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Various Synthesis Methods of 1,3,4-Oxadiazolederivatives: A Review

M Somashekhar*, R B Kotnal

Department of Pharmaceutical Chemistry, Bldea's College of Pharmacy, Vijaypur-586101.

A B S T R A C T

Heterocyclic molecules such as Oxadiazoles have been synthesized and evaluated for medical and agricultural activities. The disubstituted Oxadiazoles have executed a range of pharmacologic activities. 1,3,4-oxadiazole and 1,2,4-oxadiazole are better known, and more widely studied by researchers Among heterocyclic compounds, 1,3,4-oxadiazole has become an important construction moiety for the development of new drugs.1,3,4-oxadizole exhibited a wide range of biological activities which includes antimicrobial, anti-tubercular, anticonvulsant, hypoglycemic, anti-allergic, vasodilator, anti-inflammatory, analgesic, anthelmintic, anticancer, antiviral, antioxidant, hemolytic, antiprolifrative activities etc. The purpose of this review is to collect the literature work reported by researchers on 1,3,4-oxadiazole derivatives for their various methods of synthesis and also efforts made on this moiety.

Keywords: 1,3,4-oxadiazole, 1,2,4-oxadiazole, synthesis methods, biological activities

A R T I C L E I N F O

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***Corresponding Author**
M. Somashekhar
Dept. of Pharmaceutical Chemistry
Bldea's College of Pharmacy
Vijaypur-586101, India
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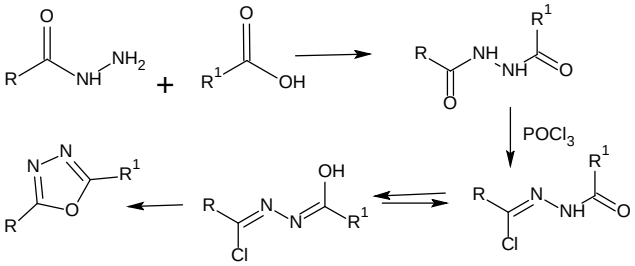
1. Introduction

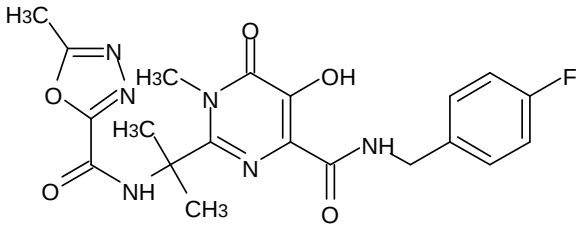
Heterocyclic molecules such as Oxadiazoles have been synthesized and evaluated for medical and agricultural activities. The disubstituted Oxadiazoles have executed a range of pharmacologic activities. It is derived from furan by substitution of two Methylene groups (=CH) with two pyridine type nitrogens (-N=). There are four known isomers: 1,2,4-oxadiazole, 1,2,3-oxadiazole, 1,3,4-oxadiazole & 1,2,5-oxadiazole. 1,3,4-oxadiazole and 1,2,4-

oxadiazole are better known, and more widely studied by researchers Among heterocyclic compounds, 1,3,4-oxadiazole has become an important construction moiety for the development of new drugs.

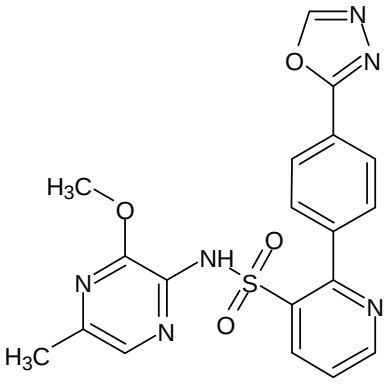
1,3,4-oxadiazole considered as simple five membered Heterocyclic molecule possessing one oxygen and two nitrogen atoms at C-1, C-3 and C-4 respectively. The common synthetic method for these compounds is cyclodehydration of diacylhydrazines and their derivatives with dehydrants such as phosphorous oxychloride, trifluoroacetic anhydride, thionyl chloride polyphosphoric acid and also reaction between the properly substituted acid hydrazide, carbon disulfide and potassium hydroxide.



