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Ines Mancini

University of Trento, Italy

Arsenicin A from the marine sponge *Echinochalina bargibanti* as a drug lead: Synthesis and antitumor evaluation of related arsenicals

Arsenic is a paradoxical element, because it is a highly toxic and a notorious carcinogen; but it can be a charming medicine. Significant examples of arsenic based drugs are Salvarsan, used as a remedy for syphilis and Arsenic trioxide (ATO), FDA approved in 2000 for the treatment of acute promyelocytic leukemia. Arsenicin A ($C_3H_6As_4O_3$) is the first polyarsenic compound ever found in nature, characterized by an adamantane structure. It was reported in 2006, isolated in our laboratory from the new Caledonian sponge *Echinochalina bargibanti*. Based on the knowledge acquired so far, the potential of Arsenicin A as a promising lead in drug development warranted structure-activity relationship studies on synthetic analogues. Therefore, to broaden the molecular diversity, a series of isomeric methylene homologues, including the natural product itself, has been recently obtained by an efficient microwave-assisted synthesis, starting from arsenic (III) oxide. Due to the poor diagnostic value of the NMR analysis in the structural elucidation of these molecules, mass spectrometry and comparison of experimental infrared IR-spectra with density functional theory (DFT) simulated ones were decisive. From *in vitro* screening carried out on the NCI full panel of human cancer cell lines, each tested arsenical resulted in being more active than ATO. In particular the most lipophilic molecule in the series exhibited the best growth inhibition of both leukemia and solid tumor cell lines. These results offer promising perspectives in the development of new more potent and selective arsenical drugs against solid tumors. The most recent achievements will be also presented.

Biography

Ines Mancini has completed his MD in Chemistry at the University of Bologna (Italy) in 1983 and she is currently Associate Professor of Organic Chemistry at the University of Trento (Italy). Her research focuses on natural products chemistry involving isolation, structural elucidation, total synthesis also by unconventional eco-friendly methods and studies of target interactions by docking calculation. She has published 120 papers in reputed journals and has been a Reviewer for 28 journals.

ines.mancini@unitn.it