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Synthesis, characterization, antimicrobial and antioxidant activities of a (18)-annulene derivative incorporating three thienocoumarin fragments

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To face the issue of global drug resistance, one of the solutions suggested by WHO is the search of new actives molecules, at low cost and that are easily accessible in order to discourage counter factors, who are partly responsible of the emergence of resistances. In this perspective, we investigate the possibilities of preparing new potentially bioactive diazo-compounds from fused 2-aminothiopene substrates such as compound (2). In this work, we used the third version of the Gewald reaction to synthesize 3-amino-4H-thieno [3, 4-c][1]benzopyran-4-one (2). This compound was diazotized with sodium nitrite in concentrated sulfuric acid at 0-5 °C. The in situ formed 4-oxo-4H-thieno[3,4-c]chromene-3-diazonium sulfate (3) in the coupling reactions involving the parent 2-aminothiophene (2) and various phenolic and aryl amines' couplers, readily undergoes homocyclotrimerization at low temperature to afford in fairly good yield the first ever reported 18 member ring heteroaromatic holigomer (4). Compound 4 was fully characterized by its IR, 1H-NMR, 13C-NMR and HRMS spectral data. The HMBC and HSQC techniques were used to ascertain the structural assignments. A comparative study on the antimicrobial and antioxidant activities of compounds 1, 2 and 4 was carried out to assess the SAR due to the transformations (from 1 to 4 via 2) on the tested compounds. It was found that compounds 2 and 4 were respectively the most active compounds against bacteria (MIC=64-256 µg/ml) and yeasts (MIC=32-128 µg/ml). Compound 4 also showed high radical-scavenging activities and ferric reducing power when compared with vitamin C and BHT used as reference antioxidants.

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Turning chemical and pharmaceutical to multi-drug formulation

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Chemical and Pharmaceutical Engineering I have been very useful for capturing knowledge as In Chemical and Pharmaceutical, a prime challenge has been to develop Chemical and Pharmaceutical function given only partial Chemical and Pharmaceutical knowledge and inconsistency in how this knowledge is curated by experts. Again Towards A Data-driven Gene Ontology, Ontologies have been very useful for capturing knowledge as a hierarchy of concepts and their interrelationships. In biology, a prime challenge has been to develop ontologies of gene function given only partial biological knowledge and inconsistency in how this knowledge is curated by experts. I will discuss how large networks of gene and protein interaction, as are being mapped systematically for many species, can be transformed to assemble ontology with equivalent coverage and power to the manually-curated Gene Ontology (GO). Our network-extracted ontology contains 4,123 biological concepts.

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