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# BIOLOGICAL ACTIVE BENZOFURAN ANALOGS: A REVIEW

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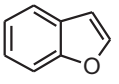
**ABSTRACT:**

Benzofuran derivatives constitutes a major class of heterocyclic compounds. The broad spectrum of biological active benzofuran shows that these compounds are of much interest. Benzofuran covers both physiological and medicinal compounds. In this article benzofuran have been investigated in the development of novel compounds with anti-inflammatory, antimicrobial, antibacterial, antifungal, enzyme inhibition, antioxidant, Alzheimer disease etc. Furthermore some clinically approved drugs are covered which contain benzofuran ring.

**KEYWORDS:**Benzofuran, Biological activity, Anti-inflammatory, Antimicrobial.

**INTRODUCTION:**

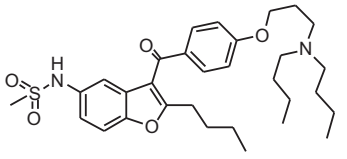
Heterocyclic compounds occupy a central position in organic chemistry -[1-3] In this benzofuran is considered as important biological active natural products as well as pharmaceuticals.[4] Benzofuran1 is a fused bicyclic compound containing benzene and furan ring. It is colourless liquid obtained in manufacturing of coal into coal tar. These compounds are integral part of life science. This heterocyclic system has emerged as strong scaffolds for many bioactive evaluations.[5]



1

Benzofuran moiety containing compounds play an important biological role in design and discovery of new pharmacologically active compounds[6]. Many of clinically approved drugs which are naturally occurring or synthetic substituted benzofuran derivatives containing fused fusedbenzofuran ring with other heterocycles shows biological significance like dronedarone(antiarrhythmic agent)2, trioxalen(photosensitizer)3, vilazodone(antidepressant)4, psoralen(vitiligo)5, citalopram(antidepressant)6, benzbromarone(treatment of gout)7, 6-APB(psychoactive drug)8 and many more with their significant pharmacological activities[7]. Compounds having heterocyclic ring often exhibits improved salt formation properties and solubilities, which are known important for oral absorption[8]. Benzofuran containing compounds displays

potent bioactive properties including analgesic[9] , antitumor[10] , antiparasitic[11] , antihyperglycemic[12], oxidant[13] , in agriculture[14], antiviral, antifeedant activities[15].



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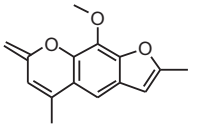

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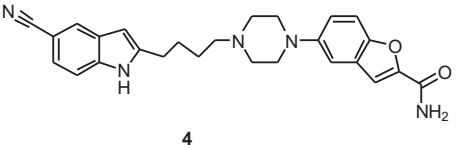

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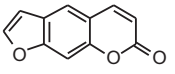

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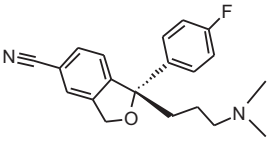

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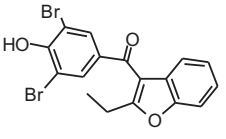

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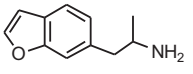


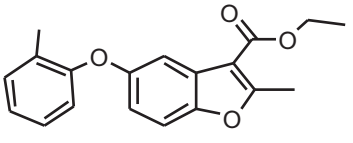
8

Benzofuran can be synthesized by using substituted 1-allyl-2-allyloxybenzene using Ru-catalyst[16] . Also benzofuran synthesized by simple route containing salicylaldehyde with chloroacetic acid and then reflux with acetic anhydride[17]. Using Sonogashira coupling, benzofuran synthesized by o-iodoanisole and terminal alkynes[18] via electrophilic cyclization.

## BIOLOGICAL ACTIVITY OF BENZOFURAN ANALOGS

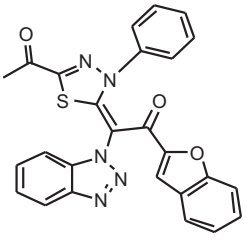
### Anti-inflammatory Activity

Yadav et al.[19] synthesized benzofuran carboxylic acid ester9 for the estimation of anti-inflammatory activity.



