

REACTION OF ACTIVATED THIOLACTAMS WITH ELECTROPHILES

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As a continuation of our study on the reaction with activated lactams, we now report the reaction using ketene-S,N-acetals (1,2,3) derived from N-methyl thiolactams. The addition of two equivalents of aryl isocyanates (4a-d) to 1,2,3 in boiling toluene gave 1,3-diaryl-2,4-dioxo-N-methylazacycloalkano[2,3-d]pyrimidines (5a-d, 6a-d, 7a-d). On the other hand, the reaction of 2,3 with 4a-d using ether as a solvent afforded 1:1 adducts (8a-d, 9a,c,d).

Acetylation of 2,3 followed by the condensation of hydrazines (10a,b) furnished N-methylazacycloalkano[2,3-c]pyrazoles (11a,b and 12a,b).

Next, the ring-expanding reaction of 1,2,3 with dimethyl acetylenedicarboxylate (DMAD) gave 7-9 membered cyclic dienamines (13a-c).

