



# A Review on Thiazole : It's Synthesis And Pharmaceutical significance

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## Abstract:-

Thiazole it is a five membered heteroaromatic ring is an important scaffold of large number of synthetic compounds it has diverse pharmacological activities which is reflected in many clinically approved thiazole containing moieties, with an extensive range of biological activities it has a very significance in the field of Medicinal chemistry.

## Keywords:-

Thiazole, synthesis, derivatives, Biological activities

## Introduction:-

Thiazoles are organic five aromatic ring compounds with a general formula



C<sub>3</sub>H<sub>3</sub>N<sub>2</sub>S free thiazole is a light yellow liquid with an odour similar to pyridine

Thiazole a five membered heterocyclic compound

That contains both sulfur and nitrogen

Thiazole encompasses A large Family of Derivatives

### Chemistry of Thiazole :-

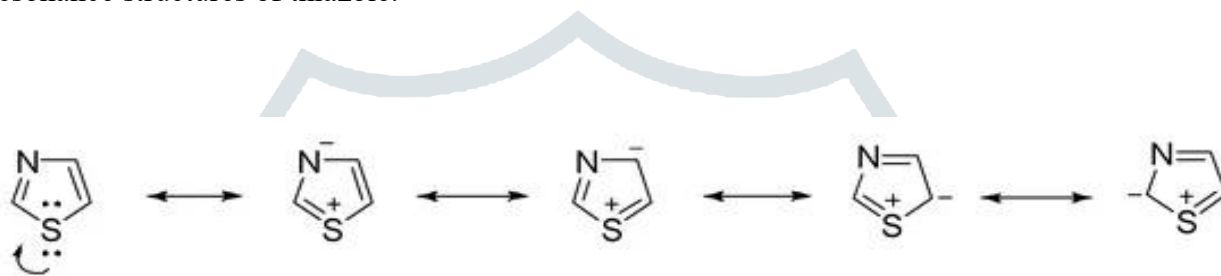
It is flammable pale yellow liquid, odour like pyridine boiling point range

Between 110-118°C

Due to delocalization of lone pair of electrons from the sulfur atom, in a 6  $\pi$

Electron system it has an aromatic character

Figure. The resonance structures of thiazole.



### Properties :-

Thiazole is a pale yellow liquid with pyridine like odour and has the Molecular Formula C<sub>3</sub>H<sub>3</sub>NS.

It's structure As A five membered unsaturated planar,  $\pi$  excessive Heteroaromatic compound with one Sulfur atom and one pyridine type nitrogen atom at position of the cyclic ring system

Thiazole	C <sub>3</sub> H <sub>3</sub> NS.
Molecular weight/molar mass	85.13 g/mol
Boiling point	117 °C
Melting point	33°C
Density	1.2 g/mL at 25 °C (lit.)
Vapour pressure	12.922000 mmHg
Acidity (pka)	2.5
Dipole moment	1.61 D.

