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Interaction of Acetylenedicarboxylates and Bromomaleimides with Dihydropyrimidinethiones

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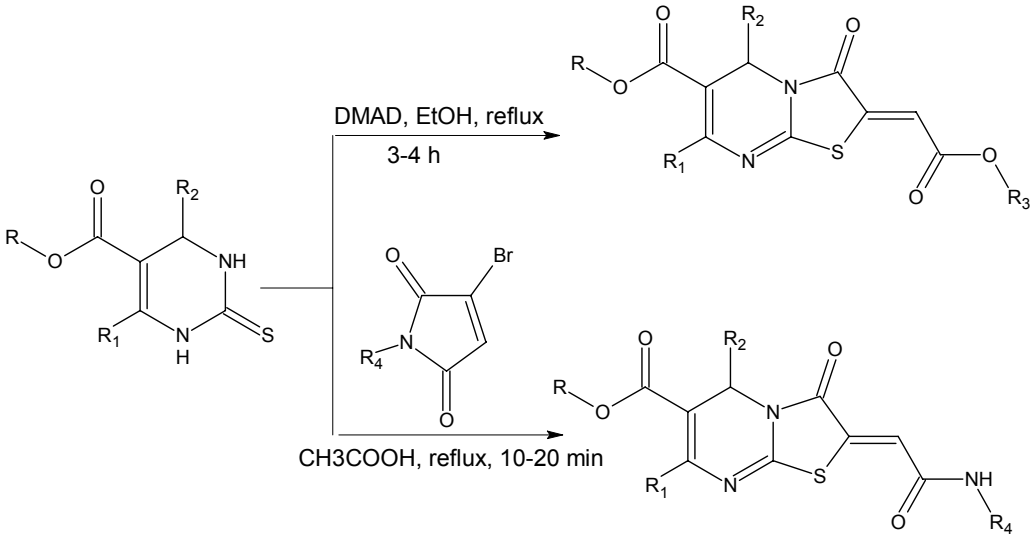
As a forthcoming development of the new combinatorial libraries based on a products of reaction of thioureas with maleimides we proposed to use acetylenedicarboxylates and corresponding bromomaleimides as electrophiles in the reaction with the weak S,N-binucleophiles. It has been found that reaction of maleimides with diarylthioureas occurs relatively slow, and an attempt to introduce a Biginelli dihydropyrimidinethiones in this reaction failed. Reactions with more active electrophiles allowed obtaining a product series from dihydropyrimidinethiones.



R=Me, Et; R_1= Me; R_2= Ph, 4-methoxy-, 3-methoxy-, 3,4-dimethoxy, 3,4,5-trimethoxy, 4-fluorophenyl, thienyl; R_3=Me; R_4= phenyl, 4-metoxy-, 4-propoxy-, 4-chloro-, 4-fluoro-, 4-carboethoxy-, 4-carbomethoxyphenyl.