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

Mini Review

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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).

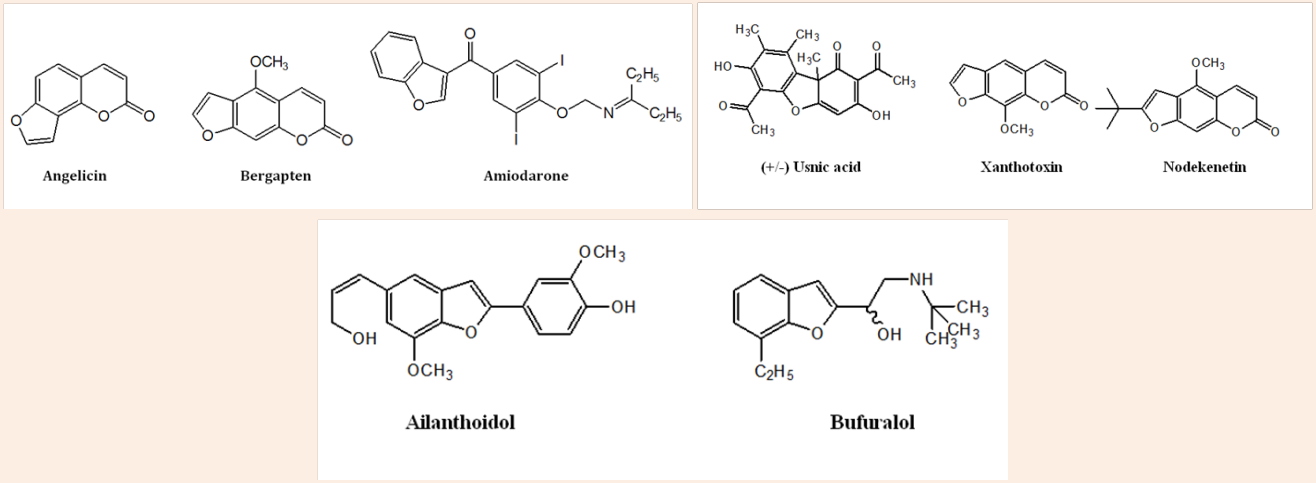

Figure 1: Benzofuran containing some drug molecules.

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 [11] (Figure 2 & 3).

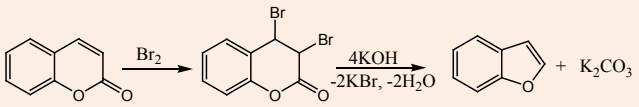

Figure 2: The thermal cyclodehydration of 2-alkylphenols leads to 2-alkylbenzofurans.

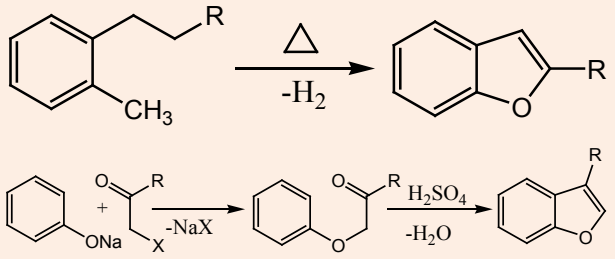

Figure 3: Benzofurans are available by reaction of phenolates with halo ketones pursued by cyclodehydration with H_2SO_4, polyphosphoric acid or zeolites.

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).

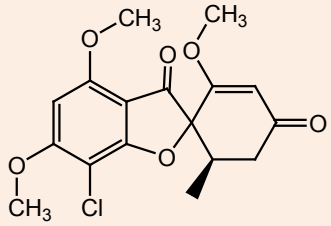

Figure 4: Griseofulvin.

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).

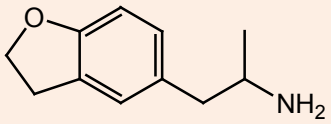

Figure 5: 5-APDB.

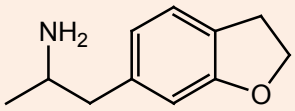

Figure 6: 6-APDB.

