

Abstract

Anti-Inflammatory Activity of Olive Oil Polyphenols—The Role of Oleacein and Hydroxytyrosol Metabolites †

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The health-promoting properties of extra virgin olive oil (EVOO) on human chronic diseases with oxidative cellular damage and inflammatory background, like diabetes type 2 and cardiovascular diseases, are well-documented. These positive outcomes are usually linked to the EVOO polyphenols, including phenolic alcohols hydroxytyrosol and tyrosol, their secoiridoid precursors such as the glycosides oleuropein and ligstroside, their aglicons, olecanthal and oleacein. Additionally, oleacein, the main antioxidant polyphenol in EVOO, is believed to be the main responsible for the anti-inflammatory activity. However, from the oral administration (food) to the site of action (cells of the immune system), EVOO polyphenols can undergo several biotransformation processes, and the anti-inflammatory activity of their metabolites remains essentially unclear. In this work, the anti-inflammatory potential of oleacein, hydroxytyrosol and their main known metabolites was assessed using RAW 264.7 macrophages challenged with lipopolysaccharide (LPS). Results showed that oleacein and hydroxytyrosol (0-100 µM) significantly decreased the generation of ·NO and L-citrulline by LPS-stimulated macrophages. Despite the lower activity, the hydroxytyrosol acetate sulfate was also able to reduce the cellular levels of ·NO and L-citrulline. In contrast, hydroxytyrosol sulfate and glucuronide did not show significant anti-inflammatory effect. In addition, hydroxytyrosol acetate also showed anti-inflammatory capacity, but induced some toxicity at concentrations above 50 mM. Since the parental compounds, oleacein and hydroxytyrosol, with the free catecholic moiety, shows better anti-inflammatory activity, this feature seems to be important for the observed activity. However, it has been described that conjugated metabolite may behave as carriers of bioactive compounds in plasma, which may deconjugate in situ in target tissues, releasing the more bioactive parental compound, which is the final effector. Therefore, further studies are still needed for completely understand the bioavailability and bioactivity of EVOO polyphenols in vivo and to relate this bioactivity with the polyphenolic composition of EVOO.

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