### Physicochemical properties:-

Pale yellow clear liquid

Odour similar to pyridine

Boiling point - 116-118 ° c

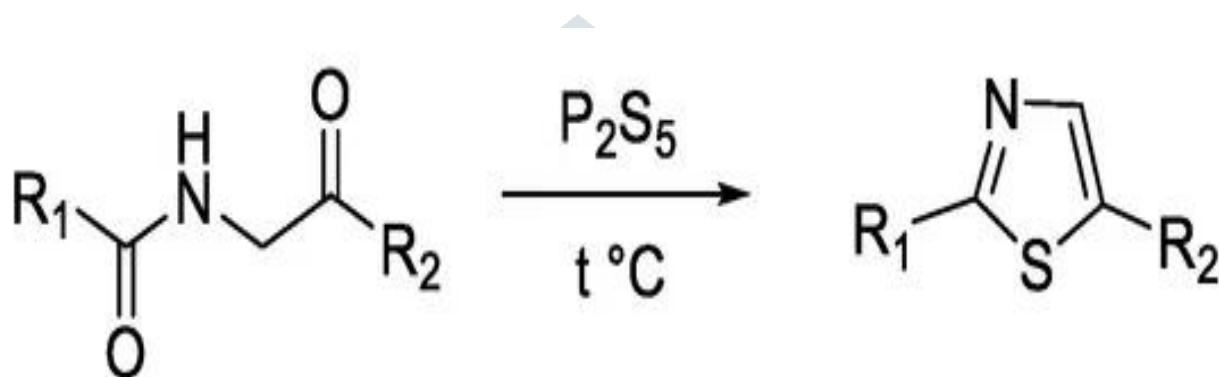
Sparingly soluble in water

Soluble in alcohol and ether

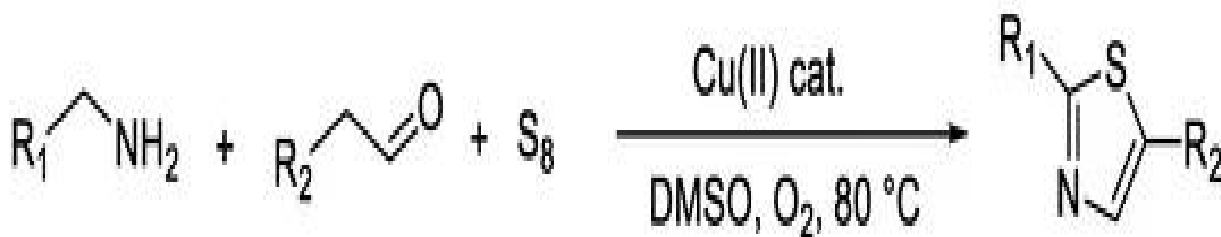
Specific gravity -1.2

## Synthesis of Thiazole

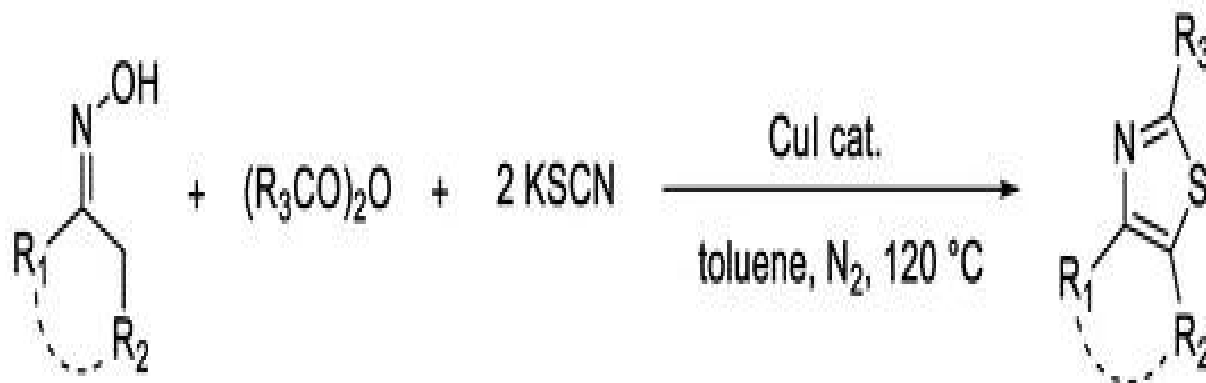
### 1) Gabriel Thiazole synthesis



### 2) Synthesis of Thiazole from aldehydes amines and element Sulfur



### 3) Synthesis of Thiazoles from oximes anhydride and KSCN



### 4) Synthesis of 2 aminothiazoles From substituted propargyl bromide and thioureas



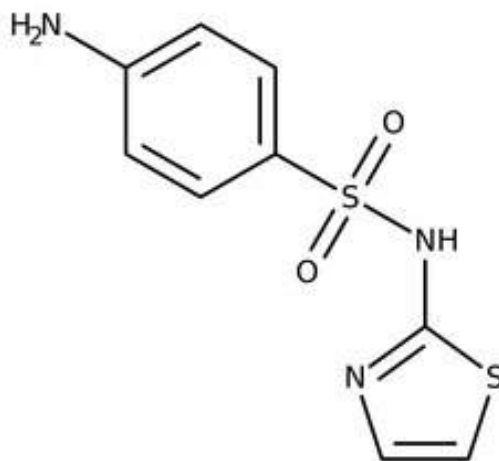
### Biological And Pharmacological Activities of Thiazoles:-