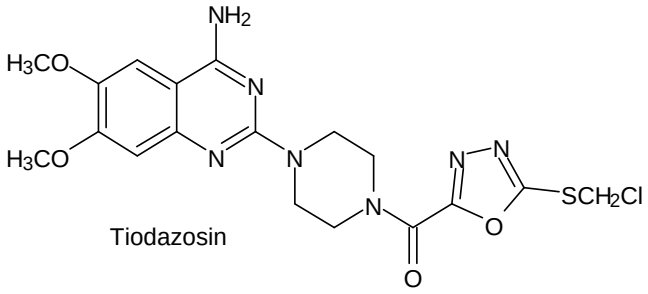
Two examples of compounds containing the 1,3,4-oxadiazole unit currently used in clinical medicine are Raltegravir, an antiretroviral drug, Zibotentanan anticancer agent, Tiodazosin and Nesapidil as antihypertensive and Furamizole as antibiotics.



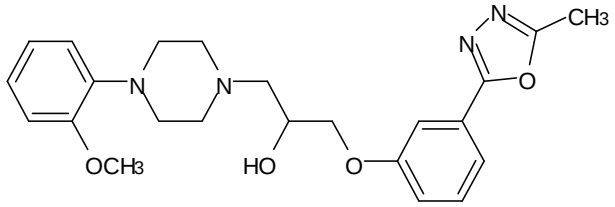
Raltegravir



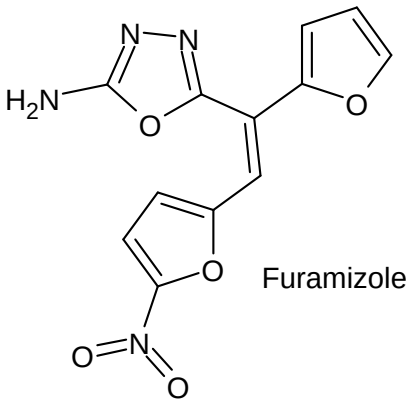
Zibotentan



Tiodazosin



Nesapidil

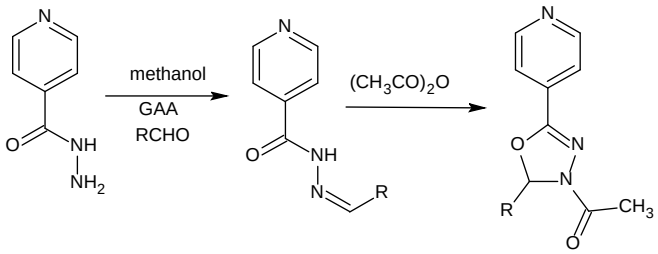


Furamizole

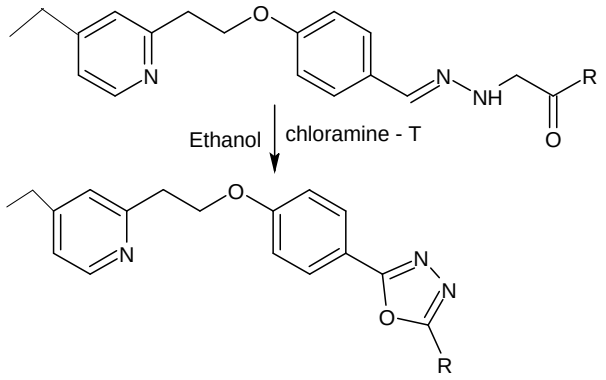
1,3,4-oxadiazole have played a crucial part in the development of theory in heterocyclic chemistry and also used extensively in organic synthesis.Among the methods employed in synthesis of 1,3,4-oxadiazole, condensation of hydrazide and its derivatives with variety of substituted acids and bases are commonly used.[1-12]

