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Preliminary communication

A new *C*-nucleoside analog

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Since the discovery of the biologically active *C*-nucleosides, the literature has been enriched by documentation of several methods for their synthesis, as well as for that of some of their analogs[1–3].

In organic chemistry, benzoxazines are considered to be important precursors for the synthesis of heterocyclic compounds of potential physiological activity, or of thermostable polymers[4]. To the best of our knowledge, benzoxazines are not known in the carbohydrate series, and, consequently, we report the first example in this series as a continuation of our work for developing new syntheses for *C*-nucleosides and heterocycles from carbohydrate precursors[5,6].

For achieving the synthesis of a benzoxazine, a carboxylic acid is required, and 2,3,4,5-tetra-*O*-acetylgalactaric acid (**1**) was chosen as a model compound for this study. The condensation of its dichloride (**2**) with anthranilic acid afforded, in 75% yield, a colorless product (**3**), m.p. 283° (dec.), whose elemental analysis agreed with the molecular formula $C_{28}H_{28}N_2O_{14}$, indicating the reaction of 2 with two equivalents of anthranilic acid. The infrared (i.r.) spectrum of 3 showed bands at 3400 (OH), 3200 (NH), 1760 (OAc), 1690 (COO), 1680 (Amide I), and 1525 cm^{-1} (Amide II), which agreed with the structure 2,3,4,5-tetra-*O*-acetylgalactaric 1,6-bis[(*o*-carboxyphenyl)amide] (**3**).

Dehydration of 3 was achieved by means of acetic anhydride, whereby there was obtained, in 80% yield, product 4 (m.p. 292°), whose elemental analysis agreed with the molecular formular $C_{28}H_{24}N_2O_{12}$, indicating the loss of two molecules of water from one molecule of 3. The structure was deduced from its spectral data. Thus, the COO and amide bands were absent from its i.r. spectrum, and bands at 1780 (OCO) and 1655 cm^{-1} (C=N) had appeared. Moreover, the mass spectrum of 4 confirmed its assigned structure; it showed stepwise loss of the acetyl groups, and the ions shown in Scheme 1 appeared at *m/z* 146, 218, and 247, confirming that the structure was 1,2,3,4-tetra-*O*-acetyl-1,4-bis(4*H*-benzoxazin-4-one-2-yl)-*galacto*-tetritol (**4**).

In conclusion, this study suggests the possibility of extension of the usefulness of the readily available glycosyl nitriles, which could be readily hydrolyzed to acids, for use in the synthesis of glycosylbenzoxazines of great potential for the synthesis of other *C*-nucleosides (as indicated from our preliminary results, by the transformation of 4 into **5**).

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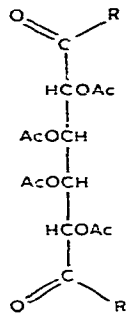
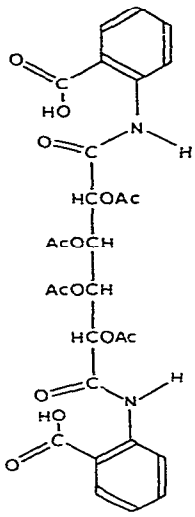


1 R = OH
2 R = Cl



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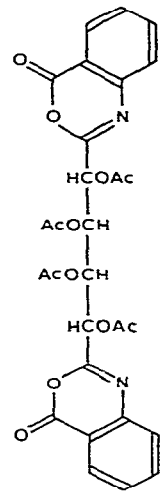

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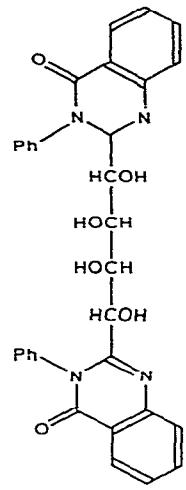

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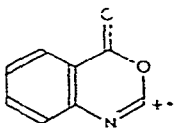
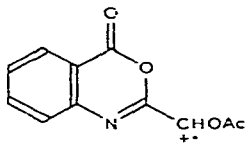
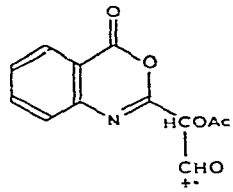


m/z 146



m/z 218



m/z 247

Scheme 1

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