

A brief history of the discovery of a new drug: from the taste to the health Oleocanthal EVOO paradigm

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Abstract

Mediterranean diet is consistently beneficial with respect to cardiovascular risk. Virgin olive oil is the pillar fat of Mediterranean diet. Oleocanthal represents approximately 10% of the total phenolic compounds in Extra Virgin olive oil and has relevant pharmacological properties. Educational campaigns emphasizing the bitter-health link for olive oils should be developed and more epidemiological studies evaluating the quality of oil and his prevention role would be needed.

Keywords: *Mediterranean diet; Oleocanthal; Extra Virgin olive oil.*

Abbreviations: *EVOO Extra Virgin olive oil ; EFSA European Food Safety Authority ; COX cyclooxygenase enzymes; OC Oleocanthal.*

It's already described in literature that increasing adherence to the Mediterranean diet has been consistently beneficial with respect to cardiovascular risk. [1]. The traditional Mediterranean diet is characterized by a high intake of olive oil, fruit, nuts, vegetables, and cereals; a moderate intake of fish and poultry; a low intake of dairy products, red meat, processed meats, and sweets; and wine in moderation, consumed with meals [2].

Extra Virgin olive oil (EVOO) is the pillar fat of Mediterranean diet. It is made from olive fruits and obtained by squeezing olives without any solvent extraction.

EVOO has nutritional and sensory characteristics that to make it unique and a basic component of the Mediterranean diet. Respect to the seed oils, an unique polar polyphenol-rich fraction gives EVOO a bitter and pungent taste.

The importance of EVOO is mainly attributed both to its high content of oleic acid a balanced contribution quantity of polyunsaturated fatty acids and its richness in phenolic compounds, which act as natural antioxidants and may contribute to the prevention of several human diseases. An increase of EVOO polyphenols consumption without increasing the intake of fat would benefit the general population and should be recommended by health authorities. Unfortunately, polyphenols-rich EVOOs are bitter and pungent so are disliked by most of the people who prefers sweet oil (such as refined olive oil or seed oils) thus missing this health opportunity [3].

The recent substantiation by European Food Safety Authority (EFSA) of a health claim for EVOO polyphenols may represent an efficient stimulus to get the maximum health benefit from one of the most valuable traditional product of Mediterranean countries educating consumers

to the relationship between the EVOO bitterness and its health effect. Newly pressed extra-virgin olive oil contains oleocanthal — a compound whose pungency induces a strong stinging sensation in the throat, not unlike that caused by solutions of the non-steroidal anti-inflammatory drug ibuprofen [4]. COX-inhibitory activity in a component of olive oil offers a possible mechanistic explanation for the health benefits of the Mediterranean diet.

On the basis of their shared irritant properties, Beauchamp et al. tested whether oleocanthal might mimic the pharmacological effects of ibuprofen, a potent modulator of inflammation and analgesia. Ibuprofen is a non-selective inhibitor of the cyclooxygenase enzymes COX-1 and COX-2, but not of lipoxygenase, which catalyse steps in the biochemical inflammation pathways derived from arachidonic acid. They found that, like ibuprofen, both enantiomers of oleocanthal caused dose-dependent inhibition of COX-1 and COX-2 activities but had no effect on lipoxygenase in vitro.

Their findings raise the possibility that long term consumption of oleocanthal may help to protect against some diseases by virtue of its ibuprofen-like COX-inhibiting activity. If 50 g of extra-virgin olive oil containing up to 200 µg per ml oleocanthal is ingested per day⁷, of which 60–90% is absorbed, then this corresponds to an intake of up to 9 mg per day [4].

Oleocanthal (OC) represents approximately 10% of the total phenolic compounds in EVOO, the main source of fat in the Med diet, although this percentage varies as a result of the source and quality of EVOO. Given the known relevant pharmacological properties of OC and its involvement in pathogenic processes, such as oxidative stress, inflammation, neurodegenerative, and cardiovascular diseases, OC continues to attract research attention.

