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A REVIEW ON ANTIMICROBIAL POTENTIAL OF SULFONAMIDE SCAFFOLD

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ABSTRACT: Sulfonamides, sometimes called sulfa drugs, are the first drug that is largely employed and systematically essential for preventive and chemotherapeutic agents against various bacteria. Sulfonamides possess a wide range of pharmacological activities such as Oral hypoglycemic, antileprotic, anti-epileptic, anti-hypertensive, anti-bacterial, anti-protozoal, anti-fungal, antiretroviral, non-peptidic vasopressin receptor antagonists, anti-cancer, anti-inflammatory, translation initiation inhibitors, and used as a diuretic. The rapid evolution of drug-resistant bacterial and fungal infections has demanded a universal effort to search for new generation sulfonamide derivatives. The sulphonamides or sulfa drugs competitively inhibit folic acid synthesis in microorganisms and subsequently inhibit the multiplication of bacteria but do not actively kill them. These sulfonamides have a variety of synthetic reactions to work with. On the basis of the literature survey, the present review highlights research work in the recent decade, including potential antimicrobial activities of sulfonamides compounds. This review covers current advances related to synthesis and pharmacological effects of sulfonamides, especially in apprehension to anti-microbial agents.

INTRODUCTION: Sulfonamides (sulfa drugs) are derivatives of sulfanilamide, a sulphur-containing chemical entity. Sulfonamides are highly effective antimicrobial agents (AMAs) effective against pyogenic bacterial infections. In 1932, German bacteriologist and pathologist Gerhard Domag reported sulfonamido-chrysoidine (prontosil red), one of the dyes to treat *Streptococcus* infection in mice and found to be highly effective¹. Primarily sulfonamides are bacteriostatic against Gram-positive and Gram-negative bacteria such as *E. coli*, *Salmonella*, *Nocardia*, *Klebsiella*, *Shigella*, and *Enterobacter*.

In spite of the active antibacterial activity of sulfonamides, their antibiotic resistance remains a major problem for this class of antimicrobials². Sulfonamide derivatives have shown many biological activities such as antimicrobial, anti-hypertensive³, anticancer⁴, anti HIV⁵, carbonic anhydrase inhibitors⁶, translation initiation inhibitors⁷, cyclooxygenase-2 inhibitors⁸, anticonvulsant⁹, antimigraine agents¹⁰, hypoglycemic protease inhibitors¹¹, antidiabetic agent¹² and herbicides¹³. Sulfonamide drugs such as acetazolamide AZA and methazolamide MZA are also widely used clinically, as anti-glaucoma agents¹⁴ and many other sulfa derivatives are extensively used for the treatment of acne¹⁵, urinary tract infections¹⁶⁻¹⁷, conjunctivitis¹⁸, and toxoplasmosis¹⁹.

Mechanism of Action of Sulfonamide: Folic acid is necessary for bacterial growth. The combined activity of trimethoprim and sulfonamide results in the sequential blockade of folic acid synthesis.

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Sulfonamides competitively inhibit the assimilation of PABA into folic acid, thereby preventing the synthesis of folic acid. Synthesis of folic acid involves the reduction of dihydrofolic acid (DHFA) to tetrahydrofolic acid (THFA) catalyzed by

dihydrofolate reductase (DHFR). Trimethoprim (TMP) binds reversibly to dihydrofolate reductase and inhibits its activity **Fig. 1**. Humans do not synthesize folic acid but acquire it in their diet ²⁰.

