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REVIEW OF NATURAL MOVEMENT AND SYNTHESIS OF SULFONAMIDE

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Abstract
Sulphonamide is a lot of tremendous in restorative science and furthermore in engineered natural technology. As of overdue there are a few strategies created for the union of Sulphonamide. Sulphonamide is a huge elegance of heterocyclic combinations which has extensive scope of natural houses. The modern paintings is manages some novel aggregate of Sulphonamide subsidiaries. considering that, Sulphonamide is the maximum pro engineered moiety that is applied as hostile to bacterial expert that's applied for controlling a few bacterial illnesses. here, likewise one-of-a-kind sulfa tranquilizes additionally suggests towards bacterial movement like Sulphonamide, these medicinal drugs includes Sulphathiazole, Sulphadiazine, and so on Sulphonamide compound are specially giant for one-of-a-kind natural sporting events because of their numerous organic physical games, low poisonousness and cost viability. on this paper we zeroed in on survey of various engineered techniques for subbed sulphonamides subsidiaries.

Key words: Sulphonamide, anti- bacterial, Heterocyclic compounds.

Introduction
Sulfonamides (sulfa drugs) were the first drugs largely employed and systematically used as preventive and chemotherapeutic agents against various diseases. Over 30 drugs containing this functionalityare in clinical use, including antihypertensive agent bosentan, antibacterial, antiprotozoal, antifungal, anti-inflammatory, nonpeptidic vasopressin receptor antagonists and translation initiation inhibitors. Some important sulfonamide derivatives used as carbonic anhydrase inhibitors of commercial importance. They are also effective for the treatment of urinary, intestine, and ophthalmic infections, scalds, ulcerative colitis, rheumatoid arthritis, male erectile dysfunction as the phosphodiesterase-5 inhibitor sildenafil – better known under its commercial name, Viagra, and obesity. More recently, sulfonamides are used as an anticancer agent, as the antiviral HIV protease inhibitor amprenavir and in Alzheimer's disease.

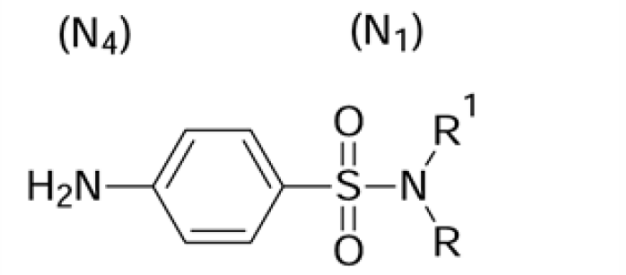

Fig. 1. The general structure of sulfonamides, if R=R'=H is sulfanilamide

Sulfonamides are compounds, which have a general structure represented by Figure1. After sulfanilamide discovery, thousands of chemical variations were studied and the best therapeutic results were obtained from the compounds in which one hydrogen atom of the SO2NH2 group was replaced by heterocyclic ring. To date more than twenty thousand sulfanilamide derivatives have been synthesized. These syntheses have resulted in the discovery of new compounds with varying pharmacological properties in this main structure, R, R1 may be hydrogen, alkyl, aryl or hetero aryl etc. The lipophilicity of the N1 group has the largest effect on protein binding, and generally, the more lipids soluble a sulfonamide is the more of it will be protein bound. The aniline (N4) amino group is very important for activity because any modification of it other than to make prodrugs results in a loss of activity. Moreover sulfonamides are also inactive if *p*-amino group is acylated, benzene is substituted, sulfonamide group not attached directly to benzene ring. More advanced studies revealed that modified sulfonamides showing high to moderate antibacterial activity. Aliphatic sulfonamides have highest powerful antibacterial activity for Gram (-) bacteria than Gram (+) and antibacterial activity decreases as the length of the carbon chain increases. Also, novel macrocyclic bis-sulfonamides showed antimicrobial activities.

Sulfonamides via sulfonyl chloride from thiols

Due to the broad applicability of sulfonamides, it is desirable to find general and effective methods for their synthesis. Thus synthesis of these compounds is of continuing interest. To date many synthetic methods have been reported. Some of the most common and recent methods are illustrated briefly below and are provide via sulfonyl chloride or using transition metals as catalyst or Grignard reagents. The sulfonylation of amines with chlorides in the presence of a base is the most typical method for preparing of sulfonamides. This method involves the nucleophilic attack by ammonia, primary or secondary amines, with sulfonyl chlorides in the presence of a base. Although this method is efficient, it requires the availability of sulfonyl chloride, some of which are difficult to store or handle. In turn, sulfonyl chlorides can be prepared from the corresponding thiols using a number of methods, commonly by bubbling Cl2 gas into aqueous acid or a biphasic mixture containing the thiol. Sulfonyl chlorides are prepared also by treating sulfonic acids with chlorinating agents such as SOCl2, POCl3 or PCl5. Recently, the direct oxidative conversion of thiols into sulfonamides with H2O2-SOCl2 (Fig. 2) was reported by Bahrami *et al.* [2008] where upon acts with amines, the corresponding sulfonamides were obtained in excellent yields in very short reaction times.

