SYNTHESIS OF FUSED URACIL DERIVATIVES

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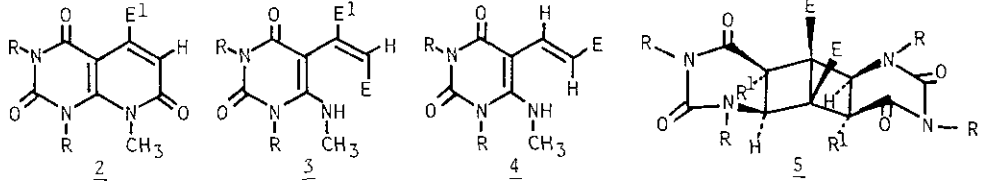
Uracil derivatives are useful reagents for the synthesis of fused pyrimidine derivatives having biological activity. We found a few interesting reactions and a new ring system derived from uracil derivatives.

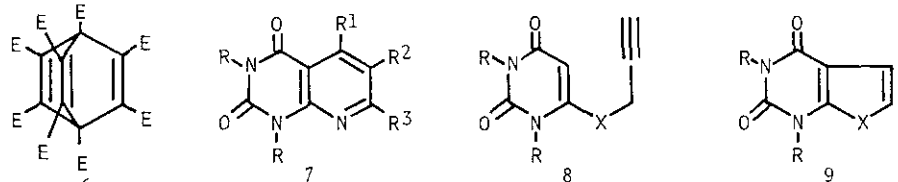
1) Treatment of 6-methylamino-1,3-dialkyluracils(1, R=Me, Et) and dimethyl acetylenedicarboxylate(DMAD) gave 2(E^1=COOMe) and 3(E^1=E^2=COOMe). Irradiation of 1 and methyl propiolate gave 2(E^1=H), 3(E^1=H), 4(E^1=COOMe) and 1,3,5-benzene tricarboxylic acid methylester. Photocyclization of 1,3-dimethyluracil(or 1,3-dimethylthymine) with DMAD under similar conditions afforded a new 2+2+2 cycloaddition product(5) and bicyclo[2,2,2]octa-2,5,7-triene-1,2,3,4,5,6,7,8-octacarboxylic acid octamethylester 6.

2) 1,3-dialkylpyrido[2,3-d]pyrimidine derivatives(7, R^1,R^2,R^3=H, Me) were conveniently prepared from 6-substituted allylaminouracils by $PdCl_2$-CuCl-O_2 catalyzed oxidative cyclization.

3) pyrrolo- and furopyrimidine derivative(9, X=O, NH, NMe) were prepared by conc. H_2SO_4 treatment of 1,3-dimethyl-6-propynyloxy(or amino)uracil derivatives(8).



2 3 4 5



6 7 8 9

R=Me, Et R^1,R^2,R^3=H, Me E^1=H, COOMe E=COOMe X=O, NH, NMe