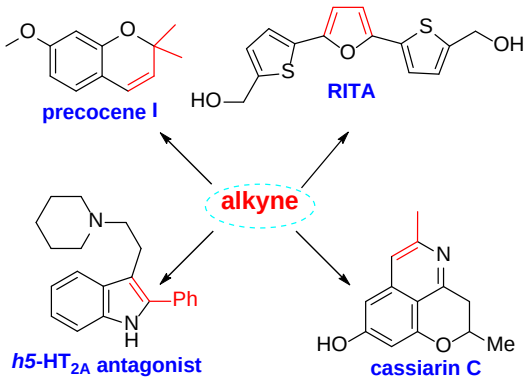
Alkyne annulation: Step-economic construction of core structures of natural products and drugs

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Abstract

We are interested in development of the applications of alkynes in the synthesis of carbo- and heterocyclic compounds *via* their annulation with high-atom utilization and step-economy. In this presentation, we would like to report the recently developed protocols for the synthesis of natural products such as Precocene I (from *Ageratum houstonianum*), Cassiarin C (from *Cassia siamea*) from the cyclocondensation of alkynes with the activation of C-H bonds, and the synthesis of the drugs such as *h5*-HT_{2A} antagonist and RITA. These synthetic protocols have the significant advantages of easily available starting materials, efficient and step-economic processes.



Recent Publications

1. Zheng L, Hua R (2018) C–H Activation and alkyne annulation *via* automatic or intrinsic directing groups: towards high step economy. Chemical Record 18: 556-569.
2. Nizami TA, Hua R (2014) Cycloaddition of 1,3-butadiynes: efficient synthesis of carbo- and heterocycles. Molecules 19: 13788-13802.
3. Zheng L, Bin Y, Wang Y, Hua R (2016) Synthesis of natural product-like polyheterocycles *via* one-pot cascade oximation, C–H activation and alkyne Annulation. The Journal of Organic Chemistry 81: 8911−8919.
4. Jiang J, Ding C, Tan, C, Hua R (2014) Synthesis and antiproliferative activity of RITA and its analogs. Tetrahedron Letters 55: 6635-6638.
5. Zheng L, Hua R (2014) Rhodium (III)-catalyzed C-H activation and indole synthesis with hydrazone as an auto-formed and auto-cleavable directing group. Chemistry-A European Journal 20: 2352-2356.



Biography

Ruimao Hua received his Doctor of Philosophy degree in Environmental Chemistry and Engineering from Tokyo Institute of Technology (Japan) in 1996, he then spent six years at the National Institute of Materials and Chemical Research to develop the methodologies of organic synthesis. In April of 2002, he joined Department of Chemistry at Tsinghua University, and his research interests are on the alkyne chemistry & organic materials for displays (liquid crystal display & OLED display).

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