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Therapeutic Potential of Pyrazole and Triazole Scaffolds: A Comprehensive Review of Pharmacological Activities

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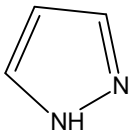
Abstract*—Pyrazole and triazole derivatives constitute an important class of nitrogen-containing heterocycles that have demonstrated remarkable pharmacological potential across a wide range of therapeutic areas. Owing to their ability to interact with diverse biological targets through hydrogen bonding, π–π interactions and coordination effects, these scaffolds have been extensively investigated for drug development. This review summarizes recent advances in the pharmacological activities of pyrazole and triazole derivatives. Pyrazole derivatives have shown significant anticancer, anti-inflammatory, antimicrobial, antiviral, analgesic, antidiabetic and central nervous system–related activities. In parallel, triazole derivatives, particularly 1,2,3- and 1,2,4-triazoles, have exhibited potent antifungal, antibacterial, antiviral, antitubercular, anticancer and enzyme inhibitory properties. Several derivatives have demonstrated improved efficacy, selectivity and reduced toxicity in in-vivo and in-vitro models, highlighting their promise as lead compounds. The cumulative pharmacological evidence discussed in this review underscores the versatility of pyrazole and triazole derivatives as bioactive scaffolds and supports their continued exploration in the development of novel therapeutic agents.*

***Keywords*—** *Pyrazole derivatives; Triazole derivatives; Pharmacological activities; Anticancer agents; Antimicrobial agents; Anti-inflammatory activity.*

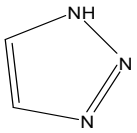
I. INTRODUCTION

Heterocyclic compounds are key scaffolds in drug discovery due to their structural diversity and ability to engage in multiple interactions with biological targets, including hydrogen bonding, π–π stacking and Vander Waals forces[1]. Among them, nitrogen-containing five-membered rings have emerged as prominent cores in medicinal chemistry[2]. Pyrazole, a five-membered aromatic ring containing adjacent nitrogen atoms at the 1st and 2nd positions and it is planar, electron-rich in nature and chemical versatility[3]. It readily undergoes substitution at the C-4 position and N-functionalization, enabling flexible modifications for structure–activity. Since then, the field has grown exponentially, with a substantial body of research dedicated to the exploration of pyrazole chemistry[4]. The versatility of pyrazole rings allows for numerous modifications, leading to a diverse range of distinct pharmacological activities such as antimicrobial, anti-inflammatory, anticancer, antioxidant, antiviral, antidiabetic and neuroprotective activities[5]. Several pyrazole-containing drugs have been successfully developed and are currently in clinical use[6].

Triazoles are five-membered heterocyclic scaffolds containing three nitrogen atoms and two carbon atoms[7]. In 1855, the scientist Bladin described the various derivatives of triazole. Triazole which can exist in two isomeric forms: 1,2,3-triazole and 1,2,4-triazole, is considered to be a privileged scaffold with recognized biological and pharmaceutical potential[8]. The 1,2,3-triazole system is highly stable due to aromaticity and also due to the presence of both acidic and basic nitrogen' s in the same moiety. These nitrogen heterocycles due to their high dipole moments interact with biomolecular targets through dipolar interactions[9]. Triazoles exhibit a wide range of biological activities including antimicrobial, antiviral, anti-inflammatory, analgesic, anticancer, antifungal, anti-histamine, anti-hypertensive and anticonvulsant effects to shows the various pharmacological utility of triazole derivatives[10]. The creation of new drugs that could potentially enhancing vital-medicine having triazole moiety for the treatment of various diseases in future[11].



