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Original Research Article

Thiazole: A versatile pharmacophore moiety

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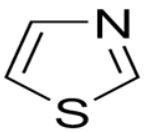
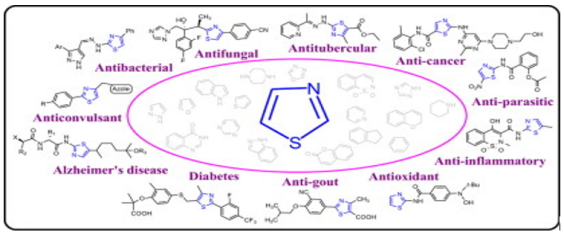
ABSTRACT

In this review article Thiazole moiety and its use as versatile pharmacophore in medicines has been exemplified.

1. Introduction



Thiazole has been introduced since early century.[1] Thiazole moiety is versatile moiety in way that it serves in several marketed medicines. Thiazole moiety has been used in synthesis and as active constituent in addition to the several functional groups and moieties in many medicines. Some examples where thiazole has been employed in as functional groups include antibacterial,[1] antifungal,[2] antitubercular,[3] anticancer,[4] antiparasitic,[5] diabetes,[6] anti-gout.[7] anti-inflammatory[8] and antioxidant[9] properties.



Thiazole: Thiazole is a five-membered, unsaturated, planar, π-excessive heteroaromatic containing one sulfur atom and one pyridine-type nitrogen atom at position 3 of the cyclic ring system.

1.1. IUPAC: 1,3 Thiazole

The properties of thiazole moiety has been shown in the following table.

2. Review

Owing to the versatility of thiazole moiety the marketed medicines containing thiazole ring has been exemplified in this review paper. For the purpose of their utility they have classified into the categories of their clinical uses.

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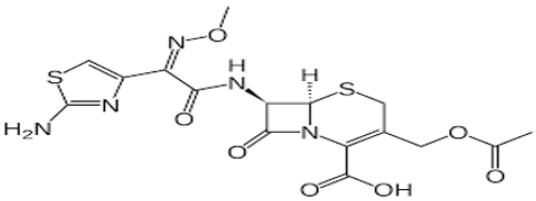
Table 1: Prefers iupac name properties

Chemical formula	C_3H_3NS
Molar mass	85.124g/mol
Melting point	117C
Acidity (pK_a)	2.5 (for the conjugate acid)

2.1. *Drugs containing thiazole ring /derivatives*

2.1.1. *Cefotaxime*[10]

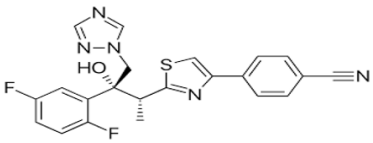


It is a third-generation broad-spectrum antibiotic to treat patients with Gram-positive and negative bacteria. As a β-lactam antibiotic, Cefotaxime effectively blocks bacterial cell wall synthesis by interacting with penicillin-binding proteins (PBPs). The use of Cefotaxime results in the inhibition of peptidoglycan synthesis in the final transpeptidation step.

2.2. *Marketed preparation*

2.3. *Anti-fungal*

2.3.1. *Isavuconazole*[11]



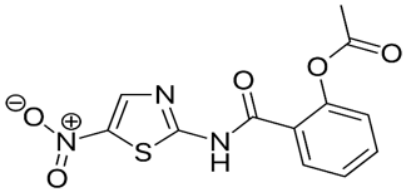
Isavuconazole, a triazole prodrug, was approved by the FDA in 2015 and sold under the brand name Cresemba (Isavuconazonium) to treat invasive mucormycosis and aspergillosis. Isavuconazole disrupts the structure and function of fungal membrane by inhibiting the biosynthesis of ergosterol. As a moderate inhibitor of CYP3A4, it inhibits lanosterol 14-alpha-demethylase that stimulates the generation of ergosterol from lanosterol. Cresemba engages the heme moiety at the base of the binding.

2.4. *Marketed preparation*

In market Isavuconazole injection & capsule are available.

