



Synthesis and Evaluation of a Series of 2-naphthylbenzazole Derivatives as Potential Anticancer Agents

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Abstract

The mainstay of cancer treatment is still the use of small heteroaromatic organic molecules or natural products with the main goal to create new drugs with better selectivity and activity.

Benzazoles such as benzoxazole, benzothiazole and benzimidazole have shown special biological activities viz. antitumor, antiviral, antifungal, anti-inflammatory and treatment of physiological disorders [1, 2]. Structural modifications of the benzazole structures are being carried out in several ways in order to anticipate enhanced biological activities. These structural modifications have given a large number of available benzazole compounds for pharmacological research [3]. Moreover, naphthalene containing analogues have been reported as potent anticancer agents [4]. Taking into account that both benzimidazole and naphthalene are among the privileged aromatic subunits and important building blocks in medicinal chemistry since they have positive influence on anticancer activity.

In this study, we have synthesized a series of 2-naphthylbenzazole derivatives and characterized their structure by spectral data. Then, antiproliferative effects of 2-naphthylbenzazole compounds against different cancer cell lines were investigated by MTT (3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyl-tetrazolium bromide) method.

Most of the compounds showed moderate to high activity with low IC₅₀ values. From the synthesized compounds **P-3** and **P-5** exhibited the most potent anti-cancer activities against the tasted cancer cells. Especially, compound **P-5** was identified as the most promising compound. Further analysis is therefore needed to determine the mode of action of active compounds.

Keywords: Benzimidazole, benzoxazole, benzothiazole, naphthalene, anticancer activity

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