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Review Article

A CONCISE REVIEW ON SYNTHESIS AND BIOLOGICAL ACTIVITY OF TRIAZOLES

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ABSTRACT

H*eterocyclic compounds containing biological activities have been widely studied from the past few decades out of which the most notorious is triazoles. Triazoles and their derivatives act as lead molecules for establishing a number of novel compounds possessing therapeutic activities. These are more familiar for their anti-fungal activities, besides this they possess many activities like Anti-bacterial, analgesics, anti-inflammatory, anti-malarial, anti-neoplastic, anti-viral, anti convulsants, anti-migraine, CNS activities etc. However these are repurposed and successful in treating chronic stages of Chagas disease. Triazole with PC945 in the combination manner has agonistic effects in treating Aspergillus fumigatus in immunocompromised patients.*

KEYWORDS: *Triazoles, Anti-fungal, Biological activities.*

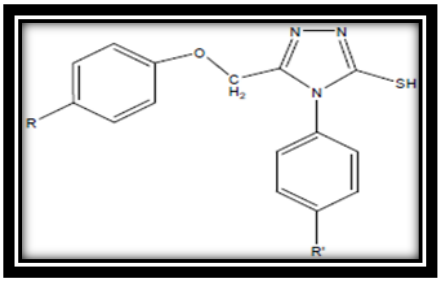
INTRODUCTION

1970s was the year azoles introduced which were variably used for fungal disease. Triazoles are five membered ring with 2 carbons and 3 nitrogen atoms whereas the number of nitrogen atoms determine the toxicities and therapeutic efficacies of the drug [1]. Triazoles inhibit the fungal cytochrome P450 enzyme Lanosterol 14- demethylase and thus impairs ergosterol synthesis leading to a cascade of membrane abnormalities in the fungus [2]. 1,2,4 – Triazole derived compounds show Anticancer, Antiviral, Antitubercular, Antifungal, Anti tubercular and Antibacterial activities [3]. Triazoles with three nitrogen atoms exhibit*invitro* antiplasmodial and *in vivo*antimalarial activities. Hybridization of triazole with various antimalarial produce drug with excellent potency against drug sensitive and drug resistant malaria [4].

Azole antifungals have broad spectrum activity covering Candida sps, Aspergillosis sps, Onychomycosis, Nocardia and leishmaniosis. Systemic triazoles combination with a novel inhaled triazole namely PC945 are supposed to have synergistic antifungal effects against Aspergillus fumigatus in immunocompromised patients [5]. However a triazoledrug namely Terconazole was repurposed and found to have activity against Trypanasoma cruzi which is the causative agent of Chagas disease [6]. Several combinations of triazoles have been developed like Morpholines linked coumarin triazoles which were supposed to have anti-cancer activity and quinazolines fused with triazole were found to have antimicrobial activity [7, 8]. Combination of azole anti fungals with other antifungals were showing profound activity against Chaetomium spp [9]. 1,4-naphthoquinone- 1,2,3- triazole hybrids were found to have cytotoxic properties [10].

Apart from all the above benefits triazole have some downside. Triazole namely the propiconazole which is used as fungicide in agriculture was known to effect aquatic organisms. Propiconazole affect the early stages of zebra fish by reducing basal respiration, hypopigmentation, disrupt mitochondrial bioenergetics and can alter locomotor activity [11]. Triazoles interact with warfarin and cause bleeding disorders which is the most serious complication [12].

Pandeya, et al, [1] reported the synthesis of new-substituted Mercaptotriazole and thiazoidiones derivatives and evaluation of anti-convulsant activity.



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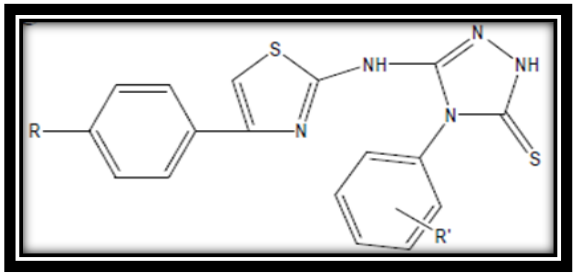
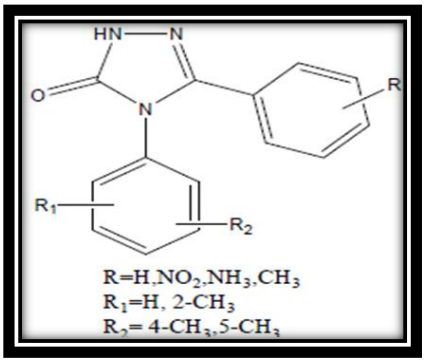
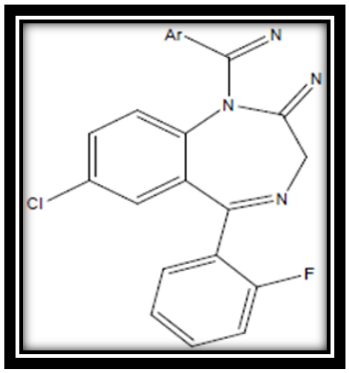
Siddiqi, et al, [2] reported the synthesis of 3-(4-(substitutedphenyl)-1,3-thiazol-2-ylamino)-4-(substituted phenyl)-4,5-dihydro-1H-1,2,4-triazole-5 thiones and evaluation of anti-convulsant activity.