2. Methods of Synthesis for 1,3,4-oxadiazoles

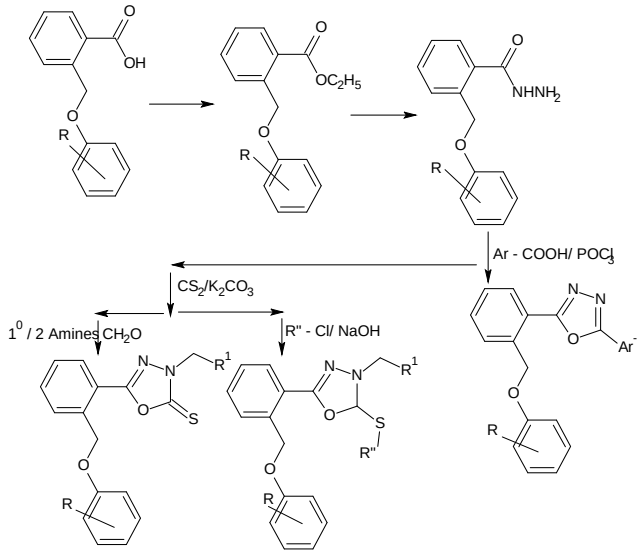
A few of the methods of Synthesis for 1, 3, 4-oxadiazoles are reported from the literature are outlined below schemes. SJ Gilani and coworkers synthesized the 1-2(-(2-substituted phenyl)-5-(pyridine-4-yl)-1, 3, 4-oxadiazole-3(2H)-yl) ethanone derivatives as a anticonvulsant agents. [13]



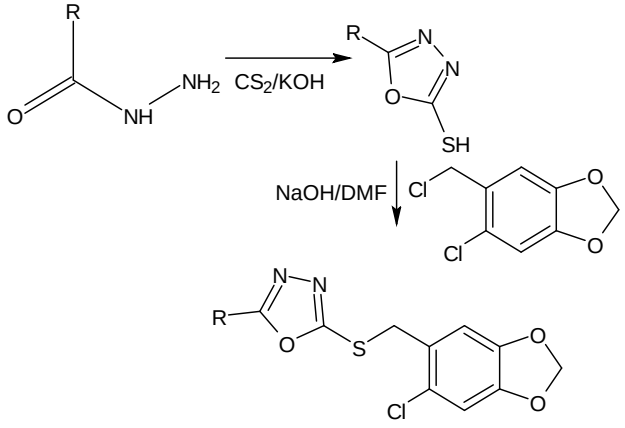
SL Gaonkar and coworkers synthesized 5-ethyl-2-{2-[4-(5-phenyl [1,3,4] oxadiazole-2-yl) phenoxy] ethyl} pyridine derivatives as a antimicrobial agents.[14]



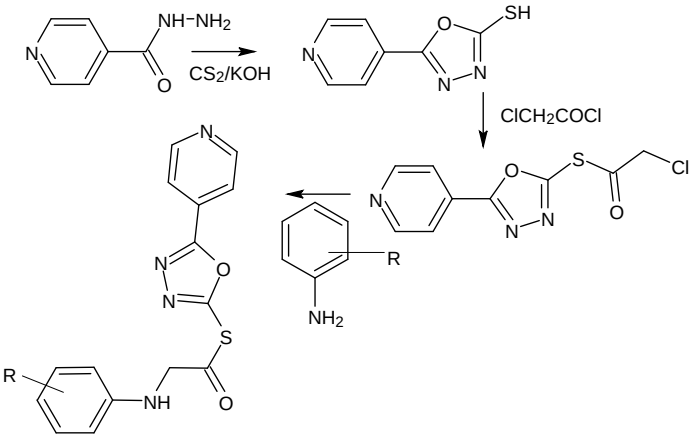
CS Naveena and coworkers synthesized 2-(aryloxymethyl)-5-phenyl-1, 3, 4-oxadiazoles, 2-(aryl oxymethyl)- 1,3,4-oxadiazole-5-thiones and 2-thioalkyl/aralkyl-5-(2-aryloxy-methyl)-1,3,4-oxadiazoles as a antimicrobial agents. [15]



