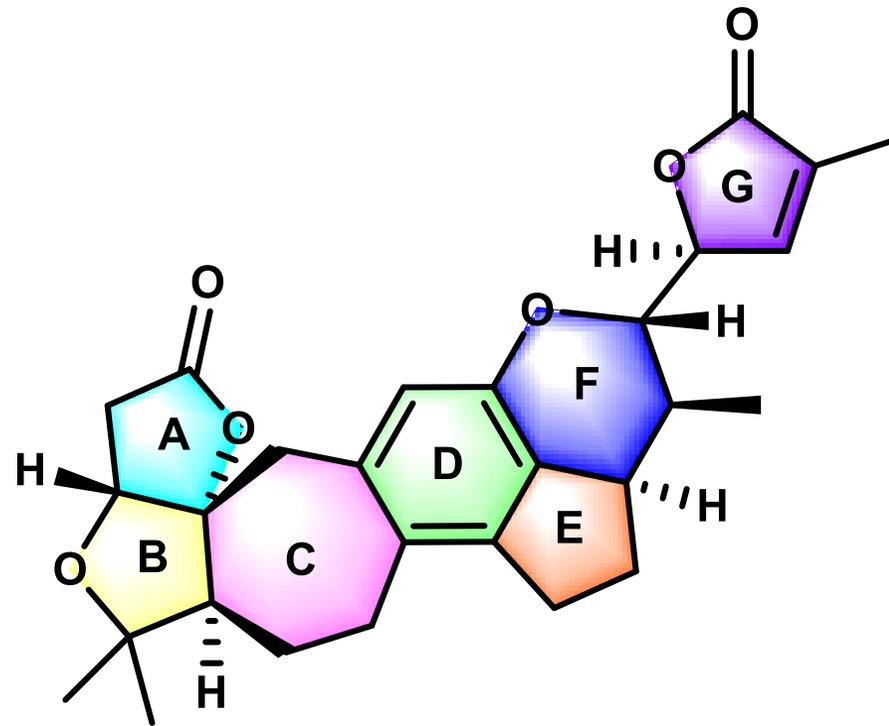


Literature Report

Total Syntheses of (+)-Rubriflordilactone A



(+)-Rubriflordilactone A

Shuyi Qian

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2

Total synthesis

3

Mechanism

Background



五味子：味酸，性温。归肺经、心经、肾经。收敛固涩，益气生津，补肾宁心。久嗽虚喘，梦遗滑精，遗尿尿频，久泻不止。

经典名方

小青龙汤：麻黄、芍药、细辛、干姜、甘草、桂枝、五味子、半夏（恶寒发热，痰饮喘咳）

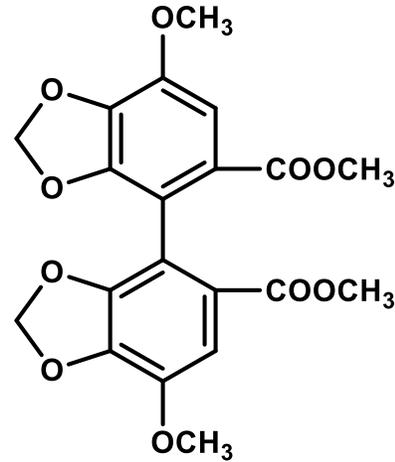
生脉散：人参、五味子、麦冬（气阴两虚、汗出不止）

茯苓五味姜辛汤：茯苓、甘草、五味子、干姜、细辛（咳嗽痰多，清稀色白）

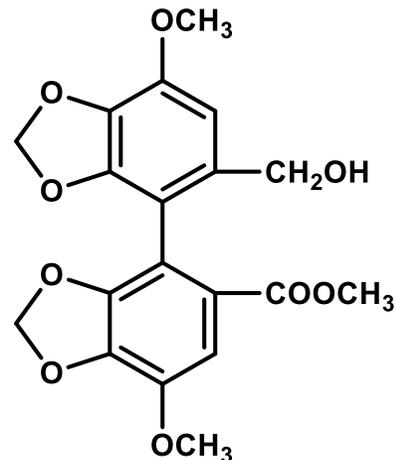


Schisandra chinensis
(Turcz.) Baill.
五味子

Background



联苯双酯(Bifendate): 中国医学科学院药物研究所谢晶曦教授等在中药五味子保肝有效成分基础上开发的原研新药。临床用于慢性迁延性肝炎伴ALT升高者，也可用于化学毒物、药物引起的ALT升高。