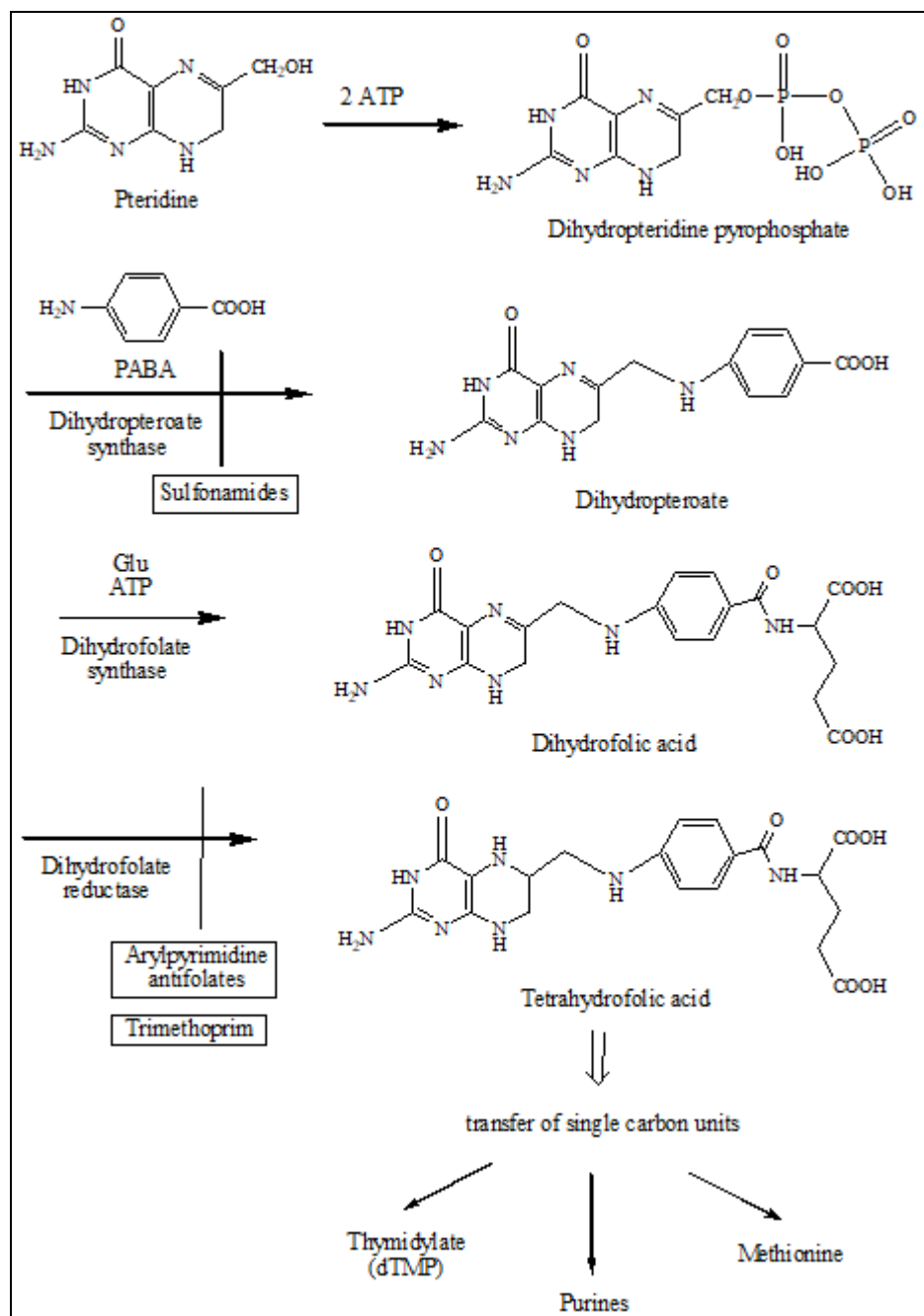


FIG. 1: FOLIC ACID SYNTHESIS AND SULFONAMIDES SITE OF ACTION ²¹

TMP and sulfonamides share both a wide antibacterial spectrum, including common urinary tract pathogens (*Escherichia coli* and other members of the family Enterobacteriaceae) ²², respiratory tract pathogens (*Streptococcus pneumoniae*, influenza, and in together, *Moraxella catarrhalis*) ²³⁻²⁴, skin pathogens (*Staphylococcus*

aureus) ²⁵, as well as certain enteric pathogens (*E. coli* and *Shigella* spp.) ²⁶. Because of the wide selection of clinical indications, TMP-sulfonamide combinations are used extensively everywhere within the world. Additionally, both compounds are relatively inexpensive.

Chemistry of Sulfonamides: In chemistry, the sulfonamide functional group (also spelled sulphonamid) is $-S(=O)_2-NH_2$, a sulfonyl group connected to an amine group. The ultimate formula is RSO_2NH_2 , where R could be a few organic alkyl groups. In the medicine world, sulfonamide is used as a synonym for sulfa drug, a derivative of sulfanilamide. Individual sulfa drugs differ within the character of N1 (sulfonamide N) substitution, which governs solubility, potency, and pharmacokinetic property. A free group within the *p*-

position (N4) is required for antibacterial activity **Fig. 2**. The sulphonamide family includes sulfadiazine, sulfasalazine (Azulfidine), sulfamethizole (brand name: Thiosulfil Forte), sulfisoxazole (Gantrisin), sulfamethoxazole (Gantanol), and various high-strength combinations of three sulfonamides. Sulfa drugs kill bacteria and fungi by interfering with cell metabolism. The sulfa derivatives were the wonder drugs before penicillin and are still used today²⁷.

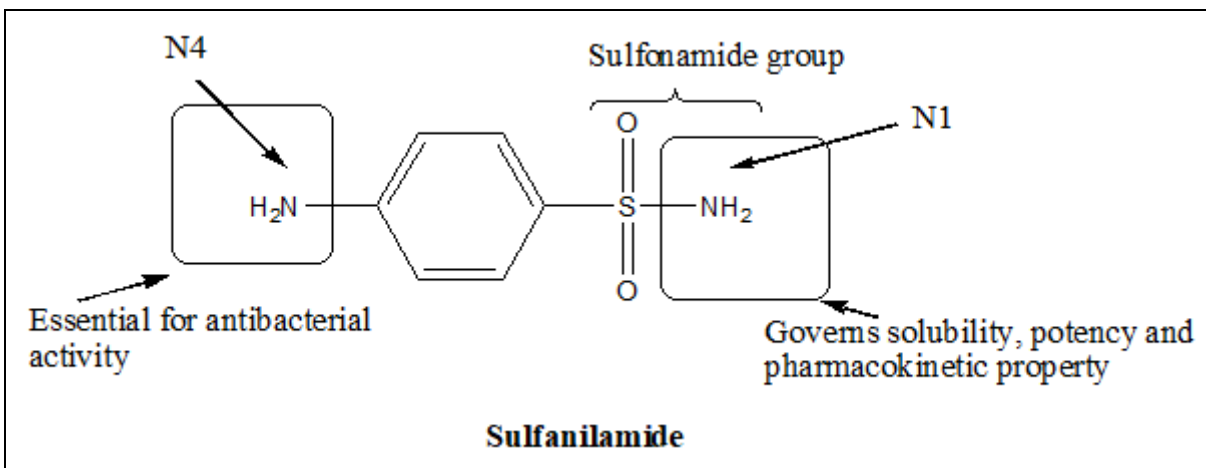


FIG. 2

Sulfonamide Derivatives as Antimicrobial Agents: Halve *et al.*,²⁸ synthesized the series of new Azomethines derived from sulfonamides & observed antibacterial activity against Gram +ve bacteria i.e., *Staphylococcus aureus* & *Bacillus subtilis* and Gram -ve bacteria i.e., *Escherichia coli* & *Pseudomonas aeruginosa* through disc diffusion

assay, reference standard drugs streptomycin and penicillin - G respectively. Azomethine compounds contain different side chains with same central moiety. The excellent antibacterial activity resulted from azomethines containing 5- methyl isoxazole moiety **Fig. 3**.

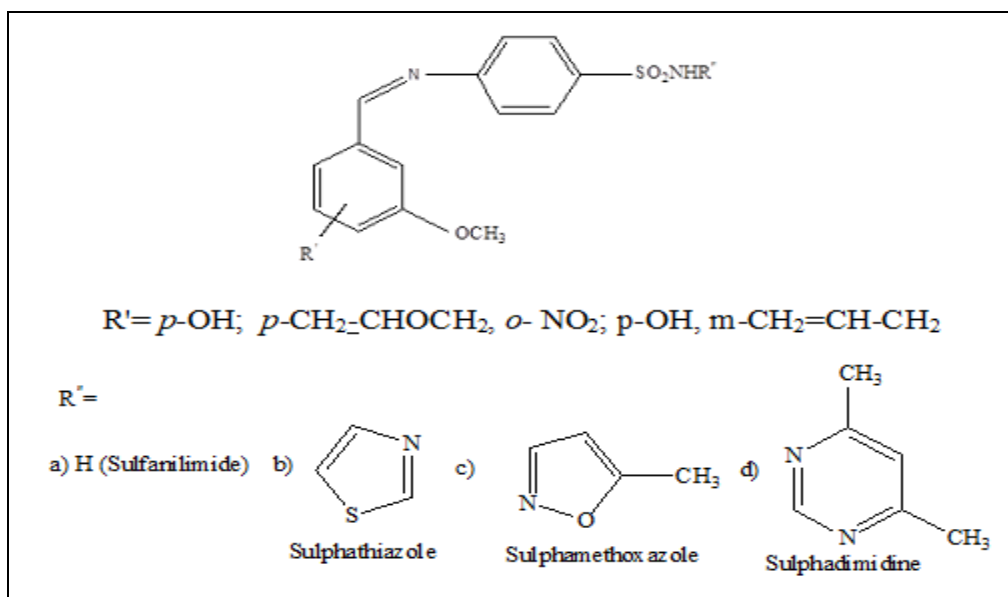


FIG. 3

Irina V. Galkina *et al.*,²⁹ studied new series of bis-4,6-sulfonamidated 5,7-dinitrobenzofuroxans and evaluated them for their antimicrobial activity. All the synthesized compounds were tested for their *in vitro* antimicrobial activity via the disk diffusion method against Gram +ve bacteria *Staphylococcus*

