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Extended Abstract

Natural Compounds as Epigenetic Modulators in Cancer †

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Epigenetics refers to the study of heritable changes in gene function that are mediated by mechanisms other than nucleotide alterations in the primary DNA sequence. These changes are achieved by methylation on cytosine bases in DNA, by post-transcriptional modifications (e.g., acetylation and methylation) on histone proteins or RNA transcripts by non-coding RNAs (RNA-mediated gene silencing) [1–3]. Nowadays, it is well established that the disruption of epigenetic processes plays a significant role in every step of carcinogenesis by altering gene expression profiles and protein functions. These global changes in the epigenetic landscape represent a hallmark of cancer [1,4–6]. The potential reversibility of epigenetic abnormalities encouraged the development of pharmacological modulators, so-called epigenetic drugs, against the writers, eraser or readers of epigenetics marks as valuable anti-cancer therapeutic targets. Although epigenetic drugs have a relevant therapeutic potential, only a relatively limited number of molecules including DNA methyltransferase (DNMT) and histone deacetylase (HDAC) inhibitors have been approved by the FDA and the EMEA for cancer treatment. Accordingly, there is an urgent need to develop new epigenetically active compounds for improved therapeutics in cancer therapy. Owing to their diverse biological activities and medicinal potentials, bioactive compounds isolated from natural sources (plants, fungi, marine life forms) and their derivatives, thanks to combinatorial chemistry, represent an inexhaustible source for drug discovery leading the development of new epigenetic drug candidates [2,7–12]. In this presentation, we will focus on the significant findings regarding our research related to the characterization of new epigenetically active compounds of natural origin or their derivatives with anti-cancer activities.

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