Pyrazole



Triazole

Pharmacological activities of pyrazole and triazole derivatives:

1. A.E. Khairulah[12] *et al.,* (2024) reported a series of new pyrazole derivatives were synthesized through a cyclization reaction of chalcones derivatives with hydrazine hydrate under acidic catalysis and evaluated for their *in-vitro* cytotoxic activity against breast (MCF-7 and MDA MB-231) cancer cell lines using MTT assay. The Compound-1a shows good activity towards MCF 7 cell line with IC_{50} values of 16.37 and 30.16µg/ml and Compound-1b shows highest potency towards MDA-MB-231 with IC_{50} values of 22.75 and 3.03µg/ml.
2. Miah Roney[13] *et al.,* (2024) reported the synthesis of pyrazole derivatives and evaluated for their *in-vitro* antiviral activity against SARs-COV-2. The compound-2 shows more potent antiviral activity against SARs COV-2

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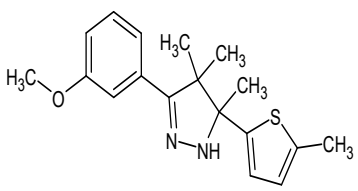
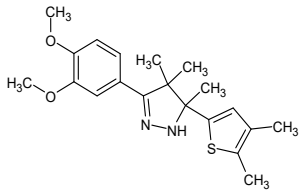
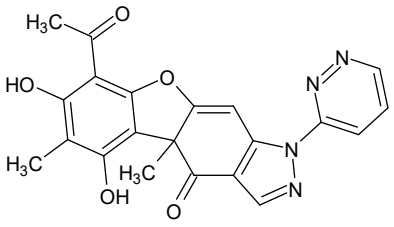
with IC_{50} value of 0.053µm using paxlovid as a standard drug.



Compound-1

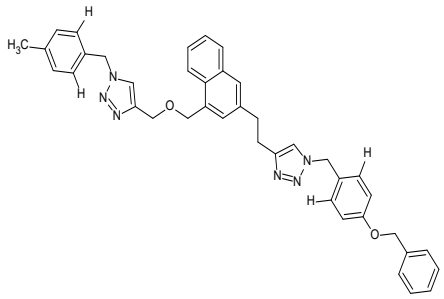


Compound-1b



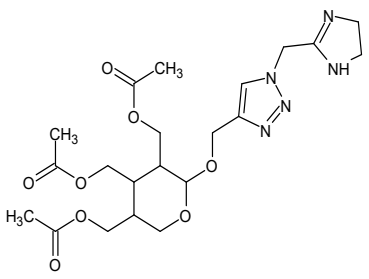
Compound-2

3. Kandukuri Usha Rani[14] *et al.,* (2023) reported a series of novel bis-1,2,3-triazole derivatives of 2-Hydroxyquinoline-4-carboxylate were synthesized and evaluated for their anti-microbial activity against MTB InhA inhibitors, DNA gyrase B protein of *Staphylococcus aureus* and DHFR of *Candida albicans* fungi using click reaction. The Compound-3 shows a good inhibition against *Staphylococcus aureus* by using streptomycin as a standard drug by using density functional theory (DFT).



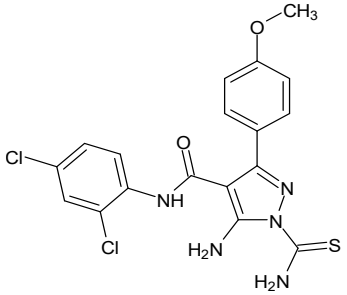
Compound-3

4. Omnia Kutkat[15] *et al.,* (2022) reported the new series of 1,2,3-triazole glycoside derivatives were synthesized and evaluated for their *in-vitro* antiviral activity. The Compound-4 showed more potent antiviral activity against Avian influenza (H5N1) and Human influenza (H1N1) viruses with IC_{50} values of 2.280 µM using oseltamivir and zanamivir as a standard drug by using crystal violet assay.



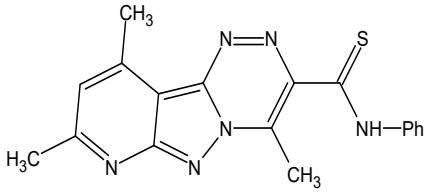
Compound-4

5. Khadija Saadon E[16] *et al.,* (2021) reported a new series of pyridine-2-one and pyrazole based on cyano-acrylamide derivatives were synthesized and evaluated for their *in-vitro* antibacterial activity against *Bacillus subtilis* and *Proteus vulgaris* by using diffusion method. The Compound-5 shows a potent antibacterial activity with zone of inhibition ranging from 11.07 ± 0.12 mm to 18.07 ± 0.12 mm using levofloxacin (21.18 ± 0.46 mm and 26.52 ± 0.24 mm) as a reference compound.



