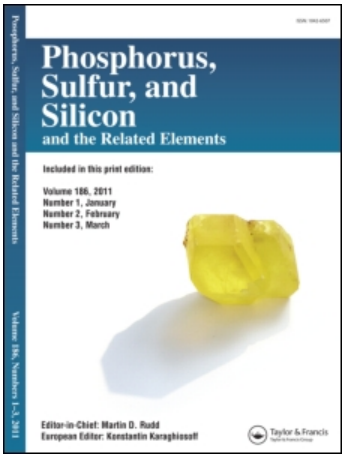

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FORMATION OF THIADIAZOLE AND THIAZOLE RINGS FROM HETEROCYCLES WITH A THIOAMIDE SIDE CHAIN

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FORMATION OF THIADIAZOLE AND THIAZOLE RINGS FROM HETEROCYCLES WITH A THIOAMIDE SIDE CHAIN

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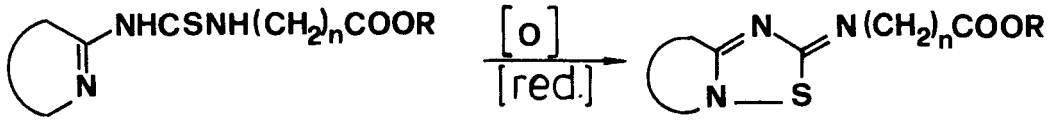
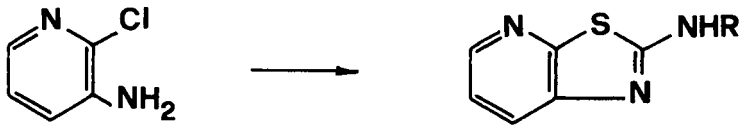
Substituted or unsubstituted thioureido heterocycles can be successfully used for the formation of a new thiadiazole or thiazole ring. For example, a fused thiadiazolo ring is formed either from carbethoxythioureido- or carbethoxymethylthioureido-heterocycles (I). The reaction proceeds by oxidative cyclization and can be performed in the presence of various oxidizing agents. On the other hand, some reducing agents convert II back into I. A particular case represents the reaction between 2-chloro-3-aminopyridine and carbethoxy isothiocyanate giving directly III (R = = COOEt), although the reaction must proceed via an intermediate like I (n = 0).

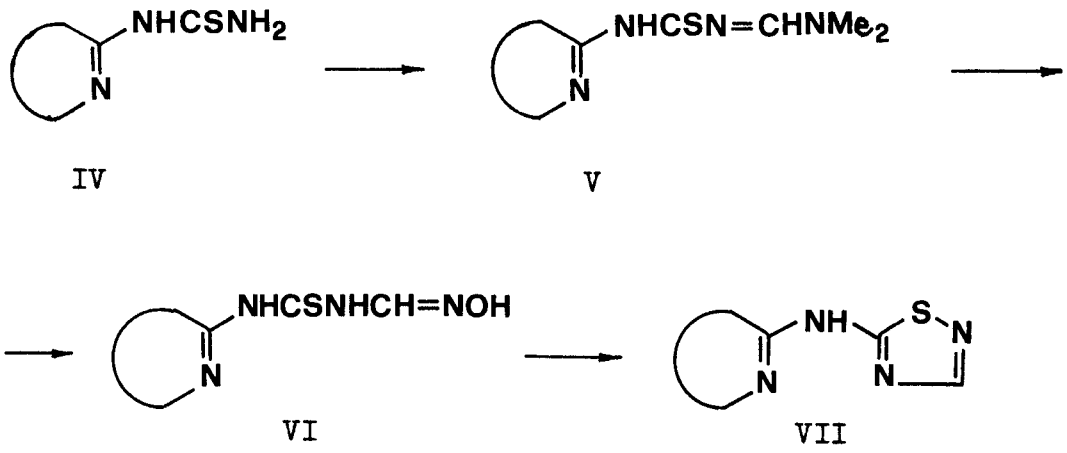


I II n = 0,1



III

On the other hand, a thioureido group, as in IV, can be easily converted into a N,N-dimethylaminomethylene derivative (V) and further into the hydroxyiminomethylene compound (VI) which is subsequently cyclized into VII.



IV V VI VII