Research Article

Azetidinones : An Overview

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ABSTRACT

Azetidinones is a four member heterocyclic ring system with nitrogen as the hetero atom and a carbonyl group incorporated into it. It is also known as β-lactams. It shows a wide spectrum activity against gram +ve or gram –ve bacteria. Besides its antibacterial property we have also reviewed antimicrobial, anti-tubercular, anti convulsant, anti-cancer, anti-inflammatory and CNS activity of Azetidinones. The use of azetidinones for synthesizing various biologically active compounds, as well as their recognition as cholesterol absorption inhibitors and enzyme inhibitors has given impetus to their studies. Substituting the azetidinones with appropriate substitution perhaps is the minimum requirement for showing biological activity. Various chemical properties of the ring, construction of β-lactam ring, physical properties and its biological activities are discussed in this article.

Keywords: 2-azetidinones, anti-tubercular, anti-inflammatory, anti-convulsant

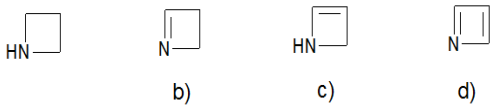
INTRODUCTION

The discovery of penicillin by Sir Alexander Fleming in the year 1929 is considered as beginning of the antibiotic era. The widely cited definition of an antibiotic as a substance produced by microorganisms, which has the capacity of inhibiting the growth and even of destroying other microorganisms was proposed by Waksman in 1942. Hence it becomes critical to control and prevent diseases caused by microorganisms in human beings.

Azetidinones are carbonyl derivative of azetidine containing the carbonyl group at position 2, also called 2-azetidinone or β-lactam. Though the ring system was known since 1907 but the investigation of their chemistry began from 1947 only. These are currently used for chemotherapy of bacterial infections. The selective inhibition during cell wall synthesis of bacteria is responsible for its unique and lethal antibacterial action[1].

The beta-lactam ring is part of the core structure of several antibiotic families, the principal ones being the pencillins, cephalosporins, carbapenems and monobactams, hence also called beta-lactam antibiotics. Nearly all of the antibiotics work by inhibiting bacterial cell wall biosynthesis. This has a lethal effect on bacteria. Bacteria so however, contain within their population, in smaller quantities, bacteria that are resistant against beta–lactam antibiotics. They achieve this by expressing one of many betas–lactamase genes. More than 1000 different β-lactamase enzymes have been documented in various species of bacteria. These enzymes vary widely in their chemical structure and catalytic efficiencies. When bacterial populations have these resistant sub groups, treatment with beta–lactam can result in the resistant strain becoming more prevalent and there for more virulent. It is also known for its antimicrobial and antifungal activity. This molecule is gift for patients with high cholesterol.

Azetidin, azetin (b), alpha–azetin (c) and azete (d) are the nitrogen analogues of cyclobutane, cyclobutene and cyclobutadiene respectively as. Azetidines are well studied in particular their derivatives the azetidin-2-ones (beta-lactams) have received considerable attention mainly because of the antibacterial properties of penicillin and cephalosporin's.



b) c) d)

Chemistry of Azetidinones

Parent heterocyclic ring of azetidinones is azetidine. Azetidine is a 4 member heterocyclic ring system with nitrogen as hetero atom. 2-Azetidinones are also known as β-lactams and it is one of the most common heterocyclic rings found in antibiotics. 2-Azetidinones consists of a carbonyl group on the second position.

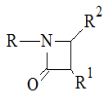
Nomenclature

Fused β-lactams are known as Alkanams and isoalkanams. β-lactams can be named by using corresponding Latin roots. The generic names given to β-lactams containing 7, 8, 9 atoms in bicyclic ring system is heptanam, octanam, nonam and so on. If 2-Azetidinones is fused with ring system at 3 and 4 positions then the fused β-lactams are named as isoheptanam, isooctanam, isononam and so on depending on number of atoms in bicyclic system. According to trivial naming system, β-lactams fused with thiazolidines are known as penam and β-lactams containing fused bicyclic system i.e. 3, 6-dihydro-2H-1,3 thiazine is called cepham. But this naming system was

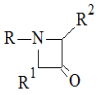
inadequate. β-lactam not fused with any other ring system is called monobactams.

