Chapter 7
Bioactive Five-Membered Heterocycles With Two Heteroatoms Fused With a Benzene Ring (a) Benzimidazole

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ABSTRACT

Heterocyclic compounds play a crucial role in medicinal chemistry, serving as key components in the development of pharmacologically active molecules. The therapeutic promise of many synthesized drugs can be attributed to their heterocyclic scaffolds, wherein even minor modifications in the heterocyclic structure can significantly impact the drug's efficacy. Among these, benzimidazoles are particularly significant. These class of compounds comprises a combination of the aromatic benzene ring and an imidazole ring. A significant natural form of benzimidazole found in nature is N-ribosyl-dimethyl benzimidazole, which plays a crucial role in coordinating to the cobalt metal in vitamin B12. Extensive biochemical and pharmacological research has demonstrated that benzimidazoles are highly effective against various strains of microorganisms. Furthermore, they have exhibit a broad spectrum of biological activities, including anti-inflammatory, anticancer, antihistamine, antimicrobial, antifungal, antioxidant, antidiabetic and antiviral activities.

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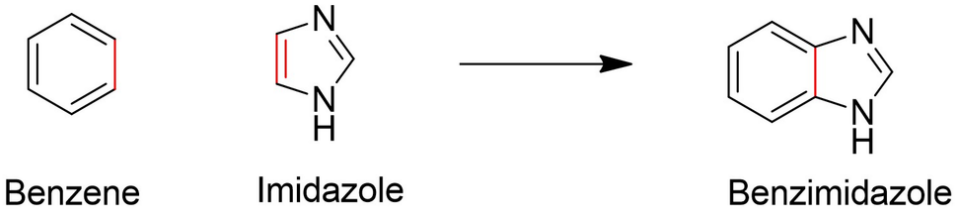
INTRODUCTION

Benzimidazole is an aromatic heterocyclic organic compound with the molecular formula $C_7H_6N_2$. Benzimidazoles are also referred to as benzoglyoxalines or benziminazoles.

Particularly in the early literature, they have also been identified as *o*-phenylenediamine derivatives (Wright, 1951).

The compound benzimidazole is a six-membered bicyclic hetero aromatic molecule in which the imidazole ring's 4- and 5-positions are fused to the benzene ring (Gaba and Mohan, 2016). Particularly the heterocycles containing nitrogen exhibits a wide variety of biological activities, partly because of their resemblance to numerous naturally occurring and artificially produced compounds with known biological activity (DeSimone *et al*., 2004).

Figure 1. Imidazole ring's 4- and 5-positions are fused to the benzene ring



Hoebrecker synthesized first benzimidazole in 1872 by reducing 2-nitro-4-methylacetanilide to 2,5(or 2,6) dimethylbenzimidazole (Wright 1951). In the 1950s, increased interest in benzimidazole-based chemistry emerged due to the discovery that 5,6-dimethyl-1-(α-D-ribofuranosyl) benzimidazole, which was a crucial component of vitamin B12 structure (Wright 1951; Barker *et al* 1960). The nitrogen atoms in the structure of imidazole and benzimidazole rings cause them to have basic and acidic properties. The hydrogen atom in these rings can be found on either of the two nitrogen atoms in one of two equivalent tautomeric configurations (Gaba and Mohan, 2016). It is advantageous for imidazole and benzimidazole derivatives to easily bind with a range of therapeutic targets and exhibit broad pharmacological actions because of the unique electron-rich property in the structure of these rings (Wright, 1951; Gaba *et al*., 2010; Bhatnagar *et al*., 2011; Ingle and Magar, 2011; Gaba and Mohan, 2016).

Derivatives of Benzimidazole have been linked to a wide range of biological activities with positive responses towards anti-viral, anti-oxidant, anti-cancer, anti-inflammatory, anti-urease, anti-fungal, anti-bacterial and proton pump inhibitor properties (Swami *et al*. 2017). Derivatives of benzomidazole have been shown to

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