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Biological functions of epicatechin: Plant cell to human cell health

Monika Prakash [a], B.V. Basavaraj [b], K.N. Chidambara Murthy [c]

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Highlights

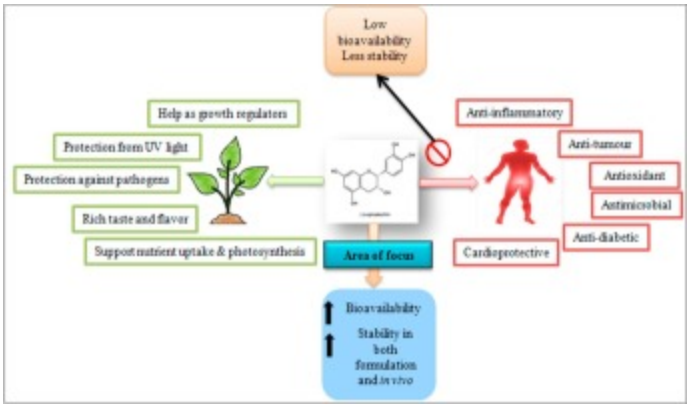
- Natural distribution of epicatechin and its stereoisomers.
- Epicatechin biological functions in plants.
- Role of epicatechin in protection of human health.
- Strategies to enhance the biological efficacy of epicatechin.

Abstract

Research on epicatechin as a potential nutraceutical has gained huge interest due to its critical biological functions and ease of availability. Several *in vitro* and *in vivo* studies have been carried out to explore its therapeutic potential and have shown excellent biological effects. In spite of its wide range of biological activity, poor bioavailability and instability in

in vivo system have limited its application. One of our studies on nanoformulation of catechin rich extract suggested enhanced antioxidant activity and *in vitro* bioavailability. In this review, we have provided information on the discovery of epicatechin in plants and its role in the protection of plant. Additionally, information on the biological activities of epicatechin in animals and human is discussed. Article also showcases factors that influence pharmacokinetics and bioavailability of epicatechin. An ample coverage on the scope and applications of nanotechnology in the bioavailability enhancement and biological effects are duly emphasized.

Graphical abstract



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Introduction

Epicatechin (EC) belongs to a group of flavanoids that has diverse health benefits in humans. Natural occurrence of epicatechin was first reported by Ozawa, Hiroto, & Imagawa (1990) when they isolated diastereoisomeric procyanidins from the pith of the palm *Metroxylon sagus* (Ozawa et al., 1990), which was previously synthesised in 1983 by Foo and Porter(Foo & Porter, 1983). Epicatechins are polyphenolic compounds having three hydrocarbon rings consisting of six hydroxyl groups at different positions. Its other stereoisomers are epigallocatechin (EG), epicatechin-3-gallate (ECG), and epigallocatechin-3-gallate (EGCG) (Xu, Yeung, Chang, Huang, & Chen, 2004).

Epicatechins are found primarily in both green tea and black tea, which is most commonly consumed beverage globally. Cacao is having the highest epicatechin content followed by broad bean pod having mean epicatechin content of 70.36 mg/100 F.W. and 37.55 mg/100

F.W. respectively (de Pascual-Teresa et al., 2000, Natsume et al., 2003). Additionally, epicatechin is found in smaller concentrations in berries and most of the regularly consumed fruits, chocolates and non-alcoholic beverages. As mentioned before, green tea is produced from a shrub *Camellia sinensis* and has more epicatechin compared to black tea because black tea undergoes oxidative polymerisation during fermentation. Whereas, green tea is an unfermented product and retains 90% of its flavanols during steaming its fresh leaves (Azam, Hadi, Khan, & Hadi, 2003). Green tea derived from plant *Camellia sinensis* consists of 30–40% catechins and 6% caffeine for 250–300 mg tea solids. A cup of green tea contains 100–150 mg catechins, of which 8% are EC, 15% are EGC, 15% are ECG and 50% is EGCG (Muramatsu, Il, Isemura, Sugiyama, & Yamamoto-Maeda, 2002). It is interesting to know that these phytocompounds were not actually present in green tea but were formed by thermally induced epimerisation and hence commercially prepared green tea contains 60% polyphenols (Xu et al., 2004). The other potential sources of epicatechin include apples, oranges, pears, black grapes, blackberries, cherries, raspberries, red wine and chocolate. The epicatechin content in most widely available natural and synthetic sources is given in Table 1.

Section snippets

Biological activity of epicatechin

Epicatechin has diverse biological properties, out of which the major biological properties that they possess are antioxidant, antimicrobial, anti-inflammatory, antitumor and cardioprotective activity. First biological property of epicatechin reported was anti-diabetic activity as early as in twentieth century (Calabriso et al., 2016, Li et al., 2014). In the twentieth century, researchers focused on absorption properties of epicatechin (Zhu, Zhang, Tsang, Huang, & Chen, 1997). However, there …

Safety studies on consumption of epicatechin

Green tea and green tea polyphenols especially epicatechin have been shown to possess various biological activities in various model systems as discussed earlier. Since epicatechin is a molecule of plant origin, it is less likely to cause any toxicity or side effects in humans, thereby reducing the cost on toxicity studies. This also contributes to minimizing the use of synthetic drugs and thus, they are possibly cost effective. However, to optimize the use of epicatechin in humans as remedies …

Application of nanotechnology to improve the therapeutic potential of epicatechin

Current interests of nanotechnology are in the field of drug delivery and personalized medicine system. Most researchers are using liposome mediated delivery, dendrimers, nanotubes, polymeric micelles, diblock and triblock copolymers, polymer drug conjugates, magnetic nanoparticles and drug encapsulated in biodegradable polymers for the delivery of very low concentrations of drug to the target site (Nair et al., 2010). In the above said methods, nanomeric polymers are used, which can …

Epicatechin based commercial formulations and supplements

Tea contains polyphenols such as catechins including epicatechin, epigallocatechin, epicatechin gallate, and epigallocatechin gallate, as well as the alkaloid and caffeine. But, out of these components epicatechins are present in high levels in the green tea. Hence, it is widely accepted as the major antioxidant in green tea. Due to its wide range of biological effects it is used as one of the most popular dietary supplements. These green tea dietary supplements (GTDS) are made as per …

Myths and realities about epicatechin

There is a myth that consumption of green tea rich in epicatechin can prevent cancer, but according to many epidemiological studies, there is no such evidence that suggests that a person might not get cancer with consumption of green tea on regular basis. However, there are some studies that suggests green tea intake may provide some benefit in preventing cancers of the digestive tract, especially gastric cancer and inhibit carcinogenesis in other types of cancer (Ravindranath et al., 2006). …

Conclusion

Epicatechins are phytocompounds derived primarily from green tea and other plants. Unlike many of the phytochemicals, epicatechin is found in most commonly consumed food, beverages universally and is abundant in nature. People who regularly consume plant based diet will have good amount of epicatechin circulating in their blood, therefore it is important to understand its significance in health. These compounds have demonstrated diverse biological functions such as anti-proliferative, …

Declarations of interest

None. …

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