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and Abstracts**



**REARRANGEMENT OF BIS-TRICHLOROACETIMIDATES DERIVED FROM
1,1-DI(HYDROXYMETHYL)CYCLOPROPANES EN ROUTE TO
1-AMINOCYCLOBUTANE CARBINOLS**

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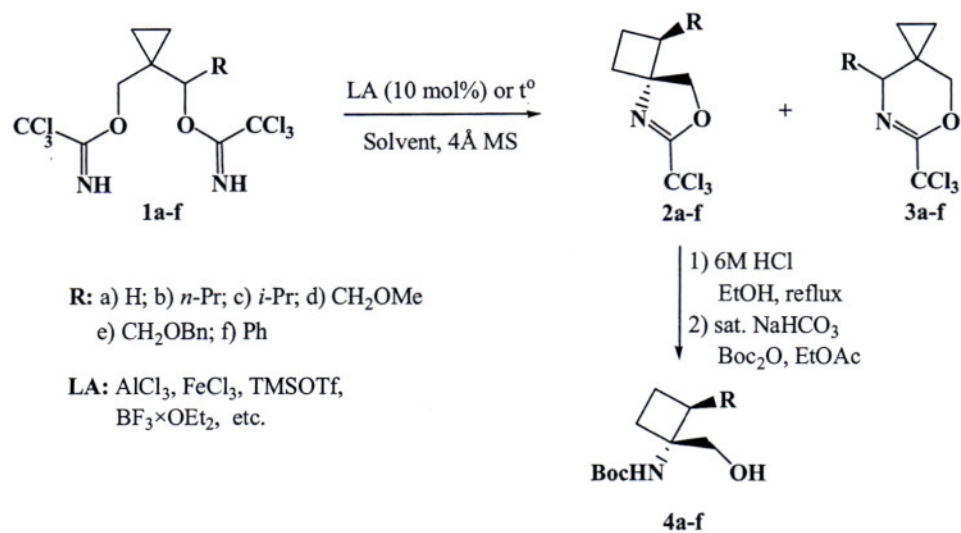
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A wide range of pharmaceutically relevant compounds involves 1-aminocyclobutane carbinol as a key structural element. This determines the need for efficient synthetic routes to such compounds. Spirocyclic oxazolines **2** are versatile precursors of *N*-protected 1-aminocyclobutane carbinols **4** that prompted us to explore the methods for their preparation.

Herein, we present Lewis acid catalysed and thermal tandem Wagner-Meerwein-rearrangement - imidate alkylation reaction as a novel route to 1-aminocyclobutane carbinols **4**.



We have demonstrated that bis-imidates **1a-e** preferentially gave rearrangement product **2a-e** over S_N type product **3a-e** (**2a:3a** up to >99:1; **2b:3b** up to 2:1; **2c:3c** up to 9.1:1; **2d:3d** up to 9:1; **2e:3e** up to 7:1). Notably, exposure of bis-imidate **1b-e** to Lewis acid catalysts or in thermal conditions led to regioselective and stereoselective formation of *trans*-1,1,2-trisubstituted cyclobutane derivative **2b-e** (only *trans* diastereomer detected).