

INTRODUCTION OF C-SUBSTITUENTS INTO THE PYRAZINE RING

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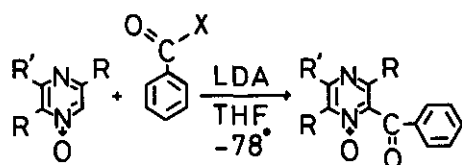
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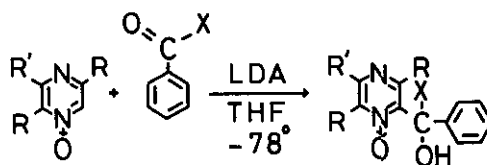
There are some naturally occurring alkyl- and acyl-pyrazines, which have been isolated as flavours, ant-pheromones, mold-metabolites etc. For the purpose of synthesizing these substances, the C-substitution of pyrazines was investigated.

1) C-Substitution in the presence of LDA

By the treatment of pyrazine 1-oxides with carboxylic acid esters, carboxylic acid chlorides, aldehydes, and ketones in the presence of LDA, acylpyrazines and pyrazinyl carbinols were obtained in satisfactory yields. The substitution occurred only on the carbons adjacent to the N-oxide group.



R = i-Pr, i-Bu, s-Bu
R' = H, Cl X = OMe, Cl



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2) Palladium-catalysed C-Substitution

The chlorine atoms on the pyrazine ring were replaced by the cyano group in the presence of tetrakis(triphenylphosphine)palladium. The usefulness of the Pd-catalysts for the coupling of chloropyrazines with alkynes, alkenes, indole, and N-methylimidazole was also described.