aureus; the Gram-ve bacteria *Escherichia coli*, *Pseudomonas aeruginosa*, and *Proteus mirabilis*; *Candida albicans*, the yeast-like pathogenic fungus and the fungal strain *Aspergillus niger*. Among the series of synthesized compounds, few compounds **Fig. 4** showed significant antimicrobial activity.

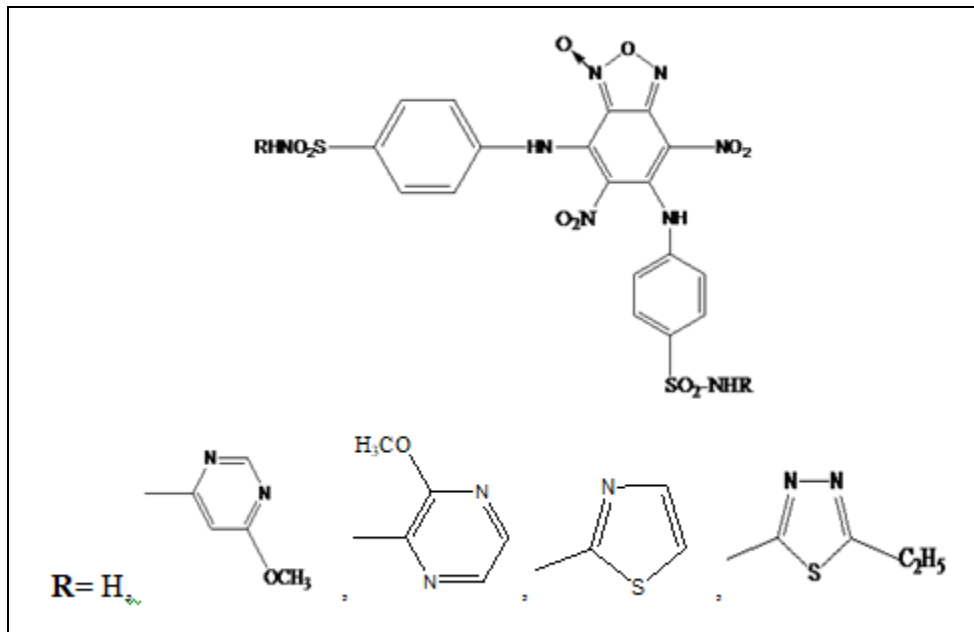


FIG. 4

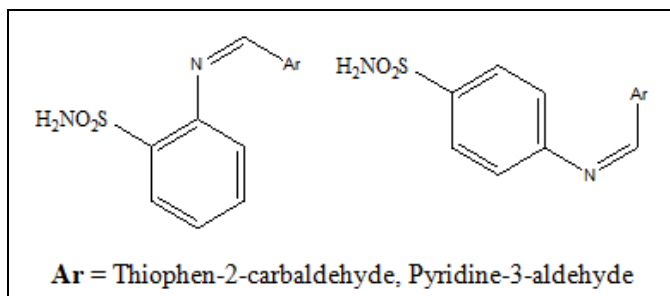


FIG. 5

T. Parthasarathy *et al.*,³⁰ worked on the synthesis of sulfonamide-based Schiff's bases & all the compounds **Fig. 5** were screened for *Colletotrichum gloeosporioides* spore germination activity. Some

of the compounds were found to be a good antifungal activity but mostly 4- amino benzene sulfonamide derivatives resulted significant activity than 2- amino benzenesulfonamide derivatives.

Gadad *et al.*,³¹ Synthesized and screened series of sulfonamide derivatives of fused thiadiazoles for their antibacterial activity using sulfamethoxazole and Norfloxacin as standard reference drugs. Some of the compounds were found to have potent activity against Gram-positive and Gram-negative organisms **Fig. 6**.

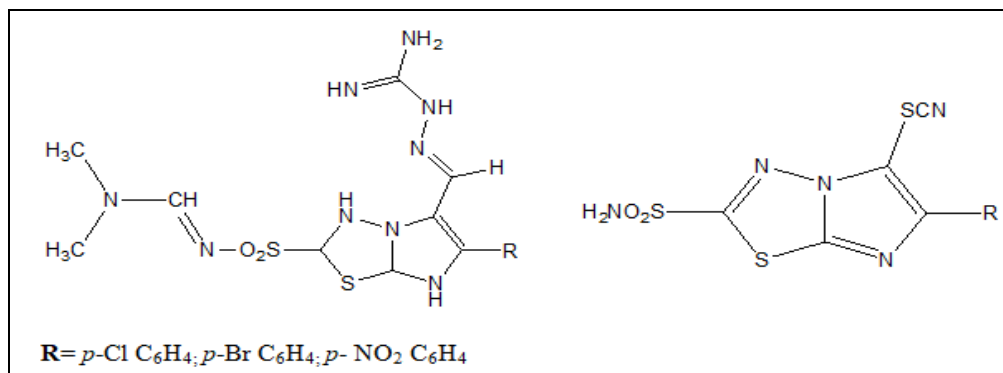


FIG. 6

Kamal *et al.*,³² designed and synthesized a series of linezolid-like oxazolidino-sulfonamides **Fig. 7** with a view to developing antimicrobial agents with improved properties. A correlation of the antimicrobial activity with calculated lipophilicity values (C log P) is also reported. The majority of the synthesized compounds showed good to moderate activity against a number of Gram-positive and Gram-negative bacteria and fungal strains. The two compounds showed significant activity, with a MIC value of 2.0-6.0 µg/ml against a panel of Gram-positive and Gram-negative bacteria. These compounds also showed activity against *Candida albicans*, with a MIC value of 4.0 µg/ml.

