Pergamon

Tetrahedron Letters, Vol. 37, No. 45, pp. 8173-8174, 1996

0040-4039/96 $15.00 + 0.00

PII: S0040-4039(96)01863-1

An Easy Access to Substituted Aminopyranones from L-Pyroglutamic Acid

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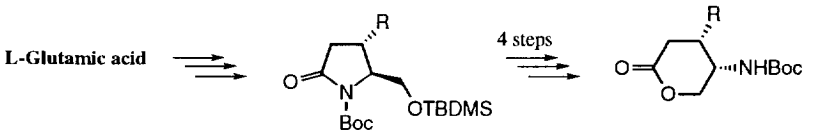
Abstract: The chemo-, regio- and stereoselective transformation of substituted tosylpyrrolidones to aminopyranones was achieved in one step by using tetra-*n*-butylammonium fluoride.

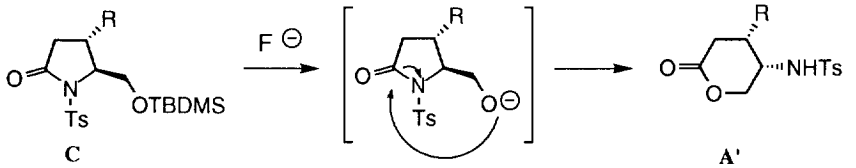
Substituted aminopyranones of type **A** are the precursors of acyclic analogs of kainoids [1]. Some of these compounds show a depolarizing activity on the preparation of the newborn rat spinal motoneuron[2]. These compounds were synthesized from D-serine [1,3] or from L-glutamic acid [1,3c] via pyrrolidones of type **B**. The transformation of compounds **B** to **A** was achieved in four steps.



Boc = *tert*-butoxycarbonyl
TBDMS = *tert*-butyldimethylsilyl

B **A**

Here we would like to report the synthesis of substituted aminopyranones of type **A'** with good to excellent yields in one step from substituted pyrrolidones **C** by treatment with tetra-*n*-butylammonium fluoride via the alkoside intermediate **C'**.



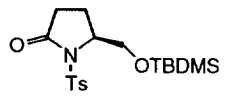
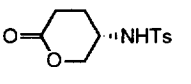
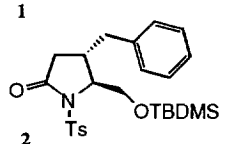
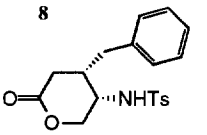
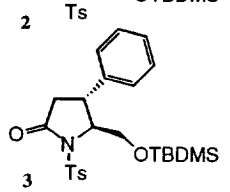
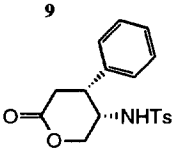
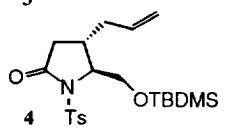
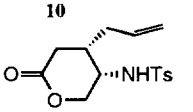
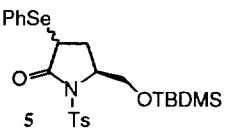
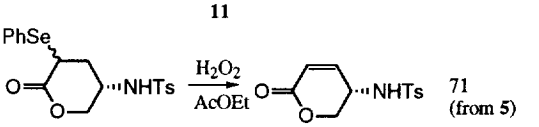
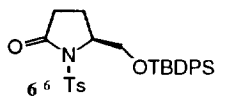
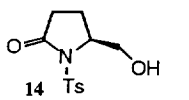
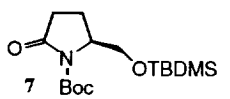
C **C'** **A'**

Ts = tosyl

This reaction is general and specific. When the *tert*-butyldimethylsilyl group was replaced by a *tert*-butyldiphenylsilyl group (TBDPS) the rearrangement did not take place. The only product isolated was the unprotected product **14** which was isolated in 7 % yield. Furthermore, when the tosyl group was replaced by a Boc group (compound **7** [3c,4]) no rearranged product was isolated [5].

The results are summarised in the Table.

Table: Rearrangement of pyrrolidones to substituted aminopyranones in the presence of tetra-*n*-butylammonium fluoride.

Starting Material	Product	Yield % (isolated product)
 **1**	 **8**	89
 **2**	 **9**	98
 **3**	 **10**	76
 **4**	 **11**	66
 **5**	 **12** **13**	71 (from **5**)
 **6** [6]	 **14**	7
 **7**	—	

General procedure:

To a solution of tosylpyrrolidone in THF (0.1 M) was added tetra-*n*-butylammonium fluoride in THF (1 M, 3 eq). After 30 min to 3 hours of stirring at room temperature the reaction was quenched with a saturated aqueous NH_4Cl solution. After chromatography on silica gel the desired lactone was obtained. All new compounds show analytical and spectral (^1H, ^{13}C NMR, IR, CG-MS, HRMS) data in accord with the assigned structures.

Acknowledgment: One of us M. C. thanks the Ministère de la Recherche et de l'Espace for a fellowship.

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(*Received in France* 1 *August* 1996; *accepted* 18 *September* 1996)