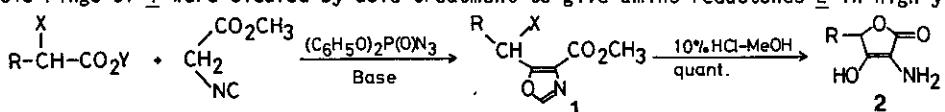


# A NEW EFFICIENT SYNTHESIS OF AMINO SUGARS UTILIZING RING CLEAVAGE OF OXAZOLE SKELETONS

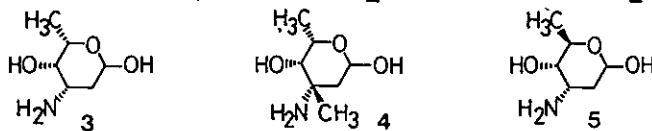
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Recent publication from our laboratories has disclosed<sup>1)</sup> that optically active 5-substituted 4-methoxycarbonyloxazoles 1 can be efficiently prepared from optically active carboxylic acids and methyl isocyanoacetate by the direct C-acylation using diphenyl phosphorazide (DPPA,  $(C_6H_5O)_2P(O)N_3$ ), and the oxazole rings of 1 were cleaved by acid treatment to give amino reductones 2 in high yield.

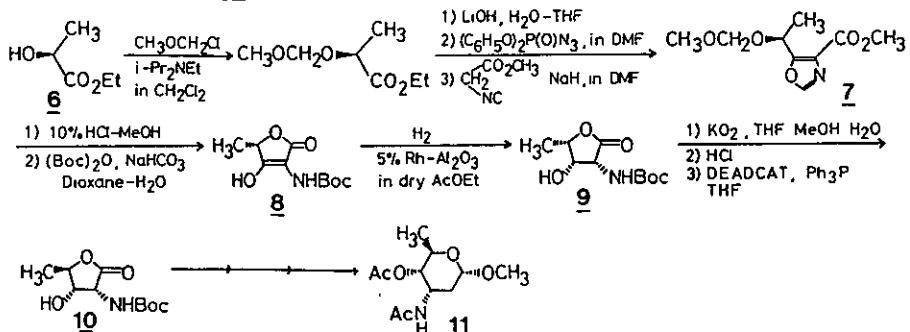


As an application of this oxazole synthesis followed by the ring cleavage, we achieved a facile stereoselective synthesis of L-daunosamine 3,<sup>2)</sup> the amino sugar component of the antitumor antibiotics daunomycin and adriamycin, and a derivative of L-vancosamine 4,<sup>3)</sup> a branched amino sugar component of the glycopeptide antibiotics vancomycin and sporaviridin.

The enantiomer 5 of L-ristosamine, an amino sugar component of the antibiotics ristomycin, has also been prepared from a common key intermediate 9, a Boc derivative of 2.



Ethyl L-lactate 6 was efficiently converted<sup>2)</sup> to 2-tert-butoxycarbonylamino-2,5-dideoxy-L-lyxono-1,4-lactone 9, which is a common key intermediate, through the oxazole 7 and the amino reductone 8. Hydrolysis of 9 with potassium superoxide in THF-MeOH-H<sub>2</sub>O (4:1:1) and subsequent acidification, followed by Mitsunobu reaction, afforded the D-ribonolactone 10 in high yield. Reduction of 10, introduction of C-1 unit by the Wittig reaction, followed by acid treatment, gave D-ristosamine as its N,O-diacetyl methyl glycoside 11.



- 1) Y. Hamada and T. Shioiri, *Tetrahedron Lett.*, 23, 235, 1226 (1982)
- 2) Y. Hamada, A. Kawai, and T. Shioiri, *Tetrahedron Lett.*, 25, 5409 (1984)
- 3) Y. Hamada, A. Kawai, and T. Shioiri, *Tetrahedron Lett.*, 25, 5413 (1984)