

Synthesis of β -D galactopyranosyl amino-(N-salicylidene) - 2, 3, 4, 6-tetra-O-acetate as a new chiral Schiff base for asymmetric transformations

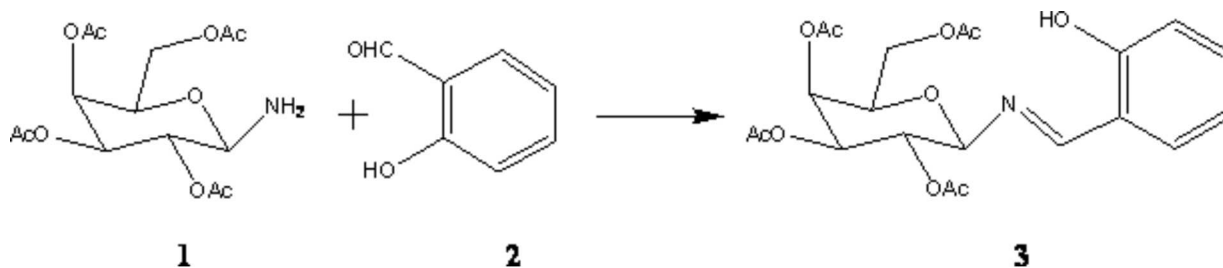
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Carbohydrates constitute a class of inexpensive natural products of high chiral content [1]. They play central roles in the posttranslational biological selectivity [2]. O-Acyl-protected glycosylamines, particularly the 2,3,4,6-tetra-O-pivaloyl-D-galactopyranosylamine and its acetyl derivative are effective chiral auxiliaries in Strecker and Ugi syntheses of α -amino acids[3-5]. Glycosylamines are valuable intermediates in the preparation of nucleosides and drugs[6-8]. Carbohydrate-derived auxiliaries utilize an efficient stereoselective potential in a number of nucleophilic addition reactions on prochiral imines. α -Amino acids, β -amino acids and their derivatives can be synthesized in few synthetic steps, with high enantiomeric purity. A variety of chiral heterocycles can readily be obtained from glycosyl imines by stereoselective transformations [9]. The asymmetric Staudinger reaction utilizing 2,3,4,6-tetra-O-acetyl- β -D-galactopyranosylamine as the chiral auxiliary in the synthesis of 2-azetidinones has been reported by us[10]. We now report compound **3** as a new chiral auxiliary Schiff base for β -lactam syntheses.



Salicylaldehyde **2** (0.70 g, 0.6ml, 5.73 mmol) was added to a solution of 2,3,4,6-tetra-O-acetyl- β -D-galactosylamine **1** (2.00 g, 5.76 mmol) in ethanol (35 ml). The mixture was refluxed for 5 h. The resulting pale yellow product **3** was collected in 53% yield by filtration.

Melting point: 136-140°C.

IR (KBr, cm^{-1}): 3492.8 (OH); 1745.5 (C=O); 1635.5 (C=N).

$^1\text{H-NMR}$ (250MHz, $\text{DMSO-}d_6$): δ = 12.19(OH, s, 1H); 8.50(NCH, s, 1H); 7.30-6.82(Ar-H, m, 4H); 2.09(OCH_3 , s, 3H); 2.06(OCH_3 , s, 3H); 2.01(OCH_3 , s, 3H); 1.93(OCH_3 , s, 3H).

$^{13}\text{C-NMR}$ (62.9 MHz, $\text{DMSO-}d_6$): δ = 169.51-168.55(C=O); 136.05-116.32(Ar); 19.78-19.68(OCH_3).

MS (m/z): 451; 331; 169; 109.

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Sample Availability: Available from MDPI.

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