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Azetidinone-as a Core Biological evaluation Agent- A Review

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A B S T R A C T

The article is aimed to discuss characterize and screening the biological activity of a series of Azetidinone as a Core Biological evaluation Agent. Azetidinones are of great biological interest, especially as anti-tubercular antibacterial. The important and structural diversity of biologically active β -lactam antibiotics led to the development of many novel methods for the construction of appropriately substituted azetidine with attendant control of functional group and stereochemistry. Azetidinone derivatives are reported to show a variety of antimicrobial, anticonvulsant, anti-inflammatory and cardiovascular activities, antimycobacterial activity, antibacterial activity , antihypertensive activity .

Keywords: Antibacterial activity, Antifungal activity, Schiff bases.

A R T I C L E I N F O

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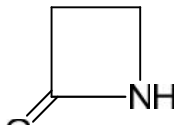
1. Introduction

The name lactam is given to cyclic amides. In older nomenclature second carbon in an aliphatic carboxylic acid was designated as α, the third as β and so on. Thus a β-lactam is a cyclic amide with four atoms in its ring. The contemporary name for this ring system is azetidinone. β-lactam came to be a generic descriptor for penicillin family. The ring ultimately proved to be the main component of the

pharmacophore. So the term possesses medicinal as well as chemical significance.



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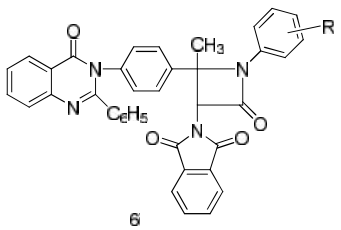
The recent and the advanced increase in both the spectrum of β–lactam antibiotics and the number of the known producing organisms is due to the development of new and more sensitive screening techniques. Further progress had been added by continuous synthetic derivatization to those monocyclic β-lactam compounds. Many methods had been reported in the literature. Some of those methods were listed in this study.

2-Azetidinones commonly known as β-lactams are well-known heterocyclic compounds among organic and medicinal chemists. The activity of famous antibiotics such as penicillins, cephalosporins, nocardicins and carbapenems are attributed to the presence of 2-azetidinone ring in them. Azetidinones are very important class of compounds possessing wide range of biological activities such as antimicrobial [1-6], pesticidal [7], antitumor [8], antitubercular [9], anticancer [10], cytotoxic [11-13], enzyme inhibitors [14], elastase inhibitors [15] & cholesterol absorption inhibitors [16].

Padamkant and Saksena synthesized new 2-phenyl-3-p-(1'-aryl-3-phthalimindo-4'-methylazetidine-2'-one-4'-yl) phenyl quinazolin-4-ones (6) screened for their antibacterial and antifungal activities [17].



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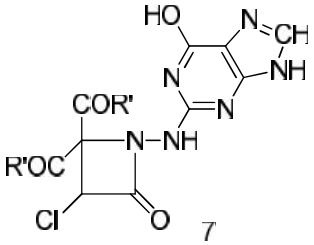
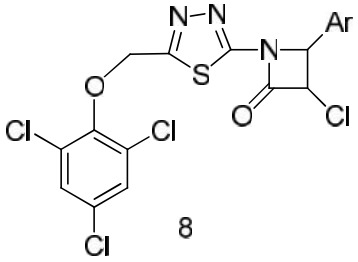
Sharma and her coworkers reported the synthesis and antimicrobial studies of biologically significant 2-[N-(3'-chloro-4'-substitutedazetidinone-2)]amino-4-hydroxy purines (7).



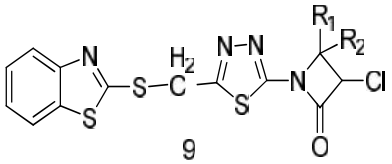
7

Biologically significant 4-substitutedphenyl-1-[2-(2,4,6-trichlorophenoxymethyl)-1,3,4-thiadiazol-5-yl]3-chloro-2-azetidinone (8) were synthesised by Desai and his co-worker and reported them as antibacterial agents .



