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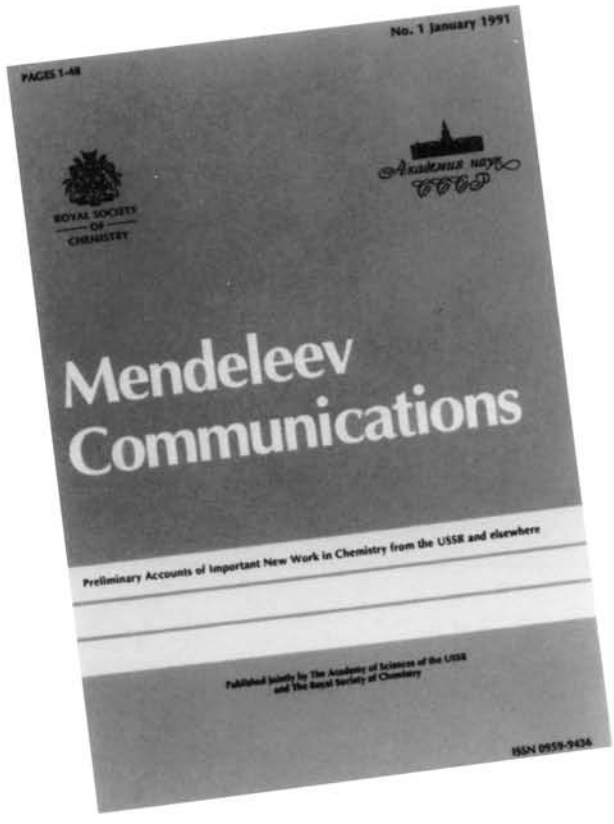

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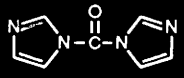
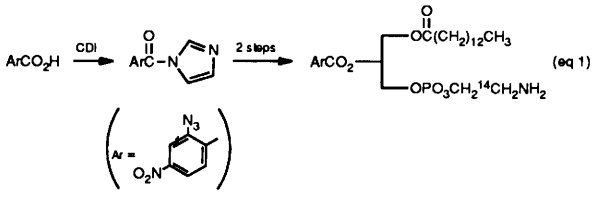
1,1'-Carbonyldiimidazole



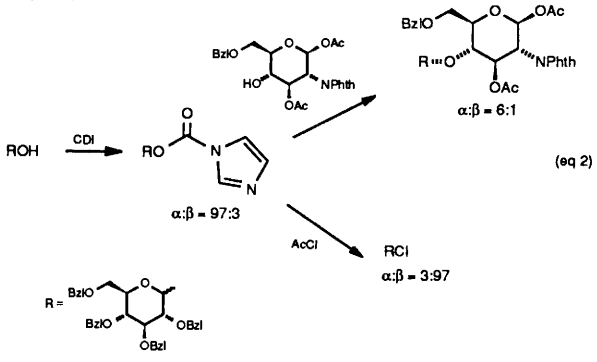
Since the discovery of 1,1'-carbonyldiimidazole (CDI) by H.A. Staab in 1957,[1] the variety and utility of its applications in many areas of chemistry have steadily grown. CDI is a particularly useful activating agent for carboxylic acids (eqs 1 and 3), alcohols (eq 2), and amines (eqs 4 and 5). The intermediate acyl imidazoles are highly reactive and may be used for the preparation of many compounds including aldehydes,[2] esters,[3] carbonates,[4] ureas,[5] oxazolidones,[6] amides,[7] and β-keto sulfoxides.[8] Important advantages of CDI over other activating groups are the mild, almost neutral reaction conditions, and the low toxicity of the starting material and by-products. The following highlight recent synthetic schemes incorporating CDI.

