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Abstract

Synthesis of New *N*-Substituted *N′*-(2-methylthio-4-chloro-5-methylbenzenesulfonyl)guanidines with Anticancer Activity †

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Abstract: Cancer is a disease that has spread widely throughout the world and requires the development of new anticancer drugs. Curing cancer is a complicated process as the drugs that are used target human cells and cells that have undergone genetic changes and are dividing at a quick and uncontrolled rate. Thus, there is a constant need to develop alternative or synergistic anticancer agents with minimal side effects. One of the important strategies in the search for chemotherapeutics is the approach based on combining fragments of known drugs in one molecule, leading to structures or "hit" structures. The conjugation of two pharmacophores into a molecular hybrid aims at achieving a synergistic effect with increased efficacy compared to the starting compounds. The aim of the work was to synthesize new *N*-substituted *N′*-(2-methylthio-4-chloro-5-methylbenzenesulfonyl)guanidines with potential anticancer activity, designed as molecular hybrids containing fragments of chalcone and 4-chloro-5-methyl-2-methylthiobenzenesulfonamide. Cytotoxic activity of the compounds was evaluated in the MTT test against three human tumor cell lines: breast cancer (MCF-7), colon cancer (HCT-116), and cervical cancer (HeLa). It has been shown that all sulfonamides are highly active against breast and colon cancer cell lines (IC_{50}: 2.5–5 μM). Additionally, in tests carried out on the non-cancer human keratinocyte cell line (HaCaT), it was proved that the tested compounds showed higher cytotoxicity against cancer cells compared to healthy cells. Cytotoxic activity in the HeLa cell line ranged from values of IC_{50} from 5 to 17 μM.

Keywords: anticancer; sulfonamide; breast cancer; colon cancer; cervical cancer

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