Synthesis of Biologically Relevant Heterocycles Mediated by Porphyrin-based Catalysts

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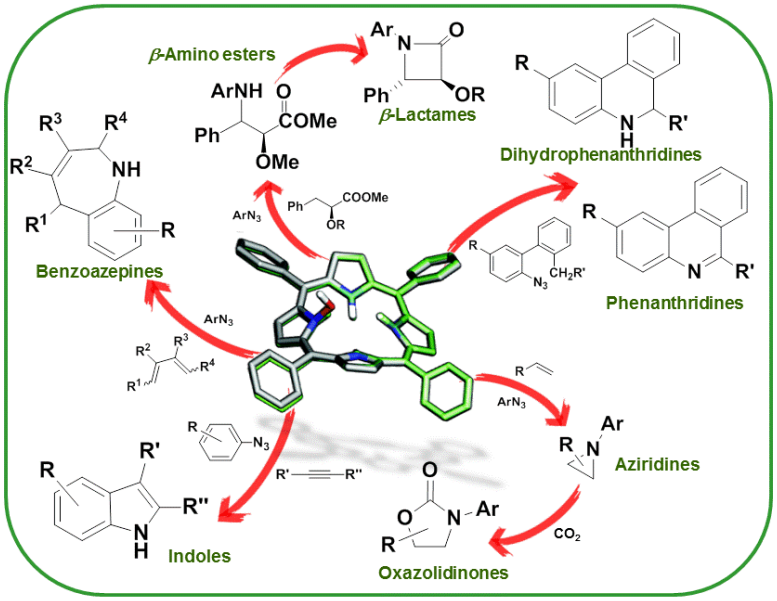
The formation of C-N bonds is a reaction of great synthetic interest because of the biological and pharmaceutical relevance of aza-derivatives. The insertion of an aza-fragment into an organic skeleton is efficiently performed by using organic azides (RN_3) as nitrene ('RN') sources in the presence of low-toxic and chemical stable metal porphyrin catalysts. The sustainability of the synthetic procedure is related to the formation of benign N_2 as the only stoichiometric side-product.



Herein we report the use of metal porphyrins to promote the synthesis of biologically interesting compounds such us: **a) *β-amino ester*** by amination of benzylic C−H bonds. The methodology was effective in synthesizing derivatives of methyl L-3-phenyllactate in order to convert them into corresponding ***β*-lactams**;[1] **b) *dihydrophenanthridines and phenanthridines***,[2] important core structures of pharmaceutical compounds, through the *intra*molecular amination of several 2-azido biaryls; **c) *C_3-functionalized indoles***[3] by an *inter*molecular reaction of aryl azides with alkynes. Several derivatives were synthesized with yields up to 95%, high regioselectivities and without requiring either the time consuming pre-functionalization of reagents or the addition of oxidants/additives. **d) *N*-substituted oxazolidinones**,[4] antitumor and antibacterial agents, by the 100% atom efficient cycloaddition of CO_2 to aziridines. In addition, a *catalytic tandem reaction*, in which aziridines were first synthesized and then reacted with CO_2 without being isolated nor purified, was also investigated.

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