- 1) Anti Fungal and Anti Bacterial Activity
- 2) Anti -Retroviral Activity
- 3) Anti-cancer Activity
- 4) Anti -Tumor activity
- 5) Anti -Biotic Activity
- 6) Vitamin Activity
- 7) Anti-Inflammatory Activity
- 8) Anti-Diuretic Activity
- 9) Anti -Thyroid Activity

## 1) Anti Fungal and Anti Bacterial Activity

### • Kumar,et al , sulfthiazole

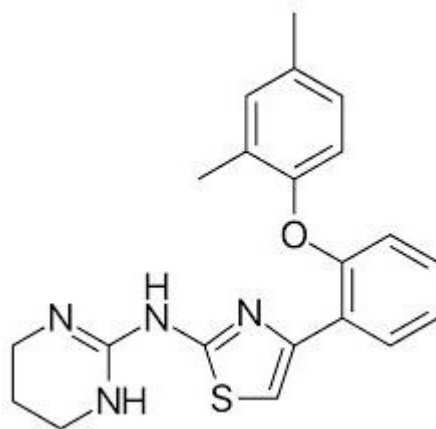
The sulfthiazole it is short acting sulfa drug as an antimicrobial Agent Thiazole and it's derivatives to be good antifungal activity Against different types of candidiasis cases are caused by candida Albicans



#### ▪ Structure of sulfthiazole

### • Lino,et al , Abafungia

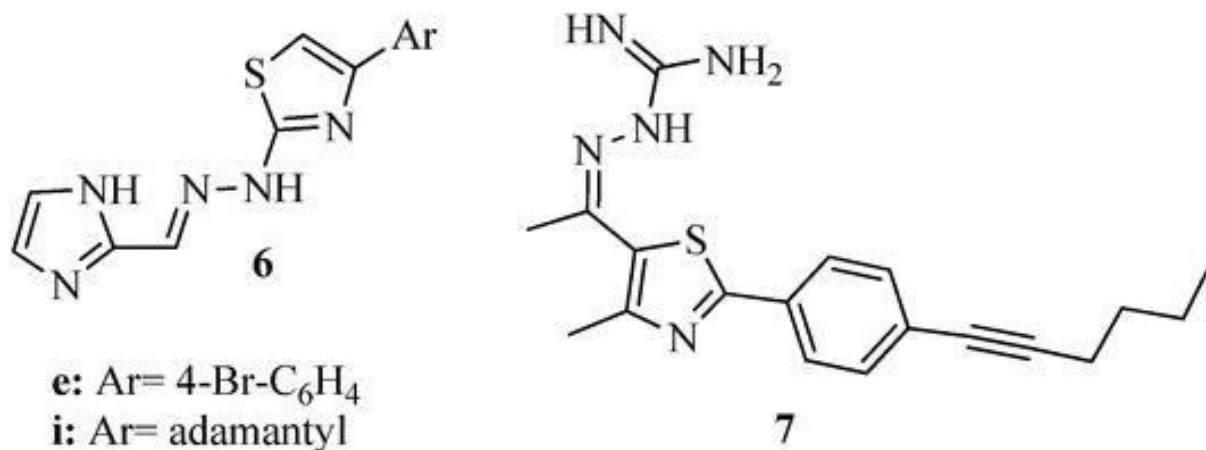
The most active Thiazole derivatives are similar antifungal activity to fluconazole and ketoconazole against the all strains of candida . It has excellent antifungal activities also these derivatives with polyoxygenated phenyl module have exhibited encouraging antifungal activity. The Abafungia agent is example of this type of activity



