

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 tri-carboxylic 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).

