Medicinal Chemistry

4-(Indol-3-yl) thiazole-2-amines and 4-Indol-3-yl)thiazole acylamines as Novel Antimicrobial Agents. Synthesis, ***In Silico*** and ***In Vitro*** Evaluationy

Athina Geronikaki

Aristotle University of Thessaloniki, Greece

Abstract

This manuscript deals with the synthesis ,computational and experimental evaluation of the antimicrobial activity of twenty nine 4-(indol-3-yl) thiazole-2-amines and 4-ındol-3-yl)thiazole acylamines. Evaluation of antibacterial activity against Gram (+) and Gram (-) bacteria revealed that MIC of indole derivatives being in range of 0.06-1.88 mg/ml, while among fourteen methylindole derivatives only only six were active with MIC at 0.47-1.88 mg/ml. S.aureus appeared to be the most resistant strain, while S. typhimurium the most sensitive.Compound 5x was the most promising with MIC in range of 0.06-0.12 mg/ml, followed by 5d and 5m. Evaluation of these three compounds against resistant strains , namely, MRSA P. aeruginosa and E. coli revealed that they were more potent against MRSA than ampicillin.Furthermore, compounds 5m and 5x were superior inhibitors of biofIm formation thanampicillin and streptomycin in concentration of MIC. Compounds 5d, 5m and 5x interact with streptromycin being additive. Antifungal activity of some compounds exceeded or was equipotent with those of the reference antifungal agents bifonazole and ketoconazole. The most potent as antifungal agent was found to be compound 5g. Drug likeness scores of compounds was in range of -0.63 to 0.29, being moderate to good. According to docking studies E.coli Mur B inhibition is probable responsible for the antibacterial activity of compounds, whereas CYP51 inhibition implicated in antifungal activity. Compounds appeared to be on toxic according to the cytotoxicity assessment in MRC-5 cells.

Biography

Athina Geronikaki graduated from Tashkent State University in 1971 and gained the specialty of organic chemist. In 1977 she defended her PhD thesis and received the Ph.D grade in Chemistry (Ph.D, Doctor of Philosophy in Chemistry). In 1984 she graduated from School of Pharmacy of Aristotelian University of Thessaloniki. From 2006-2016 she is the Head of the Department of Pharm. Chemistry. Since 2010 she is Full Professor of Medicinal Chemistry of School of Pharmacy of Aristotle University of Thessaloniki. During 2009-2011 she was Vice President of School of Pharmacy of Aristotle University of Thessaloniki. In July 2013 Prof. Geronikaki was elected as a Full member of Mediterranean Academy of Science and Arts and in 2015 Member of European Academy of Science and Arts. She has over 175 publications that have been cited over 4310 times, and her publication H-index is 33 and has been serving as an editorial board member of reputed Journals.

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