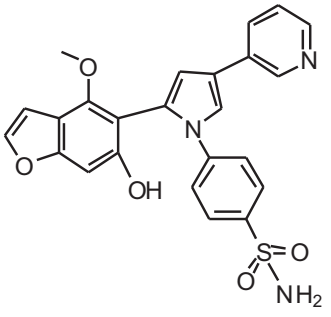
9

Dawood et al.[20] synthesized benzofuran-triazole/thiodiazole derivatives10 and screened for their anti-inflammatory activity.



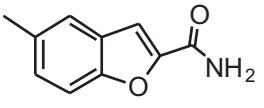
10

Hassan et al.[21] synthesized benzofuran-pyrazole derivatives11 as efficacious anti-inflammatory activity.



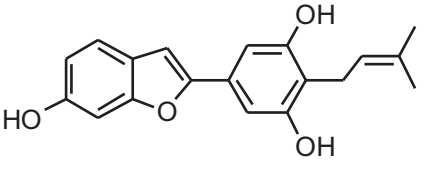
**11**

Xie et al.9synthesized benzofuran-2-carboxamide derivatives12 and discussed their anti-inflammatory activity.

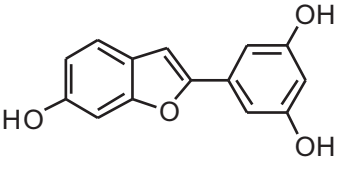


**12**

Tan et al.[22] reported the anti-inflammatory activity for the Moracin family. Here best activity showed by Moracin C13 and Moracin M14.

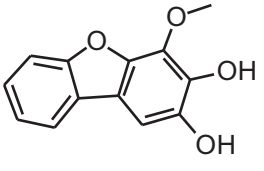


**13**



**14**

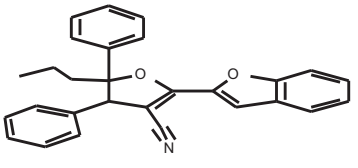
Chen et al.[23] evaluated some dibenzofuran compounds for their anti-inflammatory activity. Compound 15 showed good activity.



**15**

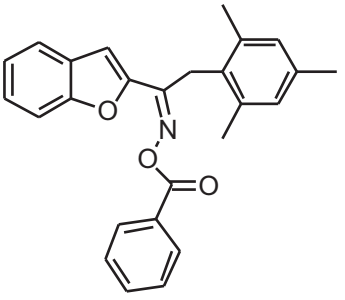
Antimicrobial Activity

Logoglu et al.[24] synthesized a series of furan-benzofuran derivatives16 for their antimicrobial activity.



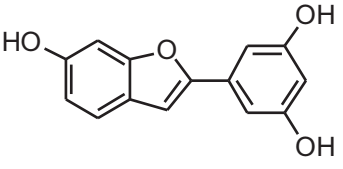
**16**

Kirilmis et al.[25] synthesized a novel class of mesitylene substituted benzofuran17 for their antimicrobial activity.



**17**

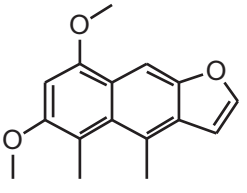
Fukai et al.[26] evaluated some substituted phenol-benzofuran containing compounds18 for their antimicrobial activity.



**18**

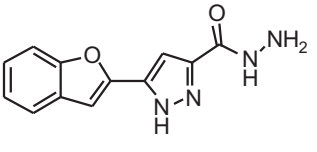
Antibacterial Activity

Liu et al.[27] synthesized a series of three novel compounds from thirteen known compounds and are evaluated for their antibacterial activity. Compound 19 showed best activity.



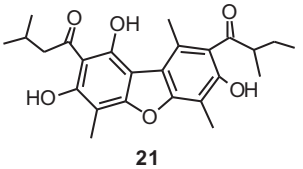
**19**

Abdel-Wahad et al.[28] synthesized 3-substituted-5-(benzofuran-2-yl)-pyrazole derivatives20 for the estimation of antibacterial activity.



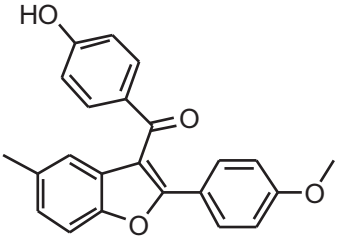
**20**

Sargent et al.[29] synthesized dibenzofuran compound named rhodomyrtoxin C21 as efficacious antibacterial activity.