Numbering of Azetidinones

Depending upon the position of carbonyl group in the azetidine ring, Azetidin-2-one, Azetidin-3-one and Azetidin-4-ones are possible. The heteroatom, nitrogen in the β-lactam ring will be given the first position and carbonyl group will be given the second position. The position of carbonyl group will be given 3 and 4 position for azetidin-3-one and azetidin-4-ones respectively.



azetidine-2-one



azetidine-3-one

azetidine-4-one

Physical Properties of Azetidinones

Azetidin-2-ones are hydrolytically sensitive colorless solid. They have a melting point of 73 - 74°c. Other simple azetidin-2-ones are low melting solids or oils. A number of monocyclic azetidinones were subjected to x-ray crystallographic studies and came into a conclusion that the ring is essentially planar with N_2 atom slightly out of the mean plane of its substituent's except where steric factors enforce greater deviations from planarity. In normal amides C=O shows a distance of 1.32Å, but azetidinones shows a distance of 1.38. The increased distance is the reason for angle strain[2].

Spectroscopy

IR Studies

Stretching absorption in the region of 1870-1640 cm^{-1} was shown by carbonyl group present in the azetidinone ring. IR spectra with increasing intensity and no interfering bands were obtained. Thus it was the easiest band to be recognized in the spectra[3].

NMR Studies

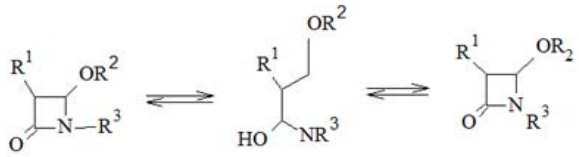
Protons present on C_3 and C_4 under goes a chemical shift. This explains the effect of substituent's on these carbon. Substituents like chlorides, azido which shows electronegative properties will reduce the electron density around the protons, thus deshielding of protons take place. As the electronegative property of substituent's increases more and more strong deshielding takes place and chemical shift of protons take place[4].

Reactivity of Azetidinones

Addition of amide group to azetidinones will cause anglestrain. This amide linked β-lactam is more susceptible to nucleophilic attack at carbonyl group. By acylating transpeptidase this strained bicyclic β-lactam compound kills bacteria by inhibiting bacterial wall biosynthesis. Unstrained β-lactams has IR spectrum absorption of only 1600cm^{-1}. Strained β-lactams have IR absorption of 1735-1765cm^{-1}. These strained rings therefore increase the electrophilicity of carbonyl groups attached.

Polymerization of Azetinones

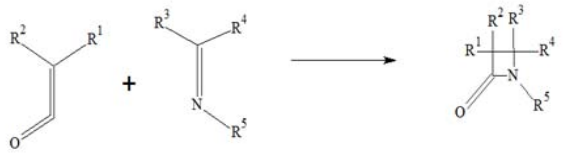
The cleavage of amide bond by using strong basic catalysts or by acylating agents leads to polymerization of β-lactams. When β-lactam rings are substituted by a hetero atom at C-4 position amide bond cleavage occurs. This can be explained by illustrating epimerization of 4-alkoxyazetidinones[5].



Construction of β-Lactam Rings

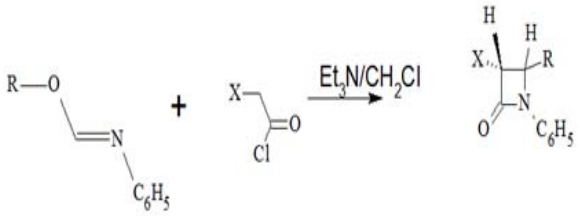
Ketene-Imine CycloAddition (Staudinger Mechanism)

The ketene-imine cycloaddition was reported by Staudinger as a smooth well documented route for β-lactam derivatives. Ketenes on reaction with imines through a non-photochemical 2+2 cycloaddition will yield β-lactam[6].

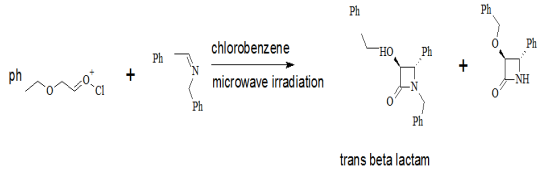


Synthesis of β-Lactams from Imidates

Trans-4-alkoxy β-lactams were produced by acid chloride imines' route. In this reaction substituted N-Phenyl-form amide was reacted with acid chloride. This reaction is very stereo selective. Only Trans isomer is formed[7].