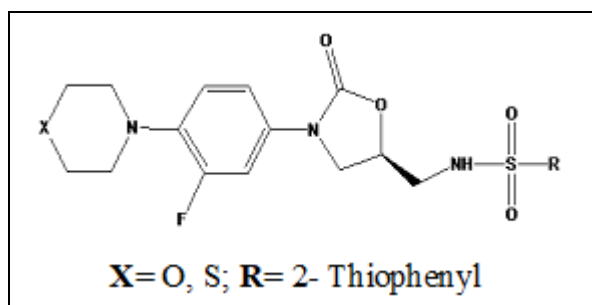


FIG. 7

Sum *et al.*,³³ synthesized and evaluated number of 9-acylamino and 9-sulfonylamino derivatives of minocycline against Gram-positive and Gram-negative strains of bacteria. These compounds showed activity against both tetracycline-susceptible and tetracycline-resistant strains. Many of the synthesized 9-sulfonylamino derivatives exhibited improved antibacterial activity against a number of tetracycline- and minocycline-resistant Gram-positive bacteria. The structure-activity relationship studies of these compounds provided valuable information on the structural requirements for activity against Gram-negative bacteria and indicated that it is possible to design compounds with activity selectively against Gram-positive

bacteria. The potent *in-vitro* activity of some of the sulfonamide derivatives **Fig. 8** against resistant Gram-positive bacteria makes them potent leads for the development of new antibiotics targeting the Gram-positive pathogens selectively.

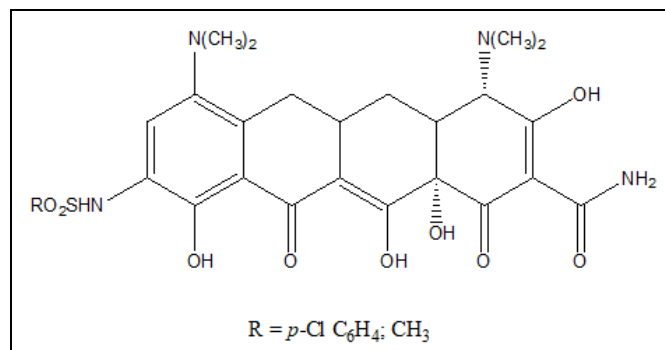


FIG. 8

Ezabadi *et al.*,³⁴ prepared series of ten newer sulfonamide-1,2,4-triazole derivatives as 5-[2-(substituted sulfamoyl)-4,5-dimethoxy-benzyl]-4-aryl-s-triazole-3-thiones and evaluated for *in-vitro* antibacterial and antifungal activity **Fig. 9**. All tested compounds showed significant antifungal activity against all the micromycetes, compared to the marketed fungicide bifonazole. Among the synthesized compounds, the best antifungal was shown with N-dimethylsulfamoyl group. All the compounds showed identical activity as the streptomycin, except for *Enterobacter cloacae* and *Salmonella* species. Furthermore, it is apparent that different compounds reacted in different ways against bacteria. Gram (-) bacteria seem to be more sensitive to these compounds than Gram (+) species. Also, an effort was made to correlate the differences in activity with lipophilicity studies. Furthermore, molecular modeling was used to obtain the main conformational features of this class of molecules for future structure-activity relationship studies.

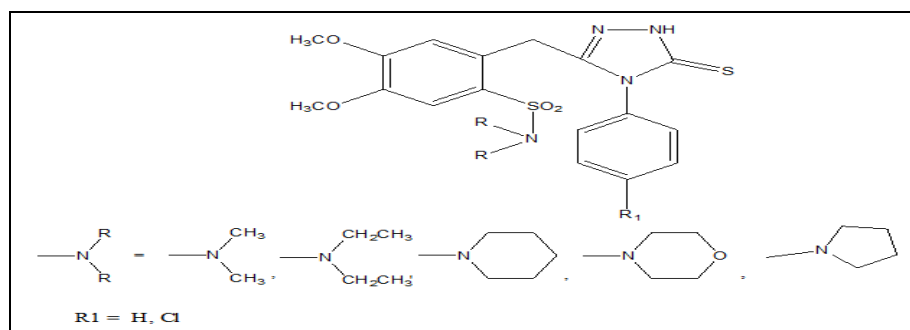


FIG. 9

Geronikaki *et al.*,³⁵ studied several thiazoles and benzothiazoles carrying benzenesulfonamide moiety at 2- position of the heterocyclic nucleus **Fig. 10** as antimicrobial agents. All sulfanilamides and a few of the nitro substituted sulfonamides showed promising antibacterial properties (0.3- 100 $\mu\text{g/mL}$) against Gram +ve bacteria like several bacilli, staphylococci, and streptococci, including methicillin-resistant *Staphylococcus aureus* (MRSA) and *Staphylococcus epidermidis* strains. In contrast, no inhibition of Gram-negative *Escherichia coli* and fungi is detected up to the concentration of 100 $\mu\text{g/mL}$. When the active antibacterial sulfonamides are tested together with trimethoprim, synergistic inhibitory activity occurs against both *Bacillus subtilis* and *Staphylococcus aureus*. Also, the presence of substituents in different positions of both thiazole and benzothiazole moieties causes a change of activity. Among benzothiazoles, 4-amino- and 4-nitrosulfonamides having a halogens substituent or carrying an ethoxy group on heterocyclic nucleus exhibit inhibitory properties not up to those of the corresponding methyl substitutes. Some of the tested compounds displayed good inhibition of growth of Gram-positive pathogen, among all *Bacillus subtilis* the most sensitive one.

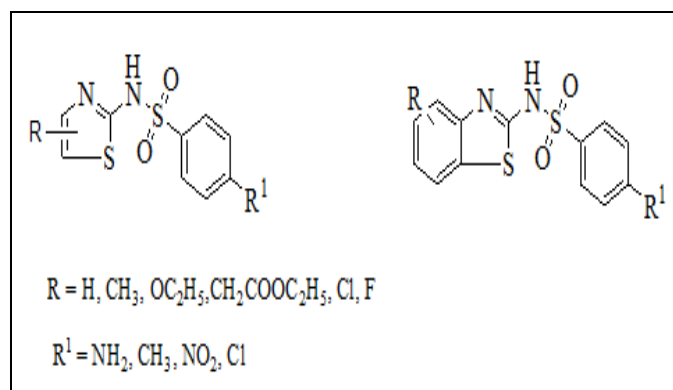


FIG. 10

Qadir *et al.*,³⁶ worked on synthesis, characterization, and antibacterial activities of novel sulfonamides derived through condensation of an amino group-containing drugs, amino acids, and their analogs. Antibacterial activities have been determined by measuring MIC values and zone of inhibition. Among the tested compounds, two compounds a and b **Fig. 11** showed potent activity against *E. coli* with a zone of inhibition: 31 ± 0.12 mm (MIC: 7.81 $\mu\text{g/mL}$) and 30 ± 0.12 mm (MIC: 7.81 $\mu\text{g/mL}$), respectively. Nearly as active as

Ciprofloxacin (zone of inhibition: 32 ± 0.12 mm). In contrast, all the compounds were totally inactive against the Gram (+) *B. subtilis* were screened for their antibacterial activities against gram-negative bacteria *E. coli* and *K. pneumoniae* and gram-positive *S. aureus* and *B. subtilis* by using Ciprofloxacin as reference antibacterial agent. Few compounds have excellent antibacterial activities against *K. pneumoniae* with a zone of inhibition comparable with Ciprofloxacin.