#### ○ Structure of Abafungia

• **Yurtlas et al, N- ( 4- (2 – phenylthiazole-4 -yl) phenyl)-2 – (piperazin -1-yl) Acetamide Derivatives.**

In this panel of gram positive and gram negative bacteria and fungi are Tested Chloramphenicol and ketoconazole it used as reference Compounds, generally antibacterial activity of the compound is lower Than the reference drug only two compounds show slightly better Activity



Structure of N- ( 4- (2 – phenylthiazole-4 -yl) phenyl)-2 – (piperazin -1-yl) Acetamide Derivatives.

• **Laczows et al, imidazothiazole 2,5 distributed Thiazole derivatives**

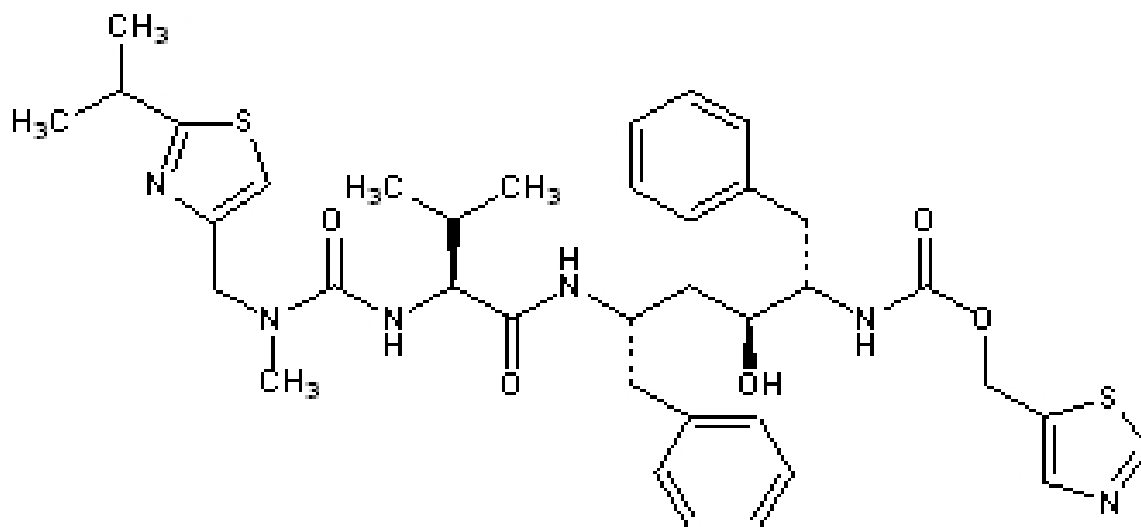
It Synthesis novel imidazolythiazoles and investigated the Antimicrobial activity against 12 bacterial and fungal species using The broth microdilution method and reference drug as ciprofloxacin / Fluconazole Respectively. They exhibited a good activity against Micrococcus luteus a bacillus spp  
 Structure of imidazothiazole 2,5 distributed Thiazole derivatives



## 2) Anti -Retroviral Activity

### • Inder , shiv and Sanjay, Ritonavir

Ritonavir as protease inhibitor enzyme it is used to treat AIDS/ HIV As Antiretroviral agent