Microwave Assisted Synthesis of β-Lactams

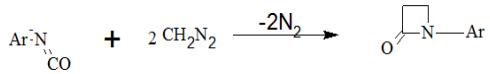


trans beta lactam

Reaction of acid chloride, Schiff's base and triethylamine in an open vessel in an unmodified domestic microwave, provides high levels of irradiations and thus it results in the formation of Trans β-Lactam. Schiffs base from arylaldehyde should be used rather than glyceraldehydes acetonide[8].

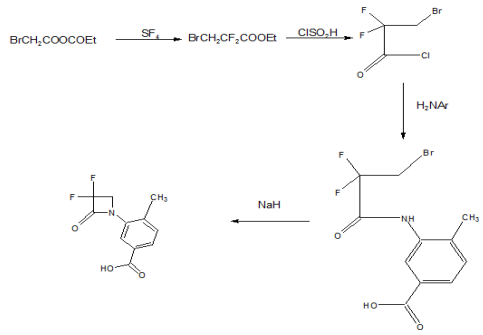
Formation of 6-Lactams From Isocyanates

Phenyl or p-bromophenylisocyanates when treated with diazomethane yields β-lactam.



Wasserman Cyclisation

Roger Joyeau studied the stability of 2-azetidinones to enzymatic ring opening by ß-lactamases. They suggested that a halogen β- to the carbonyl would increase the IR absorption of the C=O, one of the criteria of the reactivity of the β-lactam. Fluorine substitution, which will not introduce a large steric hindrance, is particularly interesting for a possible biological effect and possible stability towards β-lactamase. β-Bromopropionamide derivative was prepared, which can be cyclized by wasserman procedure using sodium hydride to give the N-(3-carboxy-6-methylphenyl)-3-difluoro-2-azetidinone[9].

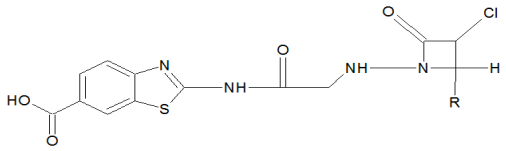


Biological Activities of 2-Azetidinones

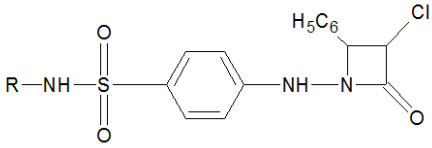
Review of literature reveals that Azetidinones and its derivatives possess antimicrobial, anti-bacterial, anti-fungal, anti-tubercular, anti-cancer, anti convulsant, enzyme inhibition and hypoglycemic action.

Anti Bacterial Activity

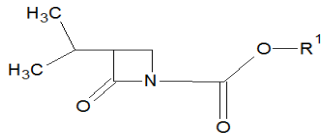
1. Ameya A. Chavan, and Nandini R. Pai reported synthesis of 2-{2-[3-chloro-2-(aryl)-4-oxoazetidin-1-ylamino]-acetylamino} benzothiazole-6-carboxylic acid, 2-amino aminobenzothiazole-6-carboxylic acid on condensation with chloroacetyl chloride gave 2-(2-chloroacetyl amino) benzothiazole-6-carboxylic acid which on further amination with hydrazine hydrate gave 2-(2-hydrazinoacetyl amino) benzothiazole-6-carboxylic acid 2-(2-hydrazinoacetyl amino) benzothiazole-6-carboxylic acid. The schiff's bases thus obtained were treated with various aromatic aldehydes in presence of glacial acetic acid and further dehydrative annulation was carried out with chloroacetyl chloride and triethylamine to yield 2-{2-[3-chloro-2-(aryl)-4-oxoazetidin-1-ylamino] acetylamino} benzothiazole-6-carboxylic acid. It was then screened for its anti-bacterial activity against *S.aureus, B.subtilus, P. aeruginosa* and *E.coli*[10].

