www.pharmaerudition.org

ISSN: 2249-3875

# International Journal of Pharmaceutical Erudition

Research for Present and Next Generation

NOV. 2021

Vol: 11 Issue:03

(17-19 )

ISSN 2249-3875

International Journal of Pharmaceutical Erudition

## Review Article

# A Review- Study on Novel Coumarin Derivatives and its Biological Evaluation

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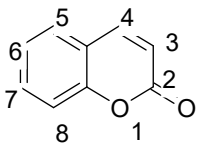
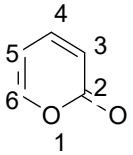
The study of coumarin dates back to 1820 when coumarin was first extracted from tonka bean by Vogel. Compounds containing coumarin backbone are a very important group of compounds due to their usage in pharmacy and medicine. Properties and biological activities of coumarin derivatives have a significant role in the development of new drugs. Therefore, many different methods and techniques are developed in order to synthesize coumarin derivatives. Coumarin derivatives could be obtained from different starting materials with various methods but with big differences in yield. This review summarized various methods, techniques and reaction conditions for synthesis of coumarins from different compounds such as aminoacids.

**Keywords:** Knoevenagel condensation; Pechmann reaction; coumarins; synthesis, pharmacological properties.

## INTRODUCTION

Coumarin is used in the pharmaceutical industry as a precursor molecule in the synthesis of a number of synthetic anticoagulant dicoumarol, notably warfarin (which has a common and confusing brand name *Coumadin*) and some even more potent rodenticides that work by the same anticoagulant mechanism. Coumarin has clinical medical value by itself, as an edema modifier i.e. anti-inflammatory activity. Coumarin and other benzopyrones, such as 5,6 benzopyrone, 1,2 benzopyrone, diosmin and others are known to stimulate macrophages to degrade extracellular albumin, allowing faster resorption of edematous fluids. Coumarin is also used as a gain medium in some dye lasers. Coumarin has appetite-suppressing properties, suggesting one reason for its widespread occurrence in plants, especially grasses and clovers, is because of its effect of reducing the impact of grazing animals. Although the compound has a pleasant odor, it has a bitter taste, and animals will avoid it, if possible.




## LITERATURE REVIEW

**1. Eleonora Rizzi et al., 2019** synthesized cytotoxic 4-arylcoumarins via condensation of

phenols with cinnamic acids in the presence of CF3COOH, followed by dehydrogenation with DDQ. All the compounds synthesized were characterized by their 1H and 13C NMR, and IR spectra[31].

| Coumarin | |
|---|---|
| IUPAC Name | 2H-chromen_2_one |
| Other Names | 1-benzopyran-2-one |
| Molecular formula | $C_9H_6O_2$ |
| Molar mass | 146.143 |
| Density | 0.935 g/cm$^3$ (20°C) |
| Melting point | 71°C |
| Boiling point | 301°C |

**2. Geeta M. Kulkarni et al., 2018** synthesized various new fluorinated coumarins and 1-azo coumarins (carbostyrils) and studied the effect of presence of fluorine in these molecules on antimicrobial, anti-inflammatory and analgesic activities. The results of bioassay showed that these newly synthesized compounds containing fluorine exhibit moderate analgesic and excellent anti-inflammatory and potential anti-bacterial and anti-fungal activities, compared to the other halogenated compounds. All the newly synthesized compounds were characterized by elemental analysis, IR, 1H NMR, 13C NMR, 19F NMR, EI-MS, and FAB-MS[32].

**3. Manjunath Ghate et al., 2018** has been synthesized vanillin ethers from 4-(Bromomethyl) coumarins. 4-(Bromomethyl) coumarins were synthesised by the Pechmann cyclization of phenols with 4-bromoethylacetoacetate.Ethers have been converted to the corresponding 4-(2'- benzo[b] furanyl) coumarins by an intramolecular aldol condensation which have been screened for their anti-inflammatory activity[33].

**4. Imthyaz A. Khan et al., 2015** have been developed a one pot synthesis of an array of angularly linked tri-heterocycles with coumarin, benzofuran and furan rings. This high yielding synthesis is achieved by the reaction of various 4-bromomethylcoumarins with furyl o-hydroxyphenyl ketones involving benzylic nucleophilic displacement and intramolecular aldolization. All the compounds have been tested in vitro for their anti-microbial activity. Chloro groups in the benzofuran ring enhanced the activity[34].

**5. Bernadette S. Creaven et al. 2013** synthesized various coumarin-3-carboxylic acid derivatives using substituted aldehydes and diethyl malonate. All the derivatives were characterized by 1H NMR, 13C NMR, and Mass and IR spectroscopy screened for their in vitro antibacterial activity against a range of gram-positive and gram-negative bacteria as well as for their antifungal activity against a clinical isolate of candida albicans. Silver complexes of coumarin-3-carboxyllic showed less antimicrobial activity.

**Synthetic Procedure**

**Synthesis of 7-hydroxy-4-methyl Coumarin** [1]

The above product 7-hydroxy-4-methyl Coumarin was obtained by mixing (0.1mol, 11gm) of Resorcinol and (0.1mol, 13ml) of ethyl aceto acetate in 40ml of 85% sulfuric acid solution, heated for 1.30 hrs to get reddish brown solution cool and pour into crushed ice. The separated bright yellow colored solid was washed with excess cold water, dried and recrystallized from methanol to obtain pure product. M.p - 176 ± 20 C

**Summary and Conclusion**

An attempt has been made in reviewing the literature on substituted coumarin derivatives for their medicinal significance with help of chemical abstract, journals and internet sites.

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**.Conflict of Interest**

The authors declare that they have no conflict of interest