Review Article

Shilajit: A Natural Phytocomplex with Potential Procognitive Activity

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Shilajit is a natural substance found mainly in the Himalayas, formed for centuries by the gradual decomposition of certain plants by the action of microorganisms. It is a potent and very safe dietary supplement, restoring the energetic balance and potentially able to prevent several diseases. Recent investigations point to an interesting medical application toward the control of cognitive disorders associated with aging, and cognitive stimulation. Thus, fulvic acid, the main active principle, blocks tau self-aggregation, opening an avenue toward the study of Alzheimer’s therapy. In essence, this is a nutraceutical product of demonstrated benefits for human health. Considering the expected impact of shilajit usage in the medical field, especially in the neurological sciences, more investigations at the basic biological level as well as clinical trials are necessary, in order to understand how organic molecules of shilajit and particularly fulvic acid, one of the active principles, and oligoelements act at both the molecular and cellular levels and in the whole organism.

1. Introduction

Shilajit also known in the north of India as salajit, shilajatu, mimie, or mummiyo is a blackish-brown powder or an exudate from high mountain rocks, especially in the Himalayan mountains between India and Nepal, although it has been also found in Russia, Tibet, Afghanistan, and now in the north of Chile, named as Andean Shilajit [1]. Shilajit has been known and used for centuries by the Ayurvedic medicine, as a rejuvenator and as antiaging compound. There are two important characteristics of a rasayana compound in the ancient Indian Ayurvedic medicine: that is, to increase physical strength and to promote human health [2]. The health benefits of shilajit have been shown to differ from region to region, depending on the place from which it was extracted [3, 4].

2. Origins of Shilajit

Considering its unique composition as a phytocomplex, very rich in fulvic acid, researchers hypothesize that Shilajit is produced by the decomposition of plant material from species such as Euphorbia royleana and Trifolium repens [4, 5]. This decomposition seems to occur through centuries, and on this basis, shilajit is considered a millenary product of nature. However, further studies have identified that several other plant organisms may generate shilajit, such as molds as Barbula, Fissidens, Minium, and Thuidium and other species like Asterella, Dumortiera, Marchantia, Pellia, Plagiochasma, and Stephenrencella-Anthoceros [4].

3. Molecular Composition of Shilajit

Shilajit is composed mainly of humic substances, including fulvic acid, that account for around 60% to 80% of the total nutraceutical compound plus some oligoelements including selenium of antiaging properties [6, 7] (Figure 1). The humic substances are the results of degradation of organic matter, mainly vegetal substances, which is the result of the action of many microorganisms. Components are divided operationally in humins, humic acid, and fulvic acids according to their solubility in water at different pH levels.
Humins are not soluble in water under any pH condition. Humic acid is soluble in water under alkaline conditions and has a molecular weight of 5–10 kDa. Fulvic acid is soluble in water under different pH conditions, and because of its low molecular weight (around 2 kDa), it is well absorbed in the intestinal tract and eliminated within hours from the body [8, 9]. It is likely that the curative properties attributable to shilajit are provided by the significant levels of fulvic acids that shilajit contains, considering that fulvic acid is known by its strong antioxidant actions [9] and likely has systemic effects as complement activator [10]. Recent studies on the composition of Andean shilajit in Chile have evidenced an ORAC index between 50 and 500 Trolox units/g of material, which is substantially higher than Noni and blueberries (Quinteros et al., unpublished data). In this context, shilajit seems to be a powerful antioxidant phytocomplex. Other molecules present in shilajit preparations are ellagic acid, some fatty acids, resins, latex, gums, albumins, triterpenes, sterols, aromatic carboxylic acids, 3,4-benzocoumarins, amino acids, polyphenols, and phenolic lipids [3, 6, 11]. Certainly its molecular composition varies from region to region. Newer investigations based on high-performance size exclusion chromatography (HP-SEC) show that shilajit contains specific molecular species of polysaccharides and lignins [10]. As humic components, humins, humic acids, and fulvic acids are found in all shilajit preparations, being the last one, fulvic acids, the biologically active compound, along with dibenzo-α-pyrones, which acts as carrier of other substances [3].

4. Traditional Uses of Shilajit

Shilajit is an important, known component of the ayurvedic medicine given its characteristics as a rasayana. In this context, health benefits such as an increase in longevity, rejuvenating, and arresting aging roles have been attributed to it [3]. Traditionally, shilajit is consumed by people from Nepal and the North of India, and children usually take it with milk in their breakfast. The Sherpas claim to have shilajit as part of their diet; they constitute a population of strong men with very high levels of a healthy longevity. Our laboratory has found evidence on the high activity of the Andean form of shilajit in improving cognitive disorders and as a stimulant of cognitive activity in humans [1](Table 1).