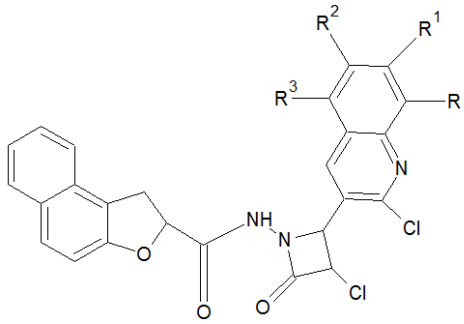


2. Sharma P, Indapurkar P, Mandloi A reported the synthesis and antibacterial screening of N-sulphonamoylphenylamino-3-chloro-4-phenylazetidin-2-ones. The derivatives were synthesized and their structures were established on the basis of consistent elemental, IR, spectral data. Anti-bacterial activity has been performed using agar diffusion technique involving paper disc method against *E.coli*, *Pseudomonas diminuta* and *Bacillus subtilus.* It was observed that N-(4'-nitro)phenylamino-3-chloro-4-(4'-dimethylamino)phenyl azetidine-2-one was found to be more potent against the *E.coli* bacteria[11].



3. Brickner SJ, Gaikema JJ, Zurenko GE, Greenfield LJ, Manninen PR, Ulanowicz, reported the synthesis of N-acyl-3-alkylidenyl and 3-alkyl azetidin-2-ones as a new class of monocyclic β-lactam antibacterial agents. A series of N-acyl 3-isopropyldienyl and 3-isopropyl 2- azetidinones having potent *in vitro* anti-bacterial activity especially against anaerobic bacteria were synthesized. These compounds lack any ionizable moiety appendant to the lactam nitrogen, which distinguishes them from other azetidinone derivatives[12].

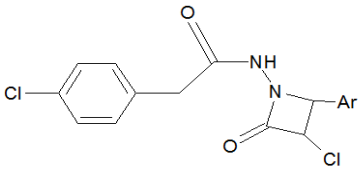




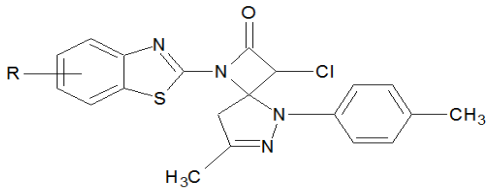
4. Gundibasappa K. Nagaraja, have synthesized N-[3-chloro-2-(2-chloroquinolin-3-yl)-4 oxoazetidin-1-yl] naphtho [2, 1-b] furan-2-carboxamide. The synthesis was carried out by reacting schiff's bases of naphtha

[2,1-b]furan carbohydrazide with chloroacetyl chloride and triethylamine. They were screened for the anti-microbial activity against *S.aureus, A.niger, P.aeruginosa* and *C.albicans*[13].

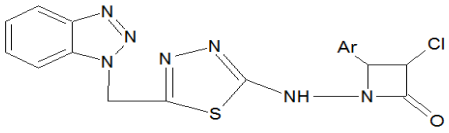
5. Desai NC, Shah MD, Bhavsar AM reported the synthesis of N-(3-Chloro-2- oxo-4 arylazetidinyl) {4-[5 oxo-2 phenyl- 4-(phenylmethylene) (2- imidazolinyl] phenyl} carboxamides and N (3-chloro-2-oxo-4-arylazetidin-1-yl)-2-(4-chlorophenyl) acetamides and evaluated its anti-bacterial activity against *E.coli* and *S.aureus.* Also QSAR studies of 4-oxo-thiazolidines and 2-oxo-azetidines was carried out in terms of structural and physicochemical parameters where substituents present at position 3 of N (3-chloro-2-oxo-4-arylazetidin-1-yl)-2-(4-chlorophenyl) acetamides indicate increase in hydrophobicity or steric bulk character[14].



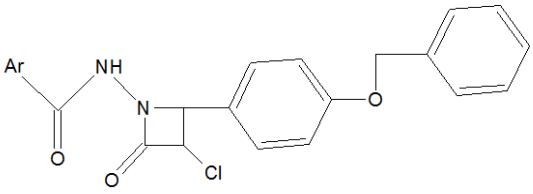
6. Mistry K, Desai KR, reported the synthesis of pyrazole imines and azetidinone compounds using conventional and microwave technique and studies of their antibacterial activity was conducted. A series of compounds 4-[spiro-{4"-methylphenyl)-3'-methyl}-pyrazole]-3-chloro-1-(substituted benzothiazole)-2-azetidinone was synthesized by reaction of 1-(4'-methylphenyl)-3-methyl-5-(2"-iminosubstitutedbenzothiazole) pyrazole with chloroacetyl chloride in presence of triethylamine. The synthesized compounds were screened for their anti-bacterial activity against *S.aureus, B.subtilus, S.typhi* and *E.coli*[15].