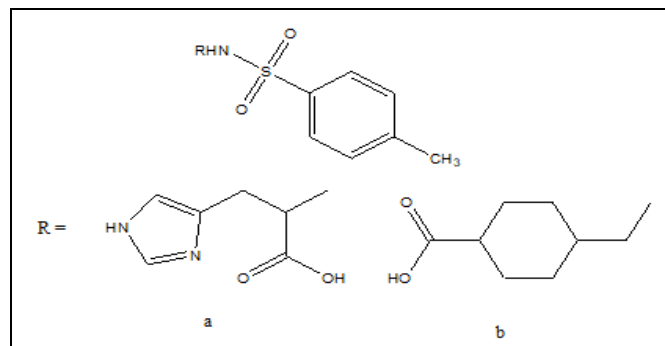


FIG. 11

Ghorab, *et al.*,³⁷ designed and synthesized a series of 4-(4,4-dimethyl-2,6-dioxocyclohexylidene) benzene sulfonamide derivatives and screened them as antimicrobial agents against some gram-positive, gram-negative bacteria and fungi. The synthesized compounds displayed equipotent antimicrobial activity. In this study, some of the compounds **Fig. 12** were the most potent and displayed higher activity compared to the reference drug, Ciprofloxacin. Also studied molecular docking simulations and analysis of the binding modes of the target compounds in DHPS (dihydropteroate synthase) active site were performed. Interestingly, the maximum potent compounds showed similar binding interactions to sulfanilamide in the active site of DHPS, with lesser binding energy.

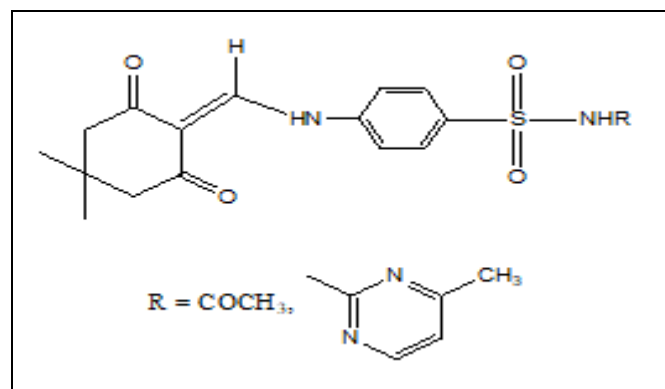


FIG. 12

Dupont *et al.*,³⁸ prepared a series of substituted sulfonamide derivatives **Fig. 13** from chlorosulfonyl isocyanate (CSI) in three steps (carbamoylation, sulfamoylation, and deprotection). *In-vitro* antibacterial activity of some newly prepared compounds investigated against

pathogenic strains gram-positive and gram-negative: *Escherichia coli* and *Staphylococcus aureus* by using dilution and minimal inhibition concentration (MIC) methods. These compounds have shown significant bacteriostatic activity with the entirety of bacterial strains used.

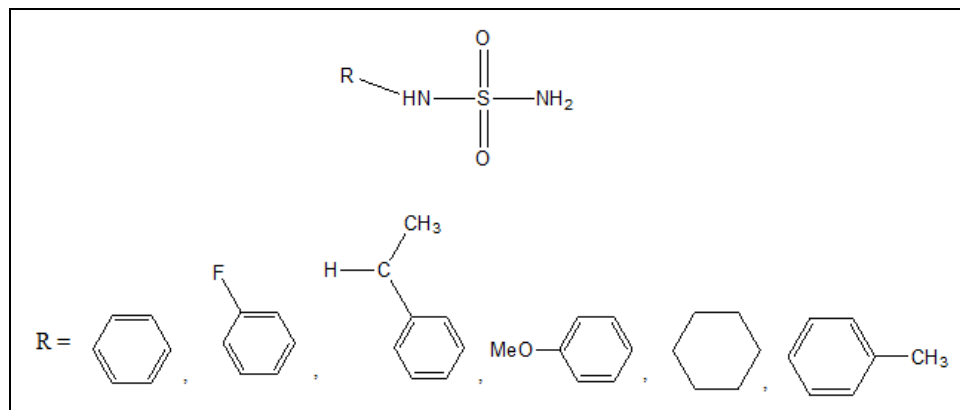


FIG. 13

Kirna Devi & Pamita Awasthi³⁹ designed and synthesized series of N-[1-benzyl-2-oxo-2-substituted (ethyl)] benzene/p-toluene sulfonamide analogues **Fig. 14**. These analogues bear sulfonamide and amide functionalities with hydrophobic terminations. They are proposed to be anticancer, antibacterial, and antifungal agents. The synthesized phenylalanine sulfonamide analogues showed good antimicrobial (antibacterial & antifungal) activities towards resistant/non-resistant strains.

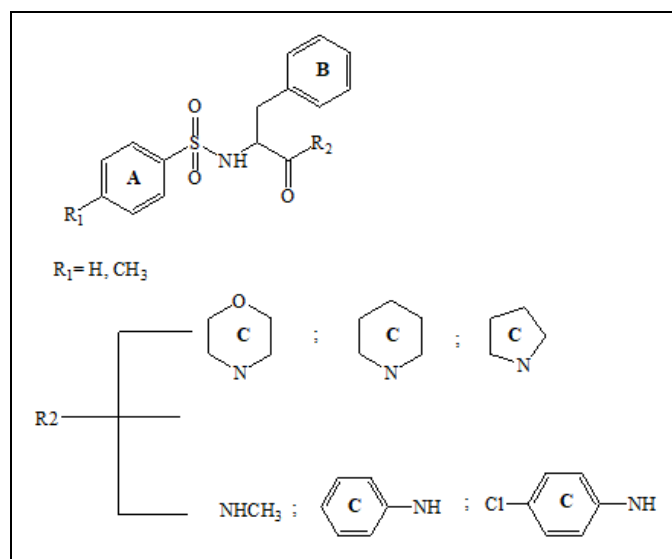


FIG. 14

Al-Sultan SQ *et al.*,⁴⁰ have studied number of new sulfonamide derivatives were designed and synthesized **Fig. 15** using sulfamethoxazole and amino acids with metabolically stable linkers,

suspected to be active on fungal and bacterial carbonic anhydrase enzymes, which are essential for their metabolic activities. The synthesized compounds were evaluated by measuring the zone of inhibition. All the synthesized compounds showed good antifungal activity against *Candida albicans* and antibacterial activity against Gram-negative bacteria *Pseudomonas aeruginosa* and concluded that designed compounds possess a higher antibacterial and anti-fungal activity in comparison to sulfamethoxazole.

