

Regio- and Stereoselective Hydrohalogenation of Ynamide Components in 1,3-Butadiynes with *in situ* Generated HX

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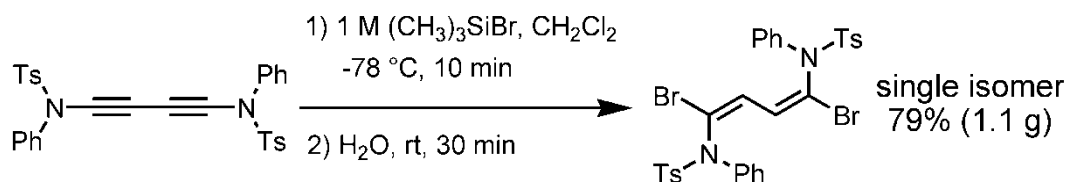
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Abstract: 1,3-Dienes are clearly important building blocks in organic synthesis. Among them 1,3-dienes directly-joining to halogen and nitrogen atoms at their vinyl positions can be especially useful. Despite the utility of such hybrid 1,3-dienes, their synthetic availability still remains a challenge, because of the inherent difficulty in regio- and stereoselective hydrohalogenation of 1,3-dienes. Herein we report efficient synthesis of such hybrid 1,3-dienes in gram-scale using *in situ* generated HX. The HX was generated from mixing 1 M TMSX and water, and added to ynamide in high yields with perfect regio- and stereoselectivity.

位置および立体選択的ヒドロハロゲン化反応を用いた含窒素 1,3-ジエン誘導体の合成

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今回我々は、この問題に対して、系中発生型ハロゲン化水素を用いて取り組み、ビニル位に窒素とハロゲンが位置及び立体選択的に結合した 1,3-ジエン構造を得る合成法の開発に成功した。



Scheme 1. Regio- and stereoselective hydrobromination of 1,3-diyne