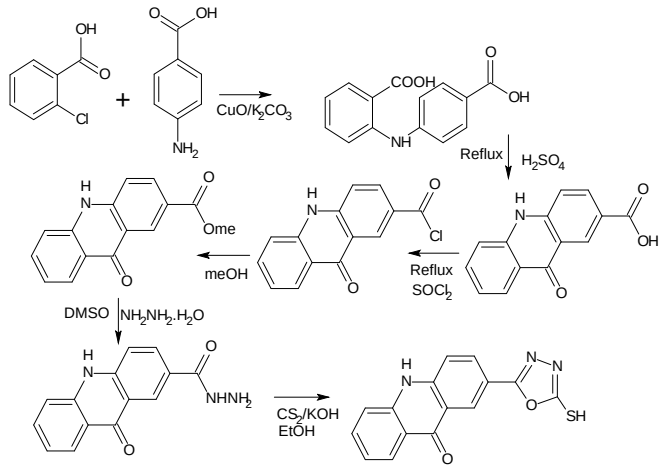
AU Rehman and coworkers synthesized some new 5-substituted -2[(6-chloro-3, 4-methylenedioxy- phenyl) methyl thio)]-1,3,4-oxadiazole derivatives as suitable antibacterial inhibitors. [16]



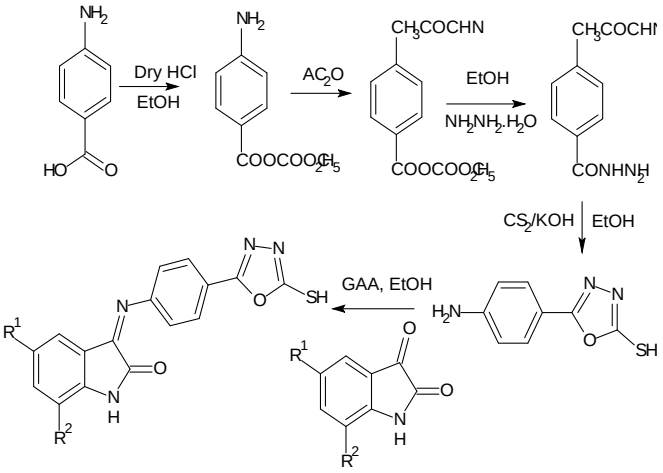
JP Raval and coworkers synthesized 2(4-pyridyl)-5[(2-phenyl amino)-1-oxoethyl)] thio-1, 3, 4-oxadiazile as antibacterial agents. [17]



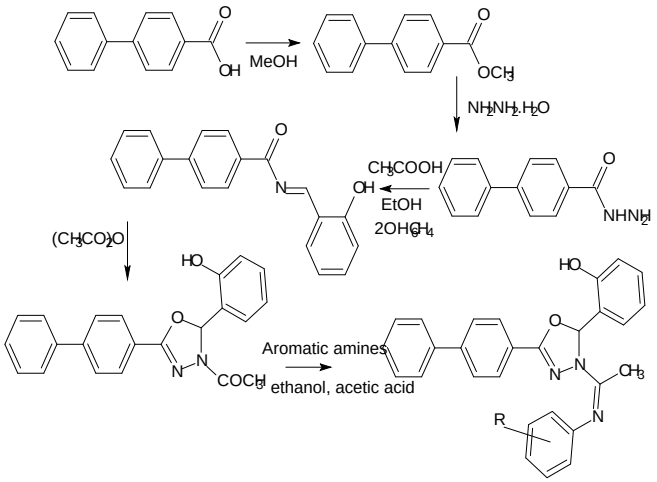
J Salimon and coworkers synthesized 2-[5-thiol-1,3,4-oxadiazole-2-yl]-9(10H)-acridone as a antimicrobial agents. [18]



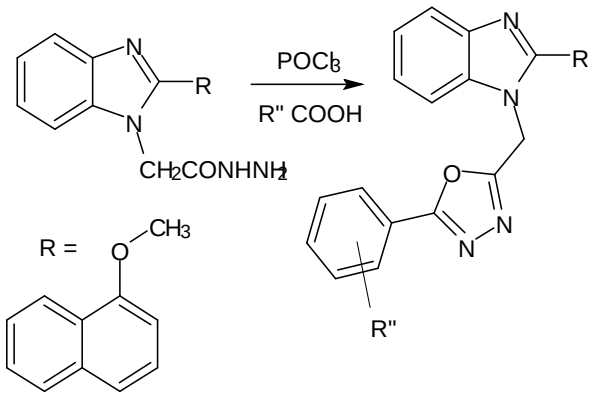
R Gudipati and coworkers synthesized 3-{4-(5-mercapto-1, 3,4-oxadiazole-2-yl) phenyl imino}-5 as anti-cancer agents. [19]