CDI has been used for the preparation of a photoactive phospholipid that specifically labels membrane cytoskeletal proteins of intact erythrocytes (eq 1).[9]



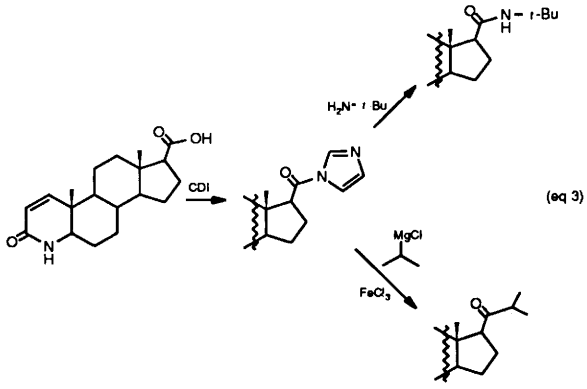
(eq 1)

A mild one-pot glycosidation method using CDI has recently been developed.[10] Treatment of the acyl imidazole with zinc bromide and an alcohol produces the glycoside, which predominantly has the α-stereochemistry. However, treatment of the acyl imidazole with acetyl chloride produces, by substitution, the anomeric chloride with predominantly the β-stereochemistry (eq 2).



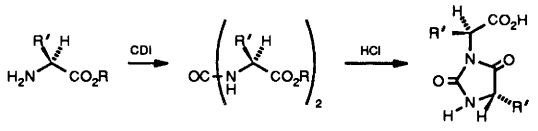
(eq 2)

CDI has also been used for the formation of a diverse group of 17β-amide and ketone analogs of Δ'-4-aza-5α-androsten-3-one.[11] Existing methods for effecting these transformations were hindered by poor yields and unwanted by-products (eq 3).

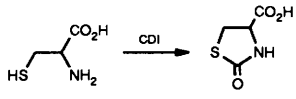


(eq 3)

In synthesis, CDI has been extensively used as an alternative for highly toxic phosgene. Recent examples include the synthesis of optically active hydantoins, which exhibit antiparasitic activity due to enzyme inhibition (eq 4),[12] and the synthesis of L-2-oxothiazolidine-4-carboxylic acid which stimulates glutathione biosynthesis (eq 5).[13]



(eq 4)



(eq 5)

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(1) Staab, H.A. *Liebigs Ann. Chem.* **1957**, *609*, 75. (2) Gegenbacher, T.; Gerok, W.; Giese, U.; Kurz, G. *J. Lipid Res.* **1990**, *31*, 315. (3) Morton, R.C.; Mangroo, D.; Gerber, G.E. *Can. J. Chem.* **1988**, *66*, 1701. (4) Just, G.; Singh, R. *Tetrahedron Lett.* **1987**, *28*, 5981. (5) Walsh, D.A.; Green, J.B.; Franzyshen, S.K.; Nolan, J.C.; Yanni, J.M. *J. Med. Chem.* **1990**, *33*, 2028. (6) Nickolaou, K.C.; Groneberg, R.D.; Stylianides, N.A.; Miyazaki, T. *J. Chem. Soc., Chem. Commun.* **1990**, 1275. (7) Ming, Y.; Boykin, D.W. *J. Heterocycl. Chem.* **1988**, *25*, 1729. (8) Ibarra, C.A.; Rodriguez, C.; Monreal, M.C.; Navarro, F.S.; Tesorero, J. *J. Org. Chem.* **1989**, *54*, 5620. (9) Pradhan, D.; Williamson, P.; Schlegel, R. *Biochemistry* **1989**, *28*, 6943. (10) Ford, M.J.; Ley, S.V. *Synlett* **1990**, 255. (11) Bhattacharya, A.; Williams, J.M.; Amato, J.S.; Dolling, U.H.; Grabowski, E.J.J. *Synth. Commun.* **1990**, *30*, 2683. (12) Buntain, I.G.; Suckling, C.J.; Wood, H.C.S. *J. Chem. Soc., Perkin Trans. 1* **1988**, 3175. (13) Komives, T. *Org. Prep. Proc. Intl.* **1989**, *21*, 251.