ABSTRACT

Synthesis and Reactions of Tetrahydroquinolines

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Tetrahydroquinolines are important in medicinal chemistry as they are the base or core structure for many active natural products. Due to their presence in active natural products, their utility as precursors in the synthesis of potentially biologically active compounds has spiked interest, leading to a desire to investigate the synthesis and reactions of these compounds.

In this thesis, the synthesis and some reactions of tetrahydroquinolines (THQs) are explored. A variety of 2-substituted-*N*-acyl-THQs were synthesized from their corresponding quinolines and their unusual deacylation by potassium carbonate in refluxing methanol was explored. It was found that the presence of a 4-oxo group weakened the amide bond allowing for this deacylation (Chapter 2).

Reactions of THQs were investigated with an attempt to synthesize an eleutherol analogue via the acetylation of (4-oxo-1,2,3,4-tetrahydroquinolin-2-yl)acetic acid. This work is detailed in Chapter 3. The anticipated eleutherol analogue was not obtained, however, the pyridocoumarin, 5-methyl-2*H*-pyrano[3,2-c]quinolin-2-one, was obtained by a different path and in better yields than those in the literature.

In Chapter 4, the synthesis of a pentacyclic diketopiperazine (DKP) from a tetrahydroquinoline is discussed. Using carbodiimide and uronium type reagents, 4-oxo-1,2,3,4-tetrahydroquinoline-2-carboxylic acid self condensed to give a novel DKP, in 7% overall yield from quinoline.

KEYWORDS: Tetrahydroquinoline; Deacylation; Pyridocoumarin; Diketopiperazine; Roxanne Cassandra Higgins