Solid-Phase Synthesis and Anti-Tumor Evaluation of Novel Benzimidazole Derivatives

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Abstract

The benzimidazole skeleton, when selectively functionalized, is considered building block for the preparation of potent antineoplastic agents. 2-Substituted benzimidazole-5-carboxylic acids and 4- or 5- carboxylic acid derivatives that were used in the design of antineoplastic agents[1]. On the other hand, solid phase organic chemistry offers the opportunity of synthesizing molecules via novel routes, which may be difficult or impossible using traditional solution method[2][3]. In this work, we report an improved synthesis of selected novel 1,2-disubstituted benzimidazole-5-carboxylic acid analogues via solid phase to examine the feasibility of polymer-support method in synthesis of biologically active benzimidazoles. All of the synthesized compounds were docked on the active site of deoxyribonucleic acid to test their binding affinities. Furthermore, the synthesized compounds were subjected to preliminary screening of their antineoplastic activity.

75th FIP World Congress of Pharmacy and Pharmaceutical Sciences - 2015, September