2.5. *Anti-parasitic*

2.5.1. *Nitazoxanide*[12]



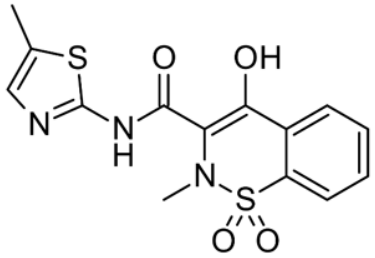
It was approved by FDA and helps to treat diarrhoea and Giardial infections.Nitazoxanide displays broad-spectrum activity against various protozoa, helminths, and intestinal bacteria that induce animal and human infections. Nitazoxanide exhibits inhibitory activity against Giardia lamblia trophozoite proliferation.

2.6. *Marketed preparation*

Figure 1: *Nitazoxanide* is available in Tablet & syrup formulation.

2.7. Anti-inflammatory

2.7.1. Meloxicam[13]



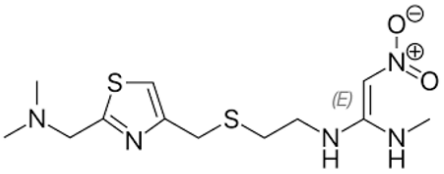
Meloxicam is NSAID with anti-inflammatory, antiplatelet aggregatory and antipyretic activity. Fentiazac can be used to research inflammatory diseases such as rheumatoid arthritis, osteoarthritis and tendinitis.

2.8. Marketed preparations

Meloxicam is available in tablet & Injection form.

2.9. Anti-ulcer

2.9.1. Nizatidine[14]



Nizatidine is a histamine H_2 receptor antagonist that inhibits stomach acid production, and is commonly used in the treatment of peptic ulcer disease and gastroesophageal reflux disease.

3. Marketed Preparations

3.1. Anti-HIV

3.1.1. Ritonavir[15]

Ritonavir is approved by FDA and old under the brand name Norvir used in HIV / AIDS. It is used in combination of Lopinavir and may also find its use in COVID inferctions. This combination treatment is known as highly active antiretroviral therapy. Ritonavir is a protease inhibitor and is used with other protease inhibitors.

Figure 2: Nizatidine are available in capsule and oral solution form

3.2. Marketed preparation

Figure 3: Ritonavir is available in tablet & oral solution formulation

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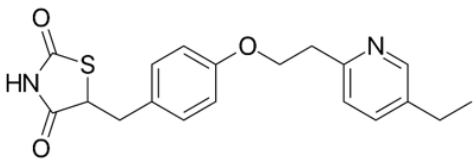
3.3. Anti-diabetics

3.3.1. Thiazolidinediones[16]

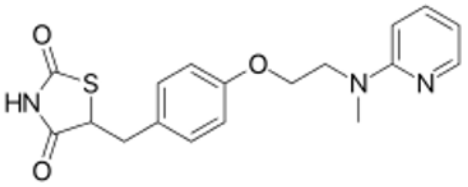
These are mainly used to treat hyperglycaemia and diabetes. Although saturated Thiazole ring is involved in the structure of these agents however they have been classified Thiazolidinediones. Mainly used as PPAR-ϒ stimulators.

3.3.2. Pioglitazone[17]



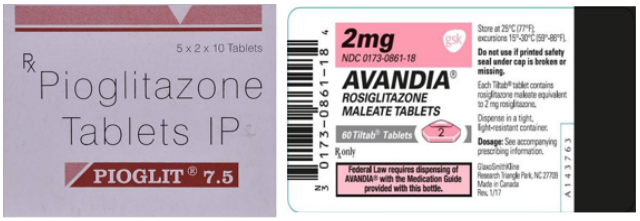
Sold under the brand name of Actos. Pioglitazone is PPAR-ϒ stimulator. It is also used in conjunction with metformin, sulfonylureas and insulin to show significant hypoglycemic effect in diabetes.

3.3.3. *Rosiglitazone*[18]



Some examples of Thiazolidinediones have been exemplified here. Thiazolidinediones serve as insulin sensitizers and examples include Pioglitazone and Rosiglitrazone.

4. Marketed Preparations



5. Conclusion

Thiazole moiety plays a vital role in allopathic medicine and its role as pharmacophore has been exemplified here. Some marketed medicines containing thiazole pharmacophores have been acknowledged for their use for the betterment and discoveries in human and veterinary medicine.

6. Source of Funding

None.

7. Conflict of Interest

None.

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