FINAL

Send Orders for Reprints to reprints@benthamscience.net

Mini-Reviews in Organic Chemistry, 2021, *18*, 1-15

REVIEW ARTICLE

Benzothiazole: Synthetic Strategies, Biological Potential, and Interactions With Targets

Chanchal Singh[1], Rajnish Kumar[1,*], Avijit Mazumder[1], Salahuddin[1], Ajay Kumar[1], Rakesh Sahu, Shivali Mishra[1] and Mohd. Mustaqeem Abdullah[2]

[1]*Department of Pharmaceutical Chemistry, Noida Institute of Engineering and Technology (Pharmacy Institute), Greater Noida, India;* [2]*ANA Institute of Pharmaceutical Sciences and Research, Bareilly-243501, India*

ARTICLE HISTORY

Received: October 26, 2020
Revised: January 05, 2021
Accepted: January 06, 2021

DOI:
10.2174/1570193X18666210308145703

Abstract: Benzothiazole is a heterocyclic compound that contains a benzene ring fused with a five-member 1,3-thiazole ring. Several types of research have established its potential as an antimicrobial agent, anticancer agent, anti-epileptic agent, antiviral agent, *etc*. Nowadays, various effective drugs utilize the hybridization of two or more pharmacophores in a single-molecule for synergizing its pharmacological action or to interact with more than one target or to reduce the side effects associated with it. In this article, various strategies for the synthesis of different pharmacologically active hybrid compounds containing benzothiazole with different substituents are highlighted. Apart from presenting the synthesis strategies, the article also highlights various pharmacological actions and molecular interactions with different biological molecules of the potential drugs containing benzothiazole.

Keywords: Benzothiazole, biological actions, molecular interactions, benzene ring fused, thiazole ring, natural compounds.

1. INTRODUCTION

Benzothiazole is a bicyclic ring network that comprises a benzene ring and 1, 3-thiazole ring fused. Benzothiazole nucleus (Fig. **1**) is found in several pharmacologically active compounds with interesting biological activity such as antimicrobial [1], antitubercular [2], antitumor [3], antimalarial [4], anticonvulsant [5], anthelmintic [6], analgesic and anti-inflammatory [7], antiviral [8], antidiabetic [9], photosensitizing [10], and anti-HIV [11].

Benzothiazole derivatives are also used in several fields of chemical science, for example, in polymer chemistry [12, 13], dyes [14, 15], biogenesis [16], *etc*. Benzothiazole salts are also found useful in silver printing, primarily as sensitizing colorants [17].

Muscle relaxant activity of some derivatives of 2-aminobenzothiazoles was reported in the 1950s but after that benzothiazole moiety could not attract much attention from the biological investigators. With the reports of potential action of Riluzole [18] as an anticonvulsant and the discovery of Erythrazoles A, Erythrazoles B [19], Violatinctamine [20], Kuanonamine [21] and Dercitin [22], benzothiazole moiety again came into the focus of researchers (Fig. **2**).

The pharmacological profile of benzothiazole derivatives is dependent on the substitutions present on benzothiazole nucleus like phenyl-substituted benzothiazole shown anti-tumor activity [23-25], condensed pyrimido benzothiazole and benzothiazole containing quinolone have anti-viral activity [26], bis-substituted amidino benzothiazole as a possible anti-HIV agent [27], whereas substituted 6-nitro-and 6-aminobenzothiazole [28] have shown antimicrobial function. So, we have emphasized various synthetic approaches, biological activities, and reported interactions of benzothiazoles analogs with target receptors in this article to help the researchers.

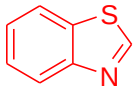

Fig. (1). Structure of Benzothiazole Nucleus.

2. SYNTHETIC APPROACHES

Different synthetic approaches have been adopted for preparing benzothiazole derivatives. 2-Substituted benzothiazoles are more frequently synthesized by one of the two key routes:

2.1. Condensation Reactions

Condensation of *ortho*-amino thiophenol with a substituted aromatic aldehyde, carboxylic acid, acyl chloride, or nitrile in the presence of a different catalyst yields benzothiazole [29]. However, this approach is also not suitable for certain substituted 2-aryl benzothiazoles owing to the difficulties experienced in the synthesis of readily oxidizable 2-amino thiophenols substituent groups.

*Address correspondence to this author at the Department of Pharmaceutical Chemistry, Noida Institute of Engineering and Technology (Pharmacy Institute), Greater Noida, India; E-mail: mpharm.rajnish@gmail.com

1570-193X/21 $65.00+.00