



BIOACTIVE PROFILING AND THERAPEUTIC EFFICACY OF ANTIGONON LEPTOPUS: A CRITICAL REVIEW

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ABSTRACT

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Antigonon leptopus, known colloquially as the chain of love vine, is a fast-growing climber distinguished by heart-shaped flowers that come in shades of either pink or white. It is deemed an invasive species in some regions, such as Guam, the pharmacological potential of this vine has barely been explored, despite the promising uses in common colds and wound healing. Present this plant is cocktail of phytochemicals comprising alkaloids, flavonoids, and terpenoids. Some of these have shown promise, exhibiting important biological activities as antioxidant effects, antimicrobial activity, reduction of inflammation, and blood sugar control. Despite this interest, there is a lack of information on the most effective way to utilize green extraction methodologies for the bioactive compounds identified within this plant. Current research confirms the medicinal potential of *Antigonon leptopus* for the treatment of diabetes mellitus. Based on the experimental studies, diabetic rats treated with the extracts from *Antigonon leptopus* evinced a reduction in blood glucose and lipid profiles, showing the potential for the management of diabetes mellitus. A thorough review of traditional medicinal applications of the plant suggests that *Antigonon leptopus* has good potential as candidate plant for new pharmaceutical interventions targeted at various health conditions.

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INTRODUCTION:

Antigonon leptopus, which is commonly referred to as "coral vine" or "chain of love," was originally brought to Guam in 1905 as an ornamental plant because of its attractive pink flowers and vigorous growth. Since its introduction, this vine has spread rapidly and is now considered a highly invasive species, currently covering about 6.16% of the island's land area. Its aggressive growth allows it to outcompete and smother native vegetation, posing a serious threat to Guam's

biodiversity by displacing indigenous plant species and altering ecosystem structures. This highly invasive behavior has given rise to serious ecological concerns, with reduced habitat quality and the possibility of the loss of native flora due to the dominance of the vine [1]. *Antigonon leptopus* grows extremely quickly and can climb high into the forest canopy, forming thick layers that block sunlight from reaching the plants below, which weakens native species and disrupts the natural ecosystem.

Its aggressive nature with regard to its ability to grow rapidly makes this vine a persistent problem for land managers and conservationists [2]. Although *Antigonon leptopus* is widely regarded as an invasive species, it is valued for its culinary uses in Thailand and its traditional medicinal uses in Jamaica, where it has been used for generations as a remedy for a wide range of ailments. Native to Mexico and Central America, *Antigonon leptopus* is easily distinguished by its conspicuous heart-shaped flowers, which may be pink or white and provide an ornamental flair to gardens [3].

Traditional Use of Ethnomedicinal Relevance

Antigonon leptopus, commonly known as coral vine or Mexican creeper, is a rapid-growing, multi-stemmed, perennial climbing vine in the family Polygonaceae. Native to Mexico and Central America, it is found in a wide range of habitats and is valued for its vigorous growth and attractive flowering habit [4]. *Antigonon leptopus* possesses beautiful pink or white flowers and has become widely naturalized in the tropics, often showing invasive behavior. Besides its ornamental value, the plant is considered a valuable folk remedy in parts of the Caribbean, Southeast Asia, India, and Africa. Such uses would indicate soothing and anti-inflammatory properties for the plant, which justifies its use in traditional medicine [5]. The phytochemical and pharmacological profiles of *Antigonon leptopus* have been studied in various research studies; accordingly, *Antigonon leptopus* demonstrated strong antioxidant, anti-inflammatory, antimicrobial, and cytotoxic activities. This plant is traditionally used to relieve pain and symptoms of the common cold and to treat skin diseases [6].

Importance of Studying its Bioactive Properties

Antigonon leptopus has been extensively researched, showing that the plant contains antioxidants, antimicrobials, and anti-inflammatory active agents [7]. Further study on the phytochemical composition of *Antigonon leptopus* has focused on its potential biological activity as a source of

pharmaceutical compounds; thus, safe and effective medicines from this plant are indicated [8]. Because of its ease of growth and lack of expense, it could be a useful source of dietary phytochemicals for health-promoting products. Its status as an invasive species also opens up special opportunities for large-scale phytochemical extraction from biomass, transforming perhaps a noxious weed into a valued resource for bioactive agents [9].



