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Review Article

Shilajit: An Ancient Panacea

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ABSTRACT

Shilajit is a pale-brown to blackish–brown exudates obtained from layer of rocks in many mountain ranges (especially the Himalayan ranges of the Indian subcontinent) of the world. Its curative potentials were found documented in ancient books and were used to treat many ailments since antiquity days. Major portion of Shilajit was found to consist of humic substances. A number of preclinical trials have shown many of its pharmacological properties. Use of its constituent in modern agriculture is a common practice nowadays. Several researches have been carried out that explored its curative potential and this miraculous gift of God is finally made available to pharmaceutical industry.

Key words: Shilajit, Indigenous system, Fulvic acid, Humic acid

1. INTRODUCTION

Herbal dietary supplements are big business even in the countries like United States. More than 40% of adult Americans use some form of alternative medicine, including herbals, massage, chiropractic, and hypnosis, and spent $5.1 billion out of pocket for herbal therapies in 1997. Herbal use increased by 380% and megavitamin use by 130% from 1990-1997. More than 60% of people worldwide do not disclose their use of complementary medicine to physicians [1]. Some herbal products like Echinacea,

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ginseng and St. John's wort, are now sold in supermarkets, pharmacies and discount stores.

Attributed with many remedial and curative properties, Shilajit is a potent rejuvenator and anti aging compound. It is used as a panacea for many illnesses in oriental medicine, across Himalayan regions bordering India, China, Tibet and parts of central Asia where it occurs in the form of rock. Early ayurvedic writings from the Caraka Samhita and Susruta Samhita describe shilajit as a cure for all disease as well as a rasayana (rejuvenative) able to increasing longevity from 100 to 1000 years of age. It is composed of humus and organic plant material that has been compressed by layers of rock mixed with microbial metabolites. It contains more than 85 minerals in Ionic form and humic substances (mainly fulvic and humic acid). The clinical studies on shilajit, conducted have so far; have been conducted on animals only. In the light of below mentioned facts Shilajit should be extensively studied and may be made available as a general tonic.

Table 1: Synonyms of Shilajit

Language	Name	Reference
Sanskrit	Silajit, Shilajit , Silaras	12
Hindi ,Gujarati and Marathi	Silajita	12
Hindi	Ral -yahudi	23
Bengali	Silajatu	12
Tamil	Uerangyum, Perangyum, Uerangyum	12
Arabic	Hajar-ul-musa	12
Persian	Momiai Faqurual Yahud	23
Russian	Mummio, Mumie	24
English	Asphalt, Mineral Pitch , Jew's pitch, Vegetable Asphalt	12, 24
Latin	Asphaltum	25
Botanical description	Bitumen mineral	26

Origin of Shilajit

There are a number of hypotheses about the origin of shilajit [2]. Early scientific work carried out on shilajit showed that it is mainly composed of humus – the characteristic

constituents of soil – together with other organic constituents. Latex bearing plants, namely *Euphorbia royleana* Boiss and *Trifoleum repens* which occur in the vicinity of the shilajit bearing rocks are thought to be the most likely source of Shilajit [4, 5]. Other recent research claims that the mosses of species such as *Barbula*, *Fissidenc*, *Minium*, *Thuidium* and species of Liverworts like *Asterella*, *Dumortiera*, *Marchantia*, *Pellia*, *Plagiochasma* and *Stephenrencella-Anthoceros* were present in the vicinity of shilajit-exuding rocks and these bryophytes are responsible for the formation of shilajit [2]. The bryophytes reveal the occurrence of minerals and metals in their tissues such as copper, silver, zinc, iron, lead etc, which are similar to the elements present in shilajit.

Research work with Shilajit

Indigenous system of Nepal uses it for the cure of various neuropsychiatrical disorders and physical debilities, generally termed as a general tonic. Some of the most interesting studies confirm shilajit's uses as an anxiolytic (anti-anxiety) agent and its nootropic (enhancer of learning acquisition and memory retrieval) activity. Shilajit is generally considered safe in moderate doses and is readily available in the United States both as a stand-alone product and in the traditional Ayurvedic formula Chandraprabha. One proprietary drug contains shilajit, along with *Terminalia bacteria, Phyllanthus emblica, T.chebula, Eclipta alba* and cow milk. In a book, Chopra [5] elaborated various constituents of shilajit and shown the presence of benzoic acid, hippuric acid, fatty acid, resin, wax gums, albuminoids, vegetable matter and sand particles in it.

Kong et al in his study [6] revealed that major portion of hydroacloholic fraction of Shilajit is calcium benzoate, which imparts antiseptic effect against microbial gastro-intestinal infection and it was confirmed that benzoic acid (BA) in it confers anti bacterial activity probably by interfering with respiratory system of bacteria. Moreover BA does not accumulate inside the body as it forms hippuric acid after combining with glycine and excreted out.

