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Furyl-tethered amines as intermediates in synthesis of 1,2-annulated pyrroles

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Substituted furans are widely used as starting compounds in the synthesis of biologically active molecules. In the presence of Bronsted acid furans containing amine functionality can undergo ring opening/intermolecular Paal-Knorr reaction affording annulated nitrogen-containing heterocycles [1]. Based on this reactivity of furan substrates, we developed simple and efficient synthetic protocols toward pyrrolo[1,2-a][1,4]diazepines, pyrrolo[1,2-d][1,4]diazepines, pyrrolo[1,2-a][1,5]benzodiazepines and pyrrolo[1,2-a]quinoxalines.

$$\begin{array}{c|c}
R^{1} & & & \\
N & & & \\
N & & & \\
N & & & \\
R^{1} & & & \\
R^{2} & & & \\
R^{2} & & & \\
\end{array}$$

Scope and limitations of this type of the amine-tethered furans rearrangements to azaheterocycles will be discussed.

References

[1] Trushkov, I.V.; Uchuskin, M.G.; Butin, A.V. Eur. J. Org. Chem. 2015, 14, 2999.

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