Pyrimidine based chelates as an effective antibacterial agent

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Abstract: In order to effectively manufacture a series of 4-arylvinyl-2,6-di(pyridin-2-y1) pyrimidines, a twofold cross-coupling reaction was carried out between 2,4-dichloro-6-methylpyrimidine and 2-(tributylstannyl) pyridine. This reaction was catalysed by 2-(tributylstannyl)pyridine. After this, an aldol condensation was carried out using the suitable aromatic aldehyde, which was then replaced with electron-donating, electron-withdrawing, dendritic, or water. - The optical absorption and emission properties of these systems were examined, with a particular emphasis placed on the role that the presence of a variety of protic and aprotic solvents had in the modification of those properties. It is compatible with the creation of an intramolecular charge-separated emitting state for there to be high emission solvatochromism in compounds that include electron-donating groups. This phenomenon is known as solvatochromism. Not only does the polarity of the solvent play a part in determining how the solvatochromic behavior is shown, but the hydrogen bonding properties of the solvent also have an impact. The effect of protonation was also studied, and the ability of certain of these molecules to function as colorimetric and luminous pH sensors was shown by the detection of substantial colour changes and the switching of brightness when an acid was added to the mixture.

Keywords: electron-withdrawing, properties, 2-(tributylstannyl)pyridine., Antibacterial Agents

INTRODUCTION

To effectively manufacture a series of 4-arylvinyl-2,6-di(pyridin-2-y1) pyrimidines, a double cross-coupling reaction was carried out between 2,4-dichloro-6-methylpyrimidine and 2-(tributylstannyl) pyridine. This reaction was carried out in order to create a double bond between the two molecules. After this, an aldol condensation was carried out using the suitable aromatic aldehyde, which was further replaced with electron-donating, electron withdrawing, dendritic, or water-soluble groups. The optical absorption and emission properties of these systems were examined, with a particular emphasis placed on the role that the presence of a variety of protic and aprotic solvents had in the modification of those properties. It is compatible with the creation of an intramolecular charge-separated emitting state for there to be high emission solvatochromism in compounds that include electron-donating groups. This phenomenon is known as solvatochromism. Not only does the polarity of the solvent play a part in determining how the solvatochromic behavior is shown, but the hydrogen bonding properties of the solvent also have an impact. The effect of protonation was also investigated, and the ability of some of these molecules to function as colorimetric and luminous pH sensors was demonstrated by the observation of significant colour changes and the switching of luminosity upon the introduction of acid. This research was published in the journal Nature Chemical Biology.

An investigation of the antibacterial efficacy of selected 6-aryl-5-cyano-2-thiouracil derivatives at each stage of their synthesis The combination of ethyl cyan acetate, thiourea, and aldehydes in a process led to the synthesis of a series of 6-aryl-5-cyano-2-thiouracil derivatives. This reaction was successful (1a-d). In the course of the synthesis of a wide range of thiouracil derivatives, these products served as intermediate compounds and were used throughout the process (2a-d to 10a-d). It was determined if any of the compounds have antibacterial or antifungal characteristics by testing each and every one of them.

Preparation Of Substituted And Unsubstituted

6 Aryl Pyrimidine And Their Metal Chelates :

Preparation Of 2 Chloro 4 Phenyl Thio Uracil 6 Phenyl Pyrimidine (CPTUPP)
The reaction between RNCS group and 2 chloro 4 amino 6 phenyl pyrimidine is the foundation for Hilbert and Johnson's technique, which describes the production of 2 chloro 4 phenyl thio uracil 6 phenyl pyrimidine. Outlines of the production of the 2 chloro-4 phenyl thio uracil-6 phenyl pyrimidine (CpTUpP) are as follows. The synthesis of (CpTUPP ) have been produced in accordance with the directions provided in the relevant literature.

It is possible to get a solution that is transparent and yellow in colour. This solution is then given an alcoholic solution of CHNCS, which is made by dissolving 1.22 gms of analar grade CH,NCS in 100% alcohol. This alcoholic solution of CHNCS is then added gradually while being continuously stirred. The reaction mixture is heated for one hour on a water bath while also being equipped with a reflux condenser. This is done after it has been thoroughly shaken. A yellow granular product may be produced when it has been allowed to stand for some time. After that, the contents of the flask are transferred into a beaker with a capacity of 250 ml and allowed to cool. It is then dried after being filtered and cleaned with ethanol. Recrystallization from hot ethenol is used to purify the product, which is known as CpTUPP. Following analysis, it was determined that the product consists of 2 chloro, 4 phenyl thio uracil, and 6 phenyl pyrimidine (CpTUpP).