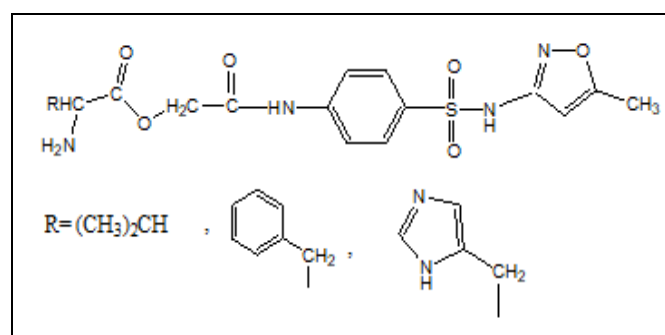


FIG. 15

Olayinka *et al.*,⁴¹ worked on sulfonamides containing N,N -Diethyl-substituted amido moieties N,N-diethyl-substituted amides had better activity than their α -tolylsulfonamide precursors. The *in-vitro* antibacterial activity of these compounds was investigated on two key targeted organisms *Staphylococcus aureus* and *Escherichia coli* using streptomycin as a clinical reference drug. Among the screened compounds 1-(benzylsulfonyl)

pyrrolidine-2-carboxylic acid **Fig. 16**, emerged as the most active compound against *Staphylococcus aureus* at MIC value of 1.8 $\mu\text{g/mL}$ while 4-(3-(diethylamino)-3-oxo-2-(phenylmethanesulfonyl)propyl)phenyl phenylmethanesulfonate **Fig. 17**, was the most active sulfonamide scaffold on *Escherichia coli* at MIC value of 12.5 $\mu\text{g/mL}$.

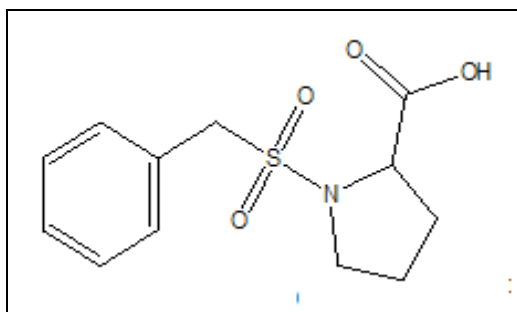


FIG. 16

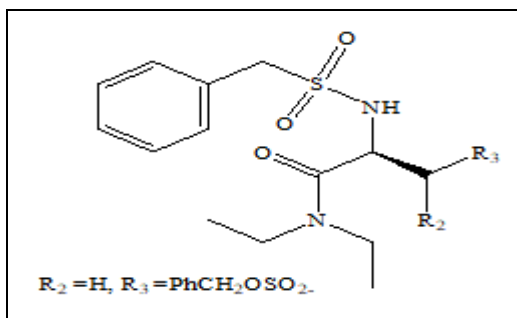


FIG. 17

Anuradha Singh *et al.*,⁴² worked on design, synthesis, and antibacterial activities of a series of aryl sulphonamide derivatives contain naphthalene nucleus **Fig. 18** and displayed more effective activity against *B. cereus* and *E. coli* (MIC 0.14 μM) in comparison with standard drug (MIC 0.19 μM) and moderate activity against other strains.

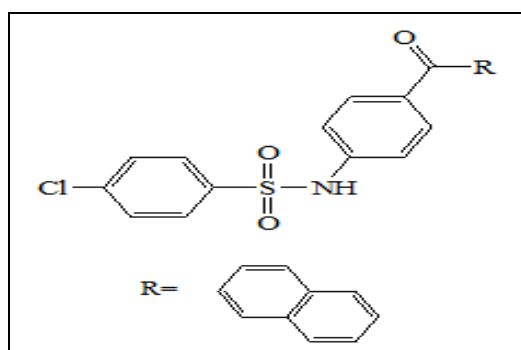


FIG. 18

F. Naaz *et al.*,⁴³ were synthesized series of compounds **Fig. 19** displayed significant activity against all bacterial strains and was twofold more

potent against *E. coli* than reference chloramphenicol (MIC, 3.1 $\mu\text{g/mL}$ versus 6.2 $\mu\text{g/mL}$) and equipotent in activity as equated to sulfamethoxazole. SAR study visibly showed that compounds bearing $-\text{NO}_2$ group, *i.e.*, compound showed higher antibacterial activity, possibly due to the presence of this polar substituent as it offers chances for H - bonding.

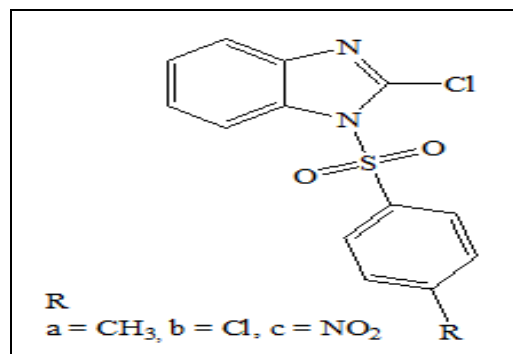


FIG. 19

S.S. Swain *et al.*,⁴⁴ synthesized thymol-sulfonamide conjugates **Fig. 20** were tested for antibacterial activity in vitro, against MRSA and VRE (vancomycin resistant *Enterococcus faecalis*) strains isolated from clinical samples. The conjugate, compound (thymol + sulfadiazine) caused the highest sizes of inhibition zones 24.35 mm, against *S. aureus*, and 22.28 mm against *E. faecalis*, respectively. The compound have most effective Pa value, $0.928 > 0.003$ as an anti-infective, $0.733 > 0.004$ as an antituberculosic and $0.690 > 0.003$ as a PABA antagonist activities. Blithely, the compound was the most suitable chemical for the development as an antibacterial, along with antituberculosic drug capability.

