

SYSTEMATIC SYNTHESIS OF PHTHALIMIDE PROTECTED UNSATURATED HYDRAZINE HETEROCYCLES

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More than 70% of all agrochemicals and pharmaceuticals include at least one heterocyclic ring and over 90% of all pharmaceuticals have at least one nitrogen atom in their structure. Therefore the development of efficient routes for the synthesis of nitrogen-containing heterocycles is very important.

We have developed a 4-step route for the synthesis of novel phthalimide protected hydrazine heterocycles, that include a C=C double bond in the cycle. As a starting material we use phthalimide protected Boc-hydrazine, which can be alkylated with bromoalkenes in mild conditions. Further steps involve Boc-deprotection and second alkylation with a bromoalkene. Finally, the heterocycles are produced by ring closing metathesis.

These compounds can be derivatized further by modifying the carbon-carbon double bond or by deprotection and subsequent functionalizing of the primary amino group.

During the current research, various 5-7-membered hydrazine heterocycles and numerous novel intermediate compounds have been synthesized and thoroughly characterized (NMR, HRMS).



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