Table 1 presents the analytical data together with the composition, melting points, % yield, and elemental analysis.

It is anticipated that the response will continue as

2. Preparation Of 2 Chloro, 4 Bromo Phenyl Thio Uracil

A. Preparation Of 2-Bromo Phenyl Iso Thiocyanate:

The preparation of 2-bromophenyl isothiocyanate is accomplished using the approach that has been utilised by previous researchers.

Three grammes of analar grade phenyliso thiocyanate are dissolved in ten millilitres of CHCI, which is done in a flask with a circular bottom. On the other hand, in order to make a bromine solution in chloroform and glacial acetic acid, you need to start by dissolving 5 grammes of bromine into 10 millilitres of CHCI and then adding 10 millilitres of glacial acetic acid. Now, a few drops of this bromine-CHCI solution are added to the flask that already contains the combination while the flask is continuously stirred. The reaction mixture is a phenyliso thiocyanate and CHCI, and it was refluxed on the water bath for two of two hours. the precipitate was a pale yellow. After allowing the mixture to stand for 15 phenyliso minutes, bromo thiocyanate is formed. The contents of the flask are then filtered, and the precipitate is washed with ethanol before being allowed to dry. The substance is made by repeatedly recrystallizing hot ethanol at a concentration of 60%. M.P. was located at 105 degrees Celsius, and yield was satisfactory.
B. Preparation Of 2 Chloro, Bromophenyl Thio Uracil 6 Phenyl Pyrimidine (CbpTUpP)

In a flask with a round bottom, 2.5 grammes of 2-bromo phenyliso thiocyanate are dissolved in a volume of 25 millilitres of ethyl alcohol. After adding an alcoholic solution of 2 chloro amino 6 phenyl pyrimidine to this flask, which was prepared by dissolving 3 gms of analar grade 2 chloro amino 6 phenyl pyrimidine in 25 ml of ethyl alcohol, the reaction mixture was heated for one hour on a water bath that was equipped with a reflux condenser. After the solution is concentrated and cooled, a precipitate with a pale yellow colour is produced. After being filtered and rinsed with 60% alcohol, the product is then dried. The A heated ethanol solution is used to recrystallize the product. M.P. may be found on page 224 c. The composition, the melting point, the elemental analysis, and other such things.

Antibacterial Agents Used In Chemotherapy

Chemotherapy is the treatment of a disease by the giving to an infected patient of a medicine that has a fatal or inhibiting impact on the causative organism of the disease. This type of treatment is commonly used to treat cancer. The first condition for a medicine that is to be used for this purpose is that it should have little to no harmful activity on the tissues in the concentration in which it is active in bacteria. If it does have some toxic action on the tissues, it should be very mild. When Ehrlich, the father of modern chemotherapy, was exploring the potential of such a medicine, he envisaged it as a “magic bullet,” which, when put into the body, would eliminate just the germs at which it was aiming. This need of selective action was first explicitly stated by Ehrlich. Both the disinfectants and the antiseptics fall short of fulfilling this condition.

These substances, despite their high level of activity against bacteria, have been shown to have a significant harmful effect on tissue cells. Quinine was the first material to be used in chemotherapy, despite Ehrlich’s organic arsenicals being the first contemporary chemotherapeutic drugs to be produced on an experimental basis in 1906. However, quinine deserves the honour of being the first substance to be employed in the field of chemotherapy. Quinine, which is effective against a protozoan — the malaria parasite — was brought into Europe from Peru in the 17th century. Since the cause of malaria was unknown at the time, the finding that quinine could treat the disease was an utterly and empirical one. Prontosil, which was the first chemotherapeutic drug that was active against bacteria, did not make its debut until 1935, when it was created by Domagk. In spite of the fact that it was an efficient therapeutic agent, prontosil had no antibacterial activity when tested in vitro. It was demonstrated by Trefoil that its in vivo action was caused by the fact that it was broken down in the tissues to produce sulphanilamide, which is the active medication in the sulphonamidide class.

OBJECTIVES OF THE STUDY

1. To investigate the processes involved in preparing substituted and unsubstituted
2. To do research on the antimicrobial drugs that are utilised in chemotherapy.