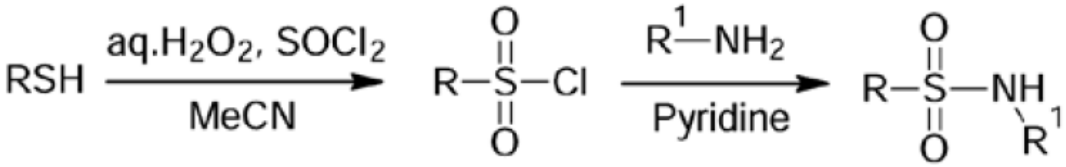

Fig. 2. Conversion of thiols into sulfonamides with H_2O_2-$SOCl_2$

Mentioned above methodology was optimized as a combinatorial library (parallel format). Sulfonamides were smoothly prepared in good to high yields when aryl thiols carrying either electron-donating or electron-withdrawing substituents. Recently modification of this standard method concerns the using *N*-chlorosuccinimide (NCS) and tetrabutylammonium chloride-water system in acetonitrile delivered sulfonyl chloride *in situ*.

Furthermore, authors have developed a onepot process for preparing sulfonyl azides from thiols under these conditions in the presence of NaN3. This convenient one-pot synthesis of sulfonyl azides from sulfonic acids was reported by Jong *et al.* [2014]. The advantages are excellent yields, the cheapness and availability of the reagents, easy and clean workup, extremely fast reaction, high chemoselectivity (Fig. 3).

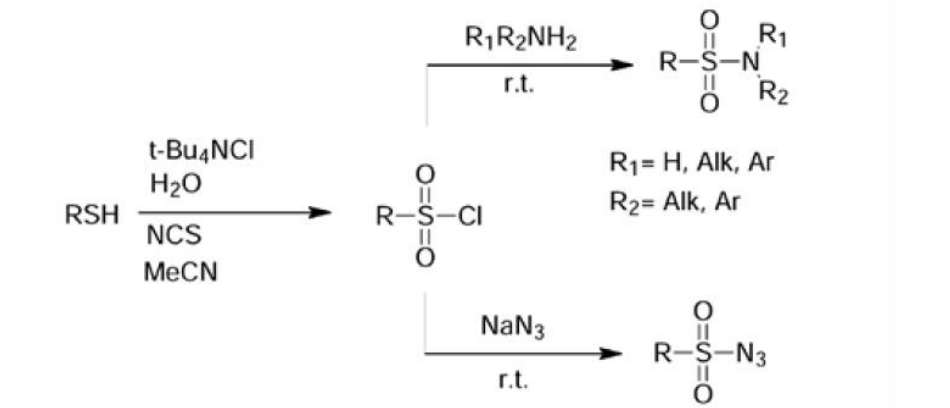

Fig. 3. Method concerns the using *N*-chlorosuccinimide (NCS) and *t*-Bu$_4$NCl

A method of formation of sulfonamides from thiols was reported by Wright *et al.* [2014], requiring *in situ* synthesis of a sulfonyl chloride using sodium hypochlorite (commercial bleach) mediated oxidation of thiol. This methodology introduces several advantages, such as readily availability of the reagents as well as controlled amount of the oxidant used. The resulting sulfonyl chlorides were then trapped with benzylamine in the subsequent reaction to produce sulfonamides up to 98% yield (Fig. 4).

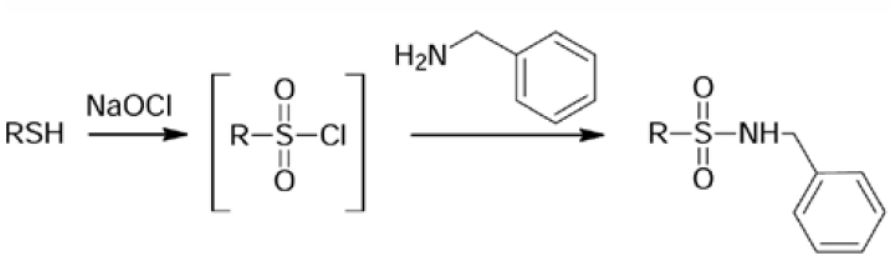

Fig. 4. Sodium hypochlorite as mediated oxidation of thiols

Trichlorocyanuric acid (TCCA) and benzyltrimethyl ammonium chloride in water were used to generate a controlled amount of chlorine into aprotic solvent (MeCN). The use by Bonk *et al.* [2011] of TCCA introduces the advantage of high-purity chlorine production compare to that of hypochlorite. The research group modified this methodology by adding the subsequent amine into a one-pot reaction, generating sulfonyl chloride *in situ*, and furnishing sulfonamides under 1 hour (Fig. 5).

