Deoxyiminoalditols from Aldonolactones - V. Preparation of the Four Stereoisomers of 1,5-Dideoxy-1,5-iminopentitols. Evaluation of these Iminopentitols and Three 1,5-Dideoxy-1,5-iminoheptitols as Glycosidase Inhibitors - DTU Orbit (23/04/2019)

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The four stereoisomeric 1,5-dideoxy-1,5-iminopentitols with D-arabino - (D-lyxo-) (3), ribo- (9), L-lyxo- (L-arabino-) (13) and xylo-(18) configurations were synthesized. The corresponding aldonolactones (1, 7 and 11) or aldonic acid ester (150) having a leaving group at C-5 gave by reaction with aqueous ammonia, the 5-amino-5-deoxy-1,5-lactams, 2, 8, 12 and 17, respectively. Reduction of the lactam function using sodium borohydride/acetic or trifluoroacetic acid, or borane dimethyl sulfide complex yielded the iminopentitols. The compounds 3, 9, 13 and 18, together with the three 1,5-dideoxy-1,5-iminoheptitols 19, 20 and 21 were tested for inhibition of the glycosidase activities present in an extract from human liver. Compound 18 was a potent and 19 a moderately good inhibitor of beta-glucosidase. Compound 3 together with 19, 20 and 21, all having D-arabino-configuration at the hydroxy-substituted carbon atoms, were good inhibitors of alpha-L-fucosidase. Copyright (C) 1996 Elsevier Science Ltd