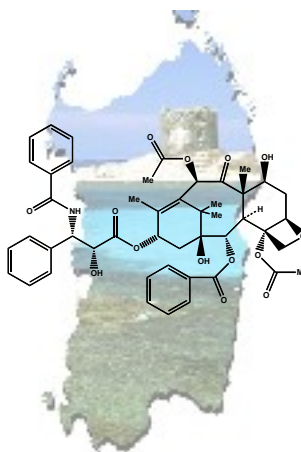




SardiniaChem2008

GIORNATA DI STUDIO DEDICATA
ALLA CHIMICA ORGANICA
DELLE MOLECOLE BIOLOGICAMENTE ATTIVE

30 Maggio 2008, Aula Magna della Facoltà di Scienze – Sassari



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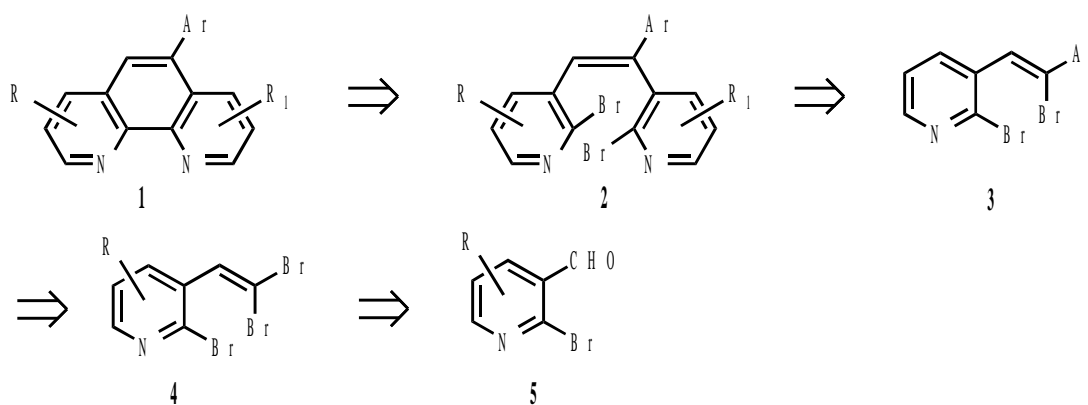
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SYNTHESIS OF STEREODEFINED 1-ARYL(HETEROARYL) SUBSTITUTED 1,2-BIS(2-BROMOPYRIDIN-3-YL)ETHENES BY SELECTIVE TANDEM SUZUKI-MIYAURA CROSS-COUPLING REACTIONS

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We have recently reported a protocol for the synthesis of substituted 1,10-phenanthrolines hinged upon the Ullmann intramolecular coupling of *cis*-1,2-bis(2-bromopyridin-3-yl)ethenes, which were in turn obtained by Wittig reaction of 2-bromonicotinaldehydes with phosphonium salts.¹ The Wittig reaction allows the synthesis of *cis*-1,2-disubstituted alkenes such with satisfactory *cis/trans* stereoselectivity, but suffers from poor stereocontrol of the double bond when phosphonium salts are reacted with ketones in order to obtain trisubstituted olefins with the required geometry. Since the obtainment of 1-substituted *cis*-1,2-bis(2-bromopyridin-3-yl)ethenes **2** (Scheme 1) could allow a new access to 5-substituted 1,10-phenanthrolines, we have now devoted our attention to find a valuable method for their preparation. In this communication we show the preparation of stereodefined trisubstituted alkenes **2** can be achieved by sequential selective Suzuki-Miyaura reactions of 2-bromo-3-(2,2-dibromovinyl)pyridines **3** (Scheme 2). The potentiality of this strategy to obtain 5-aryl-1,10-phenanthrolines **1** is also demonstrated.



Scheme 1

- 1.** (a) Chelucci, G.; Addis, D.; Baldino, S. *Tetrahedron Lett.* 2007, 48, 3359. (b) Chelucci, G.; Baldino, S.; Pinna, G. A.; Sechi, B. *Tetrahedron Lett.* 2008, *in press*: doi:10.1016/j.tetlet.2008.02.112