BIOLOGICAL ACTIVITIES OF LOQUAT

AYSUN ŞENER [A*]***, M. ÜMIT ÜNAL*** [B]

[a*] University of Adana Science and Technology, Faculty of Engineering and Department of Food Engineering, Saricam 01250 Adana, Turkey

[b] University of Cukurova, Faculty of Agriculture, Department of Food Engineering, Balcali 01330 Adana, Turkey

*Corresponding author: asener00@gmail.com

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ABSTRACT

Eriobotrya japonica is an evergreen tree belonging to the family Rosaceae, which is commonly known as loquat. It is grown commercially for its yellow fruits and also cultivated as an ornamental plant in Japan and other Asian countries. Its leaves are listed in the Japanese Pharmacopeia and are used widely as traditional herbal medicine for the treatment of chronic bronchitis and coughs. Loquat fruits have been used since time immemorial in the ethno medicine for numerous diseases including asthma, gastro-enteric disorders, diabetes mellitus common cold and chronic liver disorders. Loquat fruit and leaves have high concentrations of vitamin-A, ascorbic acid, calcium, iron, manganese, potassium, and pharmacologically active constituents are kaempferol, ursolic-acid, oleanolic-acid, tartaric acid, quercetin, amygdalin, etc. It also possesses several pharmacological properties, including anti-inflammatory, anti-tumor, antioxidative, antimutagenic and anti-diabetic activity etc.

INTRODUCTION

Loquat (Eriobotrya japonica Lindl.) is a subtropical evergreen fruit tree originating in southeastern China. It has been cultivated for more than 2000 years in China and is now commercially cultivated in more than 30 countries worldwide, including Japan, Turkey, Brazil, Spain, India, Pakistan, Israel, and Italy. Loquat is a plant with high medicinal value and different organs that have been used historically as folk medicines for thousands of years [1]. Loquat extracts have been used for the treatment of cough, chronic bronchitis (CB), inflammation, diabetes, and cancer in Chinese folk medicine. Ancient literature, such as the ‘Compendium of Materia Medica’, described the origin, classification, breeding methods, and medicinal value of the loquat tree, and laid the foundation for the development and cultivation of loquat [2].

Different bioactive compounds likely associated with loquat health-relevant properties have been reported by several studies. Phenolic compounds linked to a significant antioxidant capacity have been found in fruits and leaves, with leaves showing the highest total phenolic contents and antioxidant capacity [3]. Numerous epidemiological studies have indicated that regular consumption of phenolic compounds through the diet may play a role in the prevention of oxidation-linked chronic diseases, such as cardiovascular diseases, cancer, diabetes and neurodegenerative dysfunctions, and that these effects may be related to their potent antioxidant properties [4]. Triterpenoid compounds such as ursolic and oleanolic acids have been detected in leaves and flowers of loquat with variable contents depending on the developmental stage and type of cultivar [5]. These compounds have been

associated with antioxidant and anti-inflammatory properties [6].

The efficacy of loquat, as used in traditional medicine, is supported by current scientific evidence regarding the pharmacologically active compounds in plant extracts and their structure–activity relationships. The phytonutritional composition of extracts of different organs varies considerably: loquat leaf and flower are rich in phenolics and triterpenes; fruit is rich in sugars, organic acids, carotenoids, flavonoids, phenolic acids, and vitamins; the kernel is a good source of proteins, starch, tannins, and minerals. Different loquat extracts have been shown to exhibit a wide range of activities. To provide a comprehensive understanding of the current research on the health-promoting effect of loquat extracts, the reported biological activities as well as the key bioactive compounds are summarized in the present review [7].

BIOACTIVITIES OF LOQUAT

Anti-Inflammatory Activity

In Chinese folk medicine, loquat leaf has been used since ancient times to treat inflammatory diseases such as cough, CB, and asthma [2]. Modern scientific studies using different experimental models have proved the anti-inflammatory capacity of different loquat tissues such as leaf [8], seed [9] and fruit [10].

Pulmonary inflammation is a factor in many lung diseases. Lipopolysaccharide (LPS)-induced inflammation is a common experimental model for anti-inflammatory research. Loquat leaf extracts enriched with triterpene acids, especially ursolic acid (**1**), showed anti-inflammatory effects on alveolar macrophages in rats with LPS-induced CB [11]. Twelve triterpene acids, e.g., seven ursane-type [ursolic acid (**1**), corosolic acid (**2**), 3-O-cis-p-coumaroyltormentic acid (**3**), 3-O-trans-pcoumaroyltormentic acid (**4**), 3-epicorosolic acid (**5**), euscaphic acid (**6**), 1β-hydroxyeuscaphic acid (**7**)], four oleanane-type [oleanolic acid (**8**), maslinic acid (**9**), methyl arjunolate (**10**), 2α,3α,23- trihydroxyolean-12-en-28-oic acid (**11**)], and one lupane-type [betulinic acid (**12**)] isolated from the ethyl acetate-soluble fraction of loquat leaf showed marked anti-inflammatory effects in the inhibition of 12-O-tetradecanoylphorbol-13-acetate (TPA)-induced ear edema of mice, and the 50% inhibitory dose of these twelve compounds ranged from 0.03–0.43 mg per ear (Figure 1) [12]. The mouse paws edema model was also used to assess the anti-inflammatory effect of loquat extract and loquat tea extract made from roasted fresh loquat leaves significantly decreased the paw edema of Mouse [13].

