

A Review on Substituted 1,3,4-Oxadiazole and its Biological Activities

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Abstract: Oxadiazole a five-member heterocyclic aromatic organic compound. It is an important Pharmacophore and privileged structure in medicinal chemistry. The derivative of oxadiazole nuclei (1,3,4-oxadiazole) showed diverse biological activities such as anti-microbial, anti-bacterial, anti-tubercular, anti-fungal, anti-cancer, anti-HIV. In this article, we have tried to compile some of the major researches carried out for the compound 1, 3, 4-oxadiazole.

Keywords: Oxadiazole, Anti-microbial, Anti-inflammatory, Analgesic, Anti-cancer.

1. Introduction

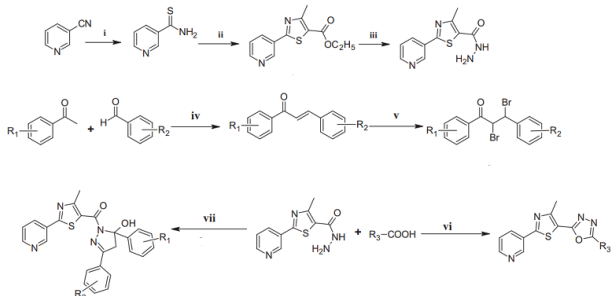
A. Oxadiazole

Oxadiazole is a heterocyclic aromatic compound of molecular formula C₂H₂N₂O. It is a five membered ring consisting of 2 nitrogen atoms, 2 carbon atoms, 1 oxygen atom and 2 double bonds. There are 4 isomers of oxadiazole as shown in figure below.



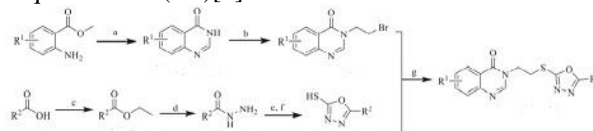
Fig. 1. 1,2,3-oxadiazole 1,2,4-oxadiazole 1,2,3-oxadiazole 1,3,5-oxadiazole Methods for synthesis of oxadiazole

Rnggappa *et al* have reported the Design, synthesis, and pharmacology of some oxadiazole and hydroxyl pyrazoline hybrid s bearing thiazoyl scaffold: antiproliferative activity, molecular docking and DNA binding studies [1].

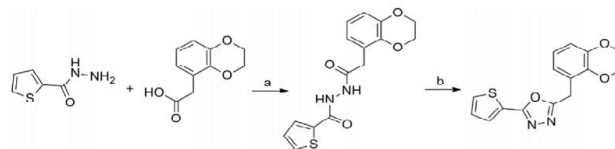


Xiaobin *et al* reported of a novel series of (2-((5-(4-

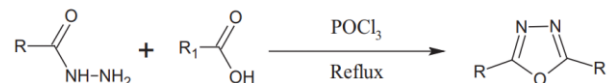
Chlorophenyl)-1,3,4-oxadiazol-2-yl)thio) ethyl)-6 chloroquinazolin-4(3H)[3].



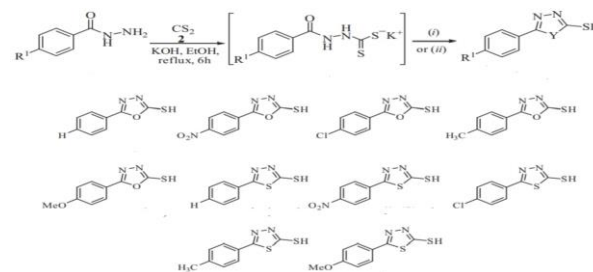
Maria *et al* have reported the synthesis of novel 3-Acetyl-5-(4-acetoxyphenyl)-2-(5-nitrothiophen-2-yl)-2,3-dihydro-1,3,4-oxadiazole derivatives on the benzene fused ring.[4]



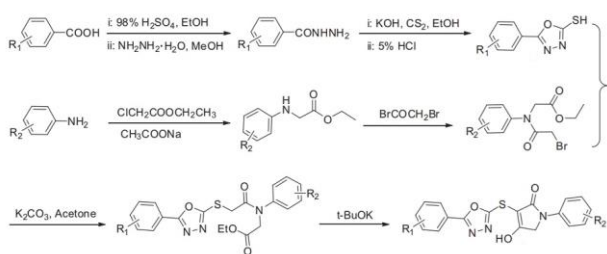
Nida N. *et al* have reported the facile one-pot synthesis of novel 2,5-disubstituted-1,3,4-oxadiazoles under conventional and microwave conditions [5].



