

Synthesis and Identification of Chiral open-chain sugar-derived nitrones and their 1,3-Dipolar Cycloaddition with maleimide and maleic acid

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ABSTRACT

The chiral open-chain sugar-derived nitrones (a,b) were prepared in a pure form. N-Sugar-derived isoxazolidines (2a,b-3a,b)) were synthesized regiospecifically by 1,3-dipolar cycloaddition reaction of a nitrone (a,b) with maleimide and maleic acid. N-sugar-derived isoxazolidine (3a) showed high activity against staphylococcus aureus, and Escherichia coli and complete inhibition of growth against pathogenic fungi candida albicans and microsporum gypseum

Keywords: sugar-derived nitrones, 1,3-dipolar cycloaddition , N-sugar-derived isoxazolidines .

Introduction

Many natural and synthetic products containing heterocyclic rings were reported to possess varied pharmacological activities .⁽¹⁻⁴⁾ Many of these biological activities were attributed to the presence of N-bridge heterocyclic nuclei of some pyrazoles and isoxazoles which are described to have antiviral and antimicrobial activities .⁽⁵⁾ In this context , synthetic nucleoside analogues have been emerged as major therapeutic agents and several reports have appeared concerning their synthesis , therapeutic applications and mechanism of action ⁽⁶⁾ A very extensive and exhaustive research program by Tronchet and Co-workers ⁽⁷⁾ and by others ⁽⁸⁻¹⁰⁾ has been devoted to synthesis the analogues of natural glycosides containing an isoxazole or isoxazolidine ring directly linked to the sugar residue by 1,3-