

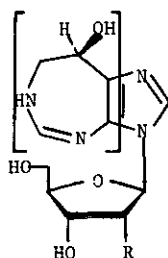
A NOVEL APPROACH TOWARDS THE SYNTHESIS OF THE 3,4,5-TRIHYDRO-1,3-DIAZEPIN-5-ol RING STRUCTURE

Leroy B. Townsend, Oscar L. Acevedo, and Steven Krawczyk

Department of Medicinal Chemistry, College of Pharmacy and Department of Chemistry, The University of Michigan, Ann Arbor, Michigan 48109.

Our efforts directed towards the synthesis of potential inhibitors of the enzyme adenosine deaminase have yielded a new and novel method for the formation of a 3,4,5-trihydro-1,3-diazepin-5-ol ring (Figure 1, in brackets) fused to another heterocyclic ring. A bicyclic heterocyclic ring system containing the 3,4,5-trihydro-1,3-diazepin-5-ol structure fused to an imidazole ring is shared by the nucleoside antibiotics 2'-deoxycoformycin (1) and coformycin² (2), both potent inhibitors of adenosine deaminase.³

Figure 1.

1, R = H; pentostatin2, R = OH, coformycin

We now wish to report on the synthesis of a bicyclic heterocyclic ring system containing the 3,4,5-trihydro-1,3-diazepin-5-ol ring fused to a pyrazole ring. This synthetic route involves a new, novel and general approach towards the formation of a fused 3,4,5-trihydro-1,3-diazepin-5-ol ring.

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