Table 1: Morphometric study of primary cultured rat hippocampal cells exposed to Shilajit and the Brain Up-10 formulae that contain Shilajit plus complex B vitamins (Vit B6, B9, and B12).

|                          | Control    | Shilajit** | Brain Up-10* |
|--------------------------|------------|------------|--------------|
| Neuronal cells per field | 367 ± 23   | 345 ± 42   | 396 ± 16.0   |
| Percentage of cells with neuronal processes | 18.0 ± 2.1 | 26.0 ± 3.2** | 43.0 ± 3.1** |
| Fraction of axon-like processes | 0.22      | 0.29      | 0.41         |
| Processes length (μm)    | 17.4 ± 7.2 | 26.0 ± 4.5** | 39.6 ± 8.0** |

Hippocampal cells were grown in Petri dishes in the presence of either 10 mg/mL Shilajit or the formulation of Brain Up-10 [30] plus vitamins of the B complex. In the control, cells were grown in culture medium without Shilajit or the formulation. Mean of 5 determinations (n = 5) (significance of differences with respect to control, **P < 0.001).

Considering the actions of fulvic acid in preventing tau self-aggregation into pathological filaments, this compound appears to be of interest for prevention of Alzheimer’s disease [1]. Other common traditional uses include its action in genitourinary disorders, jaundice, digestive disorders, enlarged spleen, epilepsy, nervous disorders, chronic bronchitis, and anemia [2]. Shilajit has been also useful for the treatment of kidney stones, edema, and hemorrhoids, as an internal antiseptic, and to reduce anorexia. Also, it has been claimed
in India to be used as yogavaha [12, 13], that is, as synergistic enhancer of other drugs. Organic components of shilajit play also a role in transporting different mineral substances to their cellular targets.

5. Novel Investigations

Preclinical investigations about shilajit indicate its great potential uses in certain diseases, and various properties have been ascribed, including (1) antulcerogenic properties [14]; (2) antioxidant properties [15, 16]; (3) cognitive and memory enhancer [1, 10, 17]; (4) antidiabetic properties [18]; (5) anxiolytic [12]; (6) antiallergic properties and immunomodulator [2, 19, 20]; (7) anti-inflammatory [21]; (8) analgesic [16]; antifungal properties [22]; (9) ability to interact positively with other drugs [23]; (10) protective properties in high altitudes [24]; (11) neuroprotective agent against cognitive disorders [1, and Farias et al. unpublished clinical trials]. Unfortunately shilajit lacks systematic documentation and well-established clinical trials on its antioxidative and immunomodulatory actions in humans, and it is expected that considering the reported benefits evidenced from trials will be obtained in the near future [25].

6. Patenting

A few patents already exist that protect the use of shilajit in India and Nepal, such as US Patent 5,405,613—vitamin/mineral composition [26]; US Patent application number 20030198695—Herbo-mineral composition [27]; US Patent number 6,440,436—Process for preparing purified shilajit composition from native shilajit [28]; US Patent number 6,558,712—Delivery system for pharmaceutical, nutritional and cosmetic ingredients [29]. Other recent patent about a phytocomplex with vitamins added is WO 2011/041920 [30].

7. Potential Risks

Studies indicate the shilajit consumption without preliminary purification may lead to risks of intoxication given the presence of mycotoxin, heavy metal ions, polymeric quinones (oxidant agents), and free radicals, among others [3]. Therefore, a purified, ready-for-use preparation for human consumption must be used. However, recent studies indicate that several ayurvedic products including shilajit and other Indian manufactured products commercialized by the Internet may contain detectable heavy metals levels as lead, mercury, and arsenic [31]. This study showed the presence of heavy metals and other minerals, including gems, is associated with the belief that when mixed with shilajit or other herbal preparations they generate a better response from the body in a synergic manner. This is what is known as rasa-shastra in ayurvedic medicine. Rasashastra experts claim that if this is prepared, administered, and consumed properly, it is safe and has therapeutic advantages [31]. It is worth considering that recent clinical reports indicate cases of lead poisoning in patients who have used ayurvedic products against weakening [32, 33].

8. Commentary and Discussion

Shilajit has a comfortable position as the rasayana because of its excellence, well known in the Eastern culture, and now being introduced with great interest in the occidental world. The vast majority of published papers on this theme are from India, leaving this sector of the planet as an expert in their field, since this is a product that is extracted, marketed, and investigated in these latitudes. However, this generates a segmentation of shilajit, relegating it only to what has always been assumed: a natural product that is part of natural alternative medicine and not as a result of medical and biotechnology innovation worldwide. This is evidenced quite clearly by reviewing the literature today, and note that the journals where studies on shilajit are published (jobs are plentiful) are mainly reviewed in the Eastern. Given this, it is necessary that shilajit break the cultural paradigm and enter into the rest of the world by the hand of rigorous research at the molecular and cellular levels, which could elucidate the interactions of the active ingredients of the different shilajit preparations with biomolecules. This will facilitate our understanding of their mechanisms of action.

9. Conclusion

Shilajit is a potent and very safe dietary supplement, potentially able to prevent several diseases, but its main medical application now appears to come from its actions in benefit of cognition and potentially as a dietary supplement to prevent Alzheimer’s disease. In essence, this is a nutraceutical product. Considering the expected impact of shilajit applications in the medical field, especially in neurological sciences, more investigations at the basic biological level are necessary, and certainly well-developed clinical trials, in order to understand how its active principles act at molecular and cellular levels.

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