Synthesis and Enantiodifferentiating Properties of Chiral Aza Crown Ethers

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Abstract - Alkylation of 2-substituted (4*S*,5*S*)-4,5-bis(hydroxymethyl)-1,3-dioxolanes with 9-benzyl-1,17-diiodo-3,6,12,15-tetraoxa-9-azaheptadecane afforded new chiral aza and diaza crown ethers as a result of [1 + 1] and [2 + 2] additions. Their catalytic debenzylation gave the corresponding derivatives with a secondary amino group. The reaction of diethyl (+)-tartrate and diethyl (4*S*,5*S*)-1,3-dioxolane-4,5-diacetates with 1,8-diamino-3,6-dioxaoctane led to formation of chiral macrocyclic lactams which were reduced with lithium aluminum hydride. The resulting diaza crown ethers were tested for enantioselectivity in complex formation with L- and D-valine methyl ester by the potentiometric method. In most cases, the aza crown ethers showed better enantioselectivity than their oxygen analogs.