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DERIVATIVES OF NATURAL PRODUCTS FROM *Artemisia dracunculus* (ASTERACEAE) WITH ANTICANCER POTENTIAL

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Natural products from medicinal plants comprise an important role in the treatment of cancer. Phytochemicals have exhibited anticancer activity in human models of leukemia, skin cancer and sarcomas[1]. Secondary metabolites from plants have been explored in biological screening and further investigations involving anticancer agents with promising features[1]. In this context the anticancer activity potential of *Artemisia* genus is highlighted. Antiproliferative activity of extracts from *Artemisia asiatica* against four human tumor cell lines (A2780, A431, HeLa, and MCF-7)[2] has been reported. Furthermore, this genus is known to have antimalarial activity, antioxidant, antibacterial, among others. In this communication we describe the phytochemical study of the ethyl acetate extracts from leaves and roots of *Artemisia dracunculus*[3]. Different chromatographic techniques led to the isolation of four metabolites: 3,5-dihydroxy-6,7,3',4'- tetramethoxy-flavonol (**1**) , 3,4- dimethoxybenzaldehyde (**2**), 2,3,4-trihydroxybenzaldehyde (**3**) and 5-hydroxymethylfurfural (**4**). Compounds **2** – **4** were evaluated on cytotoxic assays against MCF-7 cells (human breast adenocarcinoma). After the first screening, these metabolites were purchased (Sigma-Aldrich®) in order to have their structures modified (Figure 1) by several synthetic methodologies. This approach will establish a comparison between the anticancer potential of the natural products and their synthetic derivatives to design new drugs. Compound **9** (3-hexadecoxy-4-methoxybenzenemethanol) showed an IC_{50} = 47 μg/ml in comparison to our positive control (cisplatin) which IC_{50} = 250 μg/ml. This result indicates that low concentrations of the compound present a good potential under the cancer cells. The comparison between derivative **9** and compounds **8** and **11** (*inactive at the assays*) allows us to suggest two important structural features for the anticancer potential: the sitting of a long lipophilic chain at C-3 and the reduction of the carbonilic group at C-1 into the hydroxymethylenic moiety.

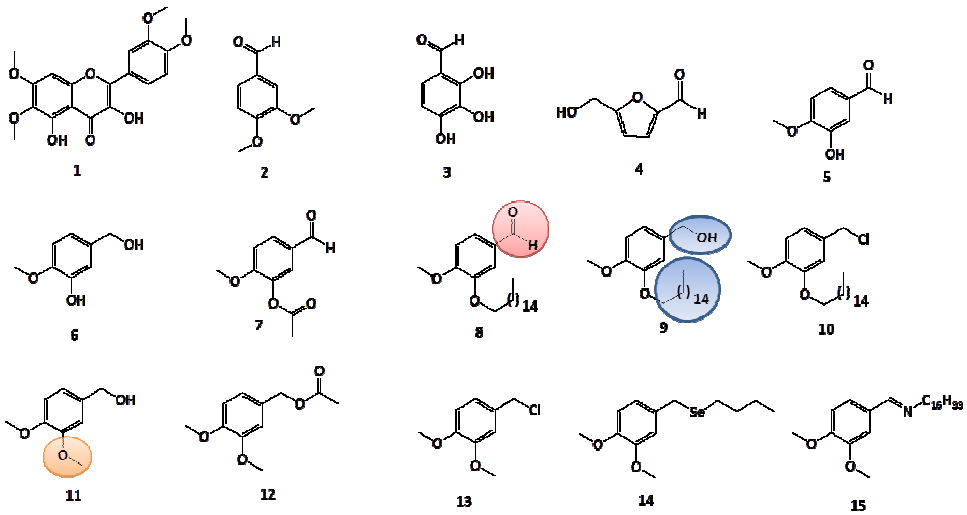

Figure 1: Natural products (**1** – **4**) isolated from *Artemisia dracunculus* and their synthetic derivatives (**5** – **15**).

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