Figure 1 *Antigonon leptopus*

Kingdom	Plantae-Plants
Subkingdom	Tracheobionta—Vascular plants
Super division	Spermatophyta—Seed plants
Division	Magnoliophyta—Flowering plants
Class	Magnoliopsida—Dicotyledons
Subclass	Caryophyllidae
Order	Polygonales
Family	Polygonaceae Jus—Buck wheat
Genus	Antigonon E Antigonon
Species	<i>Antigonon leptopus</i> Hook. & Arn. Coral vine

BOTANICAL DESCRIPTION OF ANTIGONON LEPTOPUS

Taxonomy

The genus *Antigonon* is part of the Polygonaceae family. *Antigonon leptopus* has several common names, including Coral Vine, Mexican Creeper, Queen's Wreath, and Chain of Love, among others, which indicate its ornamental aesthetic value and acknowledge its ubiquity across many countries [10]. The species also has synonymous names like *Antigonon cordatum* and *Antigonon cinerascens*, reflecting its historical classification and regional variations [11].

Morphology

Antigonon leptopus is a robust, herbaceous or woody vine with a twining stem that carries heart-shaped leaves and bears bright pink or white flowers in clusters, arranged in axillary racemes. [12]. The leaves of Antigonon leptopus are usually alternate, 2.5-16 cm long, triangular, or more often heart-shaped. The plant has a far-reaching system of tuberous roots, common in perennials and evergreens, which aids it in surviving and spreading. The tuberous roots enable the vine to persist over time and to form dense mats or thickets, one of the reasons it can be invasive and dominate many environments [13].

Geographical distribution

Antigonon leptopus, native to the Atlantic and Pacific coastal plains of Mexico and Central America, was widely introduced to various other parts of the world and is currently well- established as a naturalized plant over pantropical areas worldwide. It has adapted to a wide range of climates and environmental conditions [14]. Antigonon leptopus can be found throughout the Southern United States, the Caribbean, South America, and tropical Asia, including such countries as India and Thailand. In the tropics, it grows mainly in disturbed places, roadsides, and river banks [15].

PHYTOCHEMICAL PROFILE OF LEAF EXTRACT

Reported Cyto constituency Alcohols Flavours Phenolic terpenoids glycosides etc.

According to scientific studies and empirical research, Antigonon leptopus possesses a wide array of phytochemicals in their leaves, flowers, and roots, including a variety of alkaloids, flavonoids, phenolic compounds, saponins, terpenoids, glycosides, and other bioactive constituents [6]. The various tissues of Antigonon leptopus contain a wide array of phytochemicals, including but not limited to alkaloids, phenolic compounds such as ferulic acid and trihydroxy-benzaldehyde, flavonoids such as quercetin-3-rhamnoside and kaempferol-3-glucoside, triterpenoids such as β -sitosterol, saponins, glycosides, tannins, amino acids, and volatile oils [8]. Advanced instrumental techniques like LC-MS and GC-MS have been

employed in the analysis of Antigonon leptopus, which identified a broad array of phytochemicals, including phenolic compounds, flavonoids, among many others. This evidence supports previous studies and shows that the plant possesses a varied composition of bioactive components responsible for its medicinal properties. [7].

The extraction method used in literature and reporting the solvents used.

One of the common methods for isolating compounds in Antigonon leptopus uses solvents of different polarities, including methanol, ethanol, chloroform, and hexane, which help in extracting various types of phytochemicals. The three major extraction methods include maceration; it is considered as one of the cold extraction methods in which plant material at room temperature is soaked in the solvent to draw out the active compounds. This method is treasured for its simplicity and its power in getting a wide spectrum of phytochemicals from the plant [1]. Other than Soxhlet extraction and ultrasound-assisted extraction represent the major extraction methods for Antigonon leptopus. Soxhlet extraction is a hot technique that effectively yields non-polar compounds but at times can degrade temperature-sensitive phytochemicals because of high temperatures. Ultrasound-assisted extraction has, however, been credited with high efficiency and yielding larger products; thus, it is preferred among many researchers [2]. Maceration is employed as a preliminary means of testing due to its gentleness and simplicity, while Soxhlet extraction is used when full extraction of the non- polar compounds is required. Ethanol and methanol are the most commonly used solvents in extracting polar compounds like flavonoids and phenolics because they dissolve such compounds very well. Soxhlet extractions are normally executed at high temperatures, whereas maceration is generally long and may have problems when trying to standardize the conditions of extraction from one study to another [3].