Volatile components of shilajit have exhibited significant behavioral and neurochemical manifestation in laboratory animals that clearly indicate its future use in aroma therapy. In another study on rodents, shilajit and its active constituents significantly enhanced the learning acquisition and memory retrieval [7]. It might prove to be a good nootropic agent while higher doses (25-50mg/kg) have shown

antianxiety effect [8]. Apart from its many beneficial effects, it has also been reported to have anti-inflammatory and anti ulcerogenic activities [9]. It has been invariably effective in all the three phases of inflammation i.e. acute, sub-acute and chronic. The U-shaped dose responses are reminiscent of drugs that improve cognitive functions. It has been used in rheumatism in Indian system of medicine and has significantly raised total carbohydrate to protein ratio in gastric juice and reported to be quite safe up to a dose of 3g/kg in mice.

Animal studies on mice have shown to alleviate stress and have also reduced immobility in forced swimming induced immobility [10]. In Streptozotocin (STZ) induced diabetes mellitus, it has lowered blood glucose level [11]. STZ cause selective destruction of ß islet cell through generation of free radicals while shilajit has antioxidant property and this explain its antidiabetic action by protecting ß cell destruction. Literature is replete with studies on shilajit showing its use in the cure of various diseases. Thus shilajit may be used in various health care products but its use is limited by its higher chance of getting contaminated by mycotoxin which requires its isolation and purification. This synergistic effect of shilajit with herbal remedies was studied by Chopra et al in 1958 [12]. Their findings help reduce the anti diabetic doses of herbal drugs.

Varieties of Shilajit

There are four different varieties of shilajit which have been described in charka samhita, namely savrana, rajat, tamra and lauha shilajit. Savrana shilajit is gold Shilajit and is red in colour. Tamra is a copper shilajit and is blue in colour. Rajat is a silver shilajit and is white in colour while the lauha shilajit is an iron-containing shilajit and is brownish-black in colour. Tamra and savrana shilajit are not found commonly but the last variety, i.e. lauha shilajit is commonly found in Himalayan ranges and is supposed to be most effective according to the therapeutic point of view [13, 14, 15].

Patents on Shilajit

USPTO has issued few patents on Shilajit, which are good repertoire of knowledge on the said topic. Purification of shilajit is an imperative necessity to ensure its optimum therapeutic effect. Patent number 6,440,436 issued to Ghosal (2002) which deals with composition of purified shilajit obtained from native sources and also various

formulations. It contains abundant amount of bioactive components, about 0.4-1% (w/w) oxygenated dibenzo-.alpha.-pyrones (DBPs), and 65-70%, (w/w) of Fulvic acids of low-to-medium molecular weight (700-2000). E4 /E6 ratio is 8-10 at λ 465/665 nm. 2% aqueous solution has a pH 7-8. Fulvic acid acts as a carrier for DBPs which is obtained by successive extraction of native Shilajit with water and organic solvents. Purified shilajit composition also contains about 3-12 % of benzoic acid, m-OH benzoic acid or C16-C22 alkanol esters thereof. 0.5-1% heterocyclic aromatic compounds containing N and S are also found. Variable amount (0.1- 60% w/w) of this invention is used in different formulations like pharmaceutical, nutritional and personal care. Like 0.2-10% w/w is used in personal care formulations.

Table 2: Traditional uses of Shilajit

Category	Uses	References
	Disorders of poor digestive activities	15
Gastrointestinal	Enlargement of the abdomen	15
	Hemorrhoids	22
	Rectal distula	15
	Worms	15
	Dysuria	15
Urinary tract system (kidney, ureter, bladder)	Madhu-Meha (vata type diabetes mellitus -type I)	15,22
	Gravel or stones in the bladder	22
	Cough	15
Respiratory	Scrofula (tuberculous cervical lymphadenitis)	15
	Loss of consciousness	15
Neurology, psychiatry	Epilepsy	22
	Insanity	22
	Benign tumor	15
Immunology and cancer	Malignant tumor	15
	Gulma (internal tumors)	22
	Phthisis (wasting of the body)	22

Purification process involves treatment of aqueous solution of exudated, powdered shilajit with different solvents. Hot organic solvents like methanol is used to separate out phenolic bioactive compounds, dilute NaOH is added to precipitate out polymeric quinines and bringing the pH of the solution to 3 precipitates out humic acid resulting in final brown acidic Fulvic acid solution. Fractionation of fulvic acid solution over activated carbon is done to get low to medium molecular wt Fulvic acid. Fulvic acid solution obtained at last is mixed with suitable portion of bioactive phenolic compounds. HPLC method is used to standardize purified shilajit composition.

