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BOOK OF ABSTRACTS



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Nanosystem of royleanone diterpenoids from *Plectranthus* spp to improve targeted delivery into cancer cells

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Nature is the most important source of novel pharmacologically active compounds for cancer treatment. *Plectranthus* genus (Lamiaceae) has been widely used in traditional medicine and seems to be promising for the research of new drug leads. In fact, *Plectranthus* spp. are rich in cytotoxic diterpenoids, such as the 6,7-dehydroroyleanone (DeRoy) and the 7 α -acetoxy-6 β -hydroxyroyleanone (Roy). (1) Royleanone diterpenoids are commonly very low water-soluble compounds and nanotechnology can be employed to improve drug solubility and targeted delivery: moreover, nanoformulations are often able to decrease the most frequent side effects associated to chemotherapy. (2) Hybrid nanoparticles of DeRoy have shown an increased efficacy of the natural royleanone on NCI-H460 and NCI-H460/R cell lines. (3) Additionally, self-assembling nanoparticles combined with Roy reduced the cytotoxicity against normal cells (Vero-E6) compared to parent compound (Roy) and displayed a low release of Roy at physiological pH. (2) These results suggest that nano-assemblies of royleanones may act as a promising anticancer strategy.

In this report, we describe the extraction and isolation of Roy from *P. grandidentatus*. Also, Roy was derivatized with the goal of improving its antitumoral properties. Several derivatives were prepared with overall good yields and are currently under *in vitro* antitumoral evaluation. So far, two benzoylated derivatives revealed promising cytotoxic properties to be further exploited in nanoformulations. Overall, we expect that derivatives in nanosystems can improve the drug delivery and lead to an enhanced anticancer activity.

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