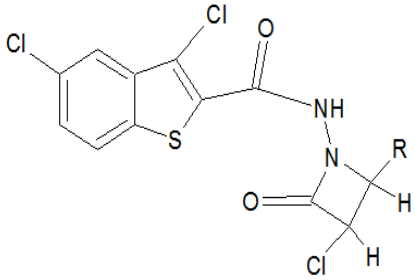
7. Shukla DK, Srivastava SD reported the synthesis of some new 5-[2-{(1,2,3,-benzotriazole)-1-yl-methyl}-1'-(4'-substituted aryl-3'-chloro-2'-oxo azetidine)]-amino-1,3,4,-thiadiazoles and 5-[2-{(1,2,3,-benzotriazole)-1-yl-methyl} aryldiene hydrazino-1,3,4-thiadiazoles as antifungal and antibacterial agents . The compounds were synthesized from 5-[2-{(1,2,3-benzotriazole)-1-yl-methyl]-5-hydrazino-1,3,4 thiadiazoles using 1,2,3, benzotriazole as starting material. The compounds were screened for their anti-fungal activity against *A.niger, A.flavus, F.oxisporum* and *T.viride* and anti-bacterial activity against *B.subtilus, E.coli, K.pneumoneae* and *S.aureus*[16].



8. Desai NC, Bhatt LS, Wadekar KR, Kagathara reported the synthesis and antibacterial activity of 4-oxo-thiazolidines and 2-oxo-azetidines. Several aryl N-{4-oxo-2-[4-(benzyloxy)phenyl](1,3-thiazolidine-yl)}carboxamides and N-{3-chloro-2-oxo-4-[4-(benzyloxy)phenyl]azetidinyl}carboxamides were synthesized and screened for anti-bacterial activity against gram +ve and gram –ve species of bacteria. The structures of synthesized compounds were established on basis of their elemental analysis and spectral data[17].



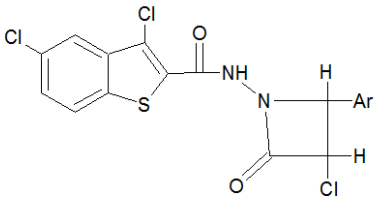
9. K.M Thakar, V.V Kachhadia and H.S Joshi have synthesized 4-Aryl-3-chloro-1-(3',5'-dichloro-2'benzo (b) thio phenoylamino)-2-azetidinones and evaluated their antimicrobial activity against *E.coli, S.aureus, P.vulgaris* and *A.niger.* 2-chlorocarbonyl-3,5-dichlorobenzothiophene on reaction with hydrazine hydrate produces 2-hydrazinocarbonyl-3,5 dichlorobenzothophene. This on reaction with aromatic aldehydes produces 2-(substituted benzalhydrazinocarbonyl)-3,5-chlorobenothiophene. These were then condensed with thioglycolic acid and then on reaction with chloroacetyl chloride and triethylamine we get azetidinone derivatives[18].



Anti Tubercular Activity

1. Narute AS, Khedekar PB, Bhusari KP reported a QSAR studies on 4-thiazolidindiones and 2-azetidinones bearing benzothiophene as potential anti-tubercular agents. Several significant equations with good co-efficient of correlation (>0.860) were obtained. The two models are selected using internal predictive power discerned by cross-validated coefficient q^2. Both models highlight some common important feature, i.e., bulky substitution and the high

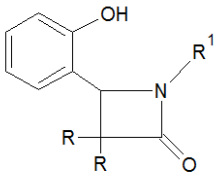
nucleophilicity nature of the molecules, favorable for anti-tubercular activity[19].



