Review Article

Biologically Active 2,5-Disubstituted-1,3,4-Oxadiazoles

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ABSTRACT: There are vast numbers of pharmacologically active heterocyclic compounds in regular clinical use. The presence of heterocyclic structures in diverse types of compounds is strongly indicative of the profound effects such structure exerts on physiologic activity, and recognition of this is abundantly reflected in efforts to find useful synthetic drugs. The 1,3,4-oxadiazole nucleus has emerged as one of the potential pharmacophore responsible for diverse pharmacological properties. Medical Literature is flooded with reports of a variety of biological activities of 2,5-Disubstituted-1,3,4-oxadiazoles. The present work is an attempt to summarize and enlist the various reports published on biologically active 2,5-disubstituted-1,3,4-oxadiazoles.

KEYWORDS: 1,3,4-oxadiazoles, anti-inflammatory activity, hypoglycemic activity, anticonvulsant activity, antiallergic activity, antimicrobial activity, anticancer activity.

Introduction

Compounds having a five membered ring containing one oxygen and two nitrogen atoms are called oxadiazoles and in the older literature were known furadiazoles. Four types of oxadiazoles are known, namely 1,2,3-, 1,2,4-, 1,2,5- and 1,3,4-oxadiazoles. Out of these 1,3,4-oxadiazoles are found to be most potent, biologically.

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\begin{align*}
\text{1,2,3-oxadiazole} & \quad \text{1,2,4-oxadiazole} \\
\text{1,2,5-oxadiazole} & \quad \text{1,3,4-oxadiazole}
\end{align*}
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Much attention has been paid to 1,3,4-oxadiazole derivatives in recent years, because they display anti-inflammatory, hypoglycemic, anticonvulsant, antimicrobial and other activities. A literature summary of biologically active 1,3,4-oxadiazoles is presented in this review.

NSAIDs (Non-steroidal anti-inflammatory drugs) are widely used for the treatment of pain, fever and inflammation particularly arthritis. NSAIDs reduce the inflammation and pain associated with arthritis by blocking metabolism of arachidonic acid by the enzyme cyclooxygenase (CO) and thereby the production of prostaglandins. In chronic use of NSAID’s, one of the prominent side effects is formation of gastric ulcers. This adverse effect may be attenuated in the presence of an inhibitor of 5-lipooxygenase (5-LO). 1,3,4-oxadiazoles found to possess anti-inflammatory properties by virtue of dual mechanism, i.e., inhibit both CO and LO reducing the gastric ulcer formation (Palomer AT et al., 2002; Warner TD et al., 1999; Smith CJ et al., 1998)

Some 1,3,4-oxadiazole derivatives have found to exert their anti-inflammatory effect via cyclooxygenase and 5-lipooxygenase inhibitory activity (Kramer et al 1993).

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\text{DTBP} = 3,5\text{-di-tert-butyl-4-hydroxyphenyl}
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