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An Expeditious Synthesis of 3-Amino B-Lactams Derived From Polyaromatic Compounds

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Abstract

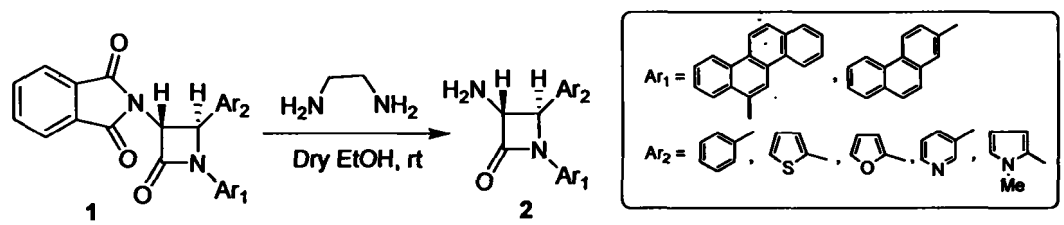
A simple an effective method for the synthesis of a few *trans* 3-amino β-lactams derived from polyaromatic compounds has been accomplished via the deprotection of phthalimido groups with ethylene diamine.

Introduction

Synthesis of β-lactams as biologically active compounds is very crucial. The use of β-lactams as antibiotics is experimentally proved by several thousands of papers and patents.[1] In contrast, research on anticancer β-lactams is very limited. We have been conducting research on the synthesis and biological evaluation of β-lactams for the last two decades. We describe herein simple as well as efficient synthesis of a few 3-amino β-lactams derived from polyaromatic precursors. These types of β-lactams are new and novel. Furthermore, they may have potential anticancer activity as demonstrated in our previous publications.[2]

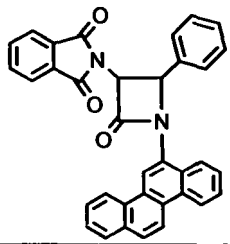
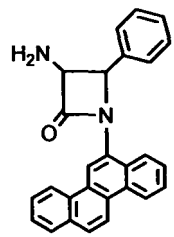
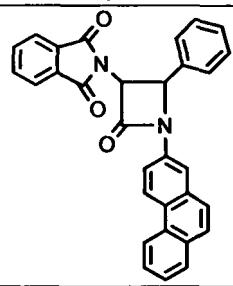
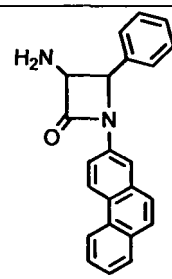
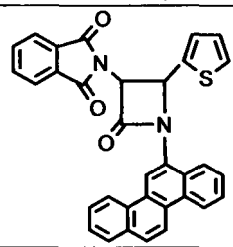
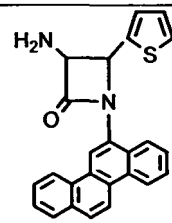
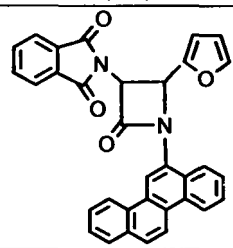
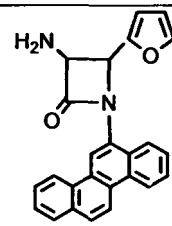
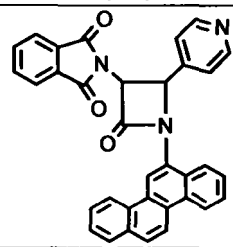
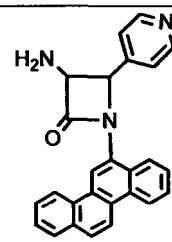
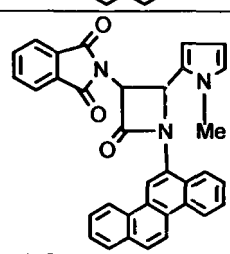
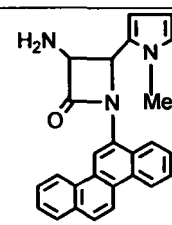
Results and Discussion

Reaction of phthalimido. β-lactams **1** with ethylene diamine at room temperature produced **2** in good yield. The stereochemistry of β-lactams remains unchanged during this conversation. No cleavage of the β-lactam rings was observed under this conditions.[3]



Scheme 1

Table 1: Synthesis of Amino β-lactams from Phthalimido β-lactams

Entry	Phthalimido β-lactam	Amino β-lactam	Yield (%)
1			87
2			81
3			89
4			93
5			81
6			72

Conclusion

These 3-amino β-lactams with polyaromatic group at nitrogen as reported herein will offer our laboratory tremendous opportunities to use them as starting compounds for different types of reactions and testing these new compounds as anticancer agents *in vitro* and possibly *in vivo.*

Acknowledgment

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References and notes

(1) (a) A. K. Bose, M. S. Manhas, B. K. Banik and V. Srirajan, In *The Amide Linkage: Selected Structural Aspects in Chemistry, Biochemistry, and Material Science*; Greenberg, A.; Breneman, C. M.; Liebman, J. F. Eds; Wiley-Interscience: New York, 2000; 157-214 Chapter 7 (β-Lactams: Cyclic Amides of Distinction.). (b) G. I. Georg and V. T. Ravikumar, In *The Organic Chemistry of β-Lactams*; VCH publishers; Ed. Georg, G. I. New York, 1992.

(2) (a) I. Banik, F. F. Becker and B. K. Banik, B. K., J. Med. Chem., **46**, 12 (2003). (b) B. K. Banik, F. F. Becker and I. Banik, Bioorg. Med. Chem, **12**, 2523 (2004). (c) B. K. Banik, I. Banik, I. and F. F. Becker, Bioorg. Med. Chem., **13**, 3611 (2004).

(3) A general experiment procedure is given as follows: A solution consisting of phthalimido β-lactam (1 mmol) in ethyl alcohol (5 mL) was added ethylene diamine (2 mmol) at room temperature. This mixture was stirred for 1h. Dichloromethane (25 mL) was added to the reaction mixture and it was then washed with brine (10 mL), dried with anhydrous sodium sulfate and evaporated to obtain the crude product. Proton NMR indicated the formation of *trans* 3-amino □-lactams. The pure product was obtained via column chromatography over silica gel using ethyl acetate-hexanes (1:4) as the solvent. The compounds have been characterized by IR and NMR.

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