



Synthesis of New-Glucoylated Thiocarbamides and their Deacetylation

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Abstract:

The nucleic acid is polymeric high molecular weight products of nucleotides. These provide some of the most essential functions of storage and transmission of its genetic information exposed in the synthesis of all cellular protein in living organism. The nucleotides are the phosphates ester of nucleosides. The naturally occurring nucleosides were isolated from the alkaline hydrolysis of yeast nucleic acid. Earlier work used the terms nucleosides to such compounds where the carbohydrates moiety is attached to purine and pyrimidine bases. Now it has been generally accepted that the term nucleosides refer to both glycosyl and glucosyl group are attached to either nitrogen oxygen or even carbon of cycle system. Nucleosides have been synthesized in various ways, Laloid and co-worker for the first time biochemically synthesized varieties of nucleosides in which ribose and deoxyribose have been replaced by glucose and several other carbohydrates. Nucleosides containing D-glucose also called N-glucosides have been known for their excellent biological importance. They have been found several applications in paper, textile and food industries. Besides these application, they have found use in diuretic agent analgesics antibiotic compounds, bacteriostatic agents, and in many other ways. Looking into the important aspects of nucleosides some nucleosides like 1,1 dialkyl/aryl-3-terta-O-acetyl- β -D-glucopyranosyl thiocarbamides have been synthesized by the interaction of terata-O- acetyl - β -D-glucopyranosyl isothiocyanate and appropriate aryl/alkyl amine. Most of these have been deacetylated successfully with the help methanolic ammonia into corresponding - β -D-glucopyranosyl thiocarbamides.

Keywords: Synthetic nucleosides, nucleotides, deacetylation, methanolic.

Introduction:

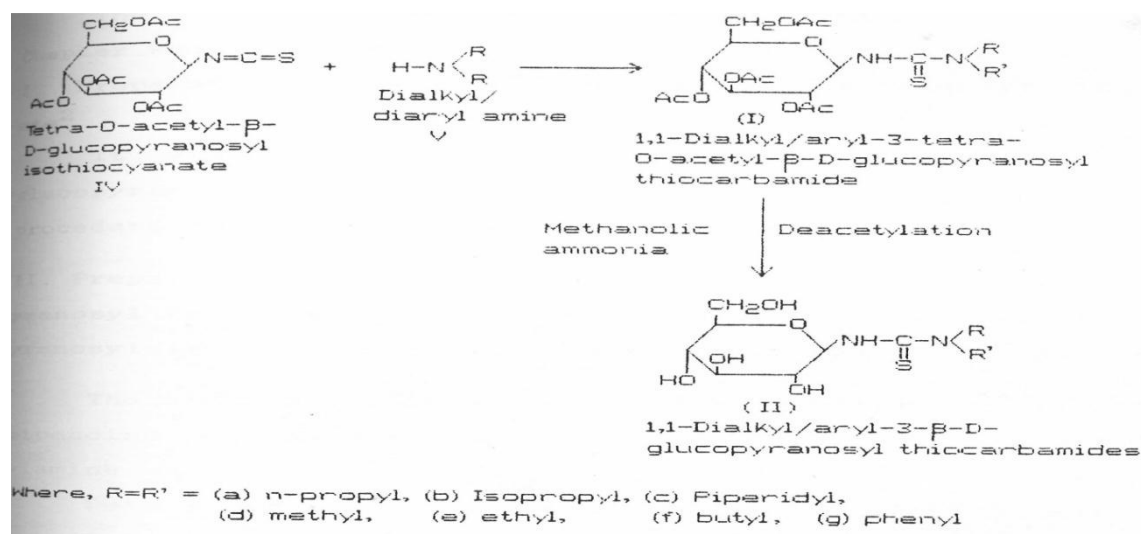
As a part of wider programme of research of synthesis of 1, 1 dialkyl/diaryl-3-terta-O-acetyl- β -D-glucopyranosyl thiocarbamides and their deacetylation into related - β -D-glucopyranosyl thiocarbamides have been carried out.

