Solid-phase synthesis of biaryl cyclic peptides containing a 3-aryltyrosine

Biaryl cyclic peptides containing a Phe-Tyr or a Tyr-Tyr linkage have been synthesized by solid-phase Miyaura borylation and microwave-assisted Suzuki-Miyaura macrocyclization. Abstract A concise and efficient solid-phase synthesis of biaryl cyclic peptides containing a Phe-Tyr or a Tyr-Tyr linkage has been accomplished. The key steps ...

A solid-phase strategy for the synthesis of biaryl cyclic peptides containing a side-chain to side-chain His-Tyr linkage was developed. The key step was the macrocyclization of a linear peptidyl resin incorporating a 5-bromohistidine and a 3-boronotyrosine via the formation of the biaryl bond by means of a microwave-assisted Suzuki-Miyaura reaction.

The feasibility of the solid-phase intramolecular 4(5)-arylation of a histidine residue to obtain biaryl cyclic peptides bearing a His-Phe linkage was established and it was observed that the Leu-Leu spacer is crucial for the intramolescular arylation.

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Finn"s group described the Wang-resin based peptide head-totail CuAAC cyclization under copper catalyst [] their studies, 11-mer and 19-mer peptide 6 and 7 containing Arg-Gly-Asp (RGD) sequence were synthesized by ...

A methodology for the solid-phase synthesis of biaryl bicyclic peptides containing a Phe-Phe, a Phe-Tyr or a Tyr-Tyr motif has been devised. This approach comprises two key steps. The ...

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Cyclopeptidomimetics usually prepared by solid phase peptide synthesis (SPPS) of linear precursors followed by in solution cyclization [1d,3b,4].However, this cyclization step is still considered as a formidable challenge because intermolecular reaction proceeds much faster than intramolecular reaction, thus generating undesired linear oligomers and relevant ...

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In this context, herein our aim was to extend our expertise in the formation of biaryl linkages to the solid-phase synthesis of biaryl bicyclic peptides. To the best of our knowledge, there is only one example on the preparation of this type of compounds on solid support, even though the final cyclization was performed in solution [24-25]. In ...

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This study provides the first solid-phase synthesis of this type of bicyclic compounds being amenable to prepare a diversity of synthetic or natural biaryl bicyclic peptides. A methodology for the solid-phase synthesis of biaryl bicyclic peptides containing a Phe-Phe, a Phe-Tyr or a Tyr-Tyr motif has been devised. This approach comprises two key steps. The ...

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The solid-phase synthesis of the biaryl cyclic lipopeptides 1-3 was planned according to the retrosynthetic analysis depicted in Scheme 1. ... Based on our previous experience on the synthesis of biaryl cyclic peptides containing a Phe-Tyr linkage,34 macro-cyclization of the linear peptidyl resin 7 was achieved via a Suzuki-Miyaura cross ...

In summary, we describe the first solid-phase synthesis of biaryl cyclic peptides containing a His-Tyr linkage. The key feature of our synthetic methodology is the cyclization ...

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and a ...

Miyaura borylation and Suzuki-Miyaura cross-coupling have been combined to set up an efficient strategy for the solid-phase synthesis of biaryl cyclic peptides.The Miyaura borylation was the key step in obtaining the linear peptidyl resin precursor containing both the boronate and the halogenated derivative of an aromatic amino acid.The Suzuki-Miyaura ...

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