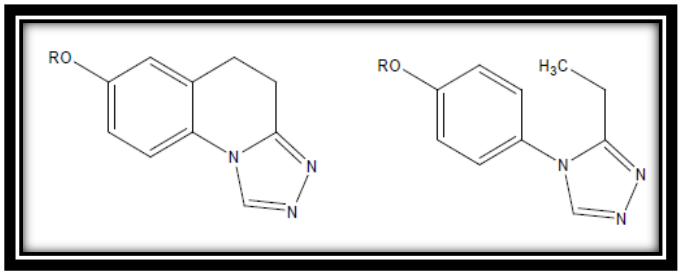
Shalini M, et al, [3]reported the synthesis of a new series of 4,5-diphenyl-2H-1,2,4-triazol-3(4H)-one and evaluation of anti-convulsant activity.



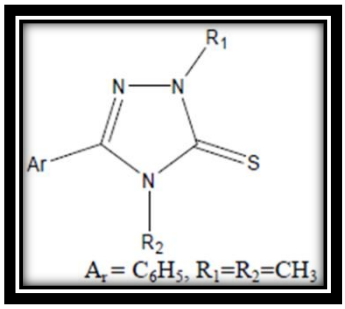
Narayana B, et al, [4] reported the synthesis of a series of Novel 8-chloro-6-(2-fluorophenyl)-1-(aryl)-4H-(1,2,4) triazolo (4.3-a)(1,4) benzodiazepines and evaluation of anti-convulsant activity.



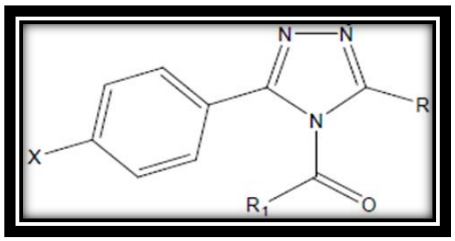
Narayana B, et al, [5] reported the synthesis of 4-(4-alkoxyphenyl)-3-ethyl-4H-1,2,4-triazole derivatives and evaluation of anti-convulsant activity.



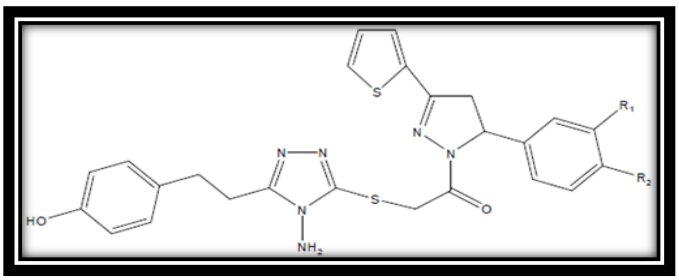
John M. Kane, et al., [6] reported the synthesis of 5-aryl-1,2,4-triazole-3H-1,2,4-triazole-3-thiones and evaluated the antidepressant activity.



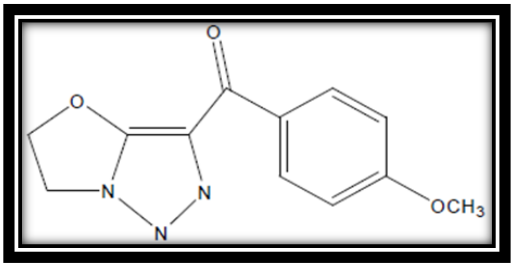
Wade P.C, et al., [7] reprted thesynthesis of a series of 1-acyl-3-phenyl-5-alkyltriazoles and evaluated the antiinflammatory activity.



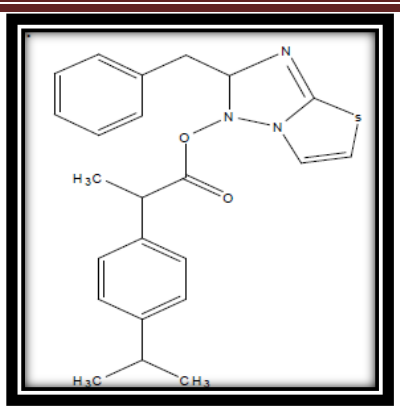
Kaplancikli ZA, et al, [8] reported the synthesis of triazole-pyrazoline derivative and evaluated antidepressant activity.