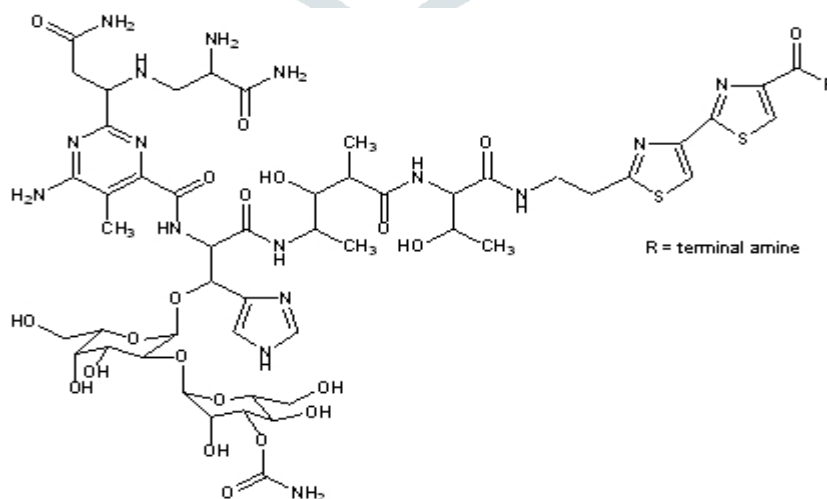


Structure of Ritonavir

## 3) Anti cancer Activity

### • Mahesh et al Bleomycin

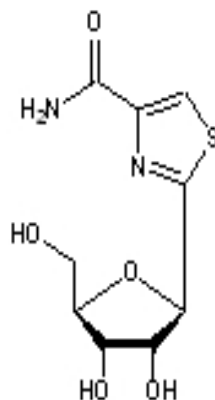
It is a complex glycopeptide conjugated with biomolecular Thiazole rings chemically. Pyrimidine and imidazole rings two heterocyclic rings we're conjugated on other side. The other anti- neoplastic, antibiotic, epothione A and B and tiazofurin



Structure of Bleomycin

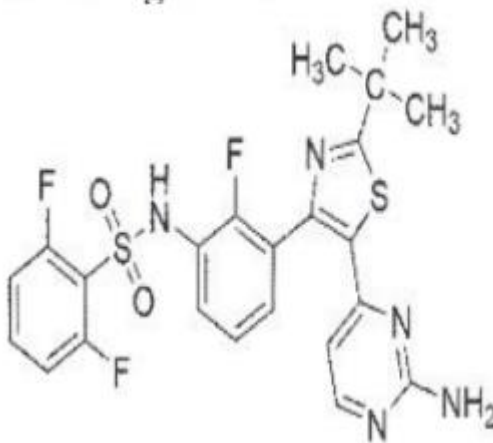
- **Garetel et al Tiazofurin**

It is synthetic nucleoside analogue classified as antimetabolite anti-Neoplastic agent



Structure of Tiazofurin

Another example of Thiazole derivatives bearing anti- cancer agent is **Dastinib**



