

Design, synthesis and molecular modeling studies of new 2,3-diaryl thiazolidine-4-ones as potential microtubule-binding agents

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Background and Aims: The mitotic spindle, whose formation and activity are required for chromosome segregation and cell division, is constituted by microtubules generated by polymerization of tubulin α , β -dimers. Many drugs which interfere with the dynamic behavior of the microtubules are potential anticancer drugs. In this study, a new series of 2,3-diaryl thiazolidine-4-one derivatives structurally related to combretastatin A-4 (CA4) were designed, synthesized and their molecular modeling were studied.

Methods: The synthesis was carried out using one-pot reaction. Accordingly, an appropriate aromatic amine was treated with 3,4,5-trimethoxy benzaldehyde and thioglycolic acid in toluene under microwave irradiation at 300W to give the target 2,3-diaryl-1,3-thiazolidine-4-one derivatives.

Results: All compounds were pure and stable. Their chemical structures were characterized by IR, ¹HNMR, LC-MASS and CHN analysis. The yield of reaction was 8-33%.

Discussions: The syntheses were performed under different conditions and our results indicated that the microwave reaction was the optimum condition. In addition, type of solvent, time of reaction and power of microwave are very important to yield the desired compounds.

Keywords: 2,3-Diaryl thiazolidine-4-ones; Microtubule-binding agents; SAR