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Transition Metal Catalyzed Double Bond Migration: Studies toward Total Synthesis of Limazepine A

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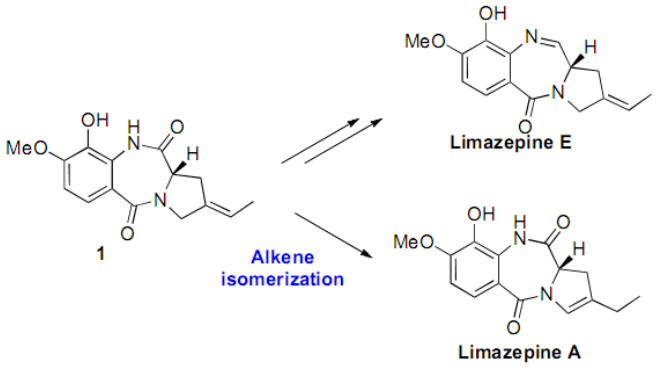
Pyrrolo[1,4]benzodiazepines (PDBs) are a well-known, yet still growing class of natural products possessing antitumor antibiotic properties due to their ability to covalently bind the minor groove of DNA [1]. The latest isolated members of PBDs are Limazepines (A-E), as reported in 2009 [2].

In the previous studies we developed an efficient method for the synthesis of the PDB dilactam **1** and its further elaboration into Limazepine E.



Herein the efficient transformation of **1** into a Limazepine A precursor is disclosed via a transition metal catalyzed double bond migration. Catalyst screening and further steps towards Limazepine A will be presented.

Supervisor: Dr.chem. R.Zemrībo.

References

[1] For a recent review see: Cipolla, L.; Araújo, A.C; Airoldi, C.; Bini, D. *Anti Canc. Agents Med. Chem.*, **2009**, *9*, 1.

[2] Fotso, S; Zabriskie, M; Proteau, P; Flatt, P; Santosa, D, A; Mahmud, S; Mahmud, T. *J. Nat. Prod*, **2009**, *72*, 690-695.