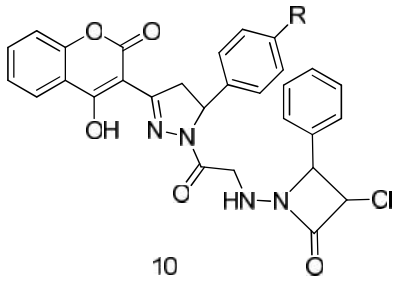
8

Synthesis, antimicrobial and anthelmintic activities of 1-[5'-{(2-benzothiazolylthio)methyl}-1,3,4-thiadiazol-2-yl]-4-(substituted phenyl)-3-chloro-2-oxoazetidine (9) were repoted by Srivastava and his coworkers synthesized .



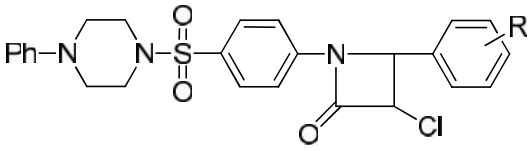
9

Pawar and Mulwad prepared azetidinones (10) and screened for their antibacterial activity.



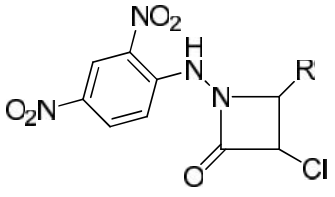
10

Patel and Mistry have synthesized novel azetidinones (11) and studied their antibacterial activity .



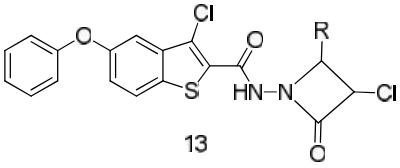
11

Rajasekaran and Murugesan have prepared new azetidinone (12) and studied their anti-bacterial and anti-convulsant activity.



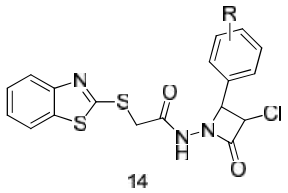
12

Vasoya *et al* synthesized 4-(substitutedphenyl)-3-chloro-1-(3'-chloro-5'-phenoxy-2-benzo[b]thiophenoylamino)-2-azetidinones (13) reported as a potent biological active agent.



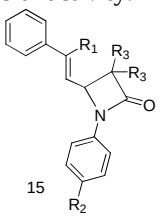
13

Desai and Desai synthesized biological active 4-(substitutedphenyl)-3-chloro-1-[(2-benzothiazolylthio)-acetamidyl]-2-azetidinones (14) under microwave irradiation .



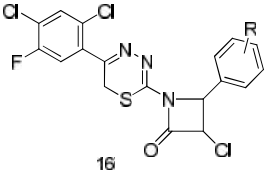
14

Tan and his co-workers have synthesized 1-(Substituted phenyl)-4-(substituted styryl)-2-azetidinones (15) and studied their antimicrobial activity.



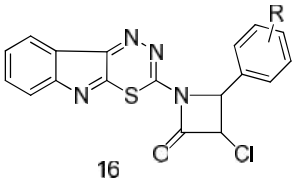
15

Synthesis and biological active compounds 1-[5'-(2,4-dichloro-5-fluorophenyl)-6-H1,3,4-thiadiazin-2-yl]-4-(substitutedphenyl)-3-chloro-2-oxoazetidines (16) have been given by Patel and Desai .



16

Panwar *et al* have synthesized 2-[3-chloro-2-(substitutedphenyl)-4-azetidinon-3-yl]-1,3,4-thiadiazino[6,5-b]indole (17) as prospective antimicrobial agents .



16

Halve *et al* have reported the synthesis and antimicrobial activity of 2-hydroxy-5-(substitutedphenylazo)-chloro-N-(substitutedphenyl) azetidin-2-one (18).

2. Conclusion

1. Furthermore the substitution with phenyl group having a chloro group at p-position showed better activities.
2. The azidines showed better anti-inflammatory and analgesic activities.

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