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Mini Review

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# Mini review on important biological properties of benzofuran derivatives

## Abstract

Benzofuran derivatives are an important heterocyclic compounds that are possess vital biological activities such as antidepressant, anticancer, antiviral, antifungal, antioxidant, anti-psychotic etc. Substituted benzofurans also posses other applications such as fluorescent sensor, antioxidants, oxidant, brightening agents and in other field of chemistry and agriculture. Benzofurans presents in various natural products with various physiological, pharmacological and toxic properties.

**Keywords:** Benzofuran derivatives; Heterocyclic compounds; Biological properties; Antidepressant; Anticancer; Antiviral; Antifungal; Antioxidant; Anti-psychotic

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## Introduction

Benzofurans nucleus presents in various synthetic as well as natural compounds and have diverse biological activities and their potential applications as pharmacological agents.[1] Several benzofuran containing various substituents at the C-2 position are extensively presented in natural products. There are well known natural benzofuran compounds, which are isolated from *Krameria ramosissima, Machilus glaucescens, Ophryosporus lorentzii, Ophryosporus charua*and *Zanthoxylum ailanthoidol*. The most acknowledged benzofurans are *amiodarone, ailanthoidol*and *bufuralol*. *Ailanthoidol* is a neolignan with a 2-arylbenzofuran skeleton, was isolated from the *Zanthoxylum ailanthoides*. The neolignans and lignans are having various types of biological activities like immune-suppressive, anticancer, antiviral, antioxidant, antifeedant and anti-fungal activities. *Amiodarone*is a highly effective antiarrhythmic agent.[2] The 2-substituted benzofurans have received a great interest for their anti-HIV,[3] anticancer and anti-microbial[4–7] activities. The derivatives of keto benzofuran are useful in medicines, like amiodarone and benziodarone, mainly for the treatment of pathological syndromes of the cardio-vascular disorders, like arrhythmia.[8] Some benzofurans were possessing anticonvulsant and anti-inflammatory activities. Some amino-benzofurans are exhibited antiarrhythmic activity.[9] The most renowned benzofurans are amiodarone, angelicin, xanthotoxin, bergapten, nodekenetin and usnic acid compounds[1,10] (Figure 1).

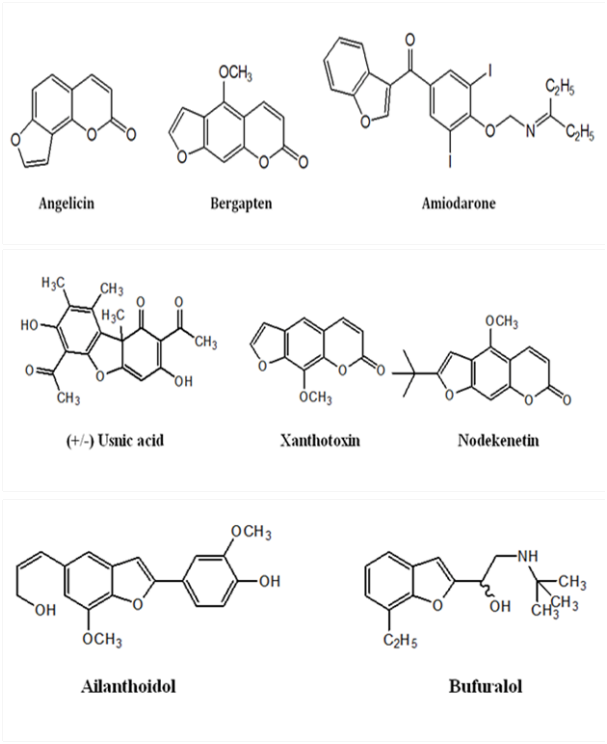



**Figure 1** Benzofuran containing some drug molecules.

### Chemistry of benzofuran

The benzene ring is fused with five member furan ring and formed bicyclic ring benzofuran or coumarone.

