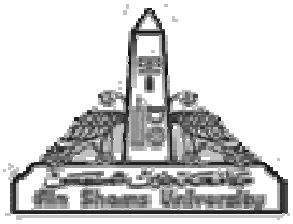


Synthesis of Some Environmentally Safe Bis-Sulfonamide with Surface Biological Activities

A Thesis submitted
By

Mohamed Sayed Sayed Saed Alsanan.

M.Sc. Chemistry, Zagazig University, 2005

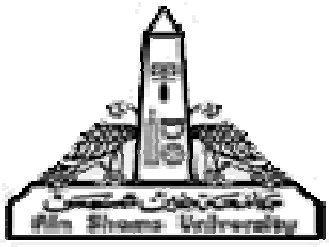
To

Chemistry Department
Faculty of Science
Ain Shams University

For

The Degree of Doctor of Philosophy (Chemistry)

2011



تخليق بعض السلفونأميدات الآمنة بيئيا ذات نشاط سطحي بيولوچي

رسالة مقدمة من

محمد سيد سيد سعيد السنان

ماجستير في الكيمياء (٢٠٠٥)
كلية العلوم - جامعة الزقازيق

للحصول علي

درجة دكتوراةالفلسفة في العلوم
(كيمياء عضوية)

الي

جامعة عين شمس- كلية العلوم

قسم الكيمياء

٢٠١١

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TS-110117 – 28.1.11 dk/stm köthen

A. M. Badawi[1], D. E. Mohamed[1], A. A. Hafiz[1], S. M. Ahmed[1], Y. M. Gohar[2], E. A. Soliman[3] and M. S. A. Sanan[4]

Synthesis of Some Novel Sulfonamide Derivatives and Investigating their Biocidal Activity in Cooling Towers

A novel series of dibenzothiophenedioxide sulphonamide derivatives were synthesized and tested as antimicrobial agents. The chemical structures of the prepared compounds were confirmed by micro elemental analysis, fourier transform infrared (FT-IR) and proton nuclear magnetic resonance spectroscopy (H-NMR). The surface parameters of two of the prepared compounds were determined at 35 °C including, surface tension, effectiveness, maximum surface excess and minimum surface area. Also the standard free energy of micellization and adsorption were recorded. The results showed that the prepared sulphonamides have good surface properties and effective antimicrobial activity against thirty three test organisms isolated from cooling towers.

Key words: Sulphonamides, antimicrobial agents, cooling towers, surface activity

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NOVEL DISULFONAMIDE BASED-COMPOUNDS: SURFACE STUDIES AND ANTIMICROBIAL PROPERTIES AGAINST SULFATE REDUCING BACTERIA

A. M. Badawi*, Dalia E. Mohamed*, Amal A. Hafiz*, Sahar M. Ahmed*, Yousry M. Gohar, E. A. Soliman***, M. S. Said******

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ABSTRACT

This study reports the effect of the structural changes of novel bisulfonamide based-compounds on the surface parameters and antimicrobial activity. In order to achieve this goal, a novel series of chlorobenzene and chlorotoluene disulphonamide derivatives were synthesized. Their chemical structures were confirmed by using elemental analysis, Fourier transform infrared (FTIR) and proton nuclear magnetic resonance (^{1}H NMR) spectroscopy. The surface parameters including critical micelle concentration (CMC), effectiveness (π_{CMC}), maximum surface excess (Γ_{max}) and minimum surface area (A_{min}) were determined at 55°C. Also the standard free energies of micellization ($\Delta G_{mic}°$) and adsorption ($\Delta G_{ads}°$) were recorded. Finally the antimicrobial activity was determined via the inhibition zone diameter of the prepared compounds, measured against sulphate reducing bacteria (SRB) using both the diffusion disc and the serial dilution method.

Keywords

disulfonamide based-surfactants, antimicrobial agents, SRB, surface activity

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CHAPTER 1

INTRODUCTION

PART I

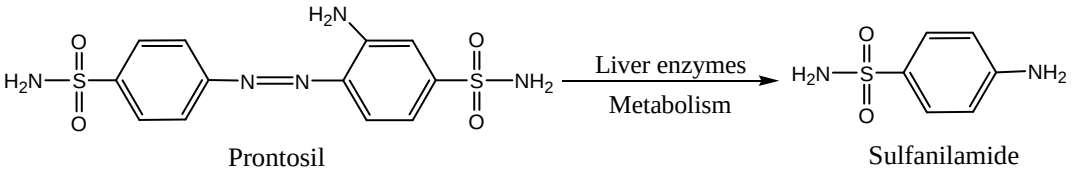
A. SULFONAMIDES

The sulfonamides are one of the groups of organosulfur compounds. They are also called amide derivatives of sulfonic acids. These compounds contain RSO_2NH_2 group. They are a family of broad-spectrum synthetic bacteriostatic antibiotics. They inhibit multiplication of bacteria but do not actively kill bacteria except with high concentration. They have been used against most gram-positive and many Gram-negative organisms, some fungi and certain protozoa. Mixtures of sulfonamides with other drugs have also been used in various infections. Some of aromatic/heterocyclic sulfonamides and their derivatives showed very high inhibitory activity against carbonic anhydrase (CA) isozymes. A large number of structurally novel sulfonamide derivatives have been reported as anti-tumor and remarkable antiviral activity such as anti-HIV (ADIS). [**Zareef**, **(2006)]**

A.1. BACKGROUND:

Sulfonamides are one of the groups chemotherapeutic agents commonly referred to as Sulfa drugs discovered in the 1930's.Exactly in 1935, led **[Domagk.,(1935)]** to discover that a red dye, 4'-sulfamy1-2,4-diaminoazo-benzene, which was later named prontosil Figure (1). **[Trefouel, (1935)]** in France made the important observation that the antibacterial activity was not due directly to prontosil, but rather to a metabolite formed in the animal by the reduction of the diazyl bond of the

prontosil. This metabolite was identified as sulfanilamide. In 1939, Domagk was awarded the Noble prize in medicine for his classic discovery of what was termed in 1940 "the only known chemicals capable of curing serious systemic bacterial infections in man in doses allowing a satisfactory margin of safety" [**Goodman and Gilman (1941)]**.



Prontosil Sulfanilamide

Figure 1: Structural formulas of Prontosil and its metabolite in human body (Sulfanilamide)

The observation by **Domagk** that the red dye prontosil had a high antibacterial activity, coupled with systematic efforts to identify the active structure, led to the opening of a new chapter in chemotherapy.

Domagk discovery quickly resulted in the development of a variety of sulfonamides, all of which were essentially substituted sulfanilamides. Sulfa drugs were found to be effective against such grave bacterial infections as meningitis, pneumonia and blood poisoning it saved thousands of lives in World War II (1939-1945).

A.2. Synthesis of sulfonamides:

The most common method used for preparation of sulfonamides is by the reaction of appropriate sulfonyl halide, either aliphatic or aromatic, with ammonia or amines. Therefore, heterocyclic sulfonamides were similarly prepared through the reaction of heterocyclic sulfonyl halide with ammonia or amines. This reaction is showed in Figure (2).