Chapter 9
Synthetic Approaches and Medicinal Attributes of Benzotriazoles

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ABSTRACT

Benzotriazole represents a vital scaffold in the design of new pharmacologically active compounds. Its diverse biological activities and the potential for structural modification make it a promising candidate for future drug development endeavours. Continued research into its synthesis and SAR will further enhance its therapeutic potential. This chapter aims to provide a comprehensive overview of benzotriazole, focusing on its synthesis, biological activities, and potential applications in drug design. By exploring the historical development, modern synthetic methods, and the diverse biological activities of benzotriazole and its derivatives, we will highlight its significance in medicinal chemistry. The environmental and safety aspects of benzotriazole are also discussed, emphasizing the importance of sustainable and

DOI: 10.4018/979-8-3693-7267-8.ch009

safe practices in its use and development.

1. INTRODUCTION TO BENZOTRIAZOLE

Benzotriazole (BTA) is a fascinating five-membered heterocyclic compound comprising three nitrogen atoms within its ring structure, fused to a benzene ring. This bicyclic compound can be viewed as fused structure of aromatic benzene and triazole rings. This unique arrangement endows benzotriazole with a set of distinct chemical and biological properties, making it a subject of extensive research in various scientific fields, including medicinal chemistry, materials science, and environmental chemistry.[1] Chemically, benzotriazole exhibits exceptional stability, largely due to the delocalization of electrons across the aromatic system. This stability is complemented by its reactivity, allowing it to participate in various chemical reactions and form numerous derivatives. These derivatives often display enhanced biological activities compared to the parent compound, broadening the potential applications of benzotriazole in therapeutic contexts.[2] Biologically, benzotriazole has garnered attention for its broad spectrum of activities. It serves as a lead compound in the development of antimicrobial, antiviral, anticancer, and anti-inflammatory agents. Its ability to interact with multiple biological targets, coupled with its relative ease of synthesis and modification, makes benzotriazole a versatile and valuable scaffold in drug design. In addition, benzotriazole derivatives have found profound applications as corrosion inhibitors, UV filters, and materials for solar and photovoltaic cells. Recently, Bajaj *et al* reviewed the applications of benzotriazoles and its derivatives in medicinal chemistry and material chemistry.[3]

2. HISTORICAL PERSPECTIVE AND SIGNIFICANCE

The significance of benzotriazole in medicinal chemistry can be traced back to its initial discovery and subsequent studies that highlighted its potential as a bioactive molecule. Early research focused on its synthesis and basic chemical properties, laying the groundwork for later investigations into its biological activities. Over the years, advances in synthetic methods have enabled the production of a wide range of benzotriazole derivatives, each with distinct and potent bioactivities. The role of benzotriazole in drug development is underscored by its presence in various pharmaceuticals and its ongoing investigation in preclinical and clinical studies. Its structural simplicity, combined with the rich chemistry it offers, makes it an attractive starting point for the development of new therapeutic agents. Addition-