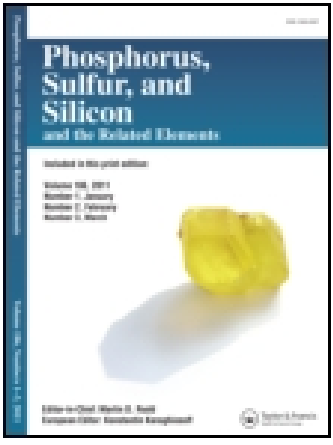

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Synthesis of Enantiopure Polyhydroxylated Carbo and Sulfurated Cycles with Potential or Proved Biological Activity, Starting from D-Mannitol

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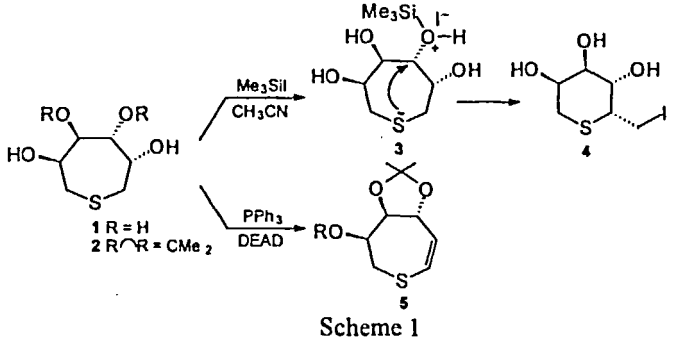
Starting from alcohol sugars, by means of sulfur, enantiopure Conduritols, diamino Conduritols, thiepines and polyhydroxylated thianes have been synthesized.

Keywords: Conduritols; Diamino Conduritols; Thiepines; Hydroxylated Thianes

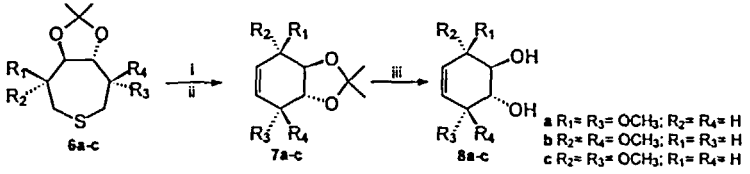
Using Me_3SiI we have achieved the synthesis of sulfurated polyhydroxylated enantiopure 6 membered cycles by ring contraction (Scheme 1) of 7 membered rings, obtained from alcohol sugars.[1]



Scheme 1

Furthermore from thiepane **2** the thiepin **5** can be obtained. Analogously, starting from a thiepane derivative with the opposite stereochemistry at C_3 and C_6 the related enantiopure thiane and thiepine were obtained, compounds reported as useful synthetic intermediates as well as therapeutic agents.[2]

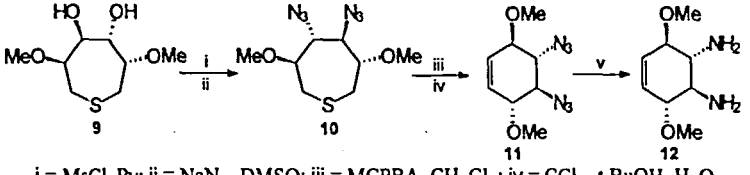
With a simple and inexpensive procedure, mediated by sulfur,[3] we also synthesized polyhydroxylated enantiopure carbocycles like the Conduritols (Scheme 2), compounds known as glycolidase inhibitors.[4]



i = MCPBA, CH_2Cl_2; ii = CCl_4, *t*-BuOH, H_2O, KOH; iii = H_2SO_4 0.1 N

Scheme 2

We also tried to synthesize the unreported 2,3-diamino Conduritol. Modifying our procedure, we have synthesized **12** (Scheme 3) compound not accessible by nucleophilic substitution on **8a**.



i = MsCl, Py; ii = NaN_3, DMSO; iii = MCPBA, CH_2Cl_2; iv = CCl_4, *t*-BuOH, H_2O, KOH; v = $LiAlH_4$, THF.

Scheme 3

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[3] V. Cert, F. Peri, and S. Pollicino, *Tetrahedron Letters*, 38, 7797–7800, (1997).
[4] M. Balci, *Pure Appl. Chem.* 69, 97–104, (1997).