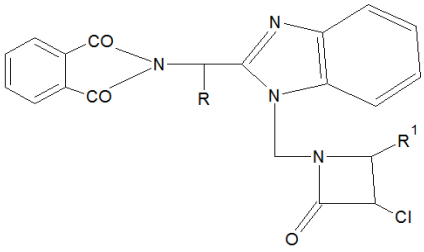
2. Omprakash G. Bhusnure reported the microwave assisted and conventional synthesis, characterization and biological activity of 2-azetidinones and 4-thiazolidinones. It was prepared by heating 4, 4'-sulfonyldianiline was condensed with hydroxyl halogen substituted with aromatic aldehyde in methanol/DMF in presence of 1-2 drops of conc. HCl and microwave irradiation to yield the Schiff base. Schiff's bases on treatment with chloroacetyl chloride and triethylamine yields 2-azetidinones. Cyclocondensation of schiff's base with 2-mercaptosuccinic acid produces 4-thiazolidine derivatives. All compounds were screened and found to posses moderate to potent activities[20].

Anti Microbial Activity

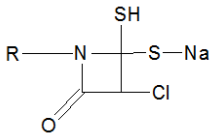
1. Singh GS, Pheko T, reported the formation and antimicrobial activity of 2-azetidinones from selective ester cleavage in 1,3,3-trisubstituted 4-[2'-(o-diarylacyl)hydroxyphenyl]-2-azetidinones. Treatment of the 1,3,3-trisubstituted 4-[2'-(O diarylacyl)hydroxyphenyl]-2-azetidinones with sodium hydroxide in ethanol at room temperature lead to selective cleavage of the ester linkage in the substrates forming new 1,3,3-trisubstituted 4-(2'-hydroxyphenyl)-2-azetidinones, which have been characterized on the basis of analytical and spectral (IR, ^{1}H and ^{13}C NMR, MS) data. The structure elucidation also involved application of the HMQC and HMBC studies using 2-D NMR (^{1}H-^{13}C COSY) spectra[21].



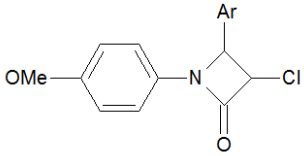
2. Snehal Lokhandwala and Dinesh Patel conducted *In vitro* microbial studies of some newly synthesized azetidinones derivatives *In vitro* microbial studies of some newly synthesized azetidinones derivatives. Various substituted 3-chloro-4-(substitutedphenyl)-1-{4-[7-chloro-2-(3-chloropropyl)-4-oxoquinazolin-3(4H)-yl}azetidin-2-ones (2a-j) containing different functional groups have been synthesized by treating 7-chloro-2-(3-chloropropyl)-3-{4-[(substituted benz-ylidene)amino]phenyl}quinazolin-4-(3H)-ones (1a-j) with chloroacetyl chloride in presence of triethyl amine at reflux temperature. The lead compounds were characterized by melting point, TLC, calculated elemental analysis, IR and 1H NMR spectral studies. The compounds were tested for antimicrobial studies and showed significant activity at low and high concentration as compared to standard[22].



3. Kumar A, Sharma P, Mohan P reported the synthesis and antimicrobial screening of N-substituted-3-chloro-4-dithiocarbamato azetidin-2-ones. All the synthesized compounds have been evaluated for their *in-vitro* growth inhibitory activity against *P. diminuta*, *B. subtilis, E. coli, S. Aureus, R. rhodochrous.* All the compounds show significant antibacterial activity. *N*-[4'-(*N*'-4,6-Dimethyl pyrimidinyl)sulphonamoyl amino phenyl]-3-chloro-4-dithiocarbamato azetidin-2-one 2_c has been found to be more potent antimicrobial agent against *B. subtilis*[23].



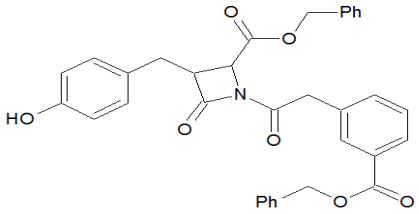
4. S. Jubie reported the synthesis and antimicrobial evaluation of some 2-azetidinone derivatives. P-anisidine was condensed with different substituted aromatic aldehydes to form schiff's bases, which was then cyclized with chlorocetyl chloridine triethylamine to form corresponding 2-azetidinone derivative. The compounds were evaluated for their anti-microbial activity against *S.faecalis, S.aureus, P.aeruginosa* and *E.coli.* Among the derivatives 2,4 dimethyl amino phenyl at 2nd position showed good activity against all species. The activity were attributed to C=O, C-N linkages of 2-azetidinone[24].



