

Review Article

A REVIEW ON BIOLOGICAL ACTIVITY OF 1, 3-DIAZOLE DERIVATIVES

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ABSTRACT

1,3-diazole is also known as imidazole. 1, 3-diazole is amphoteric in nature i.e. it shows both acidic and basic properties. 1, 3-diazole is a five-member heterocyclic aromatic compound that possesses two nitrogen, three carbon, four hydrogen atom and two double bond. It has two nitrogen atom are present; both nitrogen atom are sp^2 hybridized. It contains two nitrogen atoms, in which one nitrogen bear a hydrogen atom, and the other is called pyrrole type nitrogen. The derivatives of 1,3-diazole show different biological activities such as. Anti-inflammatory, antimicrobial, analgesic and anti-tubercular activities, etc. as reported in the literature. There are different examples of commercially available drugs in the market which contains a 1,3-diazole ring, such as Miconazole, Clotrimazole, Econazole, Enilconazole, Sulconazole etc. This present review summarized some pharmacological activities and various kinds of synthetic routes for 1, 3-diazole and their derived products.

Keywords: 1, 3-diazole, Anti-inflammatory, Anticancer, Antimicrobial, Analgesic, Anti-tubercular, Heterocyclic, Biological active

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INTRODUCTION

Medicinal chemistry is the discipline concerned with determining the influence of chemical structure on biological activity [1]. Medicinal chemistry is concerned with the discovery, development, interpretation and identification of the mechanism of action of biological active compound at the molecule level [2]. It containing two nitrogen atom in a five-membered aromatic azole ring have received special attention in recent years as reported imidazole ring are widely employed as spin trapping species in the interesting application of designing drug with neuroprotective activity [3, 4]. A great deal of azole based antibacterial antifungal agent have been extensively studied as a drug candidates and some of them have used in clinic, for instance, Itraconazole, fluconazole, Posaconazole and voriconazole which suggest the great development value of azole compound [5]. Antifungal agents are common immune compromised patients as reflected in their chemotherapy-acquired immune deficiency syndrome or organ transplantation [6]. The over use of antifungal agents increased the opportunistic pathogens resistance [7].

Lafleur M. D et al. studies on 1-substituted-2-(2,4-dichlorophenyl) ethyl)-1H-imidazole derivatives and checked their Anti-fungal activity [8]

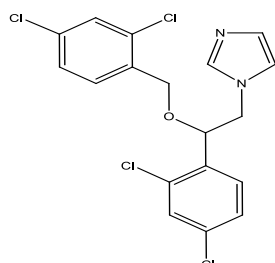


Fig. 1: 1-(2-(2,4-Dichlorobenzoyloxy)-2-(2,4-dichlorophenyl)ethyl)-1H-imidazole

Molecular formula: $C_{18}H_{14}Cl_4N_2O$

Molecular weight: 416.127 $gmol^{-1}$

Melting point: 159-163 °C

Synonyms: Miconazole

Lloyd D. H et al. synthesized 1, 3-diazole derivatives shows antifungal activity [9]

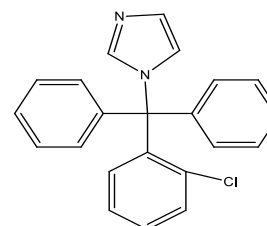


Fig. 2: 1-[(2-chlorophenyl) diphenyl methyl] imidazole

Molecular formula: $C_{22}H_{17}ClN_2$

Molecular weight: 344.84 $gmol^{-1}$

Melting point: 148 °C

Synonyms: Lotrimin

Wang X. L et al. synthesized a series of 1, 3-diazole derivatives where this compound showed good antifungal and antibacterial activity [10]

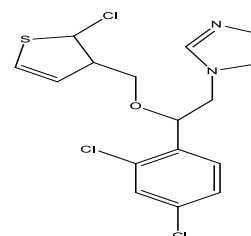


Fig. 3: 1-[2-[(2-chlorothiophene-3-yl) methoxy]-2-(2,4-dichlorophenylethyl) imidazole

Molecular formula: $C_{16}H_{13}Cl_3N_2O_2$

Molecular weight: 387.70 $gmol^{-1}$

Melting point: 82.8 °C

Synonyms: INN Spanish

MT uncblek M, Kiper T et al. synthesized 1, 3-diazole derivatives and tested for their antifungal activity using the standard method [11].

