Abstract

Research Paper: Synthesis of Quinoline Analogues

Student: Alaa Abu Alnjaa

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Quinoline is an important class of nitrogen compounds containing aromatic heterocycle. Lavendamycin and streptonigrin are known antibiotic, antitumor agents which contain the quinoline-5,8-dione functional group that provide their antitumor properties. Quinonline-5,8-diones are an important class of compounds because of their wide spectrum of biological activities. Most cancer cells show an elevated level of NQO1 enzyme which activates lavendamycin to act as an antitumor agent. Lavendamycin contains a β-carboline which has a substituted pyridine connected to the 2-position of the quinolone-5,8-dione. The research goal is to study various synthetic methods and reactions to produce

2-chloro-8-hydroxy-5,7-dinitroquinoline and 8-methoxyquinoline analogues.

In order to approach this, 8-hydroxyquinoline goes through three or four synthetic steps to install the chloro group at the two position of the quinoline ring. Oxidation of 8-hydroxyquinoline to produce 8-hydroxyquinoline-N-oxide, reaction with acetic anhydride to give

8-acetoxy-2-hydroxyquinoline is followed by conversion into 2-chloro-8-hydroxyquinoline with $POCl_3$. Finally, nitration provides 2-chloro-8-hydroxy-5,7-dinitroquinoline. The 8-hydroxy derivatives can be converted to 8-methoxyquinoline analogues with methyl iodide and potassium carbonate.