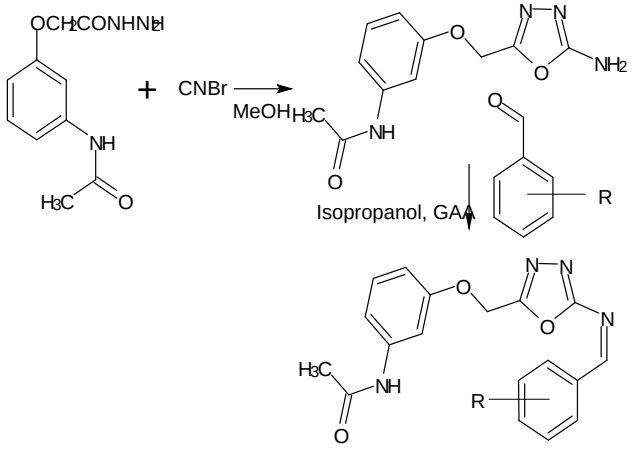
M Malhotra and coworkers synthesized (z)-2-(5-(biphenyl-4-yl)-3-(1-(imino) ethyl)-2, 3-dihydro-1,3,4-oxadiazole-2-yl) phenol derivatives as antimicrobial and antioxidant agents. [20]



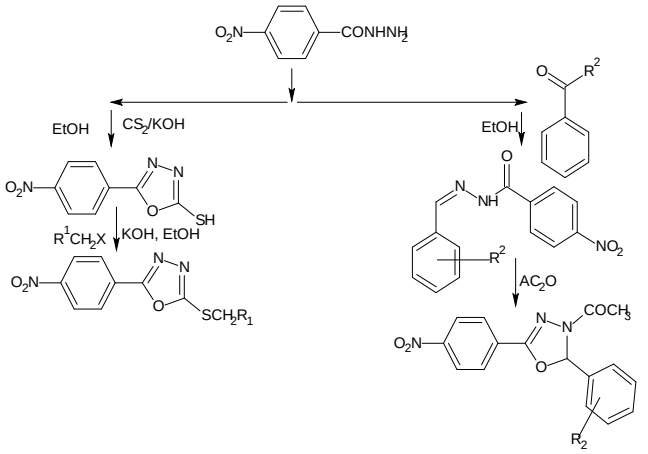
Salahuddin and coworkers synthesized 2-(naphthalene-1-yl methyl-naphthalene-2-yloxymethyl)-1-(5-(substituted phenyl)-(1,3,4) oxadiazole-2-yl methyl)-1H-benzimidazole as an anticancer agents. [21]



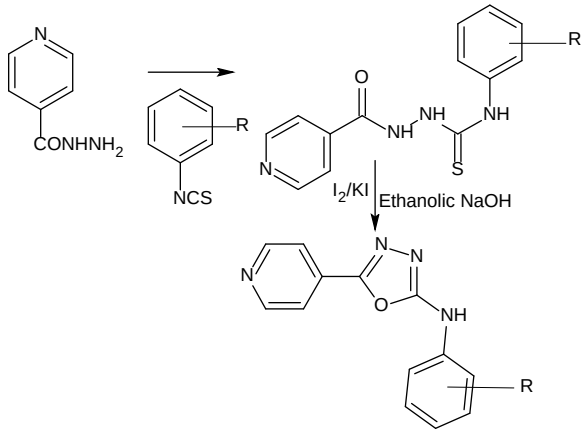
S Deka and coworkers synthesized 2-[(substituted benzylidene) imino]-5-(3'-acetamidophenoxy methyl)-1,3,4-oxadiazoles as anti-inflammatory agents. [22]



