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Data Article

Advances towards the synthesis and characterization of five-membered cyclic alcohols and ketones

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ABSTRACT

This study reports the synthesis of a group of differently substituted cyclopentane derivatives, which are of interest as intermediates in the preparation of compounds with potential pharmacological activities, such as the carbocyclic analogues of nucleosides. The azide group in the azido alcohol derivatives of type **4** was easily reduced by way of a Staudinger reaction and protected in situ as the Boc-amino derivatives **5**. Subsequent oxidation with CrO_3 gave the corresponding ketones **6**. Similarly, conversion of **4b** into the phthalimide derivative with the hydroxyl group protected as acetate allowed us to obtain the oxo derivative **11**. All the new prepared compounds were fully characterised by NMR spectroscopy and mass spectrometry.

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Specifications table

Subject area	Organic Chemistry, Spectroscopy
Compounds	tert-Butyl (±)-[1R*,2R*,4S*)-4-[[(tert-butyldimethylsilyl)oxy]methyl]-2-hydroxycyclopent-1-yl]carbamate (**6a**); tert-butyl (±)-[1R*,2R*,4S*)-4-[[(tert-butyldiphenylsilyl)oxy]methyl]-2-hydroxycyclopent-1-yl]carbamate (**6b**), tert-butyl (±)-cis-[4-[[(tert-butyldimethylsilyl)oxy]methyl]-2-oxocyclopent-1-yl]carbamate (**7a**), tert-butyl (±)-cis-[4-[[(tert-butyldiphenylsilyl)oxy]methyl]-2-oxocyclopent-1-yl]carbamate (**7b**), (±)-(1R*,2R*,4S*)-2-azido-4[[(tert-butyldiphenylsilyl)oxymethyl]cyclopent-1-yl acetate (**8**), (±)-2-[[(1R*,2R*,4S*)-2-acetoxy-4-[[(tert-butyldiphenylsilyl)oxi]methyl]cyclopent-1-yl]phtalamic acid (**9**), (±)-(1R*,2R*,4S*)-4-[[(tert-butyldiphenylsilyl)oxi]methyl]-2-(phthalimido)cyclopent-1-yl acetate (**10**), (±)-(1R*,2R*,4S*)-4-[[(tert-butyldiphenylsilyl)oxy]methyl]-2-hidroxycyclopent-1-yl]phthalimide (**11**), (±)-cis-4-[[(tert-butyldiphenylsilyl)oxy]methyl]-2-oxocyclopent-1-yl]phthalimide (**8**)
Data category	Spectral, synthesized
Data acquisition format	NMR, Mass spectra, Elemental analysis.
Data type	Analyzed
Procedure	A group of differently substituted cyclopentane derivatives were synthesized and characterized by spectral studies.
Data accessibility	Data is with this article

1. Rationale

Five-membered carbocycles are common structural units in natural products and other bioactive compounds thus meaning that there is substantial current interest in their synthesis and use as building blocks in the development of compounds with potential pharmacological activities. For example, a variety of products incorporating a 4-hydroxy-2-cyclopentenone moiety within their structures have been isolated from natural sources, including prostanoids [1], indenones [2], alkaloids [3], terpenes [4], and others, as well as several members of the family of carbocyclic nucleosides (CANs) [5], nucleoside analogues in which the furanosidic moiety has been replaced by a carbocycle (i.e. a five-membered ring carbocycle).

A representative of biologically active synthetic carbanucleosides, carbovir (**1**, Fig. 1) [6] (Fig. 1) was reported to show significant anti-HIV activity *via* its triphosphate by inhibiting HIV-1 reverse transcriptase (RT). Its congener, abacavir (1592U89) (**2**, Fig. 1), which has higher oral bioavailability than carbovir, has been approved by the FDA for the treatment of HIV infection [7]. The fact that norabacavir (**3**, Fig. 1) [8] (desmethylene derivative of carbovir) showed comparable anti-HIV activity to that of abacavir it attracted our research group, that in order to contribute to a diversity oriented synthesis (DOS), one of the primary goals of our research group over the past few years has been the synthesis and biological evaluation of CANs featuring structural and/or configurational alterations of the carbocyclic moiety [9].

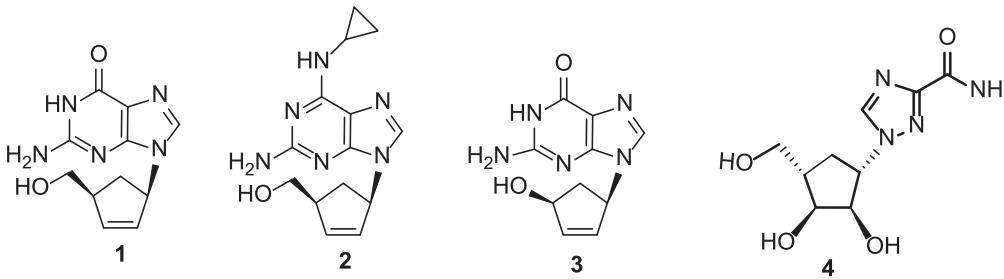

Fig. 1. Structures of antivirals agents carbovir (**1**), abacavir (**2**), norcarbovir (**3**) and ribavirin (**4**).