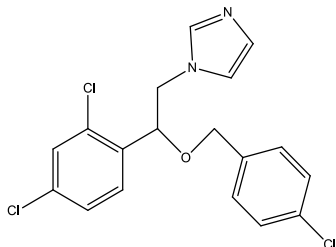


Fig. 4: 1-[2-[(4-chlorophenyl)methoxy]-2-(2,4-dichlorophenyl)ethyl]imidazole

Molecular formula: C₁₈H₁₅Cl₃N₂O

Molecular weight: 381.68 gmol⁻¹

Melting point: 162 °C

Synonyms: Econazole nitrate

Sharma S, Gangal S. et al. synthesized 1, 3-diazole derivatives and antifungal screening of 1-[2-(2,4-dichlorophenyl)-2-prop-2-enoxyethyl]imidazole against antifungal activity [12].

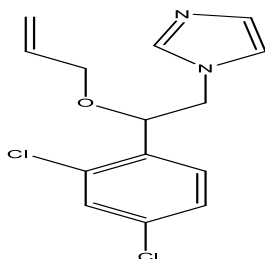


Fig. 5: 1-[2-(2,4-dichlorophenyl)-2-prop-2-enoxyethyl]imidazole

Molecular formula: C₁₄H₁₄Cl₂N₂O

Molecular weight: 297.2 gmol⁻¹

Melting point: 50 °C

Boiling point: 374 °C

Synonyms: Imazalil

Khan Z. K et al. synthesized 1, 3-diazole derivatives shows antifungal activity [13]

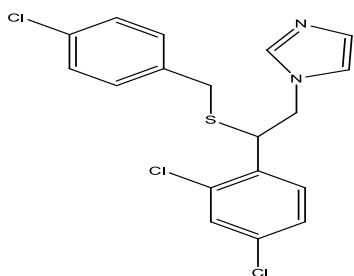


Fig. 6: 1-[2-[(4-chlorophenyl)methyl]sulfanyl]-2-(2,4-dichlorophenyl)ethyl-1H imidazole

Molecular formula: C₁₈H₁₅Cl₃H₂S

Molecular weight: 397.75 gmol⁻¹

Melting point: 558.2 °C

Boiling point: 130.5 °C-132 °C

Synonym: Sulcanazolium

Rani N, Sharma A et al. synthesized 1, 3-diazole derivatives and tested for their antifungal activity using the standard method [14].

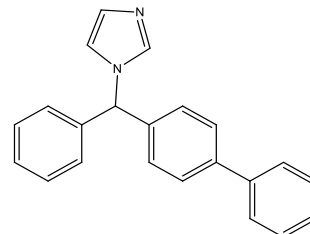


Fig. 7: 1-[biphenyl-4-yl(phenyl)methyl]imidazole

Molecular formula: C₂₂H₁₈N₂

Molecular weight: 310.392 gmol⁻¹

Melting point: 142 °C

Boiling point: 491.7 °C

Synonyms: Trifonazole

Antibacterial agent

Dhainaut A, Tizot A et al. synthesized 1, 3-diazole derivatives describe antibacterial activity substituted ring [15]

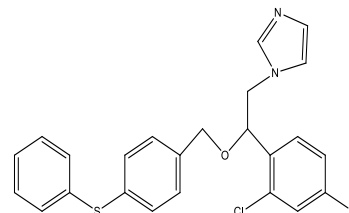


Fig. 8: 1-[2-(2,4-dichlorophenyl)-2-[(4-(phenylsulfanyl)phenyl)methoxy]ethyl]-1H-imidazole

Molecular formula: C₂₄H₂₀Cl₂N₂O

Molecular weight: 455.40 gmol⁻¹

Melting point: 139 °C

Boiling point: 579.8 °C

Synonyms: fenizolan

Sharma D. et al. synthesized 1, 3-diazole derivatives shows antibacterial activity [16]

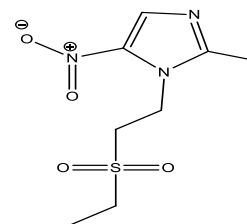


Fig. 9: 1-(2-(ethylsulfonyl)ethyl)-2-methyl-5-nitroimidazole

Molecular formula: C₈H₁₃N₃O₄S

Molecular weight: 247.27 gmol⁻¹

Melting point: 127 °C-128 °C

Synonyms: Tindamax

CONCLUSION

A novel series of 1, 3-diazole derivatives on the basis of various literature survey shows different activity against the anti-bacterial and antifungal activity. Various recent new drugs developments in 1, 3-diazole derivatives show better effect.

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Nil

AUTHORS CONTRIBUTIONS

All the authors have contributed equally.

CONFLICT OF INTERESTS

Declared none

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