

SYNOPSIS

The work presented in this thesis entitled "SYNTHETIC USES OF SILAZANES" comprises of four chapters. A concise summary of the work distributed among four chapters is furnished below.

CHAPTER 1 :

SILAZANES

In this chapter we have reported the meaning of silazane and different silazanes generally used for silylation. Further we have explain the physical properties of silazanes. Synthesis of different silazanes have been explained by the following methods. ed/

- a) Synthesis from halosilanes, which includes synthesis from monohalo silane, dihalosilane, trihalosilane and tetrahalosilane.
- b) Synthesis from compounds containing a silicon hydrogen bond.
- c) Synthesis by miscellaneous methods, which includes by basic cleavage, from silane salts, from silicon disulfide etc.

Synthesis of the following silazanes is explained in the experimental part.

- i) Hexamethylcyclotrisilazane (1a)
- ii) Oligomeric silazane (1b)
- iii) Polymeric silazane (1c)
- iv) Hexamethyldisiloxane (1d)
- v) Hexamethyldisilazane (1e)
- vi) Trimethylchlorosilane (1f)

Hexamethylcyclotrisilazane was prepared according to Scheme I.

CHAPTER II :

SILYLATION OF ORGANIC COMPOUNDS

Here we report the importance of protection and deprotection of the functional groups in organic compounds, silylation for the protection of various functional groups. We have further explain, the mechanism of the silylation reaction, reaction kinetics , stereochemistry of the reaction, sterif effect, the influence of catalyst and solvent.

Silylation of following functional groups have explained, hydroxy group in alcohol and phenol by using hexamethyldisilazane, aminoalcohols, amines, organic acids, aminoacids, peptides, β -ketones, hydroxylamine, ketones, sugars and related substances. Silylation of N-containing heterocycles is also explained.

In the experimental part, the silylation of following compounds by using hexamethylcyclotrisilazane is

also explained.

- * 6-Amino-penicillanic acid (6 APA) Scheme-II.
- * 7-Aminodesacetoxycephalosporinic acid (7-ADCA)
Scheme III.
- * Anthranilic acid, Scheme IV.
- * Hippuric acid, Scheme V.
- * P-Aminophenol, Scheme VI.

CHAPTER III :

SYNTHESIS OF s-TRIAZINE PENICILLINS

This is a new generation of Beta-lactam series. In this part we report for the first time, preparation of s-triazine β -lactam penicillins. Dimethyl silyl ester of 6-APA prepared according to Scheme II was treated with cyanuric chloride and its derivatives to give new penicillin derivatives.

The experimental part is reported in two parts.

PART - I :

In this part the preparation of following s-triazine derivatives is explained.

- * 2,4 dichloro-6-(~~P~~-methylanilino)-1,3,5-triazine. (3a), D/P
Scheme VII
- * 2-Diethanolamino-4,6-dichloro-1,3,5-triazine (3b),
Scheme VIII

- * 2-Amino-4,6-dichloro-1,3,5-triazine (3c), Scheme IX
- * 2-Glycino-4,6-dichloro-1,3,5-triazine (3d), Scheme X.

All the derivatives were obtained in good yield.

PART II :

In this part the preparation of the following s-Triazine Penicillins is explained.

- * 6-[6-chloro-4-(p-methylanilino)-1,3,5-triazin-2-yl]aminopenicillanic acid (4a).
- * 6-[4-amino-6-chloro-1,3,5-triazin-2-yl]aminopenicillanic acid. (4b)
- * 6-[4,6-dichloro-1,3,5-triazin-2-yl]aminopenicillanic acid (4c).
- * 6-[6-chloro-4-diethanolamino-1,3,5-triazin-2-yl]aminopenicillanic acid (4d).
- * 6-[6-chloro-4-glycino-1,3,5-triazin-2-yl]aminopenicillanic acid (4e).

While preparing these new penicillin derivatives -COOH group in 6-APA was protected by HMCTS to get dimethylsilyl-bis-6-amino penicillanate (1a) which was further coupled with s-triazine derivatives, followed by hydrolysis to get new penicillin derivatives, with good yield.

CHAPTER IV :

BIOLOGICAL ACTIVITY OF β -LACTAM PENICILLINS

In this chapter we have explained the different

experimental techniques for finding the biological activity of new penicillin derivatives.

New penicillin derivatives were screened for biological activity against *S.aureus*, *E.Coli*, *K. pneumoniae*, *S. typhi*, and *P. aeruginosa*. Compound 4c was inactive where as compound 4d was found to be active against all the tested microorganisms.

All the compounds are stable at room temperature and are confirmed by IR and NMR spectral analysis.