Structure of Dastinib

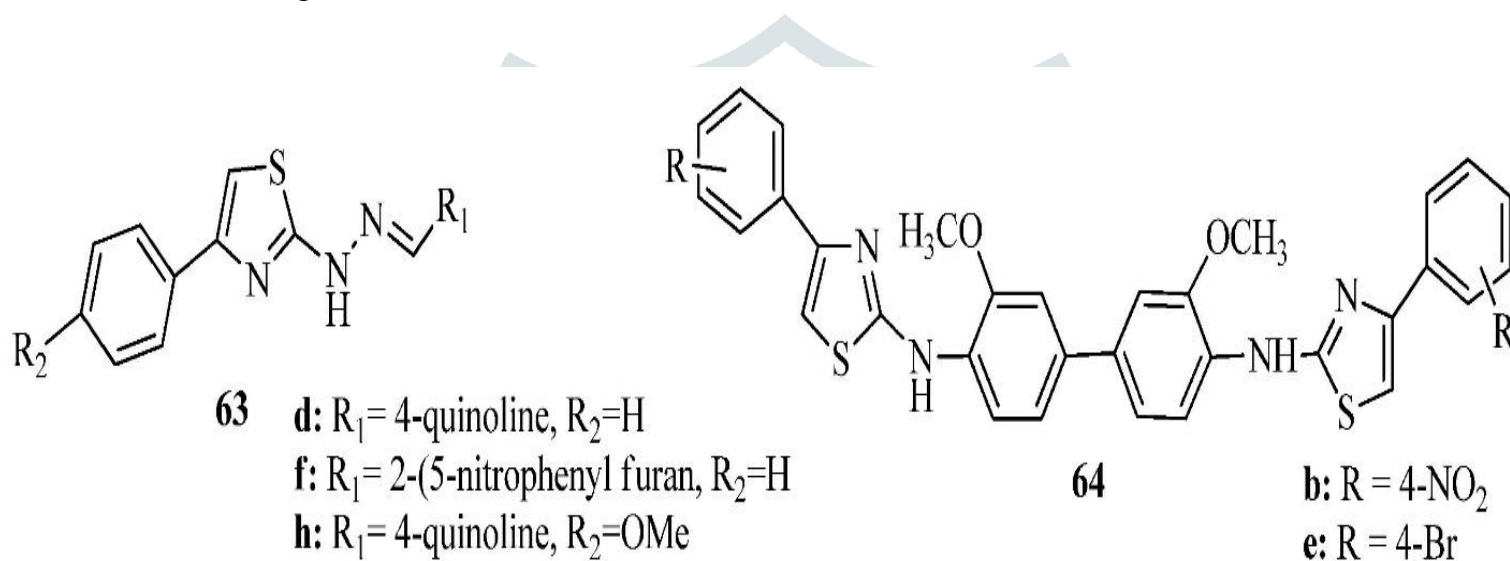
• **Braga et al. Hydrazinil and bis-thiazole derivatives.**

They study about three human cancer cell lines: promyelotic Leukemia, acute lymphoblastic leukemia, breast cancer as well as normal (Vero cells) cell line .

On their studies they revealed that only 3 compounds 63d, 63f, and 63h (Figure)

Are able to inhibit the viability of breast carcinoma cells .promyelocytic leukemia cells was