### Synthesis of benzofuran

Benzofuran was first prepared from coumarin with name coumarone. The intermediate 3,4-dibromo-3,4-dihydrocoumarin with KOH leading to benzofuran by PERKIN rearrangement (Figure 2 & 3).[11]

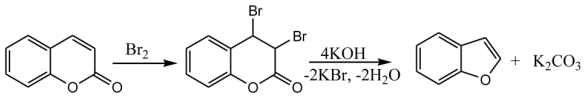



**Figure 2** The thermal cyclodehydration of 2-alkylphenols leads to 2-alkylbenzofurans.

### Important examples of drugs which contain benzofuran moiety

It has been reported that Benzofuran derivatives possess a variety of biological activities such as anticancer, antiviral, immunosuppressive, antioxidant, anti-fungal and other useful activities.

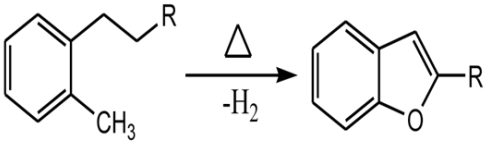
**Antifungal agents:** Griseofulvin is an antifungal drug (Figure 4).

**CNS stimulant agents:** The 5-APDB (5-(2'-aminopropyl)-2, 3-dihydrobenzofuran) and 6-APDB (6-(2'-aminopropyl)-2,3-dihydrobenzofuran) is a reputed entactogen drug of the phenethylamine and amphetamine classes (Figure 5 & 6).

**Anti-arrhythmic agents:** Amiodarone is an anti-arrhythmic agent used for both ventricular and supraventricular arrhythmias.

Dronedarone is mainly used for the indication of cardiac arrhythmias (Figure 7 & 8).



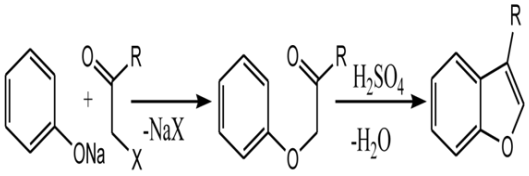




**Figure 3** Benzofurans are available by reaction of phenolates with halo ketones pursued by cyclodehydration with $H_2SO_4$, polyphosphoric acid or zeolites.