Anti Cancer Activity

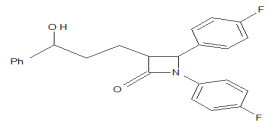
1. Robert M. Adlington, Jack E. Baldwin, Gerald W. Becker, Beining Chen, Leifeng Cheng, Stephen L. Cooper, Robert B. Hermann, Trevor J. Howe, William McCoull, Ann M. McNulty, Blake L. Neubauer, and Gareth J. Pritchard synthesized and studied prostate

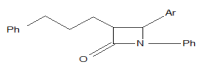
2. specific antigen inhibiting activity of 2-azetidinones. A homology derived molecular model of prostate specific antigen (PSA) was created and refined. The active site region was investigated for specific interacting functionality and a binding model postulated for the novel 2-azetidinone acyl enzyme inhibitor (IC(50) = 8.98 +/- 0.90 µm) which was used as a lead compound in this study[25].

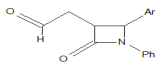


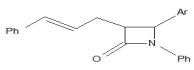
Anti Hyperlipidemic Activity

1. Basak A, Rudra KR, Bdour HMM, reported the use of nitrones in the synthesis of potential antihypercholesterolemic and antibacterial mono and tricyclic β-lactams. The hydroxyethyl group at C-3 of a number of monocyclic β-lactams is elaborated by a series of reactions to the appropriate side chain meant for acting as cholesterol absorption inhibitor without perturbing the sensitive β-lactam moiety. In addition, a novel tricyclic β-lactam has also been synthesized using the nitrone cycloaddition approach[26].

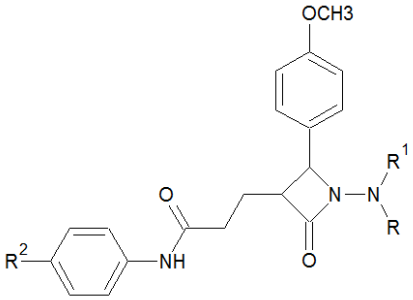






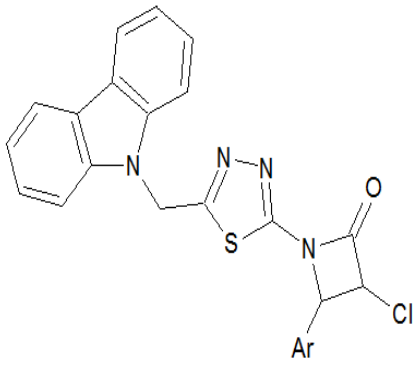


3. K.P. Bhusari reported the design and synthesis of azetidinone derivatives with hydrazine substitutions on nitrogen and their ability to inhibit cholesterol absorption and antibacterial activity was evaluated. Nine new derivatives of 2-azetdinone derivatives as cholesterol absorption inhibitors were synthesized. Most of them showed comparable effects in lowering the levels of total cholesterol in the of serum cholesterol-fed hamsters and anti-bacterial screening reveal that all the compounds showed moderate to good anti-bacterial activity against *S. aureus*[27].



Anti Convulsant Activity

1. Srivastava SK, Srivastava S, Srivastava SD reported the synthesis of new carbazolyl-thiadiazol-2-oxo-azetidines. Several 2-arylidenylamino-5-(carbazolylmethyl)-1,3,4-thiadiazoles and 1-[5'-(carbazolylmethyl)-1', 3', 4'-thiadiazol-2'-yl)]-4-(substituted phenyl)-3-chloro-2-oxo-azetidines were synthesized and evaluated for their antimicrobial, anticonvulsant and anti-inflammatory activity[28].



CNS Activity

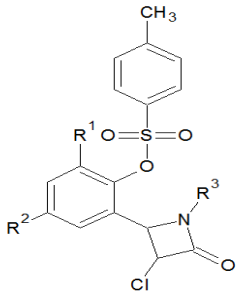
1. Rajesh K Goel, Amanpreet Singh, Pattipati S Naidu, Mohinder P Mahajan, Shrinivas K Kulkarni studied some azetidin-2-ones as C.N.S modulating agents which were previously synthesized and evaluated for hypolipidemic and antihyperglycemic activity based on the predictions made by the computer software "Prediction of Activity Spectra for Substances (PASS)"[29].

