SYNLETT
Spotlight 319

This feature focuses on a reagent chosen by a postgraduate, highlighting the uses and preparation of the reagent in current research

Sodium Triacetoxyborohydride

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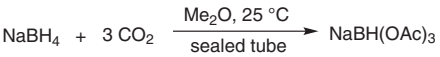
Introduction

Sodium triacetoxyborohydride [$Na(OAc)_3BH$, abbreviated as STAB-H] is a versatile reagent in organic synthesis. In addition to its superior ability in effecting reductive amination of aldehydes and ketones, it can reduce N-heterocycles (indoles, quinolines, and isoquinolines), imines, enamines, oximes, amides, aryl ketones, acetals, and other substrates.[1]

STAB-H is a milder and more selective reducing agent than $NaBH_4$. The mild nature of STAB-H may be attributed both to the bulky nature of the reagent and to the inductive electron-withdrawing ability of the three acetoxy groups which stabilize the boron–hydrogen bond. [2] It has more advantages over $Na(CN)BH_3$ also due to the lack of toxicity.

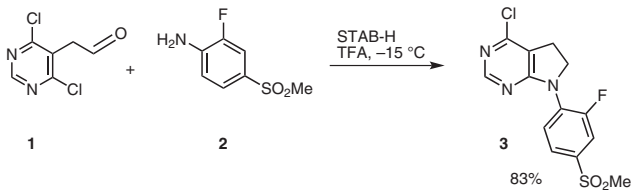
The preparation of triacetoxyborohydride was first performed by Wartik and Pearson through the reaction of $NaBH_4$ and CO_2 (Scheme 1).[3] Furthermore, it can be also generated in situ from $NaBH_4$ and acetic acid. Aldehydes, but not ketones, are smoothly reduced to alcohols with STAB-H, prepared from sodium borohydride and acetic acid in benzene[4] or in *N*,*N*-dimethylacetamide.[5]



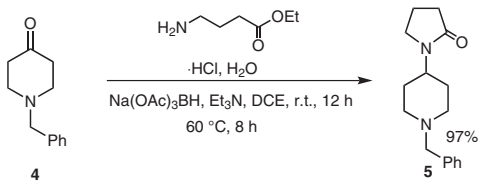
Scheme 1

Abstracts

(A) Boros et al. recently reported the synthesis of diazaindoline **3**, where the key step involved rapid reductive amination of aldehyde **1** with aniline **2** by sodium triacetoxyborohydride (STAB-H) and TFA.[6]



(B) A tandem reductive amination–lactamization strategy using STAB-H, 1-benzyl-4-piperidone (**4**) and γ- or δ-amino esters or acids resulted in lactam **5** [7]



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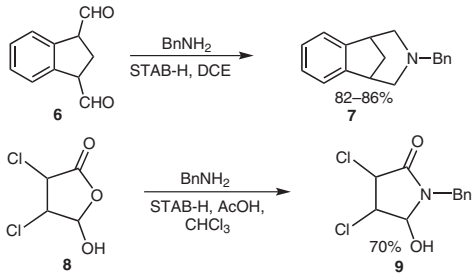
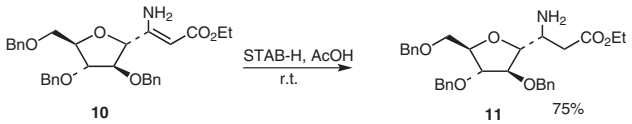
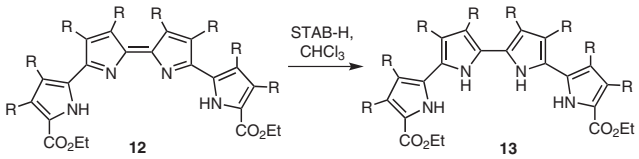
(C) Dialdehyde **6** can be converted into amine **7** with STAB-H and benzylamine, whereas lactol **8** likewise affords lactam **9** under similar conditions.[8,9]



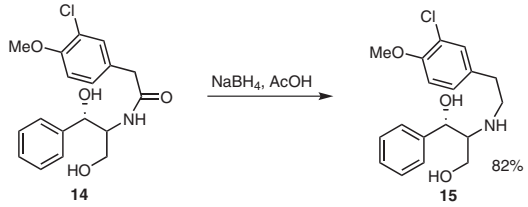
(D) As mentioned already, STAB-H is a milder reducing agent than $NaBH_4$ and hence selective. It can reduce vinylogus carbamate **10** selectively without affecting other functionalities.[10]



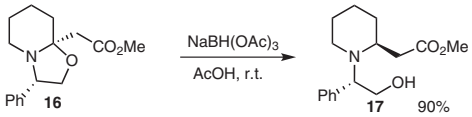
(E) The reduction of an imine can be effected by STAB-H. The reduced form of the quarter pyrroles **13** can be obtained by using STAB-H from the stable oxidized form **12**.[11]



(F) It is shown that STAB-H effectively reduced amide **14** to **15** in the total synthesis of a selective D1 antagonist useful in the treatment of psychoses, depression, and D1-dependent neurological disorders.[12]



(G) Cleavage of oxazolidines **16** can easily be carried out with STAB-H[13] to provide **17** and this tactic was featured in the first enantiospecific synthesis of salinosporamide A.[14]



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