Found to be active against derivative 63h

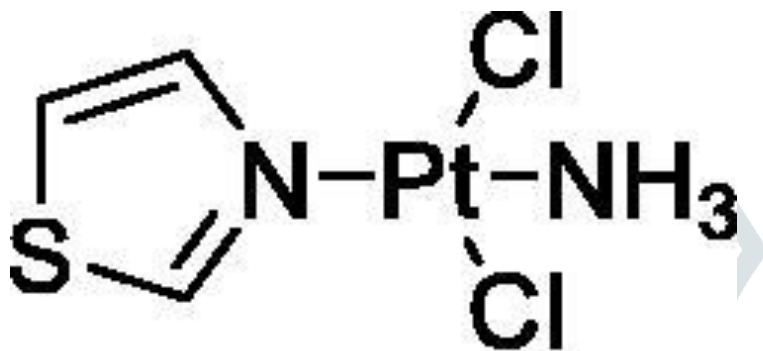


## 4) Anti-tumor activity

- **Maresh et al transplatin**

Studied the effect of Thiazoles implications on inactive compounds such as

- Transplatin

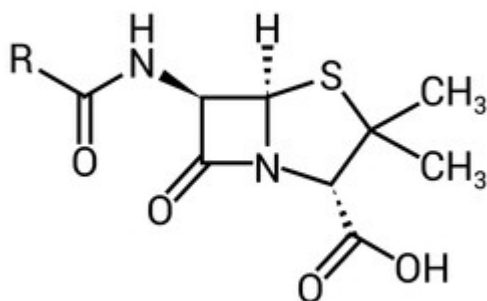


Structure of Transplatin

## 5) Antibiotic Activity

- **Kumar et al penicillin**

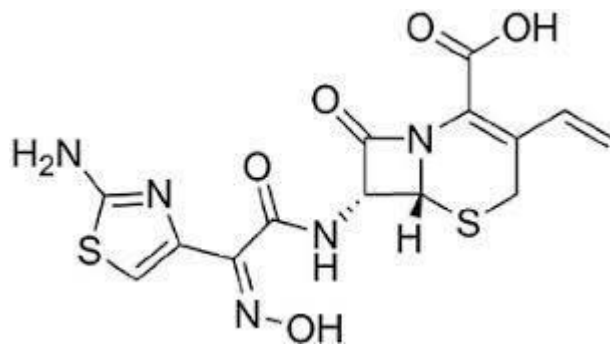
Essential part of natural penicillin drug as thiazolidine nucleus know as antibiotic in this the antibacterial drug group attack on the range of gram positive bacteria



Structure of Natural penicillin

- **Stella et al , cefdinir**

The Derivatives of cephalosporin(eg-cefdinir) 2- amino Thiazole is found in many chemical structure

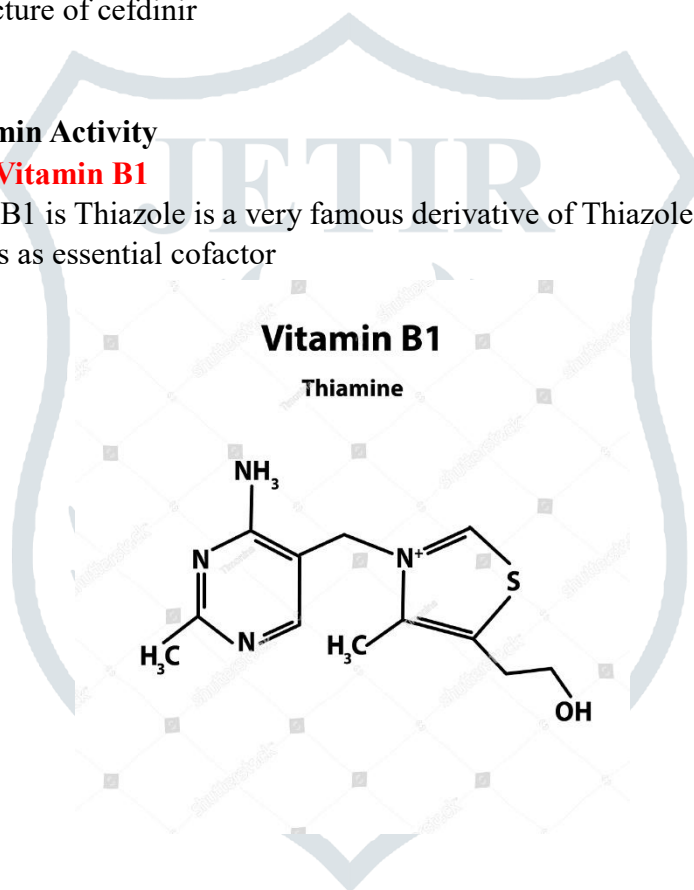


Structure of cefdinir

#### 6) Kumar,Vitamin Activity

- **Kumar,Vitamin B1**

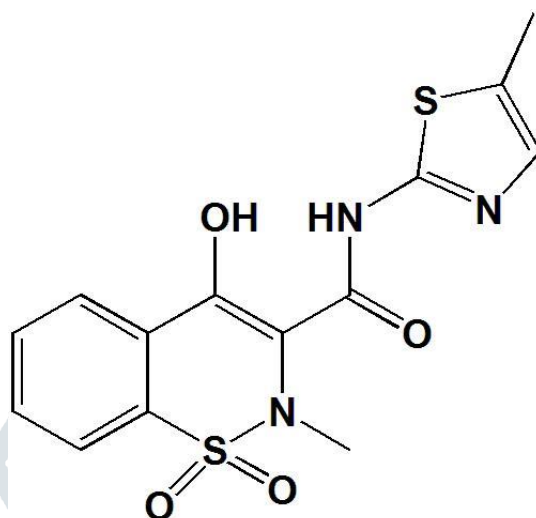
Vitamin B1 is Thiazole is a very famous derivative of Thiazoles which Play several metabolic pathways as essential cofactor