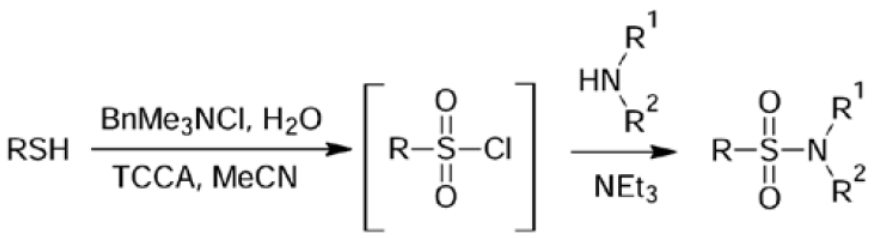

Fig. 5. Synthesis of sulfonamides via reaction with trichlorocyanuric acid (TCCA)

Sulfonamides from sulfonamides

Another innovative example of sulfonamides synthesis was illustrated in the synthesis of 2-amino-9H-purin-6-sulfonamide. Mild and selective oxidants have been used by Revankar *et al.* [2010]. They reported the oxidation of 2-amino-9H-purin-6-sulfenamide using one equivalent of *m*-CPBA in 48% yield (Fig. 6). More amounts of *m*-CPBA (4eq) delivered target compound with slightly better 53% yield.

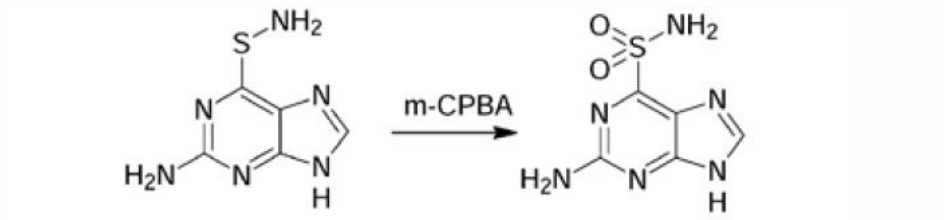

Fig.6. Oxidation of sulfonamides with using m-CPBA

Sulfonamides via using transition metal catalyst

Transition metal catalyzed *cross-coupling* C–N bond formation has been studied extensively, where the most well-known, palladium catalyzed *N*-arylation is the Buchwald-Hartwig reaction. Up to now catalysts base on a few transition metals have been examined for the *N*-arylation of sulfonamides. The first one is Pd. For example a biaryl phosphine ligand, *t*-BuXPhos and K3PO4 in *tert*-amyl alcohol was found to be the optimal base-solvent combination for a Pdcatalyzed sulfonamidation of aryl nonafluorobutanesulfonates. The reaction conditions were tolerant of various functional groups. The only identified limitation of this methodology is the inability of 2,6-disubstituted aryl nonaflates to efficiently participate in the reaction (Fig. 7).

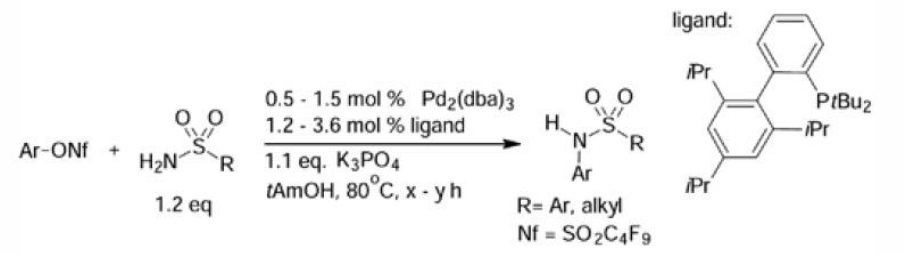

Fig.7. Pd-catalyzed sulfonamidation

Conclusions

In this paper, synthesis of sulfonamide derivatives has been reported in many ways. These classes of compounds are considered as "scalffolds" in medicinal chemistry to drug development with different biological activities. In organic chemistry, these compounds have a functional application in the industry in some products of health, food colorants and others, therefore it is necessary to continue with research projects that help to synthesize new compounds with sulfonamide group.

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