Investigations for an Active Agent In Vivo0

In 1911, Morgenroth and Lavy discovered that ethyl hydrocupreine, also known as optochin, which is a quinine derivative, would protect mice against a little inocule of pneumoCoCci. Additionally, they had some success in their efforts to prevent bacterial infection of the blood stream. Because of its selective impact on the optic nerve, dptochin was not as successful as it should have been and had the undesirable side effect of rendering some of the patients visually impaired. 14 prepared a Heidelberger and Jacobs’ Compound by diazotisation of P-amino- benzene sulphonamide with dihydrocupreine and discovered that it did not possess appreciable activity. However, other compounds made with diazotised - P - aminobenzene sulphonamide later on were discovered to be physiologically active. It is possible that the globe may then be able to reap the advantages of the therapeutic potential of P-aminobenzene sulphonamide, which was discovered 15 years earlier and is now known as sulphanilamide. In the labs of Y.C. Farben enterprises in Wuppertal Elberfield, Germany, there was a team of chemists and Gerhard Domagk, who worked as a pharmacologist. They were continuing their quest for a long time. Mietzsch 15, who is credited with the discovery of the antimalarial drug atabrine and its subsequent success, followed the example set by azo compounds and, over the course of several years, developed a wide variety of colouring agents. Gerhard Domagk conducted research on these dyes and discovered that they have bacteriostatic properties. He inserted the sulfamyl ( - NH,S0, - ) groups into the dye molecules, para to the azo linkage. Prior to this discovery, there was very little evidence to suggest that the attachment of a sulfamyl group would result in therapeutic efficacy.
Discovery Of Prontosil

In 1932, a dye was found and put through a series of tests in a clinical setting. It was surprising to learn that mice infected with a deadly strain of beta-hemolytic streptococci could be saved by treatment with the dye described above, whereas animals that were left untreated perished from the infection. This pigment was given the name prontosil (Rubrum), and its application first appeared in Germany in the year 1934. This dye, which was called prontosil, demonstrated superior action in vivo against beta-homolylotic steptococci mice, despite the fact that it had essentially little effect in vitro. It was hypothesised that after prontosil had been administered into the body, it would need to be degraded and converted into an active form within the body before it could have any effect. After taking into account the structure, it was discovered that eliminating the azolinkage would result in the formation of sulphanilamide. It was discovered that prontosil was converted into sulphanilamide within the body. Sulphanilamide was proven to be active both in vivo and in Vitro after being evaluated for its activity in both environments. Fuller also discovered that 1S sulphanilamide was produced in the tissues of the body when either prontosil or ally was supplied to the patient. Soon after, it was discovered that prontosils are broken down into sulphanilamide in living organisms, which led to the conception of the hypothesis that the action of prontosil medication as is most likely results from the existence of sulphanilamide residue in it.

CONCLUSIONS

The 2-(tributylstannyl)pyridine compound served as a catalyst for this reaction. Following this step, an aldol condensation was performed by making use of the appropriate aromatic aldehyde. This was followed by the replacement of the aromatic aldehyde with either an electron-donating, electron-withdrawing, dendritic, or water molecule. Examining the optical absorption and emission characteristics of these systems, with a particular focus on the impact that the presence of a range of protic and aprotic solvents had in the alteration of those properties, was the primary objective of this study. In compounds that contain electron-donating groups, the presence of high emission solvatochromism is consistent with the formation of an intramolecular charge-separated emitting state. This is because high emission solvatochromism is compatible with the creation of an intramolecular charge-separated emitting state. Research into the effectiveness of several antimicrobial agents has shown that molecules with the 6-(4-fluorophenyl) —oxo —thioxo-1,2,3,4-tetrahydropyrimidine-5-carboxamide(2a), 4-oxo-2-thioxo-6-(3,4,5-trimethoxyphenyl)-1,2,3,4-tetrahydropyrimidine-5-carboxamide(2d), 6-oxo-2-thioxo-6-(3,4,5-trimethoxyphenyl)-1,2,3,4-te (4-fluorophenyl) —4-hydrazino-2-thioxo-1,2-dihydropyrimidine-5-carbonitrile (7a) and 4-hydrazino-2-thioxo-6-(3,4,5-trimethoxyphenyl)-1,2-dihydropyrimidine-5-carbonitrile (7d). On the basis of the investigations described above, the interesting compounds might potentially be investigated further through in vivo antimicrobial studies in the near future.

REFERENCES


