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Synthesis and characterization of novel halogens substituted coumarin-Aldehyde

[1] Himanshu Joshi*, [2] Singh BK, [1] Gyanendra Kumar Saxena, [1] Vikas Singh, [1] Rahul Pratap Singh and [1] Ekta Arya

[1] Faculty of Pharmacy, Naraina Vidya Peeth Group of Institutions, Panki, Kanpur, Uttar Pradesh, India.

[2] Department of pharmaceutical sciences, Kumaun University, Nainital, Uttar Pradesh, India.

***Corresponding Author:** E-Mail: hijoshi1234@gmail.com

ABSTRACT

Coumarins have a long history of having number of pharmacological activities such as anticoagulant, antithrombotic, antimutagenic, vasodilator, LOX and CLOX inhibitors and it can also used in treatment of edema. The recent success of Coumarins as anti-inflammatory and anticoagulant has further highlighted the importance of this class in medicinal chemistry. Systematic investigation of this class of compound revealed that coumarin derivatives containing pharmacophore agent plays an important role in medicinal chemistry. This prompted to me, to synthesize new derivatives of Coumarins, 4-Chloro-3-coumarinaldehyde was reacted with halogens substituted aniline and rectified spirit to obtain a new series of 4-chloro-(3-substituted-phenylimino)-methyl-coumarin. A total of 6 compounds were synthesized. Their structures were confirmed by, ^{1}H-NMR and Mass spectroscopy.

Key words: Anti-coagulant, Vasodilators, LOX inhibitors, edema, coumarin, ^{1}H-NMR, Mass.

1. INTRODUCTION

Coumarins owe their class name to 'Coumarou', the vernacular name of the tonka bean (*Dipteryx odorata* Willd, Fabaceae), from which coumarin it was isolated in 1820 [1]. Coumarins classified as a member of the benzopyrones family of compounds, all of which consist of a benzene ring joined to a pyrone ring. The benzopyrones can be subdivided into the benzo-alfa-pyrones to which the coumarins belong and the benzo-gama-pyrones, of which the flavonoids are principal members [2]. There are four main coumarin sub-types: the simple Coumarins, furanocoumarins, Pyranocoumarin and the pyrone-substituted Coumarins. The simple Coumarins (e.g. coumarin, 7-hydroxycoumarin and 6, 7-dihydroxycoumarin), are the hydroxylated, alkoxylated and alkylated derivatives of the parent compound, coumarin, along with their glycosides. Furanocoumarins consist of a five-membered furan ring attached to the coumarin nucleus, divided into linear or angular types with substituent at one or both of the remaining benzoid positions. Pyranocoumarin members are analogous to the furanocoumarins, but contain a six-membered ring. Coumarins substituted in the pyrone ring include 4-hydroxycoumarin [3].The synthetic compound, warfarin, belongs to this coumarin subtype. Coumarin is water insoluble; however 4-hydroxy substitution confers weakly acidic properties to the molecule that makes it water soluble under slightly alkaline conditions. The coumarin structure is derived from cinnamic acid via ortho-hydroxylation, trans-Cis isomerisation of the side chain double bond, and lactonisation [4]. The Trans form is stable and could not cyclist, therefore, there should be isomerisation of some sort and the enzyme isomerase is implicated. The Cis form is very unstable, therefore, will tend to go to the Trans configuration. Glucose is a good leaving group which assists in the Cis-trans transformation [5, 6]. A specific enzyme found in *Melilotus Alba (Leguminosae)* specifically hydrolyses the Cis-glycoside (beta-glycosidase). This biosynthesis pathway should be followed by all coumarins oxygenated at position-7. Umbelliferone, esculetin and scopoletin are the most widespread coumarins in nature [7].

2. MATERIAL AND METHODS

2.1. Materials

2.1.1. Chemicals and Reagents

O-hydroxyacetophenone, sodium, diethyl carbonate, xylene, NaOH, 4-hydroxycoumarin, anhydrous DMF, $POCl_3$, halogens substituted aniline, rectified spirit, methanol, Dichloromethane, n-hexanol, petroleum ether

2.1.2. Instruments:

MAL-DI-4800 instrument for Mass Spectra and DRX-300 Spectrometer for ^{1}H-NMR Spectra