Another patent (US 6558712 B1) issued to Ghoshal (2003) describes in detail about the use of purified shilajit as a delivery system of pharmaceutical, nutritional and cosmetic ingredients. A purified Shilajit composition containing at least 40% by weight Fulvic acid is used as a carrier. Active ingredient added is 0.5-40% weight of Fulvic acid. The characteristics which attribute Fulvic acid this unique property are its sponge like structure punctured by voids of about 200-1000 A^0 and an average molecular weight of about 700-2500. Bioactivity of water insoluble active ingredient is increased by using this technique. Since Shilajit is not the only source of FA comparative study of FA with other sources was also studied and Shilajit-FA was found to be a candidate of choice. The cutting edge of Shilajit –FA is its oxygenated dibenzo-α-pyrones as core nucleus, significantly presence of oligomeric dibenzo-α-pyrones and acylated DBP with a lipid chain and its endogenous origin i.e produced by animal system. On one hand well organized core structure of FA scavenges unwanted metal ions and free radicals *in vivo* while on the other hand it entraps within its network different metal ions in reduced state and help production in various co-enzyme systems. Complexes of FA with various drugs were developed and increased in solubility and bioavailabilities were obtained e.g. Glibenclamide, Insulin, Pentazocin, Methotrexate etc.

A novel herbal composition that includes combination of Shilajit with extracts of *Withania somnifera and Mangifera indica* is discussed in patent (US 7,250,181 B 2).It is used as an antiviral and /or immuno supporting agent in pharmaceutical, veterinary and nutritional compositions. This cost effective aniviral or immuno supporting agent is used during the maintenance phase of the treatment following an initial viral load reduction (also against HIV) phase in which it is used as an adjuvant to anti viral drug therapy. It can be developed in oral, tropical or parenteral dosage

form. This formulation can be used in various pharmaceutical and nutritional formulations like, multivitamin tablets, weight loss support tablets, anti-diabetic tablets and capsules, snack bar, beverages and blood building powders. The dose of composition varies from 50- 5000 mg once or twice a day for a human.

Some preparations are also developed to treat and prevent iron deficiency anemia by Sibnath ghosal (US patent -2003/0198695). This preparation expedites the iron absorption in blood stream without any side effect. This herbo-mineral composition contains purified shilajit having dibenzopyrones (DBPs), optionally, but preferably, in synergistic combination with an extract of *Emblica officinalis* plant containing gallo/ellagi-tannoids (GET) and an added mineral supplement like iron, copper or chromium. The DBPs and GETs are the bioactive constituents that maintain the bioavailable and oxidation state of metals. The average molecular weight of DBP-humato-ferrate complex in the preparation lies between 450-2500 daltons. A 100 ml of syrup typically contains about 200mg of purified shilajit, 500 mg of *Emblica officinalis* extract, and about 250 mg of added mineral. Resultant formulation has pleasant taste, sweet to mildly bitter taste, pH 4.4, density 1.2g/ml and dark brown color. Another capsule preparation (100mg) contains 25mg of purified shilajit, 50 mg of *Emblica officinalis* and 10 mg of elemental iron. The preparation is found to raise the hemoglobin level of blood 1gm/dl or more per week without side effect. On the other hand this preparation is helpful in people who do not respond to conventional chemical metal preparation and who has mal absorption syndrome. People suffering from insufficient erythrocyte production and taking NSAIDs for a long period get benefited from this combination.

A few components of shilajit may be explored to sort out the bioavailability problems of poorly water soluble drugs (BCS II & IV). There are also some Indian patents on the said topic. Patent application number 814/Del/2001 by Saluja and Agarwal claims better bioavailability of piroxicam when complexed with Humic acid (a component extracted from Shilajit). Another patent explores completely the bio enhancement capacity of the components of shilajit. Patent application number 531/Del/2005 explains in detail the isolation and characterization of humic acid and fulvic acid from shilajit. These macromolecules have large hydrophobic core and hydrophilic exterior. These can entrap and give rise to inclusion complexes. This complexed drug molecule shows better solubility, wettability, dissolution and permeability. There are different methods available to develop complexes [16].

2. Needs of modern techniques

Free radical causes irreversible cellular damage. Shilajit and its constituents (Fulvic acid, Humic acid and Humin) possess variable concentration of free radicals which increases with increasing pH of solution [17]. ESR spectrometry and N-Vinylcarbazole polymerization show presence of significant amount of free radical in aqueous solution of Shilajit and its constituents [18]. Also, stability of free radical increases at higher pH (≥8.0) as they are converted into semiquinones [19] and aqueous solution of Shilajit from different regions exhibit different pH. These free radicals cannot be removed easily by techniques used in traditional systems of medicines. While in modern pharmaceutical techniques this problem can be ruled out easily.

Fungal infection of Shilajit samples cannot be overlooked. Research works by Ghosal [20, 21] evidenced the production of some lethal mycotoxins like 12,13-epoxytrichothecenes and naptho-γ-pyrones.

Abovementioned health risk is always associated with shilajit. Indigenous systems of medicines can't eliminate this risk potential completely. It is better to isolate its active constituents by modern techniques and dispense in suitable dosage form.

3. CONCLUSION

Considering these evidences shilajit or its constituents may be used as an integral component of health care preparation and in general tonic but the use of modern techniques to reduce the associated risk is desirable.

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