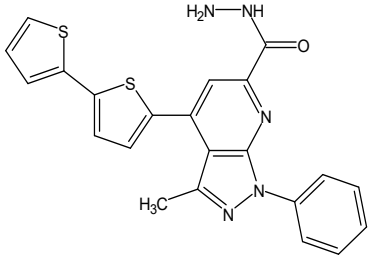
Compound-5

6. Mohamed Elmorsy R[17] *et al.,* (2023) reported a series of new 3-Amino-4,6-dimethyl pyrazolo pyridine derivatives were synthesized and evaluated for their anti-cancer activity against colon, hepatocellular, breast, and cervix carcinoma cell lines by using MTT assay. The Compound-6 shows good activity towards HCT-116 and MCF-7 cell lines with IC_{50} values of 12.58 ± 1.02 and 11.71 ± 0.92 µM using doxorubicin IC_{50} values of 5.23 ± 0.33 and 4.17 ± 0.20 µM as a standard compound.



Compound-6

7. Iqra Rafique[18] *et al.,* (2024**)** reported a series of new eighteen biaryl pyrazolo[3,4-b] pyridine ester and hydrazide derivatives were synthesized by using Suzuki cross-coupling reaction and evaluated for their anti-diabetic activity by using Doebner method. The Compound-7 shows the excellent anti-diabetic activities against α-amylase enzyme (IC_{50}: 5.21 µM) as compared to acarbose (IC_{50}: 200.1 ± 0.15 µM) as a standard compound.



Compound-7

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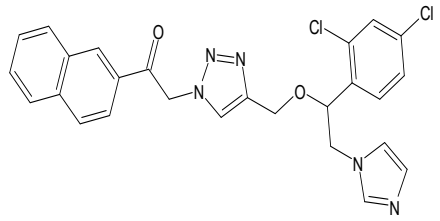
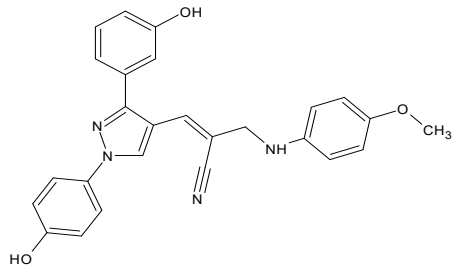
8. Zhengxiao Huang[19] *et al.,* (2024) reported a series of new azole derivatives containing a 1,2,3-triazole moiety have been synthesized and evaluated for their *in-vitro* antifungal activity against *C. albicans* SC5314 and drug resistant SC5314-FR by using microdilution method. The Compound-8 exhibited better antifungal activity (MIC_{50}:0.53µg/mL and 10.94 µg/mL) than fluconazole (MIC_{50}:1.52 µg/mL and >200 µg/mL).



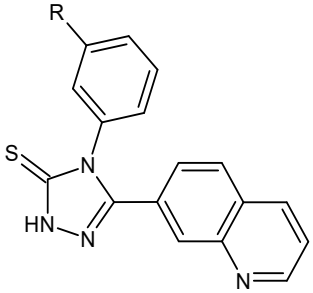
Compound-8

9. Basma T. Abd-Elhalim[20] *et al.,* (2025) reported a series of new Pyrazole derivatives have been synthesized and evaluated for their anti-fungal activity against Aspergillus flavus ATCC 9643, A. niger ATCC 11414, Rhizopus oryzae ATCC 96382, and Penicillium chrysogenus ATCC 10106 using an HFB4 normal human skin cell line. The Compound-9 exhibited most effective against A. Niger ATCC 11414 and A. flavus ATCC 9643 with IZD=32 ± 0.14 and 30 ± 0.13 mm using fluconazole as a standard drug (IZD= 32.0 ± 0.20 and 30.02 ± 0.05 mm).



