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PROGRAM & ABSTRACTS

Preparation of 3-(oxazol-5-yl)indolyl-4-boronate in synthesis of Diazonamide A

PO36

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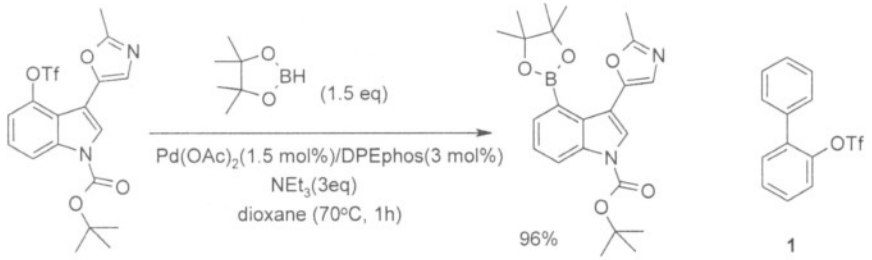
Diazonamide A is a highly potent cytotoxic isolate of the colonial ascidian *Diazona chinesis*. Various approaches to this natural product have been reported.[1] One of the strategies toward diazonamide A employs 3-(oxazol-5-yl)indolyl-4-boronate **B** as key building block.[2] We have developed the procedure for efficient preparation of boronate **B** from the corresponding triflate **A** in almost quantitative yield. Reaction could be easily scaled-up (multigram batch) without decrease of the yield.



Optimization studies were carried out using model reaction of sterically hindered biaryl triflate **1** and revealed interesting dependence both on reaction conditions and borylation reagent quality.

References:

1. a) Nicolaou, K. C.; Bella, M.; Chen, D. Y. K.; Huang, X. H.; Ling, T. T.; Snyder, S. A. *Angew. Chem., Int. Ed.* **2002**, 41, 3495. b) Burgett, A. W. G.; Li, Q. Y.; Wei, Q.; Harran, P. G. *Angew. Chem., Int. Ed.* **2003**, 42, 4961.
2. Vedejs, E.; Zajac, M. A. *Org. Lett.* **2001**, 3, 2451.