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SYNTHESIS OF BENGAMIDE ANALOGUES AND THEIR CYTOTOXIC ACTIVITY

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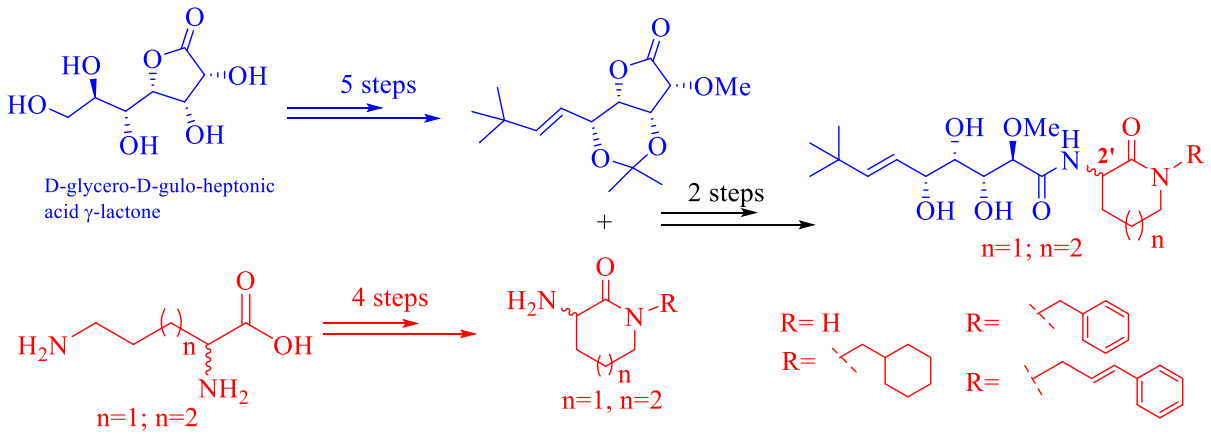
Marine sponges of the family Jaspidae have been proven to be an important source of bioactive secondary metabolites. The sponge-derived bengamides were firstly reported in 1986, and have unique molecular structures. These compounds were found to have a broad spectrum of biological activities such as antitumor, antibiotic, and anthelmintic properties. Starting from D-glycero-D-gulo-heptonic acid γ-lactone and amino acids, a number of diastereoisomeric bengamide analogues were synthesized. Optimization of the reaction conditions revealed that microwave irradiation assistance is a powerful method for the preparation of aminolactams, as well as for the coupling reactions of the lactone with aminolactams. Additionally, the opening of the polyketide chain lactone ring with α-aminolactams was successfully achieved under microwave irradiation in the presence of sodium 2-ethyl hexanoate. Thus, with microwave irradiation assistance, these reactions occurred significantly faster, friendly with environment (shorter reaction time and solvent-free procedures) and higher yields comparable to those obtained using the previously described method and Cytotoxic activity evaluation of these analogues against six cancer cell lines (KB, HepG2, LU1, MCF7, HL60, and Hela) demonstrated that the configuration of C-2′ seems to be critical for the cytotoxic activity of compounds (2′*R*) and (2′*S*).



Keywords: Jaspidae ; bengamide; microwave irradiation; cytotoxic activity