

Syntheses of Selected Quaternary Phenacylbromopyridinium Compounds and their Biological Evaluation

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Dedicated to Prof. Zafar H. Zaidi on the occasion of his 60th birthday

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5-Bromonicotinic Acid, Cytotoxicity, Antimicrobial Activity, Blood Pressure Activity

The studies, presented here, deal with the synthetic modification of 5-bromonicotinic acid on its nitrogen nucleus. The synthetic transformations were carried out by reacting equimolar amounts of 5-bromonicotinic acid and phenacyl halides in acetone. A range of phenacyl halides were used with the objective of getting a variety of quaternary ammonium salts of 5-bromonicotinic acid derivatives as multipurpose biologically active compounds. Twelve quaternary ammonium salts of 5-bromonicotinic acid have been synthesized and tested for cytotoxicity, antibacterial and antifungal activities. These compounds showed promising cytotoxicity against *Artemia salina*. Two compounds, 3-carboxy-1-(4'-methylphenacyl)-5-bromopyridinium bromide (**2**) and 3-carboxy-1-(4'-nitrophenacyl)-5-bromopyridinium bromide (**12**), were highly active against Gram-positive and Gram-negative bacteria among all the tested compounds. All the compounds were examined for antifungal activity against fifteen fungal cultures, but none of these compounds proved to be effective against these fungi. The parent compounds and its derivatives were also examined for their effect on mean arterial blood pressure in anaesthetized rats. Compounds **7** and **8** were found to be twofold more active than the parent compound. The rest of the products showed blood pressure lowering effects comparable to the parent compound. All compounds were characterised *via* elemental analysis UV, IR, mass and ¹H NMR spectroscopy.