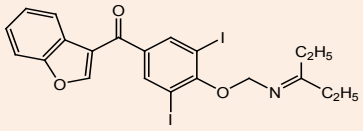

Figure 7: Amiodarone.

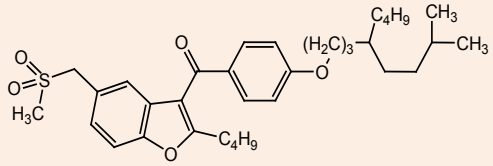

Figure 8: Dronedarone.

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

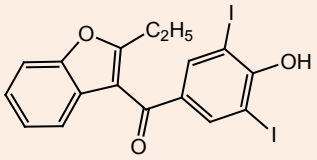

Figure 9: Benziodarone.

Serotonin receptors agonist: Dimemebfe is an agonist of the 5-HT_1A and 5-HT_2 serotonin receptors (Figure 11).

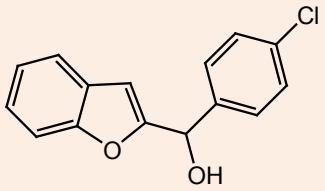

Figure 10: Cloridarol.

α_2-adrenergic antagonist: Efaroxan is a α_2-adrenergic antagonist (Figure 12).

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

Anti-gout agent: Benzbromarone is a uricosuric agent used for

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the treatment of gout, mainly when first-line treatment (by use of allopurino) fails or produces intolerable adverse effects (Figure 14).

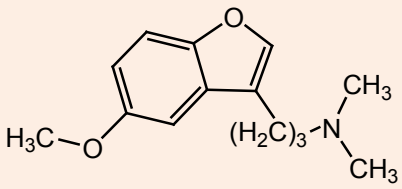

Figure 11: Dimemebfe.

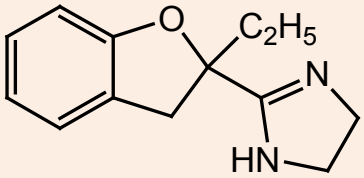

Figure 12: Efaroxan.

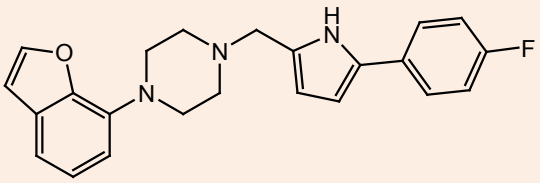

Figure 13: Elopiprazole.

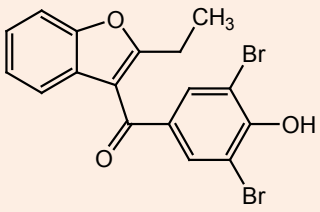

Figure 14: Benzbromarone.

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

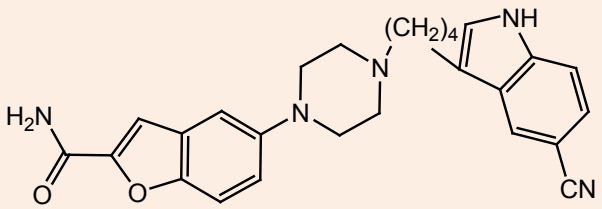

Figure 15: Vilazodone.

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

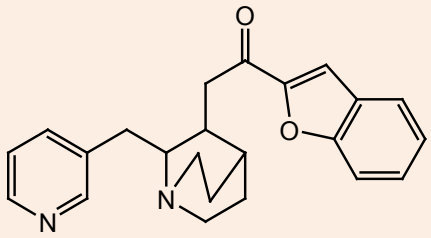

Figure 16: TC-5619.

