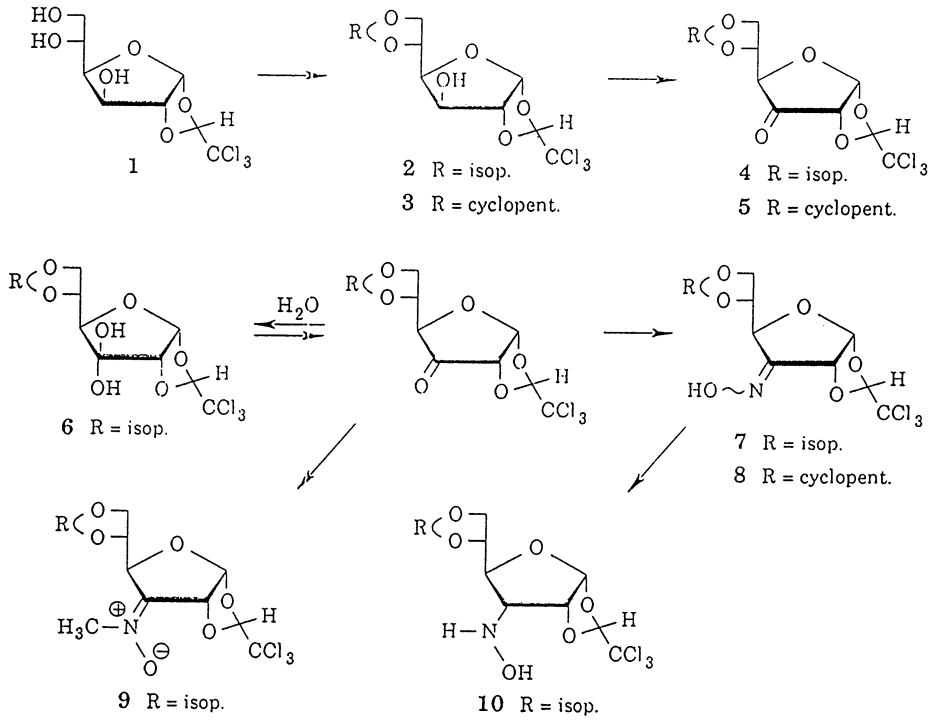

Fig. 1.

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New Compounds

New α-chloralose derivatives

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Abstract

Chloralose is an easily available carbohydrate derivative bearing biological properties. It constitutes a convenient starting material for various synthetic developments. Herein we describe the preparation of hydroxylamino derivatives of α-chloralose using well-established synthetic procedures.

Keywords: α-Chloralose; Hydroxylamines; Carbohydrate derivatives

1. Introduction

Chloralose was first described by Heffler, in 1889 [1], and was prepared by equimolar condensation of dry trichloroacetaldehyde with glucose [2]. The biological properties of chloralose were broadly investigated, in particular, its hypnotic [3] and anaesthetic [4] effects were commercially exploited. Extended studies on its toxicity [5] let to several human and veterinary applications.

In spite of its availability in pure grade from commercial chemical suppliers, α-chloralose was involved in few synthetic developments. One recent example being reported in this laboratory [6]. Herein we describe the preparation of seven new derivatives of chloralose using standard procedures of carbohydrate chemistry (Fig. 1).

2. Chemistry

The standard condensation and oxidation steps leading to 5,6-protected 3-ketosugars [7] were readily used to obtain the expected acetals **2**–**3** and ketones **4**–**5**. Compound **5** was isolated as its hydrate **6**. The isopropylidene derivative **2** was described in an earlier paper [8]. Ketones **4**–**5** were condensed with hydroxylamine hydrochloride in dry pyridine to give the oximes **7** and **8**, respectively. Ketone **4** gave the nitrone **9** when reacted with *N*-methylhydroxylamine hydrochloride in basic conditions (NaOH 1 N in CH_3OH). Reduction of oxime **7** by a method developed in our laboratory ($NaBH_3CN$, HCl 1 N) led to the hydroxylaminosugar **10**.

In spite of their easy access, compounds **3**–**10** were not reported in the literature. They were all prepared with good yields and presented PMR, IR and MS spectra in accordance with their structure. Elemental analysis (C, H, N, Cl) for compounds **3**–**10** are within $+0.3\%$ of the theoretical value.

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