Chapter 5
Pharmacological Significance of 1,2,3–Triazoles

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ABSTRACT

Click chemistry is not a single specific reaction, but was meant to mimic nature, which also generates substances by joining small modular units. The 1,3-dipolar azide, alkyne cycloaddition (CuAAC) reaction catalyzed by copper, as nearly quantitative and easy to execute has emerged as the leading example of "click chemistry". Given the importance of the triazole scaffold in medicinal chemistry, its synthesis has attracted the attention of the drug discovery and development community. This book chapter will summarizes the major synthetic methods currently used for the preparation of triazole and pharmacological significance such as antifungal, antibacterial, antitubercular, anticancer, anti-inflammatory, antioxidant and many more properties will discussed. Furthermore, this book chapter will comprise the

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1. INTRODUCTION

Triazoles and the heterocyclic derivatives they are connected to have attracted a lot of attention recently because of their significance in bioactivity and synthetic processes. Due to their effective use in medicinal chemistry, azolic derivatives, such as thiazole, triazole, oxadiazole, and thiadiazole, are pharmacologically active compounds that have been the subject of substantial investigation for a range of biological purposes.[1]

Triazole is a heterocyclic ring containing two carbon atoms and three nitrogen atoms, having the chemical formula $C_2H_3N_3$. Compounds **1** and **2** are its two isomeric forms; it is sometimes referred to as pyrrodiazole (**Figure 1**).

Figure 1. Isomeric forms of triazoles

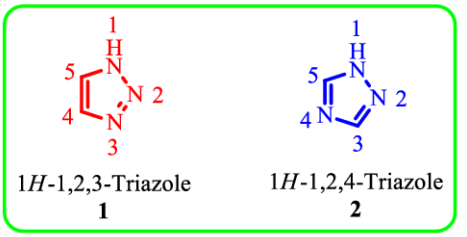

Figure 1. Isomeric forms of triazoles

1.1 Physical Properties

The parent 1*H*-1,2,3-triazole is a colorless liquid, highly soluble in water, with a density of 1.192, an mp of 23-25 °C, and a bp of 203 °C. In a solid state it exists as a 1:1 mixture of 1*H*- and 2*H*-tautomers. The dipole moment of a tautomeric mixture in benzene at 25 °C is 1.85 D. A pK_a of 1.17 of protonated 1*H*-1,2,3-triazole indicates that it is a weak base, while a conjugated base of 9.4 indicates that it is a weak acid.

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