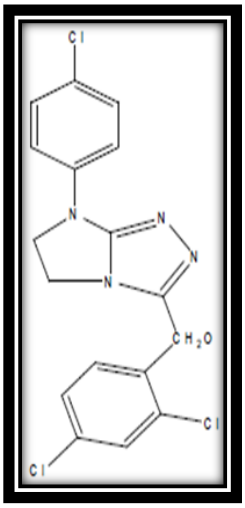
Yan S, et al, [9] reported the synthesis of heterocyclic fused 1,2,4 triazole derivatives and evaluated the anticancer activity.



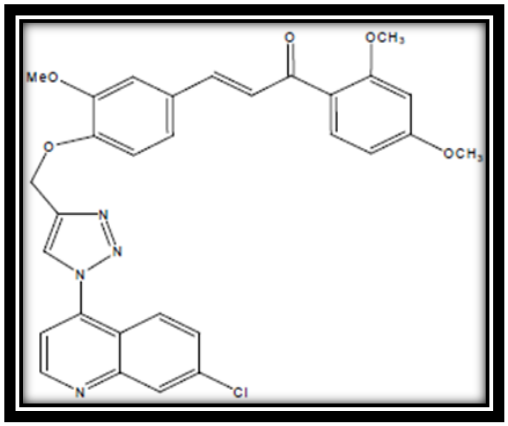
Tozkoparan B, et al, [10] reported the synthesis of a series of 3-(1-(4-(2-methylpropyl)phenyl)ethyl)-1,2,4-triazole-5-thione derivative and evaluated the antiinflammatory activity.



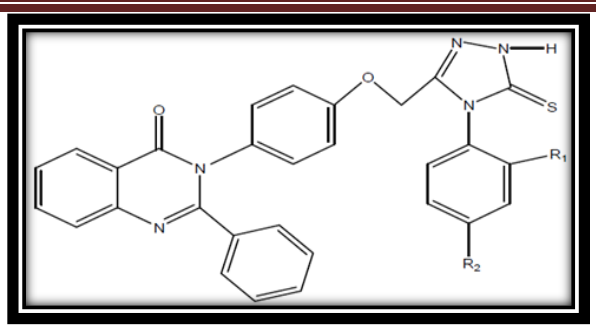
Krzysztof Sztanke, et al., [11] reported the synthesis of a series of 3-unsubstituted and 3-substituted 7-aryl-5H-6,7-dihydroimidazo (2,1-c)1,2,4-triazoles derivative and evaluated the anticancer activity.



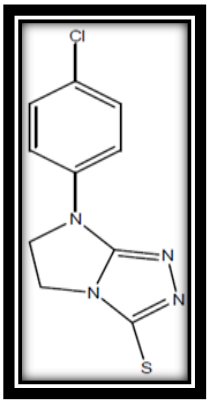
Eric M, et al, [12] reported the synthesis of a series of triazole-linked chalcone and dienonehybrid compounds and evaluated there antimalarial activity.



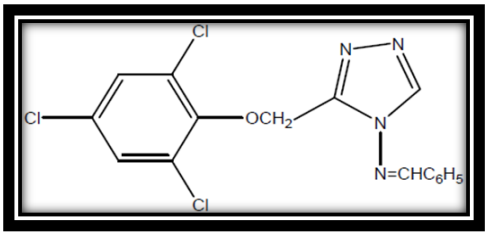
Freddy H, et al., [13] reported the synthesis a series of compound 3-[4-(substituted phenyl-5-thioxo-4, 5-dihydro-1H-1,2,4-triazole-3-yl-methoxy)-phenyl]-2-phenyl-3H-quinazoline-4-one And evaluation of antifungal activity.



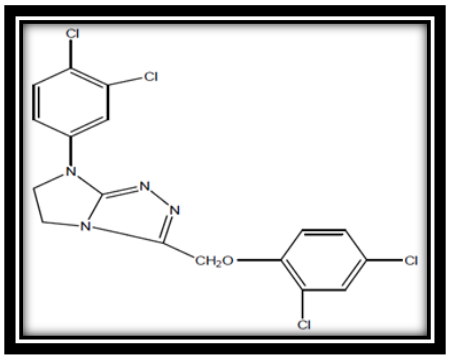
Krzysztof Sztanke, et al., [14] reported the synthesis of a series 3-(un)substituted-7-aryl-5H-6,7-dihydroimidazo[2,1-c][1,2,4]triazoles derivatives and evaluation of antimicrobial and antifungal activities.



