; it can also grow on volcanic, limestone and rocky soils. It is considered as indigenous to Pacific islands however some authors reveal that it may have been brought by early Polynesians. It is currently naturalized in tropical and subtropical climates throughout the world especially in Central America, Asia, Caribbean islands, Indonesia, Philippines, Indian and Pacific Ocean islands.

It has a short, straight or crooked trunk with crowded lower horizontal branches. The bark is brown or pale grey with deep fissures and ridges. The leaves are simple with entire margin and are arranged alternately. The leaf is glossy green color above and pale green below. Leaf blades are heart shaped, leaf stalks are long, leaf apex is acuminate and base is cordate. Flowers are typical hibiscus shape in appearance, 4-7cm in length, with five overlapping, broad rounded petals. Color is pale yellow with maroon spot at the base of each petal and with star shaped hairs on outer surface. Flowers open and close on the same day and the yellow flowers turn dark red, purple or pink as the day progresses. Flowers open and close on the same day and the yellow flowers turn dark red, purple or pink as the day progresses. Fruits are brittle, dry, woody or papery. They are pods with seed capsules rounded and flattened containing five cells and several seeds. The brown hairy seeds are about 1cm long and 0.6cm broad. Seeds are blown short distances by wind but more likely to be dispersed by the water (ocean currents). Both the light weight fruits and seeds can float from one island to another on ocean currents.

ETHNOMEDICINAL USES

The ethno medicinal uses of the plant *Thespesia populnea* suggested vital role in the treatment of various...
diseases. In the indigenous system of medicine the paste of leaves, fruits and roots of *T. populnea* is applied locally for their anti inflammatory effects. The yellow juice of fruits is employed in treating insect bites, migraine, headache, fistula, psoriasis, scabies, tinea and warts. The bark, leaves, flowers and fruits are reported to be useful in various skin infections. The seeds possess purgative properties. Bark is used in haemorrhoids and chronic dysentery. Flowers are used in itching. The extract from peduncles is used to treat centipede stings. The wood is used to treat cholera and pleurisy. A decoction of bark is given internally in diseases of skin and that of fruits as an antidote for poisoning. The compound oil of bark and capsule is useful in arthritis and gonorrhoea.  

**PHYTOCHEMICAL STUDIES**

The major phyto constituents reported in *T. populnea* include Anthraquinone glycosides, cardiac glycosides, flavonoids, alkaloids and tannins. Gossypol was found to be a major constituent producing anti inflammatory effect in as well as human beings. Air dried flowers of *T. populnea* contain kaempferol, β-sitosterol, gossypetin and a mixture of Kaempferol 3-glucoside, Quercetin 3-glucoside, Kaempferol 5-glucoside separated, Rutin, Kaempferol 3-rutinoside and Kaempferol 7-glucoside. A Dextra-rotatory gossypol has recently been isolated from flowers, fruits and bark. The gossypol content in different parts of the tree are seed(3.14%), flower buds(3.37%), leaves(1.66%), roots(2.11%), stem(1.43%). Presence of Herbectin and thespesin has been reported from fruits.  

Heartwood is reported to contain Sesquiterpenoids, named Populene A-H (1-8). It also contains 6 Sesquiterpenoidal quinones of the mansonone group containing the cadalene skeleton. Four were identified as Mansonone C, D, E, and F. The other 2 are new natural products belonging to this group and are named thespesone I and thespone II. Based on spectral and chemical properties, their structures are assigned as 1,2,6,9-Tetrahydro-7-hydroxy-1,5,8-trimethylnaphtho[2,1-b]fur-an-6, 9-dione and 7-Dihydro-1,5,8-trimethylnaphtho[2, 1-b]furan-6,7-dione, respectively. Mansonone-D has been converted into both thespesone and thespone under oxidation conditions. A new Mansonone, 7 Hydroxy- 2,3,5,6 –tetrahydro -3,6,9- trimethylnaphtho [1,8-b,c]pyran-4,8-dione, in addition to mansonone D, E and F were isolated from the heartwood of *T. populnea*.  

A new sesquiterpequinone Thespesenenone and Dehydrooxoperezizone-6-methyl Ether were isolated from *T. populnea*. Stem bark contains alkaloids, carbohydrates, protein, tannins, phenols, flavonoids, gums and mucilage, saponins and terpenes of *T. populnea* are reported to contain β-Sitosterol-3-O- β-D-glucopyranoside-6-O-stearate, β-Sitosterol, Daucosterol, Kaempferol, 1-Hentriacontanol, Stearic acid, Betulin. Leaves of *T. populnea* contain Lupeol, β-Sitosterol as the major constituents it also contain presence of Pupenone, Alkanes.  

