

### 3. Synthesis of Pharmacological Actions of Coumarin and its Derivatives

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#### Abstract

Coumarin is the important product of group of heterocyclic compounds. Which was divided in the benzopyrone class because of its major application it gives the main position in the field of synthetic of pharmaceutical it have big region of biological activity and its uses, coumarin and its derivatives attract researchers to work on the moiety which was important in the medicinal chemistry? In the present paper we study the pharmacological activity of coumarin and its derivatives. The activity including anti-coagulant, anti-inflammatory, anti-oxidant, anti-cancerous and other. We also revise of some effect and coumarin & its derivative against some diseases like in AIDS. Its immunomodulatory effect of coumarin in the renal cell carcinoma and its chemo preventive effect from all these study coumain act as a unique source of treatment in all the diseases the current paper supplied the information about the coumarin & its derivatives.

**Keywords:** pharmacological activity, anti-coagulant, immunomodulatory, chemo-preventive.

#### Introduction

The word coumarine comes from coumarou. Which was the name of tonoka bean from which coumarin was isolated first 1820. It is one of the chemical compounds which were seen in many plants. The highest concentration of this compound was found in tonok a bean, woodruff and bison grass coumarin is the member benzopyrone family which include benzene ring and pyronering. Which are combine to forme benzopyrone. Coumarin belongs to the group of benzoalphapyrones which was one of the component of benzopyrone another component of benzopyrone are benzo-gamma-pyrone. Which was also called as flavonoids generally coumarin was seen in the nature in the form of glycosides which is the combination of sugars.

1. Simple Coumarin
2. Furano coumarins

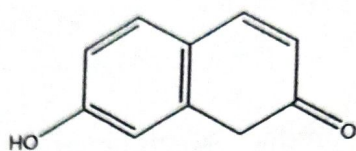


### 1. Simple Coumarin

These coumarins are the hydroxylated, alkonylated and alkylated derivatives of the main compounds of the benzene ring including coumarin along with their glycosides.

e-g. 7-hydroxycoumarin

#### Chemical Structure

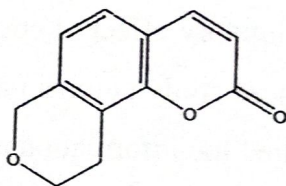


### 2. Furanocoumarins

It is the second type of coumarin which contain furan ring of five members which are joined to coumarin nucleus they are classified into two groups they are linear and angular with substituents at one or both of the remaining benzoid position.

Eg. Angelicin.

#### Chemical Structure



Generally coumarin was occurred from natural source including the micro-organism and higher plants. Even though they are also obtained by unnatural way. Some derivatives are found in this way they are found in the family of umbelliferae, Rutaceae and Rutaceae their occurrence was spread into the all parts of the plant like root, leaves, stem, fruits the maximum concentration was found in fruits part but this concentration was change during the changing of atmospheric condition. Although, Coumarin have big range of biological activity which include anticoagulation, antioxidant anti-inflammatory, antibacterial, anticancer us property. Coumarin act against effect in different serious diseases currently many derivatives of coumarin.

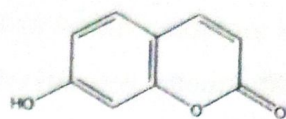
### Pharmaceutical Applications of Coumarin

#### Absorption and Distribution

The coumarin has special ability to absorb some compounds from the tract taken by oral suggestion. Internal abdominal which depend largely on its physical and chemical features the coumarin containing 7-hydroxycoumarin obtain the deep knowledge into their active nature into



the body these coumarins are not totally soluble in water which was reasonable for their bioavailability in live state.



7-Hydroxy Coumarin

### **High Protein odema**

In this case there was a concentration of some proteins in the tissue which cause some physical injury and which give inflammation in the body if this problem was not cure up to long time it becomes the chronic inflammation and was causable to different diseases which are coordinate with HPO.

### **Renal Cell Carcinoma with Coumarin**

On the primary state this dresses was recover earlier but when the lesions are formed in lungs liver and other body parts they are difficult to remove such type of carcinoma, surgical treatment was not give whole recovery and not any chemical therapy used in these cases the compounds of coumarin show immunomodulatory effect against infectious cells and give result in renal cell carcinoma with the immunotherapy regimes by applying the treatment of coumarin compound like alternative and stemmed including 7-hydroxy compound on the patient of RCC does not show any harmful effect.

### **Coumarin in Prostate Cancer**

The growth of prostate cancer was not so fast but it have big biological differentiations specially with the sensitivity of hormones for curing this cancer generally two treatments are applied including first is the chemotherapy which depends on drug.