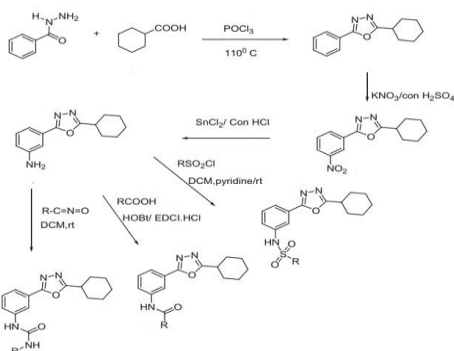
Synthesis of 2-aryl-5-(arylselenenylmethylthio)-1,3,4-oxadiazoles/ thiadiazoles. Derivatives was reported. Andre *et al* [7].



Pei-Hi Wang *et al* reported of Synthesis and bioactivities of 1-aryl-4-hydroxy-1H-pyrrol-2(5H)-one derivatives bearing 1,3,4-oxadiazole moiety [9].

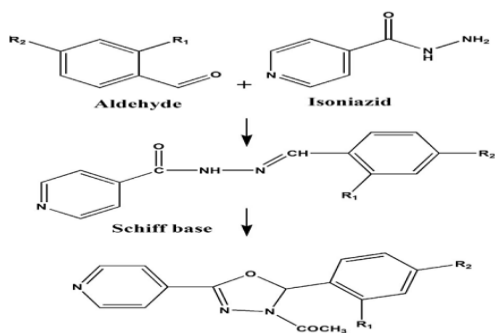


Kavitha Selvaraj *et al* have reported for the synthesis of various derivative of N-[3-(5-Cyclohexyl-[1,3,4] oxadiazol-2-yl)-phenyl]-4-methyl-benzamide. [10]

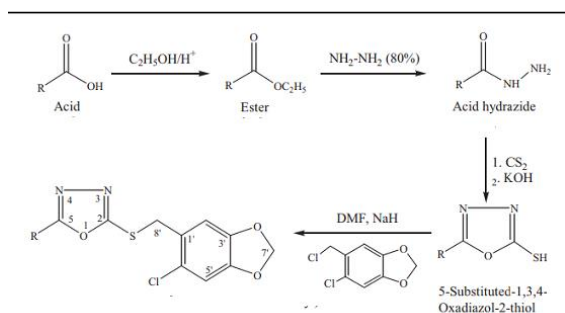


A.H. Shridhar *et al* (2016). Synthesis of Bis alkyl 1,3,4-oxadiazole incorporated azo dye derivatives [11].

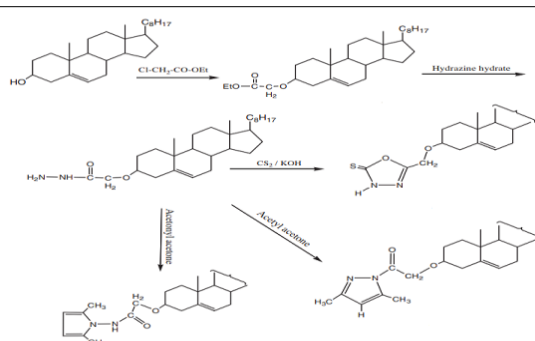
A Novel Series of 2,5-disubstituted-1,3,4-oxadiazole derivatives have been reported by Neena M. *et al*. [12]



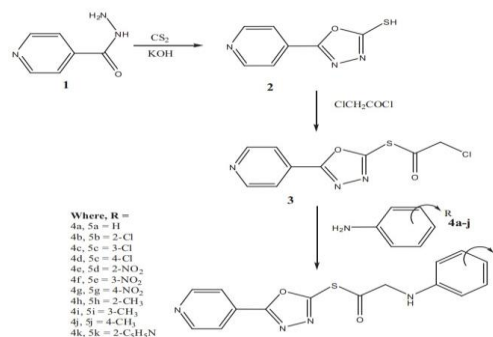
Aziz-ur Rehman *et al* have reported of Synthesis of some new 5-substituted-2-((6-chloro-3,4-methylenedioxyphenyl) methylthio)-1,3,4-oxadiazole derivative [13].



