A025 Constituents in evening primrose oil with radical scavenging, cyclooxygenase and neutrophil elastase inhibitory activity

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Evening primrose oil (EPO) is widely used as a dietary supplement. EPO reportedly has beneficial effects in rheumatic and arthritic conditions, atopic dermatitis, psoriasis and various other ailments (1), but the present clinical evidence for most uses need to be substantiated by further rigorous trials (2). Our interest in bioactive plant constituents in medicinal plants and herbal supplements led us to investigate the non-triglyceride fraction of EPO. Analysis of cold pressed, non-rafﬁnated EPO, surprisingly revealed the presence of lipophilic radical scavengers. A highly enriched fraction of these compounds could be obtained from the oil by extraction with aqueous ethanol and subsequent liquid-liquid partitioning with petroleum. LC-DAD-MS analysis showed that the fraction contained three aromatic compounds (1-3) with identical UV and ESI-MS spectra. The compounds were isolated by RP-HPLC and their structure established by chemical and spectroscopic means as the 3-O-trans-caffeoyl derivatives of betulinic, morolic and oleanolic acid, respectively. The morolic acid derivative was a new compound. The radical scavenging activity of the three esters, assessed in a microtitre-based assay with the stable DPPH radical, was comparable to that of ascorbic acid (IC50’s 52 - 64 µM, and 94 µM, respectively). Compounds 1-3 also strongly inhibited human leucocytic elastase (IC50 0.32 µM), and eicosanoid synthesis catalyzed by cyclooxygenase–1 (IC50 0.12 µM), and -2 (IC50 0.4 - 2.5 µM) in vitro. The IC50 values for the positive control diclofenac were 0.05 µM (COX-1) and 0.013 µM (COX-2). In contrast to cold pressed EPO, commercial samples of evening primrose oils contained only traces of these lipophilic antioxidants.