### **Immunomodulatory Effect**

Coumarin and its compound show its immunomodulatory effect in case of different disease like cancer, renal cell carcinoma, in odema and other. Also in chronic diseases it has ability to enhance macrophages and deactivated the killer cell. It induced the TH and Ts cell concentration. It induces the antigen in the monocytes of blood in both the condition in case of lipopolysaccharide stimulation there was increase in the ratio of inflammatory cytokines from coumarins that's why coumarin is considered as one of the important agent in the immune system.



### Chemo Preventive Effects

The chemo preventive measure includes control and destroying cancer causing agent. In recently many group of chemical compounds was investigated with the features of chemo preventivity against cancer they contain some phenolic compounds having antioxidant properties, some flavones reagents, indoles cinnamates substance and the group of coumarin it is seen that the anti-carcinogenic characteristic present in the animal cell.

### Coumarin in AIDS

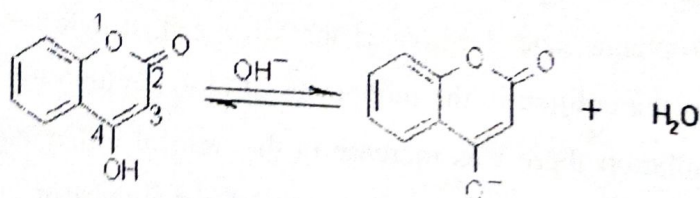
We all know that there was no special treatment. Which recover this infection but the derivatives of coumarin have potential to inhibit the growth of HIV replication in the Blood cells the coumarin derivative including warfare 7-hydroxy coumarin these derivative give result in vitro against the infection of this disease. Which shows that during the regularly dosage of these derivative reduce the potential of infection.

### Anti-Coagulant Therapy

In the different diseases like myocardial infraction. In stroke and venous thrombemetabolism the coumarin acts as an anti-coagulant agent in such type of diseases. It is seen that in the study of in vivo it act as blocking factor which synthesized the blood factor protein which necessary for the process of blood was clotting. There are the essential components in the complex of prothrombin and vitamin K. which required for after translational modification. In this process the derivatives of coumarin like warfarin also involved. When these derivatives interact with vitamin K.

### Chemical Profile

Coumarin have property of anticogulancy which are insoluble in water they have weakly acidic properties the 4-hydroxy coumarin can have weak acidic property to that molecule which makes it soluble in water showing slightly alkaline condition.

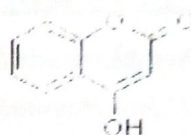


The chemical structure of coumarin along with its derivative are as follower.

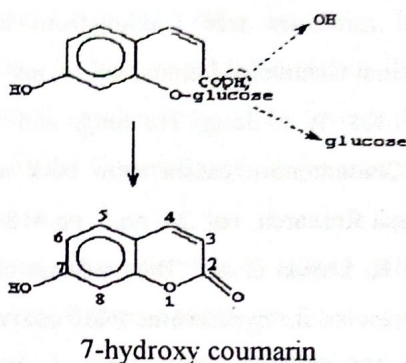




coumarin



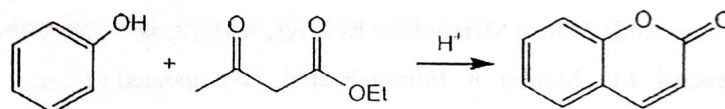
4-hydroxycoumarin



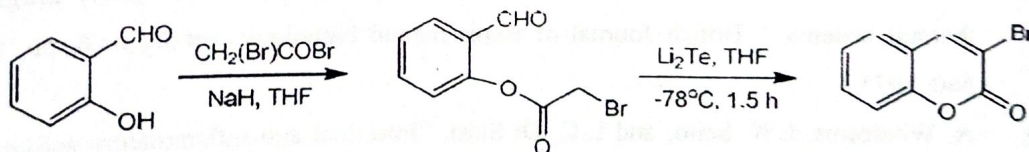
7-hydroxy coumarin

**Synthesis of Coumarin**

Coumarin can be synthesized by different method. Pechmann condensation is one of the methods of them. It contains the reaction bet<sup>n</sup> phenol and B – keto esters in the presence of acid catalyst.



Coumarin also synthesized by Knoevenagel reaction method containing the condensation of aromatic aldehydes and activated methylene components in the presence of amine.



**Conclusion**

Coumarin are the heterocyclic compounds which have less toxic effect which obtain in nature commonly. It have big spectrum of biological activities in the present pepper review on the different pharmacological properties of coumarin and its derivatives and also the synthesis of coumarone by various methods. The coumarin have great importance because of its therapeutic



properties the physiological, antioxidant, anticancerous antitumor and chemo preventive and other pharmaceutical.

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