Anti Inflammatory Activity

1. Vijay Kumar reported the synthesis of N-Substituted-3-chloro-2-azetidinones and screened it for its anti-inflammatory action. It was synthesized by treating fluorochloroaniline with KSCN in presence of bromine in glacial acetic acid and ammonia to get 2-amino-6-fluoro-7-chloro-(1,3)-benzothiazole, which was treated with anthranilic acid in presence of dry pyridine to get 2-amino-N-(6-fluoro-7-chloro-(1,3)-benzothiazol-2-yl) benzamide. This was then refluxed with vanillin and alcohol in presence of conc.HCl to get 2-(3-hydroxy-4-methoxy benzylidene amino phenyl amido)-6-fluoro-7-chloro-(1, 3)-benzothiazole or Schiff's base. A Solution of Schiff's base in 1,4-dioxane was added to well-stirred mixture of chloroacetyl chloride and triethylamine to get Azetidinone[30].

2. Babasaheb V Kendre reported the synthesis of Azetdin-2-one derivatives containing aryl sulfonate moiety with anti-inflammatory and anti-microbial activity. The synthesis involved reaction of 2-hydroxy benzaldehyde with p-toluene sulfonyl chloride and further on reaction with p-aminobenzoic acid or 2-aminopyridine, corresponding aldimines were

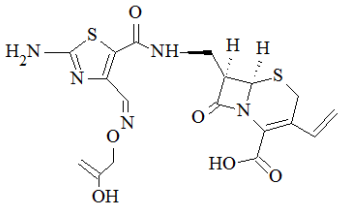
formed. The aldimines on reaction with chloroacetyl chloride gives corresponding azetidin-2-ones[31].



List of β-Lactam Antibiotics and their Therapeutic use

CEFIXIME

It is a cephalosporin antibiotic mainly used to treat bacterial infections. It is available under the brand name "suprax" in USA.



IUPAC Name

(6*R*, 7R)-7-{[2-(2-amino-1, 3-thiazol-4-yl)-2-(carboxy methoxyimino) acetyl] amino}-3-ethenyl-8-oxo-5-thia-1-azabicyclo [4.2.0] oct-2 ene-2carboxylic acid

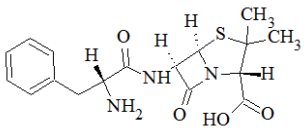
Mechanism of Action

Cephalosporin antibiotics prevent the cell wall biosynthesis in bacteria. The transpeptidase reaction of peptidoglycans that takes place for the biosynthesis of cell wall will be inhibited by these antibiotics.

Adverse Reactions

1. Diarrhea
2. Dyspepsia
3. Nausea
4. Vomiting

AMPICILLIN



IUPAC NAME; (2*S*,5*R*,6*R*)-6-([(2*R*)-2-amino-2-phenylacetyl]amino)-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptanes

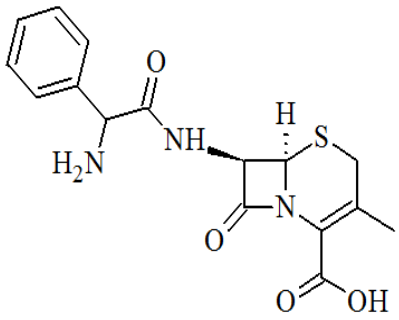
Mechanism of Action

Ampicillin is effective towards both gram negative and gram positive bacteria. It contains an amino group which is important for it to penetrate the outer membrane of gram negative bacteria. It is classified under penicillin group of antibiotics that contains beta lactam ring.

Therapeutic Use

1. To treat Urinary tract infections
2. To treat Otitis media
3. To treat salmonellosis

CEFALEXIN



IUPAC Name

(6*R*,7*R*)-7-{[(2*R*)-2-amino-2-phenylacetyl]amino}-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

It is a first generation cephalosporin antibiotics. It was first marketed by Eli Lilly company under the trade name Keflex.

CONCLUSION

This literature reveals the various diverse biological activities such as anti-microbial, anti-bacterial, anti-cancer, anti-convulsant, anti-hyperlipidemic, anti-tubercular and anti-inflammatory properties of 2-azetidinone derivatives. Mechanisms for its synthesis have also been reported along with its chemistry. A variety of drugs in market today possess the β lactam moiety and many ongoing research is focused on developing newer antibiotics in which azetidinones play a crucial role. Hence it can be concluded that derivatives of 2-azetidinones have a great potential as bioactive molecules.

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