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SYNTHESIS OF 4-AMINO-1-THIAFLAVAN AND OF 3-AMINO-1-THIAFLAVANONE

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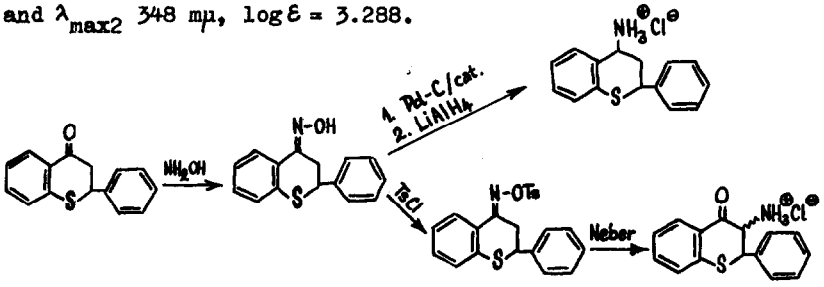
The two parent compounds of the 1-thiaflavonoids, namely 1-thiaflavone [1,2] and 1-thiaflavanone [3], have been synthesised some time ago. Nevertheless, relatively few derivatives of these are known. To our knowledge thiaflavonoids substituted with amino group in the heterocyclic thiapyran ring have not been described so far.

It has now been found, that our methods for the preparation of 4-aminoflavan [4] and of 3-amino-flavanone [5] are suitable for obtaining the corresponding 1-thiaflavan derivatives.

4-Amino-1-thiaflavan. 1-Thiaflavanone on treatment with hydroxylamine hydrocloride in aqueous ethanol in the presence of sodium acetate gave the oxime, m.p. 183°, in good yield. Reduction of this 4-oximino-1-thiaflavan catalytically over Pd-C, or using $LiAlH_4$, gave 4-amino-1--thiaflavan. Isolated as the hydrochloride this formed

white needles with m.p. 275-276°, λ_{max} /in ethanol/: 258 mμ, $\log\varepsilon$ = 4.086.

3-Amino-1-thiaflavanone. The tosylate of 4-amino-1--thia--flavan was rearranged according to Neber /6/ using potassium ethoxide in absolute ethanol, to 3-amino-1-thia--flavanone in very good yield. The hydrochloride formed plates, m.p. 215°, λ_{max1} /in ethanol/: 239 mμ, $\log\varepsilon$ = 4.268, and λ_{max2} 348 mμ, $\log\varepsilon$ = 3.288.



The free 3-amino-1-thiaflavanone produced from the hydrochloride on treatment with sodium acetate in water, forms yellow needles, m.p. 104°. Both the free amines are unstable.

Further work is in progress; a more detailed report of this work will be published in the Acta Chimica Hunga - rica.

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