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Abstract

Anticancer Evaluation of 4-substituted-*N*-(quinolin-8-yl) pyridine-3-sulfonamides †

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Abstract: During our research of biological activity of different *N*-aryl-4-substututed-pyridine-3-sulfonamides, we have found that compounds bearing a *N*-(quinolin-8-yl) substituent possess a significant anti-tumor activity. Mechanisms of anticancer activity of *N*-(quinoline)sulfonamide derivatives were reported to be inhibitors of the NF-κB pathway. Nuclear factor NF-κB regulates expression of genes that control cell proliferation and cell survival; thus, it is considered a potential molecular target for the prevention and treatment of cancer. Based on this information, we decided to synthesize and evaluate a series of 4-amino-*N*-(quinolin-8-yl)pyridine-3-sulfonamides, which contain both a 8-amonoquinolin group and a pyridine-3-sulfonamide scaffold. Target compounds were obtained in a multistep reaction starting from 4-hydroxypyridine, and their structure was confirmed using the spectroscopic methods: IR, ^{1}H NMR, and elemental analysis (C, H, N). Synthesized compounds were tested using a tetrazolium (MTT) cell viability assay towards their effect on growth of three human cancer cell lines (colon cancer HCT-116, breast cancer MCF-7 and cervical cancer HeLa) and on the noncancerous keratinocyte cell line HaCaT. Cell viability was measured after 72 h of incubation with the tested compound in five concentrations (1–100 μM). All compounds show very high activity compared to cisplatin against cancer cells lines (IC_{50} = 4–43 μM), and a selectivity relative to HaCaT cells.

Keywords: sulfonamides; anticancer activity; pyridine; quinoline

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