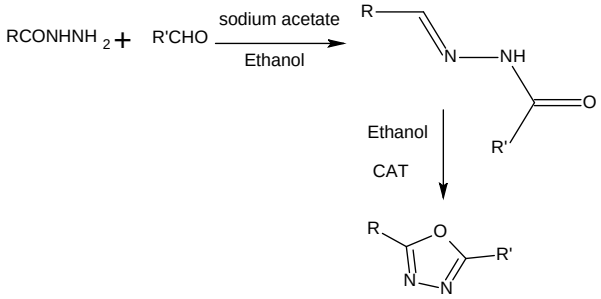
KJ Al-Joubory and coworkers synthesized Para nitro 5 phenyl-1,3,4-oxadiazole thio ether and 2-aryl-3-acetyl-para-nitro-5-phenyl -1,3,4-oxadiazole as antimicrobial agents. [23]



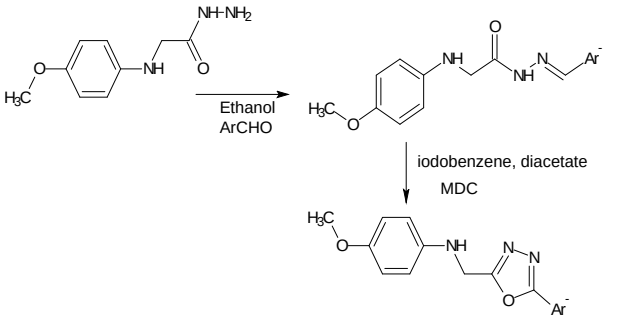
MS Yar and coworkers synthesized 2-(substituted phenyl) amino-5(4-pyridyl)-4H-1,3,4-oxadiazoles as anticonvulsant agents. [24]



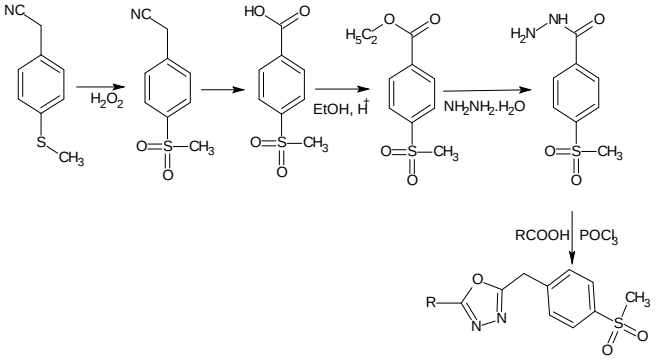
SN Hemavathi and coworkers synthesized 2-5 aryl-1,3,4oxadiazoles as antimicrobial agents. [25]



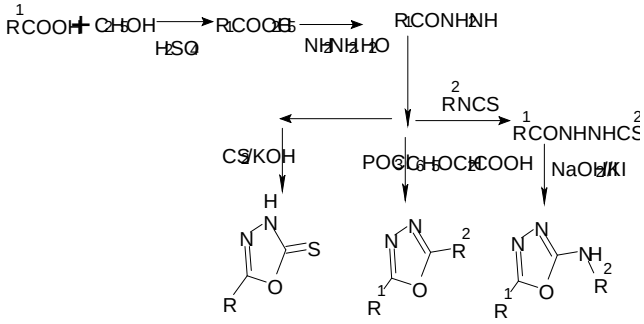
BN Prasannakumar and coworkers synthesized N-[{5-(4-chlorophenyl)-1,3,4-oxadiazole-2-yl} methyl]-4-methoxy anilline as anticonvulsant agents. [26]



B Poojary and coworkers synthesized 2-[4-(methylsulfonyl) benzyl]-5-substituted-1,3,4-oxadiazole as antioxidant agents. [27]



S Yar and coworkers synthesized 1, 3, 4-oxadiazole derivatives as antituberculostatic agents. [28]



3. Conclusion

The present review highlights that the 1,3,4-oxadiazole moiety as a template for the development of newer therapeutic agents. Modified 1,3,4-oxadiazole moiety displayed the various synthetic methods and valuable biological activities. The 1,3,4-oxadiazole derivatives showed significant anticonvulsant, anti-inflammatory, anti-cancer, antioxidant and anti-microbial activities while compared with other activities. They may be used for the development of new drugs for the treatment of cancer, inflammation, central nervous system depressant, bacterial and fungal diseases by researcher for developing new, innovative drugs.

4. Acknowledgments

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