SYNLETT Spotlight 16

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

Methyl *N*-(triethylammonium-sulfonyl)carbamate: "Burgess Reagent"

Compiled by Svenja Burckhardt

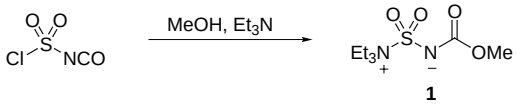
Department of Chemistry, University of Cambridge, Lensfield Road, Cambridge, CB2 1EW, UK

Svenja Burckhardt studied chemistry at the Universität Hannover and Stanford University. She is currently working on her Ph.D. thesis under the supervision of Professor Steven V. Ley at the University of Cambridge.

Introduction

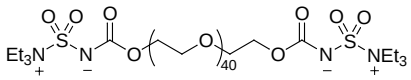
Methyl N-(triethylammoniumsulfonyl)carbamate **1**, also known as Burgess reagent, is a powerful dehydrating agent. Amongst other transformations it has been used to prepare isocyanides,[1] nitriles,[2] and nitrile oxides[3] from formamides, primary amides and nitroalkanes respectively. In recent years its most notable application has been in the cyclodehydration of hydroxy amides and thioamides to afford the corresponding heterocycles.[4]

The Burgess reagent **1** is oxidation and moisture sensitive and therefore has only limited shelf-life. Although commercially available it is best prepared fresh from chlorosulfonyl isocyanate and triethylamine in methanol.[5]



1

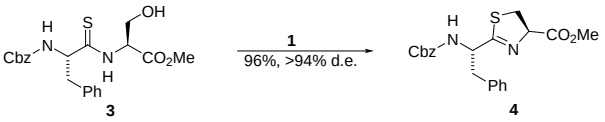
Recently polymer supported Burgess reagent **2** has been prepared which shows improved stability.[6]



2

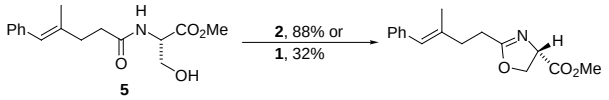
Abstracts

Chiral centres attached to the C(2) position of thiazolines frequently epimerise. While most cyclodehydrations of hydroxy thioamide **3** lead to diastereomeric mixtures, treatment of **3** with **1** afforded thiazoline **4** in 96% yield and >94% d.e.[7]



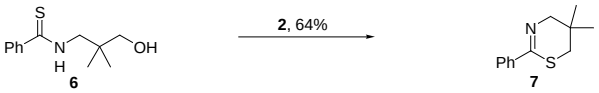
3 **4**

The attachment of the Burgess reagent **2** to polyethyleneglycol led to a useful reagent that, compared to **1**, gave superior yields of oxazolines and thiazolines. An impressive increase in yield from 32% to 88% was achieved in the cyclodehydration of hydroxy amide **5** by the use of PEG-supported Burgess reagent **2** instead of **1**.[6a]



5

Thiazine **7** can be obtained by cyclodehydration of hydroxy thioamide **6** with **2** in 64% yield. This protocol proved to be superior to the Mitsunobu reaction, which afforded **7** in only 40% yield.[6b]



6 **7**

References and Notes

(1) Creedon, S. M.; Crowley, H. K.; McCarthy, D. G. *J. Chem. Soc., Perkin Trans. 1* **1998**, 1015.
(2) Claremon, D. A.; Phillips, B. T. *Tetrahedron Lett.* **1988**, *29*, 21552.
(3) Maugein, N.; Wagner, A.; Mioskowski, C. *Tetrahedron Lett.* **1997**, *38*, 1547.
(4) For selected examples see: (a) Wipf, P.; Miller, C. P. *Tetrahedron Lett.* **1992**, *33*, 21552; (b) Wipf, P.; Miller, C. P.; Venkatraman, S.; Fritch, P. C. *Tetrahedron Lett.* **1995**, *36*, 21552; (c) Wipf, P.; Venkatraman, S. *Synlett* **1997**, 1.
(5) Burgess, E. M.; Penton, H. R.; Taylor, E. A. *J. Org. Chem.* **1973**, *38*, 26.
(6) (a) Wipf, P.; Venkatraman, S. *Tetrahedron Lett.* **1996**, *37*, 4659; (b) Wipf, P.; Hayes, G. B. *Tetrahedron* **1998**, *54*, 6987.
(7) Wipf, P.; Fritch, P. C. *Tetrahedron Lett.* **1994**, *35*, 5397.

Article Identifier:
1437-2096,E;2000,0,04,559,559,ftx,en;V01899ST.pdf