**PHARMACOLOGICAL ACTIVITIES**

**Anti inflammatory, Analgesic:**

The ethanolic extract of *T. populnea* bark was investigated for its potential as an anti inflammatory and analgesic at doses of 100, 200 and 400 mg/kg body weight. The anti inflammatory activities were evaluated by both acute and chronic models. The higher doses were inhibiting carrageenan, histamine and serotonin induced paw edema as well as formaldehyde induced paw edema successfully. The acetic acid induced writhing response and formalin induced paw licking time in early and late phases of mice were used to assess analgesic activity. The result indicates that TPE (200 and 400 mg/kg p.o.) and indomethacin could protect against the carrageenan induced acute inflammation. The treatment with TPE (200 and 400 mg/kg p.o.) produced a significant and dose dependent inhibition in abdominal writhes produced by acetic acid.  

**Anti diarrheal:**

From the aqueous extract fractions namely methanolic fraction (MF) and residue fraction (RF) were made and studied for anti-diarrheal activity in castor oil induced diarrhea model, the RF and MF has significantly reduced the cumulative wet fecal mass, where as the, RF was found to be more potent than MF. RF had shown significant inhibition of PG-E2 induced secretions (anti-secretory) and decreased the movement of charcoal in charcoal meal test indicating its anti-motility activity. Furthermore, RF has showed significant inhibition of acetylcholine, histamine and BaCl2 induced contractions on rat colon, guinea pig ileum and rabbit jejenum with EC50 values of 241.7, 303.1 and 286.1 μg/mL, respectively indicating the anti-motility effect of RF. The phytochemical analysis of RF showed presence of gums and mucilage and the possible mechanism may be the combination inhibition of elevated prostaglandin biosynthesis and reduced propulsive movement of the intestine.  

**Hepatoprotective:**

Leaf, flower and stem bark of *T. populnea* showed varying levels of protective action against CCl4-induced liver damage as evidenced from significant reduction in the activities of serum marker enzymes for liver damage (alanine transaminase, aspartate transaminase, and alkaline phosphatase), and bilirubin levels when compared with CCl4-intoxicated control rats. The stem bark suspension showed maximum hepatoprotection compared with leaf and flower. An ethanol extract of the stem bark was more active than n-hexane and water extracts, the hepatoprotective effect of this extract was almost comparable to that of silymarin (100 mg/kg), a reference herbal drug.  

*T. populnea* (Malvaceae) bark was extracted with methanol and water. The extracts were vacuum dried to yield the respective Methanolic (MET) and Aqueous extract (AET). The extracts were evaluated for hepatoprotective activity against Carbon Tetrachloride (CCl4) induced liver damage at 2 dose levels (250 and 500 mg/kg). The biochemical parameters observed in serum were Total Bilirubin, Alkaloid Phosphatase
(ALP), Serum Glutamate Oxaloacetate Transaminase (SGOT), Serum Glutamate Pyruvate Transaminase (SGPT) levels and Total Protein. Aspartate Transaminase (AST), Alanine Transaminase (ALT) and Total Protein levels in liver were also evaluated. Histopathologic study on the liver tissue was also performed. The extracts exhibited dose dependent reduction in Total Bilirubin, ALP, SGOT, SGPT, AST, ALT and increase in total protein (serum and liver) levels. The extracts also exhibited only mild hepatocytic damage compared to the CCl₄ treated group. MET was found to exhibit higher hepatoprotection than AET. ²⁹

**Anti implantation activity:**

A preliminary study reveals that the active principles from Petroleum Ether (PE) and Ethyl Acetate (EA) extracts of *T.populnea* showed anti implantation activity. Graded doses of the active principles and the crude alcoholic extract (in 1% gum acacia suspension) were taken and tested in Sprague-Dawley female rats of normal estrus cycle after overnight cohabitation with males of proven fertility. The day when spermatozoa were detected in vaginal smear was treated as 1st day of pregnancy. The compounds were administered to female rats from the 1st day to the 7th day of pregnancy. On the 10th day, the rats were laparatomized under light anesthesia and the numbers of implantation sites and corpora lutea were noted. Results showed significant anti implantation activity and they were found to be a mixture of two groups of long-chain fatty acids from GLC. ²⁰

