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Beneficial health effects of lupenone triterpene: A review

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ABSTRACT

There are a large number of new structure compounds with good pharmacological activity in the natural plants, can be applied to the treatment of human diseases. Finding active ingredients from the plants is one of the important ways to develop new drugs. Triterpenes are widespread in plants, and lupenone belongs to lupane type triterpenoids. Lupenone is very common natural ingredient distributed in multi-family plants including Asteraceae, Balanophoraceae, Cactaceae, Iridaceae, Musaceae, Urticaceae, Leguminosae, Bombacaceae, etc., but its distribution has no regular. The consumption of lupenone in vegetarian diet is high in human life. Pharmacological screening of lupenone revealed various pharmacological activities including anti-inflammatory, anti-virus, anti-diabetes, anti-cancer, improving Chagas disease without major toxicity. Based on these important pharmacological activities, this review provides detailed account of pre-clinical studies conducted to determine the utility of lupenone as a therapeutic and chemopreventive agent for the treatment of various diseases.

1. Introduction

As we know, the natural triterpenoids have wide spectrum of biological activities [1]. From the perspective of the source, triterpenoids can be thought of as squalene cyclization in different ways, and the squalane is formed by the tail-tail condensation of farnesol pyrophosphate. Triterpenoids are widely distributed in nature plants, and rich in resources. Most triterpenes consist of 30 carbon atoms and can be regarded as a compound of 6 isoprene structural units. Triterpenoids and their saponins are distributed in monocotyledons and dicotyledonous plants, especially in dicotyledonous plants. Free triterpenes mainly exist in the Compositae, Leguminosae, Euphorbiaceae, Meliaceae, Euonymus, Rubiaceae, Olive Branch, Labiatae, and other plants [2]. The triterpenoid compounds are rich in structure, and the basic skeleton has been found to be more than 30 species. Tetracyclic triterpenes and pentacyclic triterpenes are the two main categories in triterpenes. A large number of studies have shown that pentacyclic triterpenes have a wide range of pharmacological effects and important biological activity, especially in anti-inflammatory, liver protection, anti-tumor, and immune regulation [3–6]. The pentacyclic triterpenoids are mainly classified as α-amyrin type, β-amyrin type, oleanane type, friedelin type, lupane type, and hopane type triterpenoids [7]. Lupene is the most basic structure of lupane type triterpenoids, the framework structure A, B, C, and D of the lupane type triterpenes is a six-membered carbon ring and E is a five-membered carbon ring, and in position 19 of the E ring, there is an isopropyl group substituted with the α configuration, the A/B, B/C, C/D, and D/E rings are all in trans arrangement (Fig. 1A). Unlike the other pentacyclic triterpenoids, only the lupane type triterpenoid nuclear ring of the 3 ketones has the conjugated double bonds in position 1 and 2, and the occurrence of double bonds in other positions is less common, only a few compounds have double bonds at positions 12, 13 [8]. Lupenone is a typical polar lupane type triterpenoid, and it has a ketone group at position 3 in the nuclear ring. Lupenone could be generated from the reaction of lupeol with pyridinium chlorochromate (PCC) in dichloromethane at room temperature [9]. Lupenone has gained the attention of medical professionals and researchers for its wide ranging pharmacological activities. This review focuses on the pharmacological studies of lupenone, and provides evidences for the utility of lupenone as a therapeutic and chemopreventive agent for the treatment of inflammation, virus infection, diabetes, cancer, and Chagas disease.

2. Source of lupenone

Lupenone and lupeol belong to secondary metabolites and often appear in plants at the same time, like *Sorbus lanata* (D. Don.) Schauer [10], *Sorbus commixta* Hedl. (Rosaceae) [11], *Anadenanthera colubrina* (Vell.) Brenan (Fabaceae) [12], etc. Many Chinese medicines contain the active ingredient lupenone have the anti-inflammatory, anti-diabetic, and anti-tumor activity [13–15]. Furthermore, lupenone is found in a variety of medicinal plants such as *Kalimeris indica* (Linn.) Sch., *Balanophora spicata* hayata., *Cirsium setosum* (Willd.) MB., *Musa basjoo*

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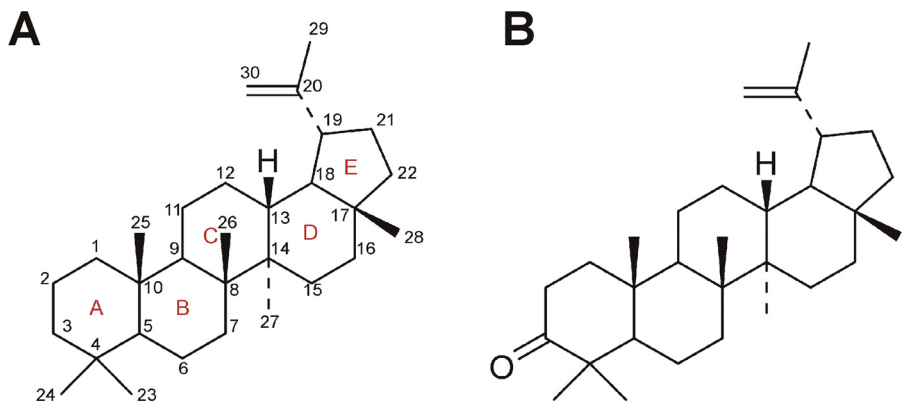

Fig. 1. The chemical structure of lupene (A) and lupenone (B).

