ABSTRACT

Abstract of Ph.D. thesis entitled “Synthetic strategies towards Pyranonaphthoquinones, Bibenzyls, Dihydroisocoumarins and 3-Aracylphthalides”

The present work describes development of convenient synthetic routes towards the molecules from pyranonaphthoquinone, dihydroisocoumarin, bibenzyl and phthalide families that have been isolated from natural sources and possessed attractive pharmacological profile. These syntheses involve reactions like Claisen rearrangement, Friedel craft acylation and Nef reaction as key transformations. Notably all the syntheses were accomplished by utilizing readily available starting materials and simple chemical transformations. Further, we carried out antitubercular testing of these synthesized compounds.

Chapter 1 describes the synthesis of (+) 9-demethoxyeleutherin and (+), 9-demethoxy isoeleutherin, the analogs of naturally occurring pyranonaphthoquinones antibiotics Eleutherin and Isoeleutherin along with the synthesis of naphtho[2,3-c]pyranone via two different routes. One of the route involved Nef reaction as a key step whereas other route makes use of Claisen rearrangement as key step in the syntheses. Both strategies provide TMs in five simple steps. We have further synthesized key precursors involved in synthesis of pyranonaphthoquinones avoiding involvement of harmful intermediates and poisonous reagents.

Chapter 2 covers synthesis of methyl analogs of naturally occurring thunberginols and montroumarin; 4-aryl-3,4 dihydroisocoumarins possessing significant pharmacological properties. The synthesis was accomplished starting from 3,5-dimethoxy benzaldehyde and employing easily accessible reagents and simple reaction conditions.

Chapter 3 describes synthesis of a key bibenzyl scaffold, 2-(3-methoxyphenethyl)phenol via judicious use of Friedel Craft acylation reaction. 2-(3-Methoxyphenethyl)phenol is involved in synthesis of many naturally occurring bibenzyl products that are known as antibacterial, P-GP modulator and anticancer agents. We have provided another access for the synthesis of this important scaffold.

Chapter 4 includes methanesulphonic acid mediated one pot synthesis of 3-aracyl phthalides that are widely accepted synthons for various industrially important compounds as well plant growth regulators and antiparacital agents.

Chapter 5 incorporates the results of antitubercular testing of the molecules from pyranonaphthoquinones, dihydroisocoumarins and 3-aracylphthalides synthesized in earlier chapters. All these molecules obeyed Lipinski’s rule of five for drug likeness and molecules from 3-aracylphthalide series exhibited excellent inhibitory action against mycobacterium tuberculosis.

Rohan A. Limaye
Research fellow

Dr. Arun D. Natu
Research guide