**Anti oxidant activity:**

Antioxidant activity of the AET and MET of the *T. populnea* bark was investigated in rats by inducing liver injury with carbon tetrachloride: olive oil (1:1). The extracts exhibited significant antioxidant activity showing increased levels of Glutathione Peroxidase, Glutathione S-Transferase (GST), Glutathione Reductase (GRD), Superoxide Dismutase (SOD) and Catalase (CAT) and decreased level of Lipid peroxidation (LPO). *T. populnea* bark extracts, AET and MET, at a dose level of 500mg/kg showed significant antioxidant activity against carbon tetrachloride-induced liver injury in rats. ²¹, ²²

**Memory enhancing activity:**

The effects of *T. populnea* bark on cognitive functions in mice was evaluated using Elevated plus-maze and Hebb-Williams maze as extroceptive behavioral models for testing memory. Diazepam, scopolamine, and age-induced amnesia served as the interoceptive behavioral models. ²³ The ethanol extract of *T.populnea* (TPE) was administered orally in three doses (100, 200 and 400 mg/kg) for 7 successive days to different groups of young and aged rats. TPE(200 and 400 mg/kg, p.o.) resulted in significant improvement in memory of young and aged rats. TPE also reversed the amnesia induced by scopolamine (0.4 mg/kg i.p.) and Diazepam (1mg/kg, i.p.) Cholesterol- lowering, Anticholinesterase, Anti-inflammatory and Anti oxidant properties of *T.populnea* may favorably contribute to its memory-enhancement effect. ²⁴

**Hypoglycemic and Antihyperglycemic effects:**

The hypoglycemic and anti hyperglycemic effects of an alcoholic extract of the fruit of *T.populnea* was investigated in both normal and alloxan-induced diabetes in rabbits. The present study clearly indicated a significant anti-diabetic activity of the fruit of *T.populnea* and supports the traditional usage of fruits by the Ayurvedic physicians for the control of diabetes. ²⁵

**Anti microbial activity:**

The present study examines the anti bacterial and antifungal activities of *T.populnea* leaf extracts (hot and cold) using seven solvents (hexane, chloroform, dichloromethane, ethyl acetate, acetone, methanol and water) against human pathogens. Antibacterial and Antifungal activities of crude extracts were determined by disc diffusion method. The highest ant bacterial activity was exhibited by methanol cold extract against *Staphylococcus epidermidis* (15mm) and *Bacillus cereus* (14mm) respectively. Hot hexane extract showed an inhibition zone of 12mm against *Pseudomonas aeruginosa*. Both the cold and hot extracts of all the seven solvents exhibited inhibition zones against *Candida albicans*. ²⁶

**Wound healing activity:**

The aqueous extract of *T.populnea* fruit showed significant wound healing activity in the excision wound and incision models in rats following topical and oral administration respectively. ²⁷

**Immunomodulatory:**

The measurement of immunomodulatory property was carried out by delayed type hypersensitivity (DTH), humoral antibody (HA) titer response to SRBC, and cyclophosphamide induced myelo-suppression. Phyto chemical screening suggests the presence of flavonoids, triterpenoids, proteins, aminoacids, phenolic and steroidal compounds. The immunomodulatory activity of plant may be attributed to its phytochemical constituents. ²⁸

**Cytotoxic activity:**

Eight new sesquiterpenoids named Populene A-H were isolated from dichloromethane extracts of the wood and dark heartwood of *T.populnea* (Linn.) together with eleven known compounds. Their structures were determined on the basis of spectroscopic analysis. The cytotoxic activity of isolated compound was evaluated against four cancer cell lines: MCF-7, HeLa, HT-29 and KB. Mansonone E and (+)-gossypol showed significant activities. Their anti bacterial properties against *Bacillus subtilis*, *Staphylococcus aureus* and *Enterococcus faecalis* are also presented. ²⁹

**Diuretic activity:**

The study is to investigate the diuretic potential of various extracts of the *T.populnea* (Linn.) Soland bark such as petroleum ether, ethyl acetate, ethanol, aqueous was assessed in albino rats. The volume of urine, urinary concentration of Na+, K+ and Cl- ions were the parameters of the study. Furosemide (100 mg/kg) was
used as standard. The various extract (400mg/kg) has shown significant increase in the volume of urine, urinary concentration of Na+, K+ and Cl-. From the present study it may be concluded that the plant, *T. populnea* (Linn.) Soland possess significant diuretic activity.

**CONCLUSION**

The extensive literature survey revealed that *T. populnea* is a medicinal plant with diverse pharmacological spectrum. The plant shows the presence of many chemical constituents which are responsible for varied pharmacological and medicinal property. The evaluation needs to be carried out on *T. populnea* in order to uses and formulation of the plant in their practical clinical applications, which can be used for the welfare of the mankind.

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