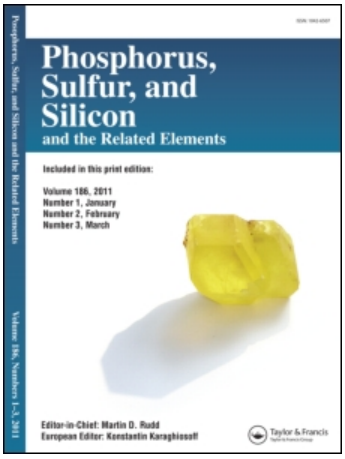

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Thiazolones in the Synthesis of α,β-Dehydro-α-Amino Acids and their Further Transformations into Heterocyclic Systems

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THIAZOLONES IN THE SYNTHESIS OF α,β-DEHYDRO-α-AMINO ACIDS AND THEIR FURTHER TRANSFORMATIONS INTO HETEROCYCLIC SYSTEMS

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Abstract Substituted thiazolones were prepared in three different ways and further transformed into various α-heteroarylamino-α,β-dehydro-α-amino acid derivatives.

In continuation of our studies in the field of heteroaryl substituted amino acids[1-4] 4-heteroarylaminomethylene-2-alkoxy-5(4H)thiazolones 5 were prepared from:

1) heterocyclic amines 1, N-alkoxythiocarbonylglycine (2) and triethyl orthoformate in acetic anhydride
2) N-heteroaryl-N,N-dimethylformamidines 3 and N-alkoxythiocarbonylglycine (2) in acetic anhydride
3) 4-ethoxymethylene-5(4H)-thiazolone (4) and heterocyclic amine (1). (Scheme 1).

The compounds 5 were transformed with sodium methoxide in methanol into amino acid derivative 6, with nitrogen nucleophiles into 7, with amino acids into 8 and with sodium borohydride in ammonia into 8, while under more drastic conditions imidazole derivatives, such as 10, are formed.(Scheme 2).

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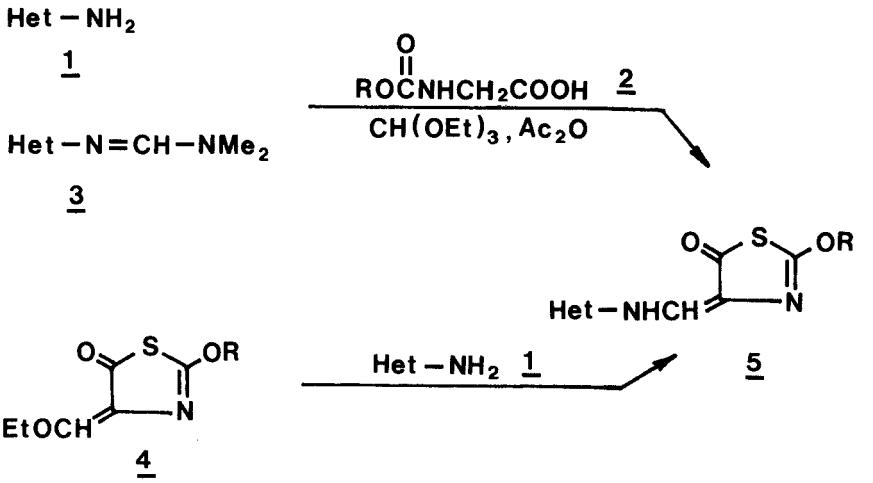
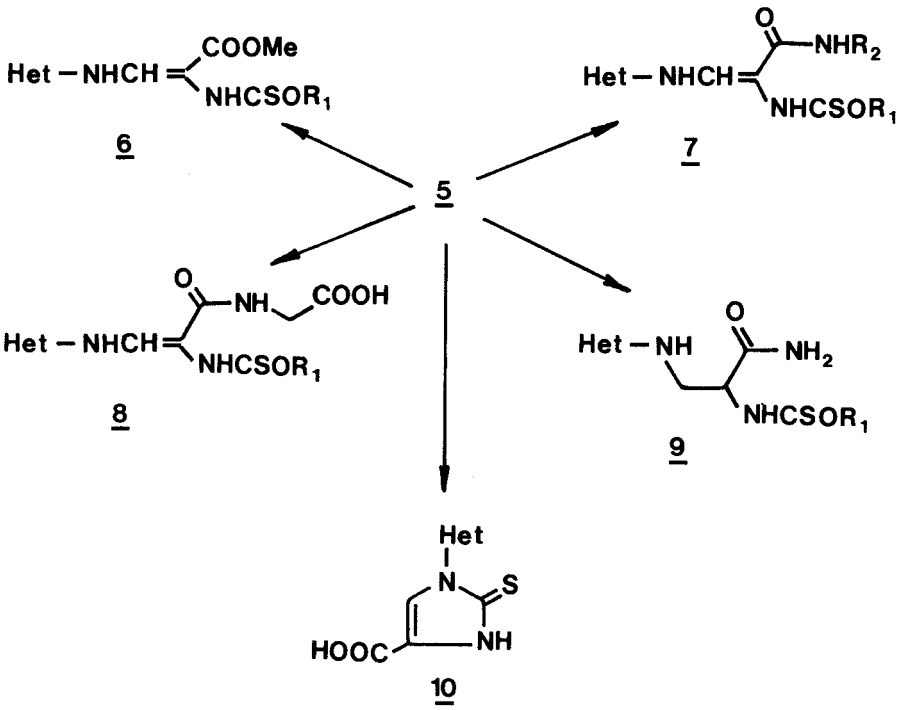


Scheme 1



Scheme 2