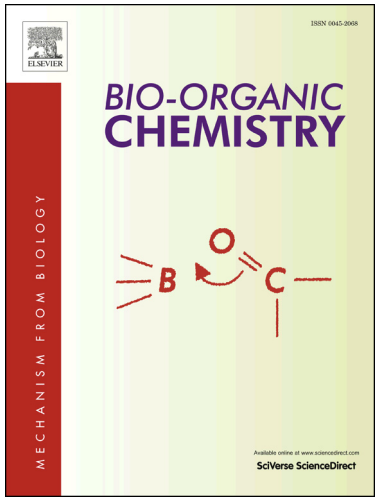

Facile Synthesis of Novel Substituted Aryl-Thiazole (SAT) Analogs *via* One-Pot Multi-component Reaction as Potent Cytotoxic Agents against Cancer Cell lines

Salma Mirza, Syeda Asma Naqvi, Khalid Mohammed Khan, Uzma Salar, M. Iqbal Choudhary

PII: S0045-2068(16)30248-6
DOI: http://dx.doi.org/10.1016/j.bioorg.2016.12.003
Reference: YBIOO 1981

To appear in: *Bioorganic Chemistry*

Received Date: 7 September 2016
Revised Date: 7 October 2016
Accepted Date: 17 December 2016

Please cite this article as: S. Mirza, S. Asma Naqvi, K. Mohammed Khan, U. Salar, M. Iqbal Choudhary, Facile Synthesis of Novel Substituted Aryl-Thiazole (SAT) Analogs *via* One-Pot Multi-component Reaction as Potent Cytotoxic Agents against Cancer Cell lines, *Bioorganic Chemistry* (2016), doi: http://dx.doi.org/10.1016/j.bioorg.2016.12.003

Facile Synthesis of Novel Substituted Aryl-Thiazole (SAT) Analogs *via* One-Pot Multi-component Reaction as Potent Cytotoxic Agents against Cancer Cell lines

Salma Mirza,[a] Syeda Asma Naqvi,[b] Khalid Mohammed Khan,[a*] Uzma Salar,[a] and M. Iqbal Choudhary[a,b,c*]

[a]*H. E. J. Research Institute of Chemistry, International Center for Chemical and Biological Sciences, University of Karachi, Karachi-75270, Pakistan*
[b]*Dr. Panjwani Center for Molecular Medicine and Drug Research, International Center for Chemical and Biological Sciences, University of Karachi, Karachi-75270, Pakistan*
[c]*Department of Biochemistry, Faculty of Science, King Abdulaziz University, Jeddah-21412, Saudi Arabia*

Abstract: In this study, twenty-five (25) substituted aryl thiazoles (SAT) **1**-**25** were synthesized, and their *in vitro* cytotoxicity was evaluated against four cancer cell lines, MCF-7 (ER^{+ve} breast), MDA-MB-231 (ER^{-ve} breast), HCT116 (colorectal) and HeLa (cervical). The activity was compared with the standard anticancer drug doxorubicin (IC_{50} = 1.56 ± 0.05 μM). Among them, compounds **1**, **4**-**8**, and **19** were found to be toxic to all four cancer cell lines (IC_{50} values 5.37 ± 0.56 - 46.72 ± 1.80 μM). Compound **20** was selectively active against MCF7 breast cancer cells with IC_{50} of 40.21 ± 4.15 μM, whereas compound **19** was active against MCF7 and HeLa cells with IC_{50} of 46.72 ± 1.8, and 19.86 ± 0.11 μM, respectively. These results suggest that substituted aryl thiazoles **1** and **4** deserve to be further investigated *in vivo* as anticancer leads.

Keywords: Thiazole; characterization; anticancer agents; (MCF7, MDA-MB-231); (HCT-116); (HeLa); cytotoxicity

*Corresponding authors. Tel.: (0092-21) 34824910; Fax: (0092-21) 4819018-9 E-mail: khalid.khan@iccs.edu; Tel.: (0092-21) 34824924-5; Fax: (0092-21) 4819018-9, E-mail: hej@cyber.net.pk