MICROWAVE ASSISTED AND CONVENTIONAL MONO ARYL SUBSTITUTION OF DIAZABICYCLOALKANES BY ENCAPSULATED PALLADIUM COUPLING

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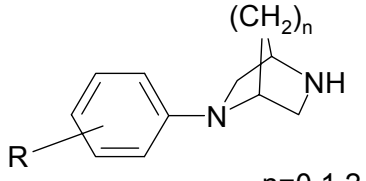
Aryl piperazines are recurring substructures in many pharmaceuticals, such as ligands of serotonin (5-HT) receptors, and key moieties of a variety of biologically active compounds, such as antifungals, antivirals, antibacterials or cholesterol ester transfer protein inhibitors.[1-5] The typical synthetic routes to the mono-aryl substituted piperazines are palladium catalyzed C-N coupling using an excess of piperazine or protected piperazines.



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Our investigation was motivated by the possibility to substitute the piperazine part of several drugs with more rigid structures **1** to get more specific effects.

We will demonstrate the synthesis of substituted aryl diazabicycles of the type **1** utilizing encapsulated Pd catalyzed coupling reactions using protected and unprotected dibasic amines including the use of microwave reactions. The study of new catalysts and different ligand systems for the arylation of diazacycloalkanes still is continuing in our group.

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