Effect on phytochemical composition influence of solvent on yield and constituents

Maximum extraction of phenolic compounds, flavonoids, tannins, and glycosides, which are associated with antioxidant activity, is realized with the use of polar solvents such as methanol, ethanol, and water. These contrasts in the choice of solvent enable researchers to target specified classes of phytochemicals for different medicinal and pharmacological applications [6]. Soxhlet extraction, through hot extraction, allows more chemical constituents to be recovered compared to the cold extraction techniques. However, high temperatures can denature or degrade sensitive phytochemical compounds, especially those which are thermolabile; such may include some phenolics and flavonoids. This means that while Soxhlet extraction is efficient for maximizing yield, it may compromise the integrity of some bioactive compounds, especially those that are heat-sensitive [10]. Both hot and cold extraction methods have their respective advantages and disadvantages, but the type of solvent used largely forms the basis of the amount and nature of bioactive compounds that may be obtained from *Antigonon leptopus*. The appropriateness of the chosen solvent will guarantee the realization of maximum recovery of certain phytochemicals and, therefore, the effectiveness and reliability of the extraction process for medicinal and research purposes [5].

ANTIOXIDANT ACTIVITY

Antigonon leptopus has strong antioxidant properties, especially due to the high content of flavonoids and phenolic compounds that are effective in neutralizing free radicals. Many studies have confirmed that extracts from *A. leptopus* possess effective antioxidant activity [1]. which can scavenge free radicals in a dose-dependent manner, although the efficiency is different depending on the method of extraction and the assay used. In some cases, ethanol extraction shows 80% to 90% free radical clearance rates with IC_{50} values ranging from approximately 38.3 $\mu\text{g/mL}$ to higher levels, depending on the study, showing significant but variable antioxidant potency across the experimental setups [5]. Antioxidative ability in compounds found in *Antigonon leptopus*

is expressed by donation of hydrogen atoms or electrons, effectively stopping oxidative chain reactions and protecting cells from damage. This mechanism forms the basis for significant antioxidant effects such as the inhibition of lipid peroxidation and reduction in harmful free radical formation exhibited by the plant. Such properties make *A. leptopus* a promising source of natural antioxidants with potential health applications [3].

ANTI-INFLAMMATORY ACTIVITY

In Vitro and *In Vivo* evidence

In the scientific literature, a number of plants, including *Antigonon leptopus*, have been shown to possess the capacity to inhibit enzymes COX and decrease lipid peroxidation. When IC_{50} values for COX-1 and COX-2 inhibition are measured in the "low" range-for instance, micrograms/mL- this indicates relatively weak activity compared to more potent compounds. [17]. *In Vivo*, ethanol extracts of plants such as *Antigonon leptopus* exhibit less inflammation than ethanol alone and present better or comparable toxicity profiles. Such extracts have also exhibited *in vitro* activity inhibiting enzymes implicated in inflammation, especially COX-2, by compounds identified as trihydroxy phenol and benzaldehyde derivatives. It therefore appears that the active principles of the plant extracts may be anti-inflammatory with safer toxicity profiles than absolute ethanol [18]. The traditional use of *Antigonon leptopus* for symptoms of flu and pain suggests that it may possess anti-inflammatory properties. In fact, at 100 $\mu\text{g/mL}$, such an extract inhibited the COX-1 by about 38% and the COX-2 by approximately 89%, thereby justifying the effectiveness as an anti-inflammatory agent.

Phytochemicals Responsible

The phenolic acids, flavonoids, and other polyphenols present in *Antigonon leptopus* show great potential to diminish inflammation through a variety of mechanisms, including the inhibition of COX enzymes and antioxidant activity. These compounds help reduce the inflammatory response by preventing major pathways of inflammation and also scavenging the free radicals. Traditional

usage of *A. leptopus* against inflammation are very well scientifically supported, which establishes it as a worthy source of natural anti-inflammatory agents [17].

ANTIMICROBIAL ACTIVITY

Antibacterial, antifungal, antiviral studies

Antigonon leptopus antibacterial activities have been reported against Gram-positive and Gram-negative bacteria, mostly when using methanol and ethanol extracts. These alcoholic extracts are usually more effective against bacteria compared to less polar solvents, such as chloroform, hexane, and diethyl ether.. [20]. Most research on *Antigonon leptopus* has focused on its antibacterial properties, and very few studies are available on antifungal and antiviral activities of *A. leptopus*. This partial void in the literature underlines the need for targeted research in this respect and signifies an important area that future studies can focus on to determine the broad spectrum of medicinal uses for this plant. [21]. The crude extract of *Antigonon leptopus* generally exhibits relatively low antimicrobial potency, with minimum inhibitory concentrations usually in the hundreds of $\mu\text{g/mL}$ to mg/mL range. However, fractionation and purification of these extracts can substantially increase their antimicrobial activity. This would therefore indicate that refining the extraction process can yield more potent antimicrobial compounds from *A. leptopus* [22].