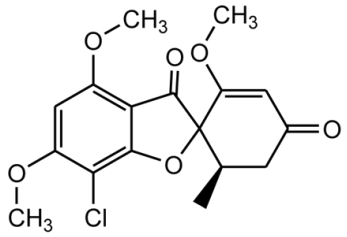



**Figure 4** Griseofulvin.

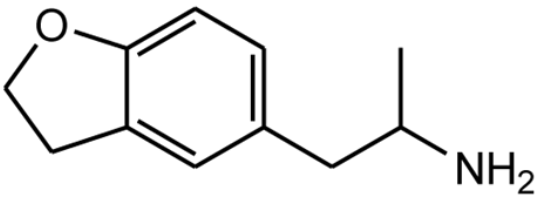



**Figure 5** 5-APDB.

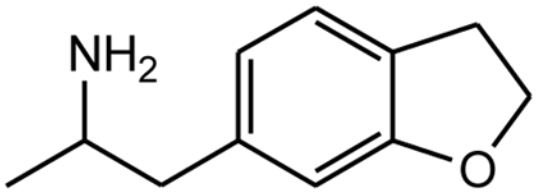



**Figure 6** 6-APDB.

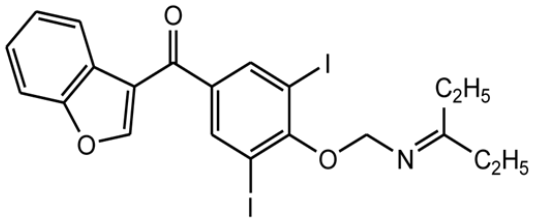



**Figure 7** Amiodarone.

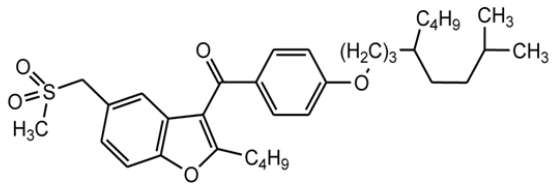



**Figure 8** Dronedarone.

**Antihypertensive agents:** Benziodarone and Cloridarol are vasodilators (Figure 9 & 10)**.**

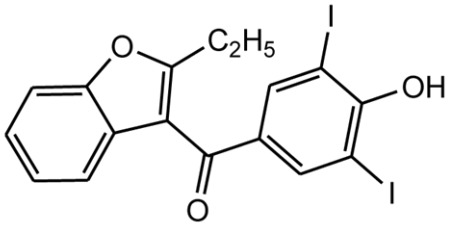



**Figure 9** Benziodarone.

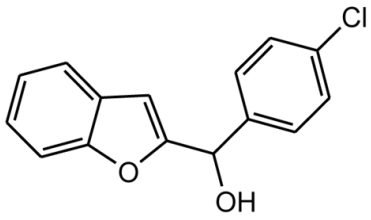



**Figure 10** Cloridarol**.**

**Serotonin receptors agonist:** Dimemebfe is an agonist of the 5-$HT_1$A and 5-$HT_2$ serotonin receptors (Figure 11).

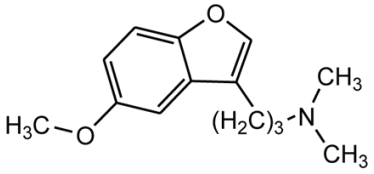



**Figure 11** Dimemebfe.

**$\alpha_2$-adrenergic antagonist:** Efaroxan is a $\alpha_2$-adrenergic antagonist (Figure 12).

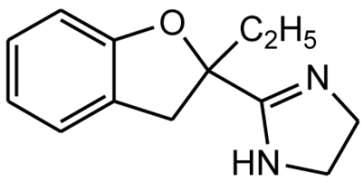



**Figure 12** Efaroxan.

**Antipsychotic agents:** Elopiprazole is a phenylpiperazine class drug and have antipsychotic activity (Figure 13).

**Anti-gout agent:** Benzbromarone is a uricosuric agent used for the treatment of gout, mainly when first-line treatment (by use of allopurino) fails or produces intolerable adverse effects (Figure 14).

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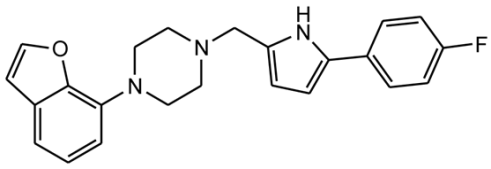


**Figure 13** Elopiprazole.

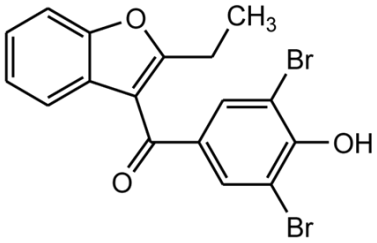


**Figure 14** Benzbromarone.

**Antidepressant agent:** Vilazodone is an antidepressant and used for the treatment of mental depressive disorders (Figure 15).

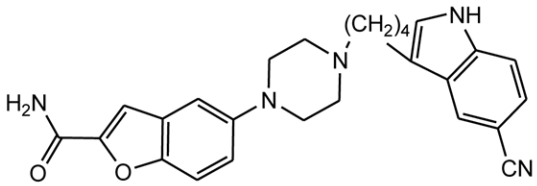


**Figure 15** Vilazodone.

**Muscles relaxant agent:** TC-5619 is acts as a partial agonist at the α7 subtype of the neural nicotinic acetylcholine receptors (Figure 16).

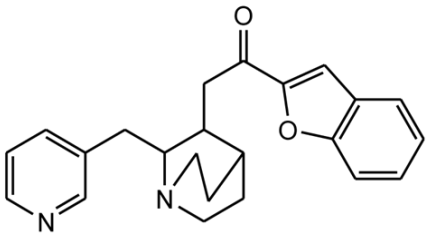


**Figure 16** TC-5619.

## Conclusion

The importance of benzofurans justifies the constant efforts directed toward the improvement of new, selective, and competent production of these heterocyclic compounds.

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## Conflicts of interest

The authors declare no conflict of interest.

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