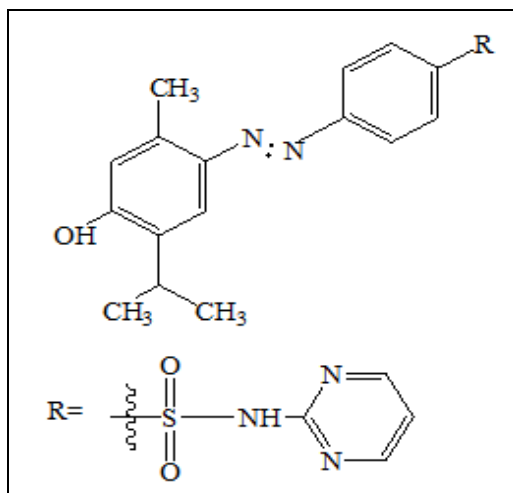


FIG. 20

Sunil Kumar *et al.*,⁴⁵ synthesized and characterised a new series of halogenated 4-thiazolidinone derivatives bearing the sulfonamide moiety for their antibacterial activity and using reference drug Ciprofloxacin (MIC 3.12 mg mL⁻¹). *In-vitro* antimicrobial activity of all the compounds was assessed against two Gram-positive bacterial strains *Bacillus subtilis* and *Staphylococcus aureus* and two Gram-negative bacterial strains *Escherichia coli* and *Pseudomonas aeruginosa* using the disc diffusion method. The synthesized samples together with the reference drugs were established at a concentration of 100 µg/ml. The test results exhibited that the few compounds have chloro substituents **Fig. 21** shown moderate activity against the bacterial strains compared to the standard drug Ciprofloxacin.

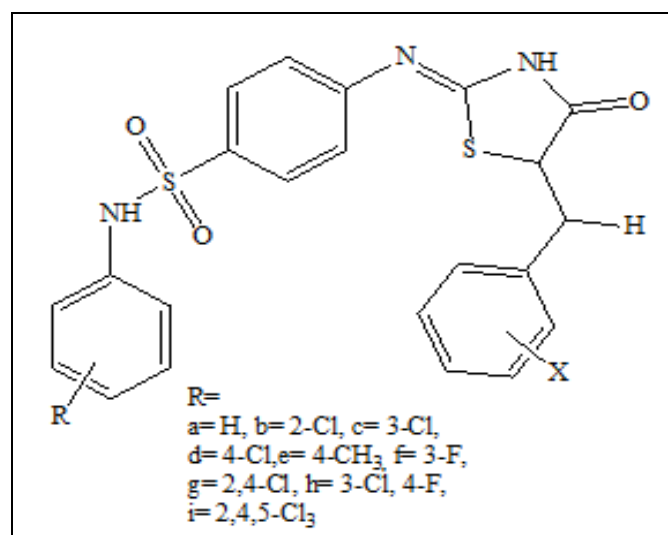


FIG. 21

Muhammad Pervaiz⁴⁶ worked on the silver complexes of sulfamethoxazole **Fig. 22**, and their antimicrobial activity was determined by minimum inhibitory concentration against Gram-positive *S. aureus* and *S. enterica* and gram-negative *P. strains*. The complex showed MIC for Gram-positive at 13.9 mmol/L and for gram-negative at 1.74 mmol/L. The antimicrobial activity of the cobalt sulfamethoxazole complex was studied against *M. tuberculosis*. The MIC was found to be higher than 25µg/ml. The antimicrobial activity of iron complex of sulfamethoxazole against different bacterial strains *S. aureus*, *B. subtilis*, *P. aeruginosa*, *K. pneumonia*, and *E.coli* were studied. It showed remarkable activity against *S. aureus* with minimum inhibitory concentration 6.25µg/ml and least activity against *K. pneumoniae*.

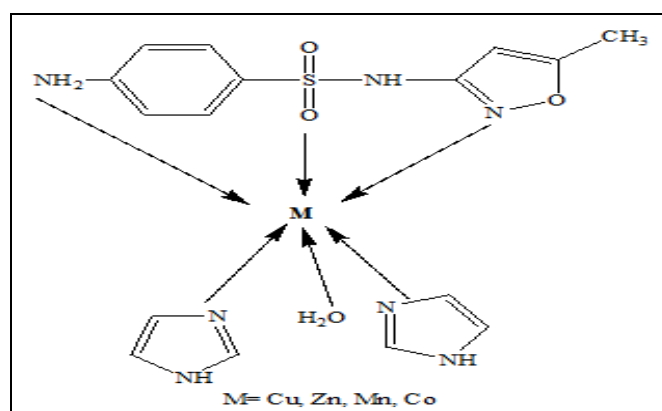


FIG. 22

El-dissouky *et al.*,⁴⁷ Worked on computational studies of HL1 -HL2 **Fig. 23** were carried out by the DFT/B3LYP method. TD-DFT, HOMO, and LUMO energy values, chemical hardness, electro-negativity, electrophilic index, softness, and other parameters were calculated. Screening against several pathogenic microorganisms indicated that HL1 exhibited high activity against the tested Gram-negative bacteria relative to other analogues, and the inhibition activity is greater than the standard *Gentamicin*. Analogously, HL2 exhibited high potent activity against the tested Gram-positive bacteria. Copper complexes exhibited a higher potent activity than zinc analogues.

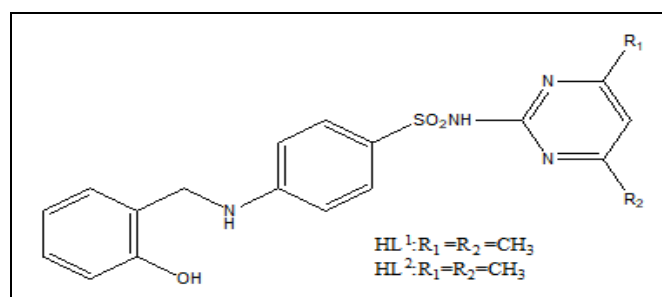


FIG. 23

A. Sunil Kumar⁴⁵ prepared Schiff bases of sulfonamides and also tested against two Gram-positive bacterial strains *Bacillus subtilis* and *Staphylococcus aureus*, and two Gram-negative bacterial strains, *Escherichia coli* and *Pseudomonas aeruginosa* using the disc diffusion method. The synthesized samples together with the reference drugs were tested at a concentration of 100 µg /mL. The test results showed that the compounds are less toxic against the bacterial strains compared to the standard drug Ciprofloxacin. Compound **Fig. 24** showed the highest activity against the *B. subtilis* strain.