**21**

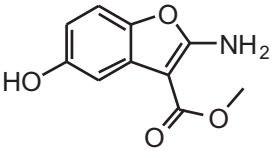
Jiang et al.[30] synthesized aryl substituted benzofuran derivative22 with methanone linkage and screened for their antibacterial activity.



**22**

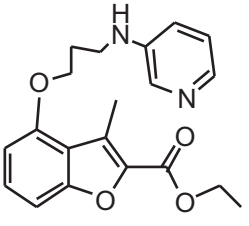
Antifungal Activity

Ryu et al.[31] synthesized a series of novel benzofuran-5-ols analogues23 as efficacious antifungal activity.



**23**

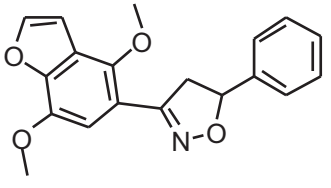
Hirosato et al.[32] synthesized benzofuran-pyridene derivatives24 for the evaluation of antifungal activity.



**24**

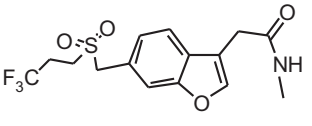
Enzyme Inhibitor

Ahmad et al.[33] synthesized benzofuranisoxazoline derivatives25 and estimated for protein tyrosine phosphatases 1B inhibitory activity.



**25**

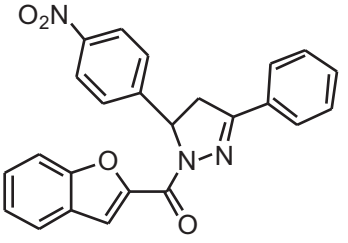
Pisani et al.[34] synthesized 6-sulfonyloxy brnzofuran derivatives26 and screened for the monoamineoxidaseB(MAO-B) inhibitory activity.



**26**

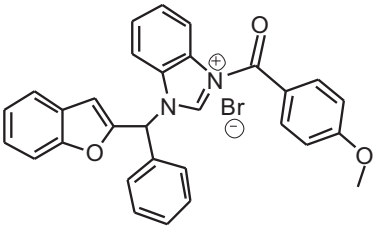
Anticancer Activity

Parekh et al.[35] synthesized benzofuran-pyrazole derivatives. Compound 27 showed very good anticancer activity.



**27**

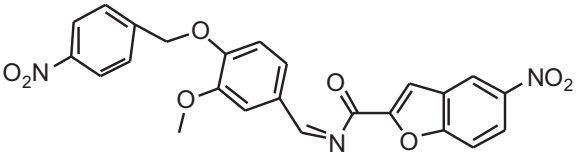
Wang et al.[36] synthesized 2-benzylbenzofuran derivatives28 with imidazole and evaluated for their anticancer activity.



**28**

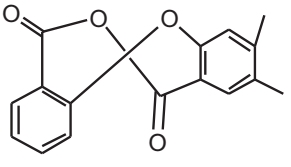
Antiviral Activity

Takaya et al.[37] synthesized six benzofuran derivatives which were evaluated for hepatitis C virus. Compound 29 showed remarkable antiviral activity.



**29**

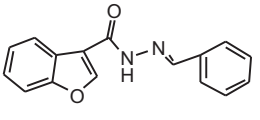
Malpani et al.[38] synthesized spirobenzofuran-isobenzofuran derivatives30 and evaluated for their antiviral activity.



**30**

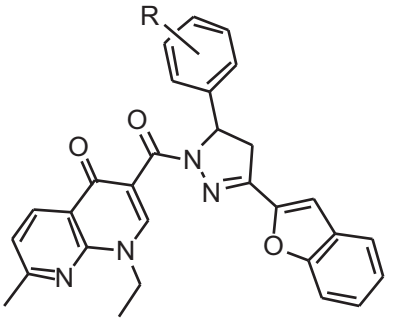
Antitubercular Activity

Telveka et al.[39] syntheised benzylidene benzofuran-3-carbohydrazide derivatives and compound 31 showed antitubercular activity.



**31**

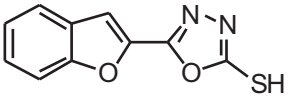
Manna et al.[40] synthesized benzofuran-pyrazolyl-naphthyridin derivatives32 and tested for their antitubercular activity.