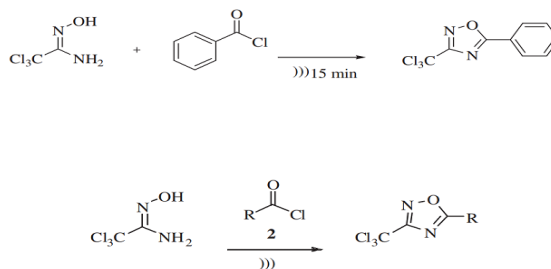
Shamsuzzaman *et al*. (2015) have been reported of various derivatives of 3b-[30,50-Dimethyl pyrazole-1-yl] carbonylmethoxycholest-5-ene. [14]



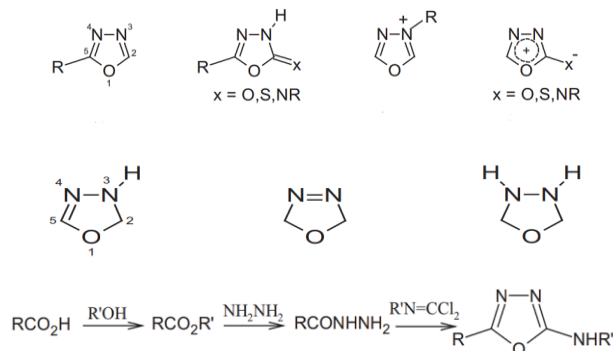
Jignesh P. *et al* have reported a series of 2-(4-pyridyl)-5-[(2-phenylamino)-1-oxoethyl] thio-1,3,4-oxadiazole derivatives [15].

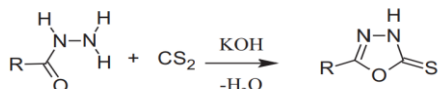


Iixandra C. *et al* have been reported the synthesis of 3-trichloromethyl-5-alkyl(aryl)-1,2,4-oxadiazoles derivatives under ultrasound irradiation [27].

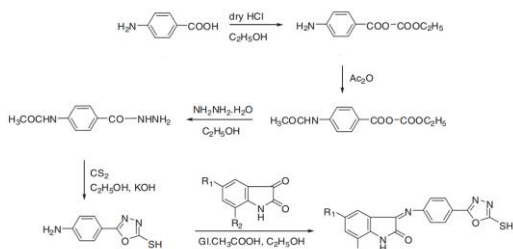


Adil *et al*. 1,3,4-Oxadiazole, 1,3,4-thiadiazole and 1,2,4-triazole derivatives [17].

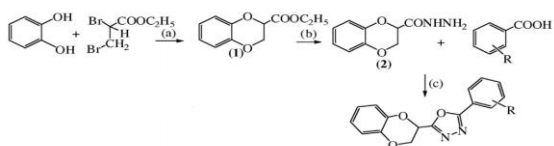




Rajyalakshmi Gudipati *et al* (2011) [19].

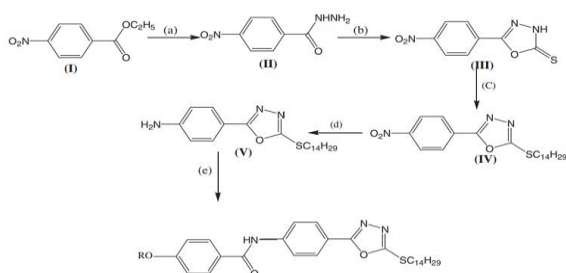


Habibullah Khalilullah *et al.* have reported the synthesis of various derivatives of 2-(4Aminophenyl)-5-(2,3-dihydro-1,4-benzodioxane-2-yl)-1,3,4-oxadiazole strain [20].

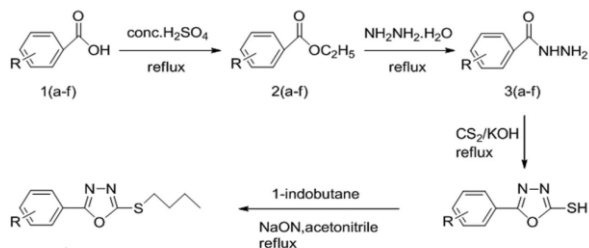


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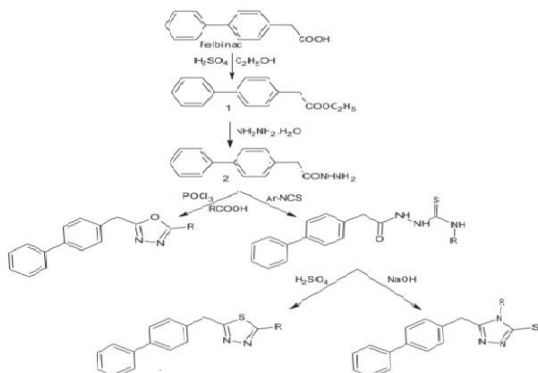
Modi *et al* have reported the synthesis of novel 5-(4-Aminophenyl)-2-n-tetradecylthio-1,3,4-oxadiazole [22].



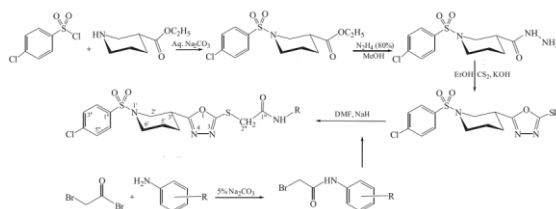
Rashmin khanam *et. al.* gives the antioxidants and anticancer activity [25].