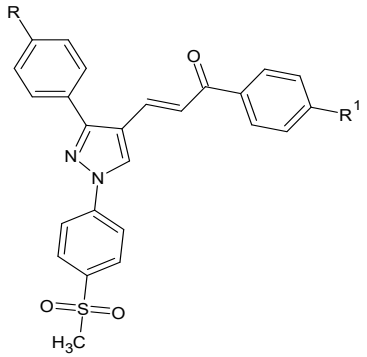
Compound-9

10. Yousaf Khan[21] *et al.,* (2025) reported the synthesis of 7-quinolinyl-bearing triazole derivatives and evaluated for their *in-vitro* anti-diabetic activity against α-amylase and α- glucosidase. The Compound-10 showed excellent α-amylase and α-glucosidase inhibitory potentials (IC_{50} values of 4.30 ± 0.10 µM and 5.50±0.10 µM) as compared to acarbose (IC_{50} = 10.30 ± 0.20 µM and 9.80±0.20 µM) as a reference compound.



R: 2-cl, 3-F, 4-OCH_3, 4-F
Compound-10

11. Abeer M. Abd El-Hameed[22] *et al.,* (2025) reported a series of novel di-aryl-chalcone derived pyrazole was synthesized and evaluated for their *in-vitro* COX-2 selective anti-inflammatory inhibitory activity. The Compound-11 shows potent anti-inflammatory activity against COX-2 (IC_{50} :0.348 to 0.771 µM) as compared to standard drug celecoxib (IC_{50}:0.685 ± 0.03µM).



Compound-11
R: Br, CH_3, Br, Br
R^1: H, CH_3, Cl, Br

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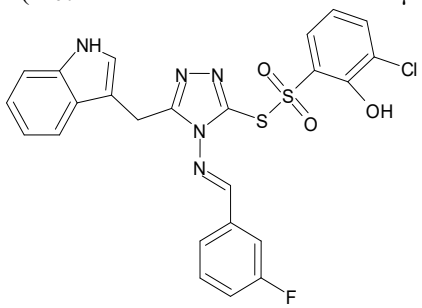
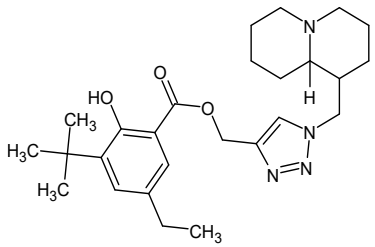
12. Shoaib Khan[23] *et al.,* (2024) reported a series of indole based triazole derived sulfonothioate derivatives were synthesized and evaluated for their *in-vitro* anti-diabetic activity against diabetes mellitus. The Compound-12 shows a potential anti-diabetic activity against alpha-amylase (IC_{50}:3.20±0.10 µM) and alpha-glucosidase (IC_{50}:4.20±0.50 µM) as compared to standard drug acarbose (IC_{50}=10.40±0.50 and 11.50±0.20µM).



Compound-12



Compound-13

13. Zhangeldy Nurmaganbetov S[24] *et al.,* (2024) reported a series of 1,2,3-triazole containing derivatives were synthesized and evaluated for their *in-vitro* antiviral activity against orthomyxoviruses (influenza viruses: A/Vladivostok/2/09 (H1N1) and A/Almaty/8/98 (H3N2)) at the concentration of 0.03mg to 1 mg in 100µL using

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toxicity assay. The Compound-13 showed potent antiviral activity against H1N1 and H3N2 virus when compared with tamiflu and rimantadine as a reference compound.

II. Conclusion

Pyrazole and Triazole derivatives are a class of heterocyclic compounds characterized by a five-membered ring structure. These compounds have significant importance in medicinal chemistry due to their therapeutic potential and broad spectrum of biological activities such as anti-microbial, anti-inflammatory, anti-cancer, anti-oxidant, anti-viral, anti-diabetic and anti-fungal activities. The review provides a comprehensive overview of pyrazole and triazole derivatives, highlighting their structural features, biological activities, recent advances, and future perspectives. making them promising candidates for drug development. These derivatives hold promise for therapeutic applications and continued research is expected to yield new bioactive molecules.

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