

University of Groningen

## Synthese en reakties van N-tosylmethyliminoverbindingen

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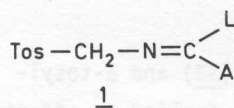
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## S U M M A R Y

The aim of the research described in this thesis was to develop a synthetic route to N-tosylmethylimino compounds of type 1, and to study their reactions, especially cycloadditions to electron deficient double bonds.



1a, A = OMe, L = Cl

1b, A = L = OMe

1c, A = L = SMe

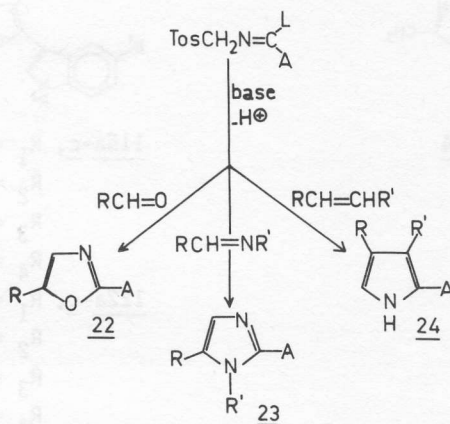
1d, A = Me, L = OMe

1e, A = Me, L = SMe

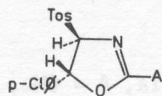
1f, A =  $\emptyset$ , L = SMe

After an introductory chapter (*Chapter I*), the synthesis of six imino derivatives 1a-f is reported in *Chapter II*.

*Chapter III* deals with reactions of the imines 1 with Michael acceptors, which lead to 2,3,4-trisubstituted pyrroles 24. The mechanism of the cycloaddition and some of the factors that effect the stereoselectivity are discussed.



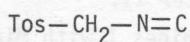
The synthesis of 2,5-disubstituted oxazoles 22 and 1,2,5-tri-substituted imidazoles 23 are described in *Chapter IV*. The conversion of the  $\Delta^2$ -oxazolines (84a, b) to the corresponding oxazoles, is discussed in some detail. It has been demonstrated that  $\Delta^2$ -oxazolines are intermediates in cycloadditions of 1a and 1f with aldehydes.



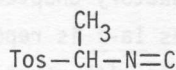
84a, A =  $\emptyset$

84b, A = H

In *Chapter V* reactions of 1b, 1d, TosMIC (33) and  $\alpha$ -tosyl-ethylisocyanide (116) with 3-formylindoles are applied in efforts to synthesize the mold metabolite pimprinine (94) and derivatives thereof. The alkaloid pimprinine has a number of biological prop-

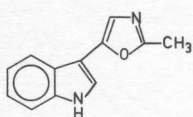


33

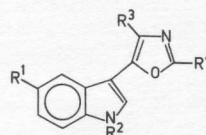


116

erties, such as antiepileptical and MAO-inhibiting actions. The pimprinine derivatives 115a-c and 122a-c could be isolated.



94



115a-c, R<sub>1</sub> = H, OMe, NO<sub>2</sub>,

R<sub>2</sub> = Me,

R<sub>3</sub> = H,

R<sub>4</sub> = OMe;

122a-c, R<sub>1</sub> = H, OMe, NO<sub>2</sub>,

R<sub>2</sub> = H,

R<sub>3</sub> = Me,

R<sub>4</sub> = H

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