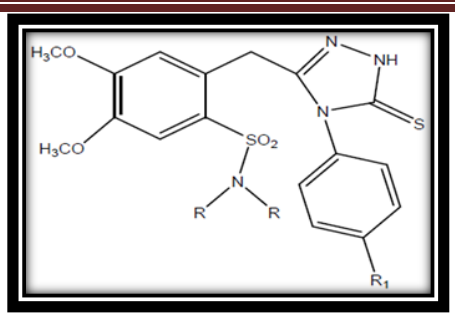
Neeraj Upmanyu, et al., [15] reported the synthesis of a series of 5-phenyl, 4-(substituted) amino, 3-mercapto1, 2, 4-triazoles which shows potent anti-bacterial activity.



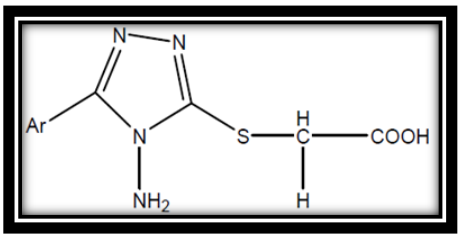
H. Singh, et al, [16] reported the synthesis of compound 3-(un) substituted-7-aryl-5H-6,7-dihydroimidazo[2,1-c][1,2,4] triazole and evaluation of antibacterial activity.



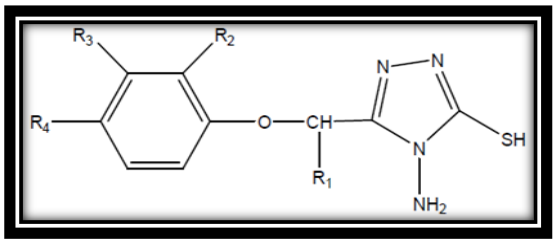
Charalabos Camoutsis, et al., [17] reported the synthesis a series of compound 5-[2-(substituted sulfamoyl)-4,5-dimethoxy-benzyl]-4aryl-s-triazole-3-thiones and evaluation of anti-bacterial activity.



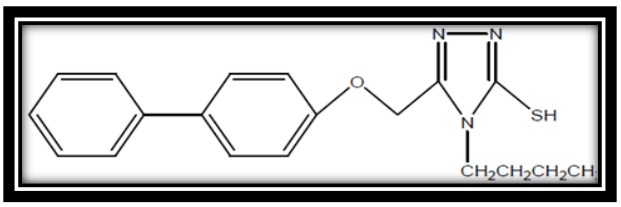
Kuangsen Sung, et al., [18] reported the synthesis of a series of [(4-Amino 5-Disubstituted-4-H-1,2,4-triazole-3-yl)thio] alkanoic acid derivatives and .evaluation of anti-inflammatory activity.



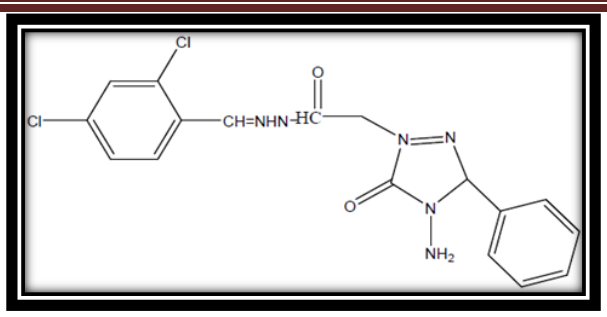
Prasad, et al., [19] reported the synthesis of a series of derivatives of [4-Amino-3-Aryloxy alkyl, 5-Mercapto-1,2,4-Triazole] and evaluated them for anti-inflammatory activity.



Harish Kumar, et al., [19] reported the synthesis of a series of compound 5-[(Biphenyl-4-yloxy)methyl]-4-n-substituents-3-marcapto-(4H)-1,2,4-triazole and evaluation of anti-inflammatory activity.

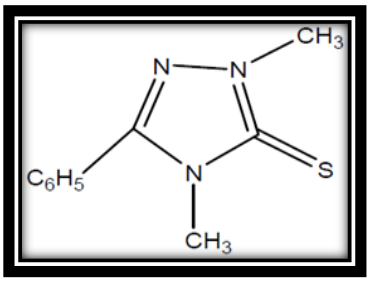


Neslihan Demirbas, et al, [20] reported the synthesis of a series of compounds 4-amino-3-substituted-5-oxo-4,5--dihydro-[1,2,4] tri-azole-1-yl acetic acid 2 ,4-dichloro-benzylidene-hydrazide derivatives and screened for their anti-cancer activity.



Harish Kumar, at al., [21] reported the synthesis of 5-[(Biphenyl-4-yloxy)methyl]-4-n-substituents-3-mercapto-(4H)-1,2,4-triazole and evaluation of analgesic activity.

Mhasalkar, et al., [22] *reported the* synthesis of triazole substituted compounds and screened for antidepressent activity.



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