Anti-diabetic activity

The sesquiterpene glycoside isolated from the leaves of Loquat plant which acts as hypoglycemic agent. Extracts from these leaves have been reported to exhibit a significant hypoglycemic effect. Leaf extracts of the loquat plant inhibit 11β-HSD1 over 11β-HSD2 this will contribute to the antidiabetic effect of the loquat plant. The 11β-HSD1 is the Glucocorticoid activating enzyme 11β-hydroxysteroid dehydrogenase. The leaf extract of the plant is also used as oral hypoglycemic agent which is used in diabetes and diabetic cardiovascular complications have been used in clinical practice in South East Asia especially China, Japan and Korea. The various parts of the plant have proved to be antidiabetic [14].

Anti-Cancer Activity

As a traditional folk medicine component, loquat extracts have also displayed chemoprotective properties against various cancer cell lines. Modern science studies have demonstrated at the protein and gene level that loquat extracts can suppress cell carcinogenesis at

different progression stages, such as cancer initiation, proliferation, and metastasis [15].

Both water and ethanol extracts of loquat leaf inhibited 7,12-dimethylbenz[α]anthracene (DMBA)-induced breast cancer in rats, and water extracts showed a higher inhibitory activity [16].

Both extracts inhibited the development of breast cancer by significantly suppressing the initiation and proliferation of tumor cells. A large number of studies have demonstrated the cytotoxicity of loquat extract on different cancer cell lines. In an evaluation of 14 oriental medicinal herbs for antiproliferative activities, loquat leaf showed strong cytotoxicity in cell lines of estrogen receptor-negative breast cancer (MDA-MB-231), cervix epitheloid (HeLa) and lung (A549) carcinoma [17].

Antioxidant activity

Eriobotrya japonica plant was valuated using the Trolox equivalent antioxidant capacity (TEAC) and ferric reducing antioxidant power (FRAP) assays, and their total phenolic content was measured by the Folin-Ciocalteu method. The strong correlation between TEAC value and FRAP value suggested that the antioxidants in this plant possess free radical scavenging activity and oxidant reducing power, and the high positive correlation between antioxidant capacities and total phenolic content. Fruits shows very high amount of antioxidant property and is a potential source of natural antioxidant [18].

Leaf and branch extracts of *Eriobotrya japonica* shows the highest antibacterial activity against ESBL producing *Escherichia coli* and *Klebsiella pneumoniae* was mainly manifested by ethyl acetate fractions of both leaf and branch extracts; the other fractions exhibited less antibacterial affect [19].

CONCLUSIONS

A range of bioactivities has been reported for different loquat extracts, a number of bioactive
compounds have been identified, and active research is continuing. Studies investigating in vivo metabolism and bioavailability, synergies and competitive effects, and potential toxicity of loquat extracts in animal or cell models are receiving more attention. Since many important compounds such as ursolic acid (**1**), chlorogenic acid (**28**), quercetin glycosides (**29**,**31**), and its derivatives have been well studied for their bioactivities as pure chemical compounds, irrespective of the source, eating raw loquat fruit or its processed food products may have similar health-benefiting effects. Furthermore, new applications for different loquat organs as ingredients for functional foods or as a source of therapeutics are anticipated. Extensive studies should be carried out on structure–activity relationships for different bioactive compounds. In addition, breeding and genetic studies of loquat to increase the accumulation of pharmaceutically active compounds for human health may provide a new focus for loquat research and industry.

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REFERENCES

1. **Zhou, C.H., Xu, C.J., Sun, C.D., Li, X., Chen, K.S.,** 2007- Carotenoids in white- and red-fleshed loquat fruits, J. Agric. Food Chem. 55 7822–7830.

2. **Li, S.Z.,** 2007- Compendium of Materia Medica; People's Medical Publishing House: Beijing, China, 1578.

