# SYNTHESIS AND FURTHER STUDIES OF CHEMICAL TRANSFORMATION OF THE 2-ARYL-3-HALOGENOQUINOLIN-4(1H)-ONE DERIVATIVES

by

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I declare that

SYNTHESIS AND FURTHER STUDIES OF CHEMICAL TRANSFORMATION

OF THE 2-ARYL-3-HALOGENOQUINOLIN-4-(1H)-ONE DERIVATIVES is my

own work and that all the sources that I have used or quoted have been indicated and

acknowledged by means of complete references.

SIGNATURE (MR MS NWAMADI) DATE

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This thesis is dedicated to my mother, N.F. Daswa.

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#### **ABSTRACT**

Specially prepared 2-arylquinolin-4(1*H*)-ones and their 2-aryl-1-methyl-4-quinolone derivatives were converted in high yield and purity to the corresponding C-3 brominated products using pyridinium tribromide in acetic acid at room temperature. The 2-arylquinolin-4(1*H*)-ones were reacted with iodine and Na<sub>2</sub>CO<sub>3</sub> mixture in THF at room temperature to produce the 3-iodo-2-arylquinolin-4(1*H*)-one derivatives. The latter were, in turn, *N*-methylated using NaH-MeI mixture in dry THF to afford the corresponding 2-aryl-3-iodo-1-methyl-4-quinolone derivatives.

The 3-iodo-2-arylquinolin-4(1H)-one and 2-aryl-3-iodo-1-methyl-4-quinolones were converted to 2,3-diarylquinolin-4(1H)-one and 2,3-diaryl-1-methyl-4-quinolones following Suzuki cross-coupling reaction method, respectively.

The 2-aryl-3-bromoquinolin-4(1*H*)-ones, on the other hand, were converted to 2-aryl-3-bromo-4-chloroquinoline derivatives using phosphorus oxychloride under reflux. The 2-aryl-3-bromo-4-chloroquinoline were then transformed to the corresponding 2-aryl-3-bromo-4-*N*-(4"-chloroaryl)-4-aminoquinolines derivatives using 4-chloroaniline in ethanol under reflux. The products synthesized in this investigation were characterised using a combination of <sup>1</sup>H NMR, <sup>13</sup>C NMR, IR and mass spectroscopic techniques.

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