

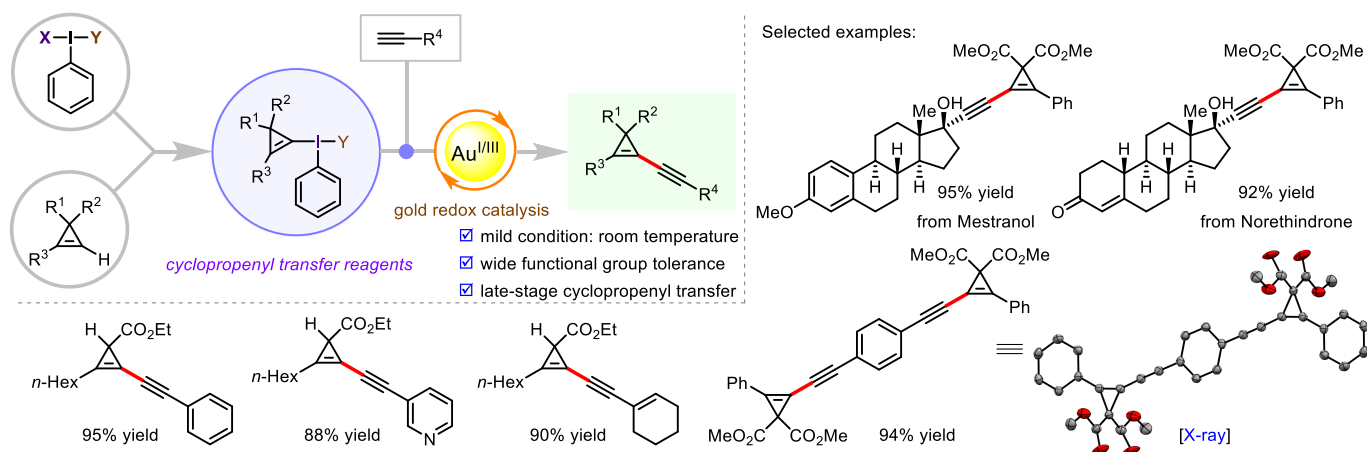
Hypervalent Iodine Enabled Electrophilic Cyclopropenyl Transfer Reaction

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Cyclopropenes are high energy compounds, whose fascinating structures and remarkable activities have attracted the attention of both theoretical and experimental chemists for more than half a century.^[1] As the smallest unsaturated cyclic molecule, cyclopropenes possess significant strain (228 kJ mol⁻¹), which makes these species great energy reservoirs and defines their unusually high reactivity. During the past decades, hypervalent iodine compounds have emerged as versatile and environmentally benign reagents for organic chemistry.^[2] In particular, the exquisite ability of these compounds to act as electrophilic synthons of normally nucleophilic groups has allowed them to gain a central role in the area of electrophilic alkylation, arylation and alkenylation reactions, etc. However, to date, electrophilic cyclopropenylation reagents remain comparatively underdeveloped.

Considering the growing recognition of the importance of cyclopropene-incorporation when designing new drugs and agrochemicals, we aim to synthesize the electrophilic cyclopropenylation reagents and extend their utilization as broadly applicable C3 synthons to reach previously unattainable chemical space. After systematic investigation, we successfully developed a novel cyclopropenyl iodine(III) reagents by the merger of hypervalent iodine and cyclopropene, which can be exploited to render an unparalleled synthetic paradigm for constructing advanced cyclopropenes by unprecedented methods. For example, alkynyl cyclopropenes can be obtained by gold-catalysed cross-coupling of terminal alkynes with cyclopropenyl iodine(III) reagents in high efficiency, such method exhibits mild conditions and broad functional group tolerance.^[3]



- [1] For reviews, see: (a) M. Rubin, M. Rubina, V. Gevorgyan, *Chem. Rev.* **2007**, *107*, 3117–3179. (b) R. Vicente, *Chem. Rev.* **2021**, *121*, 162–226. (c) P. Li, M. Shi, *Chem. Commun.* **2020**, *56*, 5457–5471. (d) Z.-B. Zhu, Y. Wei, M. Shi, *Chem. Soc. Rev.* **2011**, *40*, 5534–5563.
- [2] (a) Y. Li, D. P. Hari, M. V. Vita, J. Waser, *Angew. Chem. Int. Ed.* **2016**, *55*, 4436–4454. (b) D. P. Hari, P. Caramenti, J. Waser, *Acc. Chem. Res.* **2018**, *51*, 3212–3225.
- [3] Manuscript in preparation.