3. **Ferreres, F., Gomes, D., Valentao, P., Goncalves, R., Pio, R., Chagas, E.A., Seabra, R.M., Andrade, P.B.,** 2009- Improved loquat (Eriobotrya japonica Lindl.) cultivars: Variation of phenolics and antioxidative potential, Food Chem. 114 1019–1027.
4. **Gil, A., Ortega, R.M., Maldonado, J.,** 2011- Wholegrain cereals and bread: a duet of the Mediterranean diet for the prevention of chronic diseases, Public. Health Nutr. 14 2316–2322.
5. **Xu, H., Chen, J.,** 2011- Commercial quality, majör bioactive compound content and antioxidant capacity of 12 cultivars of loquat (Eriobotrya japonica Lindl.) fruits, J. Sci. Food Agric. 91 1057–1063.
6. **Tsai, S.J., Yin, M.C.,** 2008- Antioxidative and antiinflammatory protection of oleanolic acid and ursolic acid in PC12 cells, J. Food Sci. 73 H174–H178.
7. **Zhang, W.N., Zhao, X.Y., Sun, C.D., Li, X., Chen, K.S.,** 2015- Phenolic composition from different loquat (Eriobotrya japonica Lindl.) cultivars grown in China and their antioxidant properties, Molecules, 20 542–555.
8. **Kim, J.Y., Hong, J.H., Jung, H.K., Jeong, Y.S., Cho, K.H.,** 2012- Grape skin and loquat leaf extracts and acai puree have potent anti-atherosclerotic and anti-diabetic activity in vitro and in vivo in hypercholesterolemic zebrafish, Int. J. Mol. Med. 30 606–614.

9. **Sun, G., Liu, Y., Zhu, J., Iguchi, M., Yoshioka, S., Miyamura, M., Kyotani, S.,** 2010- Immunomodulatory effect of Eriobotrya japonica seed extract on allergic dermatitis rats, J. Nutr. Sci. Vitaminol. 56 145–149.
10. **Lin, J.Y. Tang, C.Y.,** 2008- Strawberry, loquat, mulberry, and bitter melon juices exhibit prophylactic effects on LPS-induced inflammation using murine peritoneal macrophages, Food Chem. 107 1587–1596.

11. **Huang, Y., Li, J., Meng, X.M., Jiang, G.L., Li, H., Cao, Q., Yu, S.C., Lv, X.W., Cheng, W.M.,** 2009- Effect of triterpene acids of Eriobotrya japonica (Thunb.) Lindl. leaf and MAPK signal transduction pathway on inducible nitric oxide synthase expression in alveolar macrophage of chronic bronchitis rats, Am. J. Chin. Med. 37 1099–1111.
12. **Banno, N., Akihisa, T., Tokuda, H., Yasukawa, K., Taguchi, Y., Akazawa, H., Ukiya, M., Kimura, Y., Suzuki, T., Nishino, H.,** 2005- Anti-inflammatory and antitumor-promoting effects of the triterpene acids from the leaves of Eriobotrya japonica, Biol. Pharm. Bull. 28 1995–1999.
13. **Zar, P.P.K., Morishita, A., Hashimoto, F., Sakao, K., Fujii, M., Wada, K., Hou, D.X.,** 2014- Anti-inflammatory effects and molecular mechanisms of loquat (Eriobotrya japonica) tea, J. Funct. Foods 6 523–533.

14. **Li, W.L., Wu, J.L., Ren, B.R., Chen, J., Lu, C.G.,** 2007- Pharmacological studies on anti-hyperglycemic effect of Folium Eriobotryae, Am. J. Chin. Med. 35 705–711.

15. **Komiya, T., Achiwa, Y., Katsuzaki, H., Imai, K., Sakurai, S., Urakawa, K., Ohnishl, K., Adachi, T., Yamada, T., Hibasami, H.,** 1998- Effect of oleanolic and ursolic acids isolated from Loquat (Eriobotrya) on the growth of human lymphoid

leukemia cells, Food Sci. Technol. Int. 4 282–284.

16. **Kim, M., You, M., Rhyu, D., Jeong, K., Kim, Y., Baek, H., Kim, H.,** 2011- Oral administration of loquat suppresses DMBA-induced breast cancer in rats, Food Sci. Biotechnol. 20 491–497.
17. **Kang, S.C., Lee, C.M., Choi, H., Lee, J.H., Oh, J.S., Kwak, J.H., Zee, O.P.,** 2006- Evaluation of oriental medicinal herbs for estrogenic and antiproliferative activities, Phytother. Res. 20 1017–1019.
18. **Lee, M.H., Son, Y.K., Han, Y.N.,** 2004- Tissue factor inhibitory sesquiterpene glycoside from Eriobotrya japonica, Arch. Pharm. Res. 27 619–623.
19. **Koba, K., Matsuoka, A., Osada, K., Huang, Y.S.,** 2007- Effect of loquat (Eriobotrya japonica) extracts on LDL oxidation, Food Chem. 14 104-110.