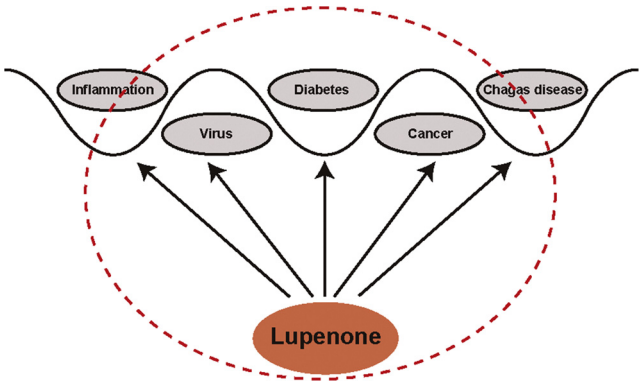

Fig. 2. Diagram represents the effect of lupenone against different types of human diseases.

Sied. et Zucc., *Gladiolus gandavensis* Van houtte, D*aphniphyllum calycinum* Benth., *Euonymus laxiflorus* Champ. ex Benth., *Casuarina equisetifolia* Forst., *Winchia calophylla* A. DC., *Pueraria lobata* (Willd.) Ohwi [16–25]. Furthermore, we summarized the family of plants containing lupenone, including Acanthaceae, Anacardiaceae, Apocynaceae, Asclepiadaceae, Asteraceae, Betulaceae, Bombacaceae, Caesalpiniaceae, Campanulaceae, Capparaceae, Celastraceae, Clusiaceae, Compositae, Crassulaceae, Ebenaceae, Euphorbiaceae, Fabaceae, Gramineae, Lamiaceae, Leguminosae, Liliaceae, Malvaceae, Moraceae, Musaceae, Olacaceae, Platycodon, Rhamnaceae, Rosaceae, Rutaceae, Sapotaceae, Spearcourt, Zingiberaceae family, etc. [26–57]. In addition, according to the incomplete statistics, as many as 200 species of plants contain lupenone, and the results showed that there is no regular distribution in the plants contained lupenone, and these results also indicate that the lupenone is rich in plants resources, and the researchers could extract enough lupenone from the natural plants.

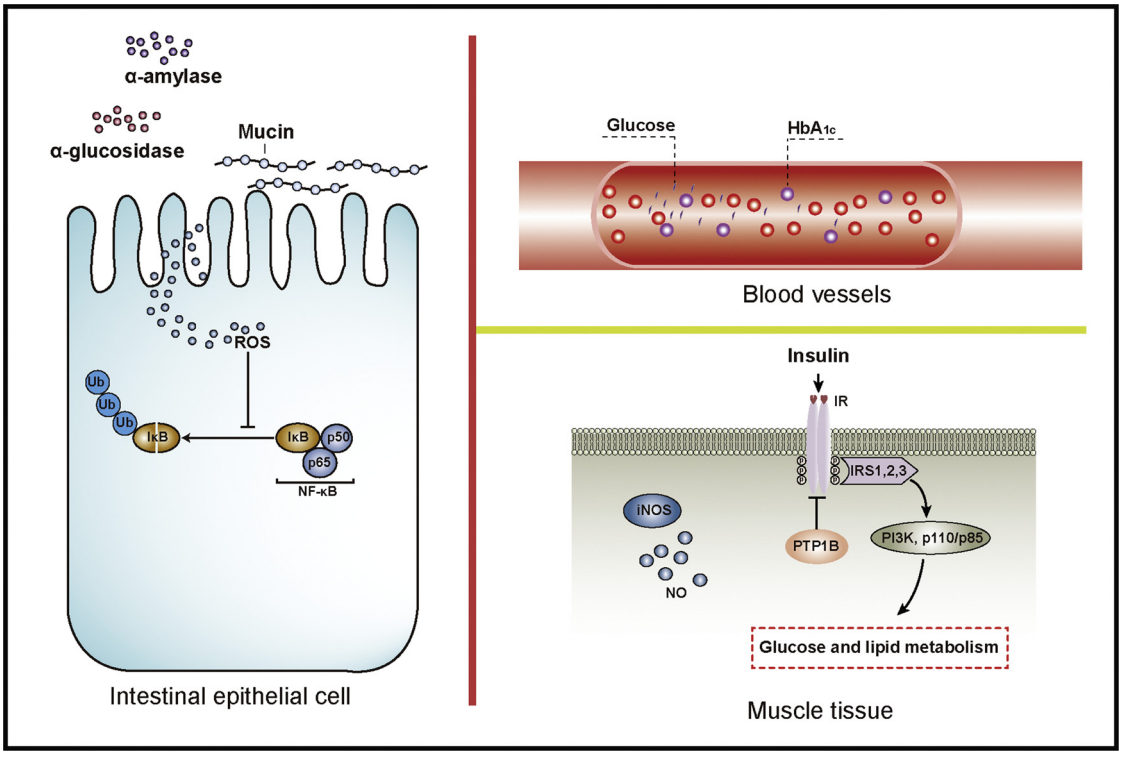

Fig. 3. The anti-inflammation and anti-diabetic mechanism of lupenone. Lupenone could decrease fasting blood glucose (FBG) and hemoglobin A_{1c} (HbA_{1c}) in blood of high-fat diet fed-streptozotocin-induced type 2 diabetic rats. Further, lupenone inhibit the PTP1B activity in muscle tissue. In the intestinal epithelial cell, lupenone also inhibit the α-amylase and α-glucosidase activity, ROS and mucin level.