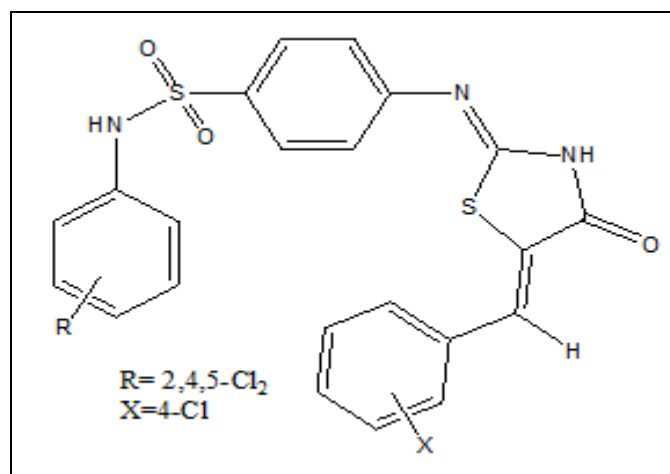


FIG. 24

Rasha A. Azzam *et al.*,⁴⁸ synthesized a new class of pyridine based N- Sulfonamide and studied in vitro enzyme assay study of these compounds against DHPS and DHFR enzymes showed that compounds **Fig. 25** was the most potent inhibitor against both enzymes with IC₅₀ values of 2.76 and 0.20 µg/mL, respectively. Docking studies showed that this compound had occupied both the p-aminobenzoic acid and pterin binding pockets of DHPS as well as the pterin binding pocket of DHFR. The results of these investigations confirmed that the compound exhibit the most potent dual DHPS/DHFR inhibition.

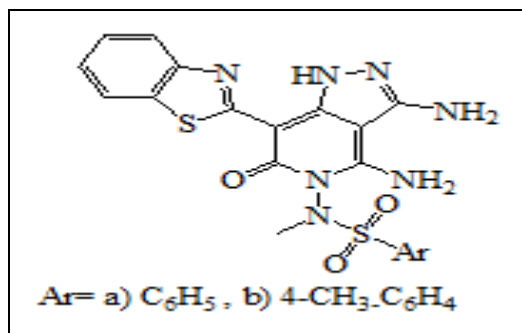


FIG. 25

Mustafa M. AL-Hakiem *et al.*,⁴⁹ synthesized a new series of Schiff base compounds **Fig. 26** from sulfa drugs by the reaction of sulfonamide compounds with pyridine aldehydes. The synthesized sulfonamide Schiff base compounds were tested against two Gram-positive bacteria (*Staphylococcus aureus*, *Streptococcus* spp.) and two Gram-negative bacteria (*Escherichia coli* and *Klebsiella pneumonia*). The result displayed that the compound was highly active against all Gram-positive and weak activity against Gram-negative bacteria.

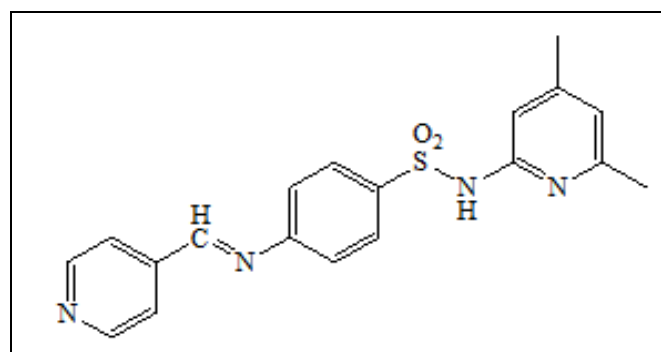


FIG. 26

Hussein *et al.*,⁵⁰ reported the synthesis of some new series of 2-(arylamino)acetamides and N-arylacetamides bearing sulfonamide moieties **Fig. 27**. The sulfonamides were evaluated for antimicrobial activity against two strains of Gram-positive bacteria known as *S. aureus* (RCMB-010010), and *B. subtilis* RCMB 015 (1) NRRL B-543, as well as two strains of Gram-negative bacteria, namely *E. coli* (ATCC 25955), and *P. vulgaris* (ATCC 13315), in addition to two types of fungi namely *A. fumigatus* (RCMB 002008), and *C. albicans* (ATCC 10231). The antimicrobial results showed that the synthesized compounds exhibit dual activities as promising antibacterial and antifungal agents.

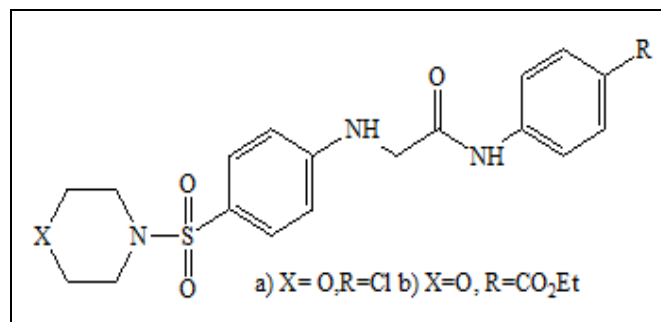


FIG. 27

Neda mostajeran *et al.*,⁵¹ reported series of new coumarin-6-sulfonamides as potential antibacterial agents **Fig. 28**. The *in-vitro* efficacy of these new coumarin sulfonamides against the Gram-negative bacteria was much lower than that against Gram-positive bacteria. But coumarin sulfonamides with heterocycle rings have higher antibacterial activity against the Gram-negative bacteria than against Gram-positive bacteria. All the synthesized compounds have been screened for their *in-vitro* antibacterial activities against *Escherichia coli* and *Staphylococcus aureus* bacteria.

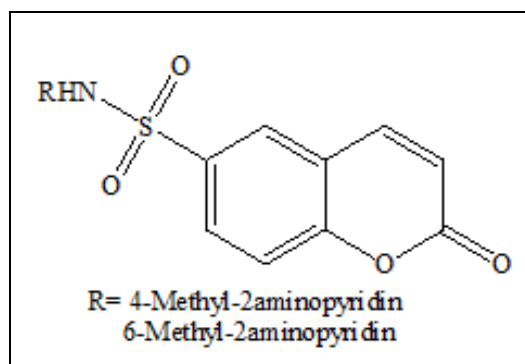


FIG. 28

CONCLUSION: Sulfa drugs are regarded as the oldest chemically synthesized promising class of antimicrobial agents and are still widely used today for the treatment of a variety of bacterial, protozoal, and fungal infections. In this review, we have summarized the chemistry of different heterocyclic sulfa drugs along with their antimicrobial activity. Hope this review will form a comprehensive foundation for researchers interested in sulfa-based drug designing.

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