

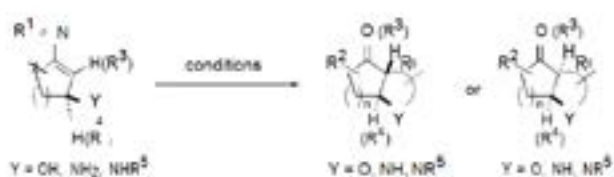
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STEREOCONTROLLED SYNTHESIS OF CHIRAL N- AND O-HETEROCYCLES

Don M Coltart

University of Houston, USA

Greater than 80% of drugs and biologically active natural products are nitrogen- or oxygen-based, and many of these exist as nitrogen or oxygen heterocycles. While many such drugs and natural products are also chiral, relatively few contain chiral nitrogen/oxygen heterocycles, a limitation that is due in large part to a lack of effective and broadly applicable methods for their preparation. However, as drug development moves away from the use of unsaturated (flat), structurally simple achiral compounds and seeks out more stereochemically sophisticated chiral compounds having higher degrees of saturation, the need for methods for the synthesis of chiral nitrogen/oxygen heterocycles has become increasingly important. We have developed stereocontrolled synthetic approaches to a wide range of saturated and partially saturated chiral nitrogen/oxygen heterocycles through the use of two newly developed azoalkene-based moieties, the details of which are described herein.



- Hatcher J M, Kohler M C and Coltart D M (2011) Catalytic asymmetric addition of thiols to nitrosoalkenes leading to chiral non-racemic α -sulfonyl ketones. *Org. Lett.* 13(15):3810-3813.
- Hatcher J M and Coltart D M (2010) Copper(I) catalyzed addition of Grignard reagents to in situ-derived N-sulfonyl azoalkenes: an alkylation procedure applicable to the formation of up to three contiguous quaternary centers. *J. Am. Chem. Soc.* 132(13):4546-4547

Biography

Don M Coltart obtained his Master's Degree from the University of Manitoba (Canada) under the supervision of Professor James L Charlton and then joined the research group of Professor Derrick L J Clive at the University of Alberta where he obtained his PhD. His Postdoctoral work was conducted at the Memorial Sloan-Kettering Cancer Center as Natural Sciences and Engineering Research Council, Alberta Heritage Foundation for Medical Research, and CRI Scholar under the supervision of Professor Samuel J Danishefsky. He began his independent career at Duke University in 2004 and moved to the University of Houston in 2012 where he is an Associate Professor. His research interests include: the development of methods for asymmetric carbon-carbon bond formation, the application of those methods to the total synthesis of structurally complex biologically active natural products, and the study of those compounds in biological systems.

dcoltart@central.uh.edu

Recent Publications

- Uteuliyev M M, Nguyen T T and Coltart D M (2015) Diastereoselective addition of Grignard reagents to α -epoxy N-sulfonyl hydrazones. *Nature Chem.* 7:1024-1027.