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Abstract

Development of Triazolyl Acetophenone Hybrids as a New Strategy for the Prevention of Marine Biofouling †

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Abstract: The 1,2,3-triazole ring has been gaining increased attention in medicinal chemistry over the past few years since it has been associated with metabolic stability and several biological activities, including antifouling. Therefore, the hybridization of this heterocycle with other pharmacophores which showed ability to prevent marine biofouling can be a strategy to obtain more effective and stable compounds. Marine biofouling remains a huge challenge for maritime industries and public health, causing economic, human, and ecological concerns, with few environmentally safe options to prevent this phenomenon. Considering that the incorporation of an acetophenone into coatings was found to decrease the attachment of marine micro- and macro-organisms, and in an attempt to obtain new effective acetophenone derivatives, a series of triazolyl acetophenones were obtained, through hybridization with the 1,2,3-triazole ring and other pharmacophores, using the copper(I)-catalyzed alkyne–azide cycloaddition (CuAAC) methodology. Fourteen new acetophenone-1,2,3-triazole hybrids were obtained and screening against the settlement of the macrofouling mussel *Mytilus galloprovincialis* and on five biofilm-forming marine bacteria allowed identifying promising compounds. Three compounds were able to inhibit the growth of marine bacteria *Roseobacter litoralis*, while the other three compounds significantly inhibited the settlement of mussel larvae. For those, the ability to inhibit the growth of *Navicula* sp. microalgae was also evaluated. One acetophenone was found to display complementary antifouling activity against macrofouling mussel and microalgae *Navicula* sp. The most potent compounds also were shown to be less toxic to the non-target species *Artemia salina* than the commercial biocide Econea®.

Keywords: 1,2,3-triazole ring; acetophenone; marine biofouling; antifouling activity; eco-friendly

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