



Original Research Article

Thiazole: A versatile pharmacophore moiety

Sakshi Ghare^{1*}¹Dept. of Pharmacy, CAYMET's Siddhant College of Pharmacy, Pune, Maharashtra, India

ARTICLE INFO

Article history:

Received 04-10-2023

Accepted 02-11-2023

Available online 06-11-2023

Keywords:

Thiazole

Pharmacophore

Versatile

Allopathic Medicine

Medicinal Chemistry

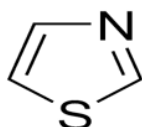
ABSTRACT

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

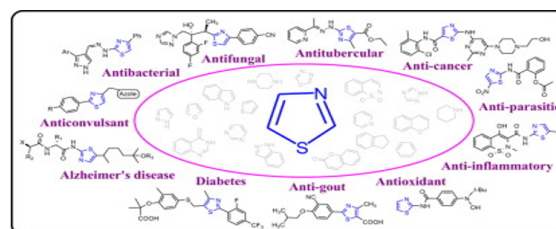
This is an Open Access (OA) journal, and articles are distributed under the terms of the [Creative Commons Attribution-NonCommercial-ShareAlike 4.0 License](https://creativecommons.org/licenses/by/4.0/), which allows others to remix, tweak, and build upon the work non-commercially, as long as appropriate credit is given and the new creations are licensed under the identical terms.

For reprints contact: reprint@ipinnovative.com

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-inflammatory⁸ and antioxidant⁹ 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.

* Corresponding author.

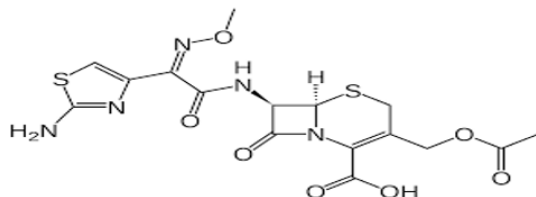
E-mail address: gharesakshi4@gmail.com (S. Ghare).

Table 1: Prefers iupac name properties

Chemical formula	C ₃ H ₃ NS
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. Cefotaxime¹⁰



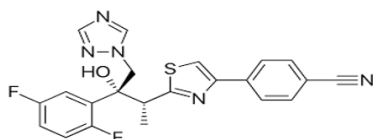
It is a third-generation broad-spectrum antibiotic to treat patients with Gram-positive and negative bacteria. As a β-lactam antibiotic, Cefotaxime effectively blocks bacterial cell wall synthesis by interacting with penicillin-binding proteins (PBPs). The use of Cefotaxime results in the inhibition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

2.2. Marketed preparation



2.3. Anti-fungal

2.3.1. Isavuconazole¹¹



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.

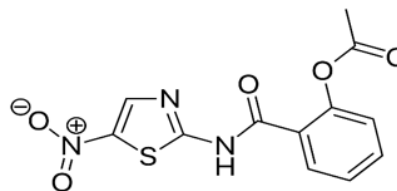
2.4. Marketed preparation



In market Isavuconazole injection & capsule are available.

2.5. Anti-parasitic

2.5.1. Nitazoxanide¹²



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.

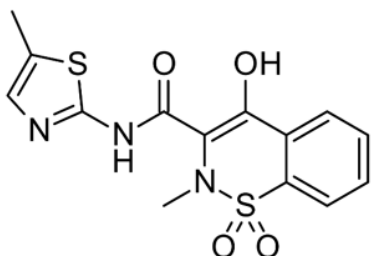
2.6. Marketed preparation



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

2.7. Anti-inflammatory

2.7.1. Meloxicam¹³



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.

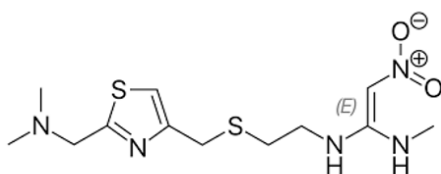
2.8. Marketed preparations



Meloxicam is available in tablet & Injection form.

2.9. Anti-ulcer

2.9.1. Nizatidine¹⁴



Nizatidine is a histamine H₂ 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. Ritonavir¹⁵

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 infections. This combination treatment is known as highly active antiretroviral therapy. Ritonavir is a protease inhibitor and is used with other protease inhibitors.

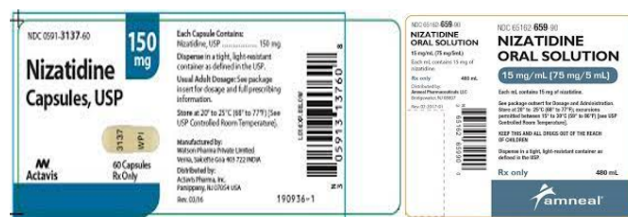


Figure 2: Nizatidine are available in capsule and oral solution form

3.2. Marketed preparation



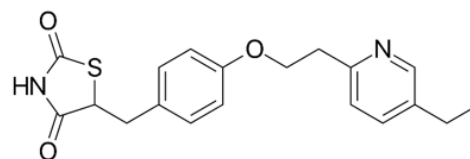
Figure 3: Ritonavir is available in tablet & oral solution formulation

3.3. Anti-diabetics

3.3.1. Thiazolidinediones¹⁶

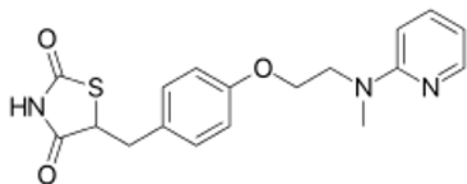
These are mainly used to treat hyperglycaemia and diabetes. Although saturated Thiazole ring is involved in the structure of these agents however they have been classified Thiazolidinediones. Mainly used as PPAR- γ stimulators.