## 7) Anti-Inflammatory Activity

### • **Derek j Mc, Meloxicam**

Meloxicam is a model for derivatives of Thiazole which is used as to treat or cure or prevent the inflammation in rheumatic and oostero arthritis disease it used to treat pain

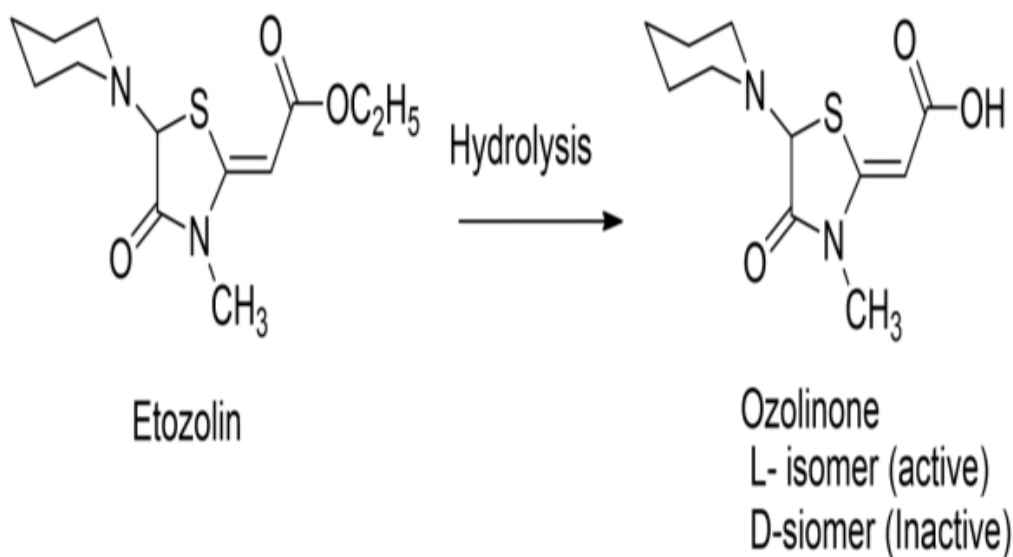


**meloxicam**

## 8)Anti- diuretic activity

### • **Kumar – ozolinone**

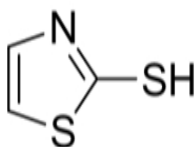
Prodrug of qzolinone is etazolin isomer l is active and isomer d is inactive the ozolinone is tautomeric from thiazolidine metabolism through enzymatic hydrolysis through phase one



### 9)Anti – Thyroid activity

- **Mahesh et al,2- mercaptothiazole**

2- mercaptothiazole prepared by searle Lawson and moitey as Anti thyroid activity as derivative of Thiazole



2-Mercaptothiazole

### Uses of Thiazole and it's derivatives:-

- Thiazole derivatives exhibit diverse biological activities, including antibacterial, anticancer, antimalarial, antifungal, and anticonvulsant properties
- . They serve as intermediates in synthetic drugs,
- find applications in fungicides and dyes in industries,
- and play a role in controlling agricultural pests.

## Conclusion

Thiazole and its derivatives indeed exhibit diverse activities, ranging from anti-bacterial and anti-fungal to anti-retroviral, anti-cancer, anti-tumor, and anti-biotic properties. The versatility of thiazole as a scaffold in medicinal chemistry has garnered significant interest. Moreover, the ease of synthesizing thiazole from a wide range of starting compounds contributes to its attractiveness in drug development. The ability to derive thiazole from various precursors allows for structural modifications, optimizing pharmacological properties and enhancing the potential for drug discovery. The pre-phase of drug development involves rigorous literature surveys to understand the existing knowledge about the compound and its derivatives. This foundational research aids in identifying potential targets and establishing a basis for further experimental work in the drug development process.

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