ANTI CANCER ACTIVITY

Cell lines studied

In different studies, nanoparticles prepared with *Antigonon leptopus* have been shown to exhibit considerable toxicity against a variety of human cancer cell lines. Whereas inconsistent results were obtained on the lung cancer cell line, A-549, studies performed on the breast cancer (MCF-7) and pancreatic cancer (PANC-1) cell lines revealed significant cytotoxicity, particularly from gold nanoparticles synthesized using the extracts of *A. leptopus*. These findings indicate that nanoparticles from this plant possess promising anticancer properties; however, the degree of cytotoxicity can vary according to the cell line and method of synthesis used. [25].

Antidiabetic Activity

Studies by Angothu et al. showed that the methanolic extract of aerial parts of *Antigonon leptopus*, at 200 and 400 mg/kg body weight, produced a dose-dependent decrease in fasting blood glucose levels in alloxan-induced diabetic rats.

Research on *Antigonon leptopus* flower extract shown significant antihyperglycemic benefits in alloxan-induced diabetic mice, with optimal results occurring at a dosage of 200 mg/kg body weight. These effects were similar to those of glibenclamide, the reference medication, when given at a dose of 10 mg/kg . Rats administered ethanolic floral extracts consistently had reduced fasting blood glucose levels over the course of the study, according to additional studies.

Wound Healing and Tissue Repair Activity

Antigonon leptopus is traditionally applied on open wounds by the Ifugao tribe to ensure proper wound closure and minimize inflammation. In Iloilo, the plant is used for gastrointestinal disorders. This shows its diverse ethnomedicinal applications in various local communities. These uses include traditional applications of the plant in folk medicine in wound treatment and digestive health within the Philippines. [15].

HEPTOPROTECTIVE ACTIVITY

Raju et al. investigated the hepatoprotective activity of ethyl acetate and methanol extracts of *Antigonon leptopus* stem in Wistar albino rats intoxicated with carbon tetrachloride. Silymarin was used as the reference standard, orally administered at a dose of 50 mg/kg , whereas plant extract were given orally at 100, 200, and 400 mg/kg . The extracts showed significant protection against liver damage; this protection was evident by significant reductions in the levels of serum enzymes such as SGOT, SGPT, ALP, and total bilirubin, thus showing promising features as hepatoprotective agents.

Acute toxicity study

The *Antigonon leptopus* test extracts did not produce any significant abnormal signs, behavioral changes, body weight changes, mortality, or morbidity in experimental

animals, even at a high dose of 2000 mg/kg during the observation period of 14 days.

CYTOTOXIC ACTIVITY

By using the MTT test, Elhaj et al. measured the cytotoxicity of an ethanol extract prepared from *A. leptopus* leaves on the Vero cell line. The extract had an IC₅₀ value of 289.60 µg/ml and it showed dose-dependent cytotoxicity. It was also shown to possess moderate activity, hence it may be utilized for the development of a new drug. (Elhaj et al., 2015) Kanthal et al. showed a dose-dependent cytotoxic effect of chloroform extract of the whole plant against two cell lines, A-549 and CHOK 1.

PHARMACOKINETIC INSIGHTS

There is an almost complete absence of pharmacokinetic data on *Antigonon leptopus* and its bioactive principles in the scientific literature. No studies have characterized the absorption, distribution, metabolism, or excretion (ADME) profiles of its phytochemicals either in animal models or in humans. Similarly, no information has been garnered about its flavonoids, alkaloids, and phenolic compounds with respect to their bioavailability, plasma concentration, half-lives, or metabolic transformation. In fact, the lack of pharmacokinetic evidence is one of the greatest barriers to clinical advancement, establishment of dosing standardization, and ensuring safety for human use.