Shah alam khan *et.al* have reported the Synthetic protocol for the 1,3,4 oxadiazole, 1,3,4 thiazole and 1,2,4 triazole derivatives of felbinac [24].



Khadija Nafesa *et. al.* have reported the synthesis of N-substituted derivatives of 5-{1-[(4-chlorophenyl)sulfonyl]-3-piperidinyl}-1,3,4-oxadiazol-2-yl-2-sulfanyl acetamide [37].



2. Pharmacological activities

Some derivatives of 1,2,4-oxadiazole have Antimicrobial effect, antibacterial effect and anti-cancer and show high efficacy as agonists and antagonists for different receptors.

Table 1
Fungicides activity

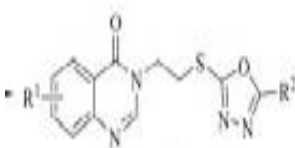
S.no.	Compound	derivatives	Reference
		R1 R2	Xiaobin et.al.[3]
6a		H CIPhCH2	
6b		H 2-MePhCH2	
6c		H 4-FPhCH2	
6d		6,7-di-OMe 4-FPhCH2	
6e		6-Cl 4-FPhCH2	
6f		H 4-CIPhOCH2	
6g		6-Cl 4-CIPhOCH2	
6h		6,7-di-oMe 4CIPhOCH2	
6i		8-Me 4-CIPhOCH2	
6j		H 4-FPhOCH2	
6k		6,7-di-OMe4-FPhOCH2	
6l		6-Cl 4-FPhOCH2	
6m		8-Me 4-FPhOCH2	
6n		H PhOCH2	
6o		H 4-NO2Ph	
6p		C-Cl 4-NO2Ph	
6q		H 3,4,5-Tri-OMePh	
6r		H 4-CIPh	
6s		6,7-di-OMe 4-CIPh	
6t		8-Me 4-CIPh	
6u	H furan-2-yl		
6v	6-Cl furan-2-yl		
6w	6-Cl 4-CIPh		

Table 2
Antimicrobial activity

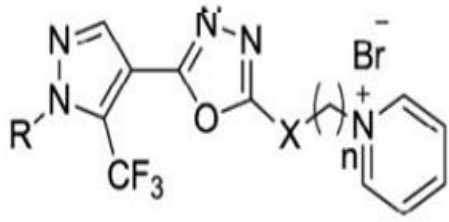
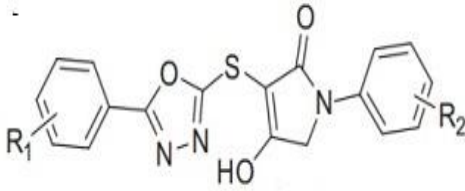
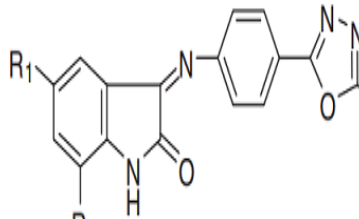
a		<p>I-n R X Ph S n=3,4,6,8,10,12 II-n CH3 S n=8,10,12 III-n Ph o n=8,10,12 IV-n CH3 O n=8,10,12</p>	Lei zhou[8]
a		<p>R1 R2 8a H H 8b H CH3 8c H 4-Cl 8d 3-CH 3O H 8e 3-CH3O 4-CH3 8f CH3O 4-F 2- Cl H 8g 2-Cl 4-och3 8h 2-Cl 4-F 8i 3-Cl 4-OCH3 8j 3-Cl 4-F 8k 3-Cl , 4-F 8 l 4-Cl , H 8m 4-Cl ,4-CH3 8n :4-C 1,4-F 8o : 4-Cl,= 4-Cl 8p : 4-F, H 8q :4-F ,4-CH 8r :4-F ,4-F 8s: 4F ,4-Cl</p>	Pei-yiwang et.al.[9]

Table 3
Anticancer activity

s.no	Compound	Derivatives			Reference
		R1	R2	Derivatives	
b.		Via	H	H	Rajyalakshmi et.al.[19]
		VIb	F	H	
		Vic	Cl	H	
		VId	Br	H	
		Vie	CH3	H	
		VI f	NO2	H	
		VIg	CooH	H	
		VII	H	Cl	
		VIj	H	NO2	
		VIk	H	CH3	
		VII	H	COOH	
		VIM	H	COOCH3	

3. Conclusion

This paper presented a review on substituted 1,3,4-oxadiazole and its biological activities.

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