



Review

Novel 1,2,4-Oxadiazole Derivatives in Drug Discovery

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Abstract: Five-membered 1,2,4-oxadiazole heterocyclic ring has received considerable attention because of its unique bioisosteric properties and an unusually wide spectrum of biological activities. Thus, it is a perfect framework for the novel drug development. After a century since the 1,2,4-oxadiazole have been discovered, the uncommon potential attracted medicinal chemists' attention, leading to the discovery of a few presently accessible drugs containing 1,2,4-oxadiazole unit. It is worth noting that the interest in a 1,2,4-oxadiazoles' biological application has been doubled in the last fifteen years. Herein, after a concise historical introduction, we present a comprehensive overview of the recent achievements in the synthesis of 1,2,4-oxadiazole-based compounds and the major advances in their biological applications in the period of the last five years as well as brief remarks on prospects for further development.

Keywords: 1,2,4-oxadiazole; synthetic methods; drug design; drug discovery; structure-activity relationship; medicinal application

1. Introduction

Oxadiazoles are five-membered heterocyclic compounds containing one oxygen and two nitrogen atoms (historically, they were also known as furadiazoles). Depending on the position of nitrogen atoms, oxadiazoles may occur in the form of four different isomers: 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole and 1,3,4-oxadiazole (Figure 1). Amongst the isomers, the greatest interest is involved with 1,3,4-oxadiazoles. Their high importance is highlighted by a large number of applications in various scientific areas, e.g., pharmaceutical industry, drug discovery, scintillating materials as well as dyestuff industry [1]. It is also worth noting that compounds containing 1,3,4-oxadiazole unit exhibit a wide range of biological activities such as anticancer, antiparasitic, antifungal, antibacterial, antidepressant, anti-tubercular and anti-inflammatory [2–5].

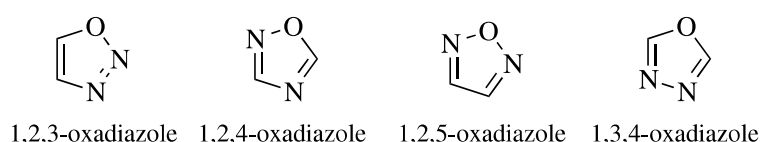


Figure 1. Chemical structures of oxadiazole isomers.