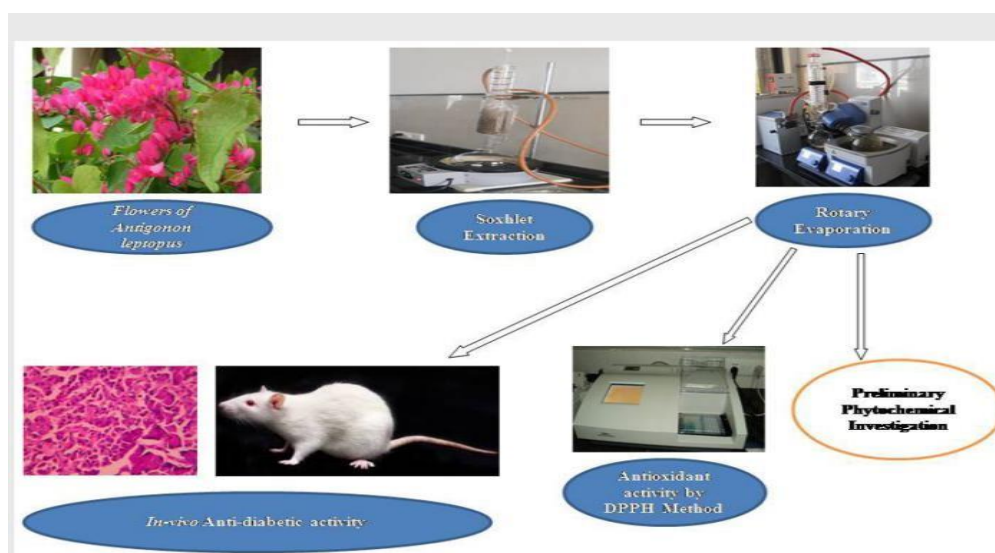


Figure 2 In vivo Anti-diabetic activity

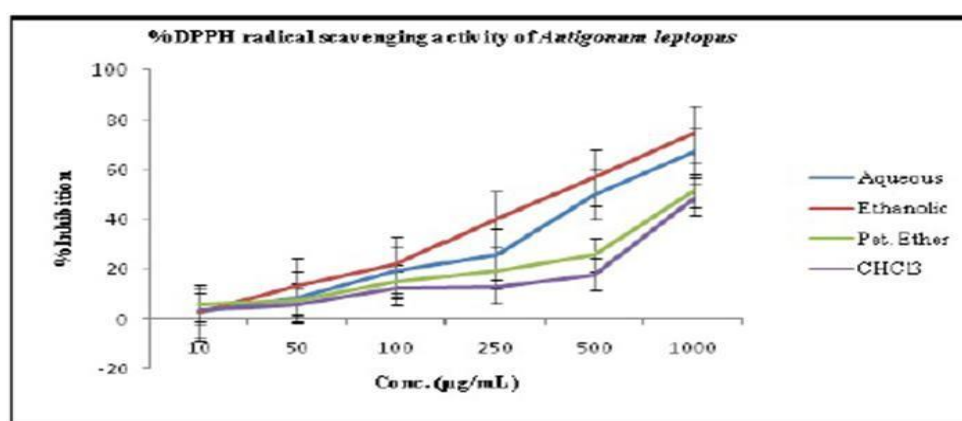


Figure 3 Percentage DPPH radical scavenging activity of *A.leptopus*

CONCLUSION

Antigonon leptopus has been used in many different regions for various ethnomedicinal purposes, which include the treatment of pain, cough, diabetes, skin disorders, flu, and stomach ache. Several studies are ongoing with respect to its pharmacological importance, and plant parts are being studied for therapeutic aspects. It is known to possess a number of pharmacological activities, including antibacterial, antioxidant, hepatoprotective, analgesic, anti-inflammatory, cytotoxic, and antidiabetic activity.

Antigonon leptopus is a botanically important species with great pharmacological potential, as supported by an increasing number of experimental studies. Flavonoids, phenolic compounds, alkaloids, and other secondary metabolites are rich in its leaves and thus responsible for a wide bioactivity profile. The evidence from various studies constantly suggests that the plant possesses potent antioxidant properties, significant antidiabetic activity due to inhibition of enzymes, promising anti-inflammatory and antimicrobial activities, and worth-noting wound- healing activity.

Yet, despite these promising findings, the scientific understanding of *Antigonon leptopus* remains incomplete. Most research is confined to in-vitro and in-vivo preliminary studies, no established pharmacokinetic data exists, limited standardization of methods for its extraction has been done, and clinical evaluations are almost nonexistent.

The cumulative evidence suggests that *Antigonon leptopus* is a plant of great potential for future pharmaceutical, nutraceutical, and cosmeceutical development.

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