**32**

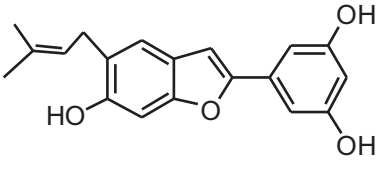
Antioxident Activity

Javali et al.[41] synthesized some benzofuran-oxadiazole derivatives33 and evaluated for their antioxidant activity.



**33**

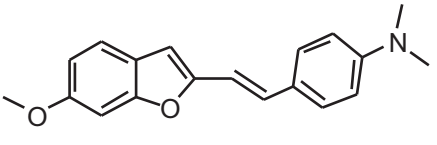
Sharma et al.[42] reported that Moracin C13 and Moracin N34 showed very good antioxidant activity.



**34**

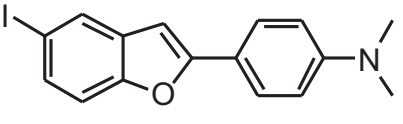
Alzheimer Disease

Byun et al.[43] synthesized novel series of aminostyrylbenzofuran derivatives35 and evaluated for their Alzheimer disease.



**35**

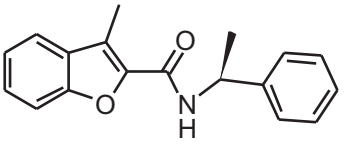
Onoa et al.[44] synthesized iodine containing benzofuran derivatives36 and screened for their Alzheimer disease.



**36**

Analgesic and Antipyretic Activity

Xie et al.9synthesized benzofuran-2-carboxamide analoguesas efficacious analgesic37 and antipyretic38activity.



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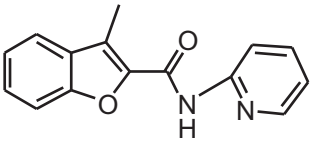
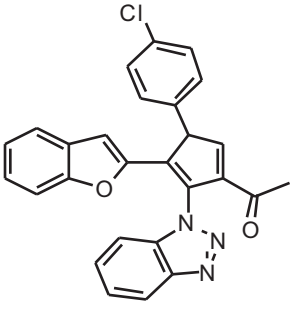


38

Santana et al.[45] synthesized benzotriazole-benzofuran derivatives39 and screened for their analgesic activity.



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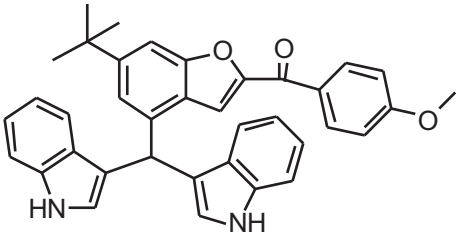
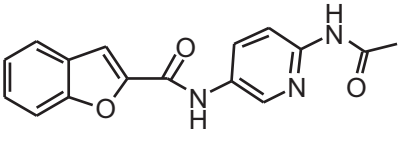
Miscellaneous

Sashidhara et al.[46] synthesized different benzofuranebisindole derivatives and compound 40 showed good antihyperlipidemicactivity.



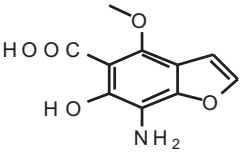
40

Hranjec et al.[47] synthesized novel heteroaromatic benzofuran-2-carboxamide derivative with amino substituted N-acetamidopyridyl. Compound 41 showed remarkable antitumor activity.



41

Hassan et al.[48] synthesized benzofuran derivative42 for their anti-ulcerogenic effect in ulcerative colitis.



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## CONCLUSION

In this review, I have described the benzofuran analogs bioactivity.Benzofuran ring system having various substituents at position-2 is widely spread in nature. There are various clinically approved drugs in market. Also dibenzofuran is regarded as promising class of biologically active heterocyclic compound. Therefore, benzofuran nucleus appears a very interesting scaffold in the drug discovery. A lot of publications have been reported on benzofuran derivatives to have anti-inflammatory, antimicrobial, antibacterial, antifungal, enzyme inhibition, anticancer, antitubercular, antioxidant, Alzheimer, analgesic and antipyretic activity. From all these activities it is proved that benzofuran scaffold has great role in medicinal science.

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