Conclusion

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

References

1. Nguyen NT, Slone J, Wooldridge J, Smith BR, Reavis KM, et al. (2009) Minimally invasive esophagectomy without the use of postoperative nasogastric tube decompression, Am Surg 75(10): 929-931.
2. Aly A, Jamieson GG (2004) Reflux after oesophagectomy, Br J Surg 91(2): 137-141.
3. Lee HS, Kim MS, Lee JM, Kim SK, Kang KW, et al. (2005) Intrathoracic gastric emptying of solid food after esophagectomy for esophageal cancer, Ann ThoracSurg 80(2): 443-447.
4. Fok M, Cheng SW, Wong J (1991) Pyloroplasty versus no drainage in gastric replacement of the esophagus, Am J Surg 162(5): 447-452.
5. Kao CH, Chen CY, Chen CL, Wang SJ, Yeh SH (1994) Gastric emptying of the intrathoracic stomach as oesophageal replacement for oesophageal carcinomas, Nucl Med Commun15(3): 152-155.
6. Cerfolio RJ1, Bryant AS, Canon CL, Dhawan R, Eloubeidi MA (2009) Is botulinum toxin injection of the pylorus during Ivor-Lewis esophagogastrectomy the optimal drainage strategy? J Thorac Cardiovasc Surg 137(3): 565-572.
7. Nguyen NT, Dholakia C, Nguyen XM, Reavis K (2010) Outcomes of minimally invasive esophagectomy without pyloroplasty: analysis of 109 cases, Am Surg 76(10): 1135-1138.
8. Zieren HU, Muller JM, Jacobi CA, Pichmaier L (1995) Should a pyloroplasty be carried out in stomach transposition after subtotal esophagectomy with esophago-gastricanastomosis at the neck? A prospective randomized study, Chirurg 66(4): 319-325.
9. Martin JT, Federico JA, Mckelvey AA, Kent MS, Fabian T (2009) Prevention of Delayed Gastric Emptying After Esophagectomy: A single Center's experience With Botulinum Toxin, Ann Thorac Surg 87(6): 1708-1713.
10. Blasi J, Chapman ER, Link E, Binz T, Yamasaki S, et al. (1993) Botulinum toxin A selectively cleaves the synaptic protein SNAP-25, Nature 365(6442):160-163.
11. MacKenzie I, Burnstock G, Dolly JO (1982) The effects of purified botulinum Neurotoxin type A on cholinergic, adrenergic and non-adrenergic, atropine resistant autonomic neuromuscular transmission, Neuroscience 7(4): 997-1006.
12. Pasricha PJ, Ravich WJ, Hendrix TR, Sostre S, Jones B, et al. (1995) Intrasphincterictbotulinum toxin for the treatment of Achalasia, N Engl J Med 332(12): 774-778.

13. Vaezi MF, Richter J E, Wilcox C M, Schroeder P L, Birgisson S, et al. (1999) Botulinium toxin versus pneumatic dilatation in the treatment of Achalasia: a randomized trial, Gut 44(2): 231-239.

14. Ezzedine D, Jit R, Katz N, Gopalswamy N, Bhutani MS (2002) Pyloric injection of botulinum toxin for treatment of diabetic gastroparesis, Gastrointest Endosc 55(7): 920-923.

15. Miller LS, Szych GA, Kantor SB, Bromer MQ, Knight LC, et al. (2002) Treatment of idiopathic gastroparesis with injection of botulinum toxin into the pyloric sphincter muscle, Am J Gastroenterol 97(7): 1653-1660.

16. Kent MS, Pennathur A, Fabian T, McKelvey A, Schuchert MJ, et al. (2007) A pilot study of botulinum toxin injection for the treatment of delayed gastric emptying following esophagectomy, Surg Endosc 21(5): 754-757.

17. Palmes D, Weilinghoff M, Colombo-Benkmann M, Senninger N, Bruewer M (2007) Effect of pyloric drainage procedures on gastric passage and bile reflux after esophagectomy with gastric conduit reconstruction, Langenbecks Arch Surg 392(2): 135-141.

18. Ong GB, Kwong KH (1969) The Lewis-Tanner operation for cancer of the oesophagus, J R Coll Surg Edinb 14(1): 3-19.

19. Mannell A, Mcknight A, Esser JD (1990) Role of pyloroplasty in the retrosternal stomach: results of a prospective, randomized, controlled trial, Br J Surg 77(1): 57-59.

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