3.3.2. Pioglitazone¹⁷



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

3.3.3. Rosiglitazone¹⁸



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

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.

Acknowledgment

The Author AGN thanks Shri R.S. Yadav, President, CAYMET for their moral support and several research facilities. The Author SG thanks author AGN for his supervision throughout the research project.

References

- Khan KM, Ambreen N, Karim A, Saied S, Aryn A, Ahmed A. Schiff bases of thiazole as antibacterial and antifungal agents. *J Pharm Res.* 2012;5(1):651–6.
- Lino CI, De Souza I, Borelli BM, Matos TT, Teixeira IN, Ramos JP. Synthesis, molecular modeling studies and evaluation of antifungal activity of a novel series of thiazole derivatives. *Eur J Med Chem.* 2018;151:248–60.
- Karale UB, Krishna VS, Krishna EV, Choudhari AS, Shukla M, Gaikwad VR, et al. Synthesis and biological evaluation of 2, 4, 5-trisubstituted thiazoles as antituberculosis agents effective against drug-resistant tuberculosis. *Eur J Med Chem.* 2019;178:315–43.
- Patel S, Patle R, Parameswaran P, Jain A, Shard A. Design, computational studies, synthesis and biological evaluation of thiazole-based molecules as anticancer agents. *Eur J Pharm Sci.* 2019;134:20–30.
- Mahran MA, El-Nassry SM, Allam SR, El-Zawawy LA. Synthesis of some new benzothiazole derivatives as potential antimicrobial and antiparasitic agents. *Die Pharmazie-An Int J Pharm Sci.* 2003;58(8):527–57.
- Khatik GL, Datusalia AK, Ahsan W, Kaur P, Vyas M, Mittal A. A retrospect study on thiazole derivatives as the potential antidiabetic agents in drug discovery and developments. *Curr Drug Discov Technol.* 2018;15(3):163–77.
- Almeer RS, Hammad SF, Leheta OF, Moneim A, Amin AE. Anti-inflammatory and anti-hyperuricemic functions of two synthetic hybrid drugs with dual biological active sites. *Int J Mol Sci.* 2019;20(22):5635. doi:10.3390/ijms20225635.
- Helal MH, Salem MA, El-Gaby MS, Aljahdali M. Synthesis and biological evaluation of some novel thiazole compounds as potential anti-inflammatory agents. *Eur J Med Chem.* 2013;65:517–26.
- Geronikaki AA, Pitta EP, Liaras KS. Thiazoles and thiazolidinones as antioxidants. *Curr Med Chem.* 2013;20(36):4460–80.
- Carmine AA, Brogden RN, Heel RC, Speight TM, Avery GS. Cefotaxime: a review of its antibacterial activity, pharmacological properties and therapeutic use. *Drugs.* 1983;25(3):223–89.
- Miceli MH, Kauffman CA. Isavuconazole: a new broad-spectrum triazole antifungal agent. *Clin Infect Dis.* 2015;61(10):1558–65.
- Fox LM, Saravolatz LD. Nitazoxanide: a new thiazolidine antiparasitic agent. *Clin Infect Dis.* 2005;40(8):1173–80.
- Fleischmann R, Iqbal I, Slobodin G. Meloxicam. *Expert Opin Pharmacother.* 2002;3(10):1501–13.
- Morton DM. Pharmacology and toxicology of nizatidine. *Scandinavian J Gastroenterol.* 1987;22(136):1–8.
- Lea AP, Faulds D. Ritonavir. *Drugs.* 1996;52(4):541–7.
- Peters AL. Using thiazolidinediones: rosiglitazone and pioglitazone in clinical practice. *AM J Managed Care.* 2001;7(3):87–95.
- Tanis SP, Colca JR, Parker TT, Adams WJ, Gadwood RC, Larsen SD, et al. PPAR γ -sparing thiazolidinediones as insulin sensitizers. Design, synthesis and selection of compounds for clinical development. *Bioorganic Med Chem.* 2018;26(22):5870–84.
- Yasmin S, Jayaprakash V. Thiazolidinediones and PPAR orchestra as antidiabetic agents: From past to present. *Eur J Med Chem.* 2017;126:879–93.

Author biography

Sakshi Ghare, Student

Cite this article: Ghare S. Thiazole: A versatile pharmacophore moiety. *Curr Trends Pharm Pharm Chem* 2023;5(4):136-139.

1. Khan KM, Ambreen N, Karim A, Saied S, Aryn A, Ahmed A. Schiff bases of thiazole as antibacterial and antifungal agents. *J Pharm Res.*