The Resultant product seems to be of pharmaceutical important and during the synthesis of it all required care was taken to use minimum organic solvents. Simple and one/two steps reactions are taken into consideration for all chemical transformations.

Experimental: Details of a typical experiment (where diaryl= dipropyl group)are as follows. To a benzene solution of tetra- O-acetyl- β -D-glucopyranosyl isothiocyanate (0.03M or 11.7 g in 40 ml) a benzene solution of di-n-propylamine (3.03 in 10 ml) was added and the reaction mixture wad refluxed over boiling water bath for about 3 hr. Afterword the solvent was distilled off and residual syrup mass triturated several times with petroleum ether (60-80°) when a viscous solid was obtained. On keeping this viscous mass in vacuum desiccator for 4-5 days a solid was obtained. It was crystallized from ethanol m.p. 155°(found C, 51.33 ; H, 6.48; N, 5.76; S, 6.48, C₂₁ H₃₄ O₉ N₂S requires ; C, 51.42; H, 6.93 ; N, 5.71 S, 6.53%) was obtained.



The Reaction may be represented as follows.



Result and Discussion:

The product with m.p. 155° was found soluble in ethanol, methanol, benzene, Chloroform, acetic acid, carbon tetrachloride and insoluble in water and petroleum ether (60.80°) It charred when warmed with conc sulphuric acid. The product was desulpherised when boiled with alkaline plumbite solution. The product was found to be optically active and its specific rotation $[(\alpha)_D^{39}]$ was found to be +23.6 (C, 0.5084 in aq. Ethanol) Ultraviolet spectrum¹⁶ of the compounds showed single λ max at 273 nm in ethanol(Table. 1). The NMR spectrum of the product displayed signal due to- NH proton at, δ 2.60 ppm ¹³ acetyl proton at δ 2.00 ppm and β -D- glucopyranosyl ring proton at δ 4.10 and at δ 5.00- δ 5.10 ppm¹⁴ (Table. 2)

Table. 1-The main absorption bands in IR spectrum of the products are follows

Absorption Aobserved	Assignment	Absorption expected (cm-1)
1750 (s)	C= O stretching	1750-1735 ^{4,8}
3440 (m)	N-H stretching	3500-3300 ^{4,8}
1300 (m)	C-N stretching	1650-1280 ⁸
1020 (s)	C=s Streaching	1460-1000 ^{5,7}
899 (s)	β -D-glucopyranosyl ring deformation	891 \pm 7, ^{6, 7}

Table. 2- Mass spectral data of the products.

Ion	M/e	Relative abundance (Approx)
M ⁺	519	Not isolated
[M+C3 H7 N]+ protonated	462	12.71
[M-C3 H7 N+CH3 COOH]+protonated	342	13.10
[M-2C3 H7N+2 cH3 COOH] +protonated	330	47.67
[TAG -H] +	168	65.00
[TAG -2Ac OH CH CO]	168	65.00
[C4 HO3]	38	17.00
[C3 H8N]+	43	23.00
[Ch3CO]+	43*	100.00



Where TAG= Tetra -O- acetyl - β - D- glucopyranosyl, Ac = Acetyl Relative abundance of the base peak has been orbitrarily taken to be 100%

Conclusion:

One can draw a conclusion from the observed facts that dialkyl/diaryl-3-terta-O-acetyl- β -D-glucopyrabosyl thiocarbamides can be sythesized by the intraction of tetra-O-acetyl- β -D-glucopyrabosyl isothiocyanate and dialky/aryl amine in benzene solution by refluxing for 3 hrs. This products than tried for deacylation in presence of methanolic ammonia at 10°C. Acetylation means blocking and and deacylation means reopening of desired functional group was carried out successfully. Whatever position of carbon atom in glucose ring was blocked in the form of acetyl group was then made available as hydroxyl group for further reactions. That is phosphorysation of synthetic nucleosieds to convert it into corresponding synthetic nucleotides.

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