The most recent data also suggest that EVOO, as a main component of the Med diet, could serve as one of the best sources of nutraceuticals to introduce with dietary intake [5]. In Italy commercial virgin olive oils belonging to the cultivars (Bosana, Carolea, Coratina, Frantoio, Itrana, Leccino, Moraiolo, Nocellara del Belice, Peranzana, Piantone di Mogliano and Ravece) are evaluated and represented at the Italian National Review of Monovarietal olive oils sensory attributes (olive fruity, grassy, fresh almond, artichoke, tomato, aromatic herbs, bitter and pungent) that were strongly influenced by the cultivar.

Moreover polyphenol concentrations greatly differentiated the final product, depending on the cultivar.

Recently different studies showed the beneficial effect of the Mediterranean diet in the prevention of the Age-Related Cognitive Decline [6] and of atrial fibrillation [7]. Although EVOO is the pillar fat of Mediterranean diet, there is a large amount of people living in Mediterranean countries who does not consume it and prefers refined olive oil or even seeds' oils [8]. The main reasons of this choice are both sensory and economic.

The bitter and pungent taste of EVOO is not preferred by most consumers, and it is wrongly associated to a low quality product.

Educational campaigns emphasizing the bitter-health link for olive oils should be developed and more epidemiological studies evaluating the quality of oil and his prevention role would be needed.

References

1. Estruch R, Ros E, Salas-Salvadó J, Covas MI, Corella D, Arós F, Gómez-Gracia E, Ruiz-Gutiérrez V, Fiol M, Lapetra J, Lamuela-Raventós RM, Serra-Majem L, Pintó X, Basora J, Muñoz MA, Sorlí JV, Martínez JA, Martínez-González MA; PREDIMED Study Investigators. Primary prevention of cardiovascular disease with a Mediterranean diet. *N Engl J Med*. 2013;368:1279-90.
2. Willett WC, Sacks F, Trichopoulos A, et al. Mediterranean diet pyramid: a cultural model for healthy eating. *Am J Clin Nutr* 1995;61:1402S-1406S.
3. Vitaglione P, Savarese M, Paduano A, Scalfi L, Fogliano V, Sacchi R. Healthy virgin olive oil: a matter of bitterness. *Crit Rev Food Sci Nutr*. 2015;55:1808-18.
4. Beauchamp GK1, Keast RS, Morel D, Lin J, Pika J, Han Q, Lee CH, Smith AB, Breslin PA. Phytochemistry: ibuprofen-like activity in extra-virgin olive oil. *Nature*. 2005;437:45-6.
5. Scotece M, Conde J, Abella V, Lopez V, Pino J, Lago F4, Smith AB, Gómez-Reino JJ, Gualillo O. New drugs from ancient natural foods. Oleocanthal, the natural occurring spicy compound of olive oil: a brief history. *Drug Discov Today*. 2015;20:406-10.
6. Valls-Pedret C, Sala-Vila A, Serra-Mir M, Corella D, de la Torre R, Martínez-González MÁ, Martínez-Lapiscina EH, Fitó M, Pérez-Heras A, Salas-Salvadó J, Estruch R, Ros E. Mediterranean Diet and Age-Related Cognitive Decline: A Randomized Clinical Trial. *JAMA Intern Med*. 2015;175:1094-103.
7. Martínez-González MÁ, Toledo E, Arós F, Fiol M, Corella D, Salas-Salvadó J, Ros E, Covas MI, Fernández-Crehuet J, Lapetra J, Muñoz MA, Fitó M, Serra-Majem L, Pintó X, Lamuela-Raventós RM, Sorlí JV, Babio N, Buil-Cosiales P, Ruiz-Gutierrez V, Estruch R, Alonso A; PREDIMED Investigators. Extravirgin olive oil consumption reduces risk of atrial fibrillation: the PREDIMED (Prevención con Dieta Mediterránea) trial. *Circulation*. 2014;130:18-26
8. Delgado C, Guinard JX. Sensory properties of Californian and imported extra virgin olive oils. *J Food Sci*. 2011;76:S170-6.