双环醇片(商品名: 百赛诺): 我国第一个拥有自主知识产权的国家一类抗肝炎新药，由中国医学科学院药物研究所刘耕陶院士、张纯贞研究员、李燕研究员等科学家历时**17**年研制成功，该项目在2006年荣获国家科学技术进步二等奖。

Background



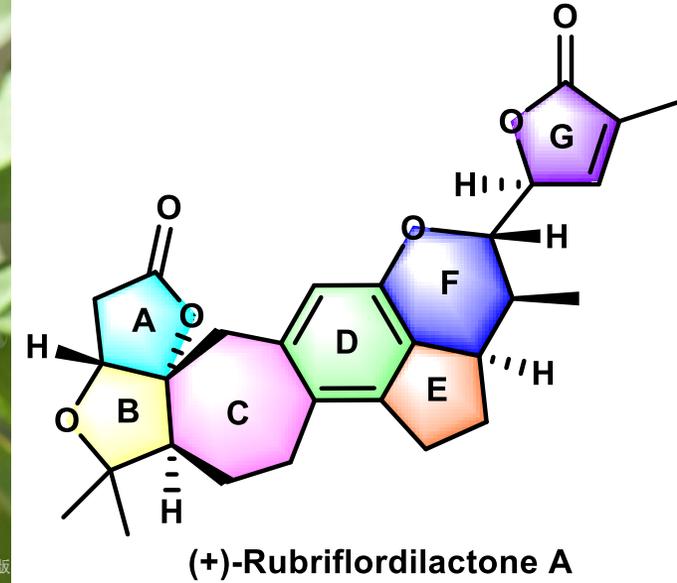
Handong Sun



Isodon eriocalyx
(Dunn) Kudô
毛萼香茶菜



Schisandra rubriflora
(Franch.) Rehder & E. H. Wilson
红花五味子



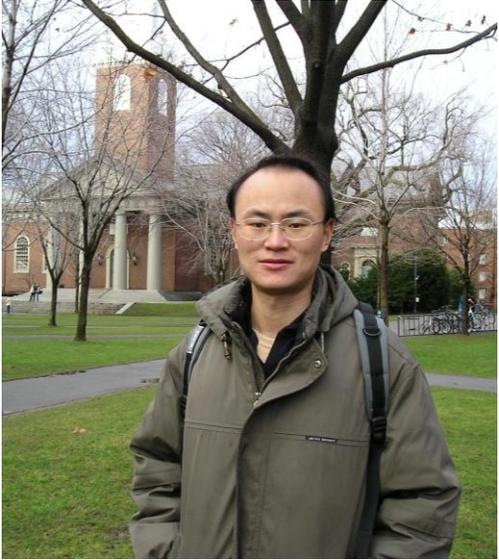
- Isolating and identifying 425 new compounds
- Isolating Schinortriterpenoids (SNTs) firstly
- Compound SJP-L-5 with potent anti-HIV activity

- Isolating from the leaves and stems of *Schisandra rubriflora*
- (+)-Rubriflordilactone A with weak anti-HIV activity

重要类群植物化学及功能研究专题组

Bilibili: 《理想依旧, 灯火相传: 孙汉董院士》

Author



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Professor

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2000-2005 Lanzhou University **Ph. D.** Advisor: Weidong Li

1996-2000 Lanzhou University **B.S.**

Content

1

Background

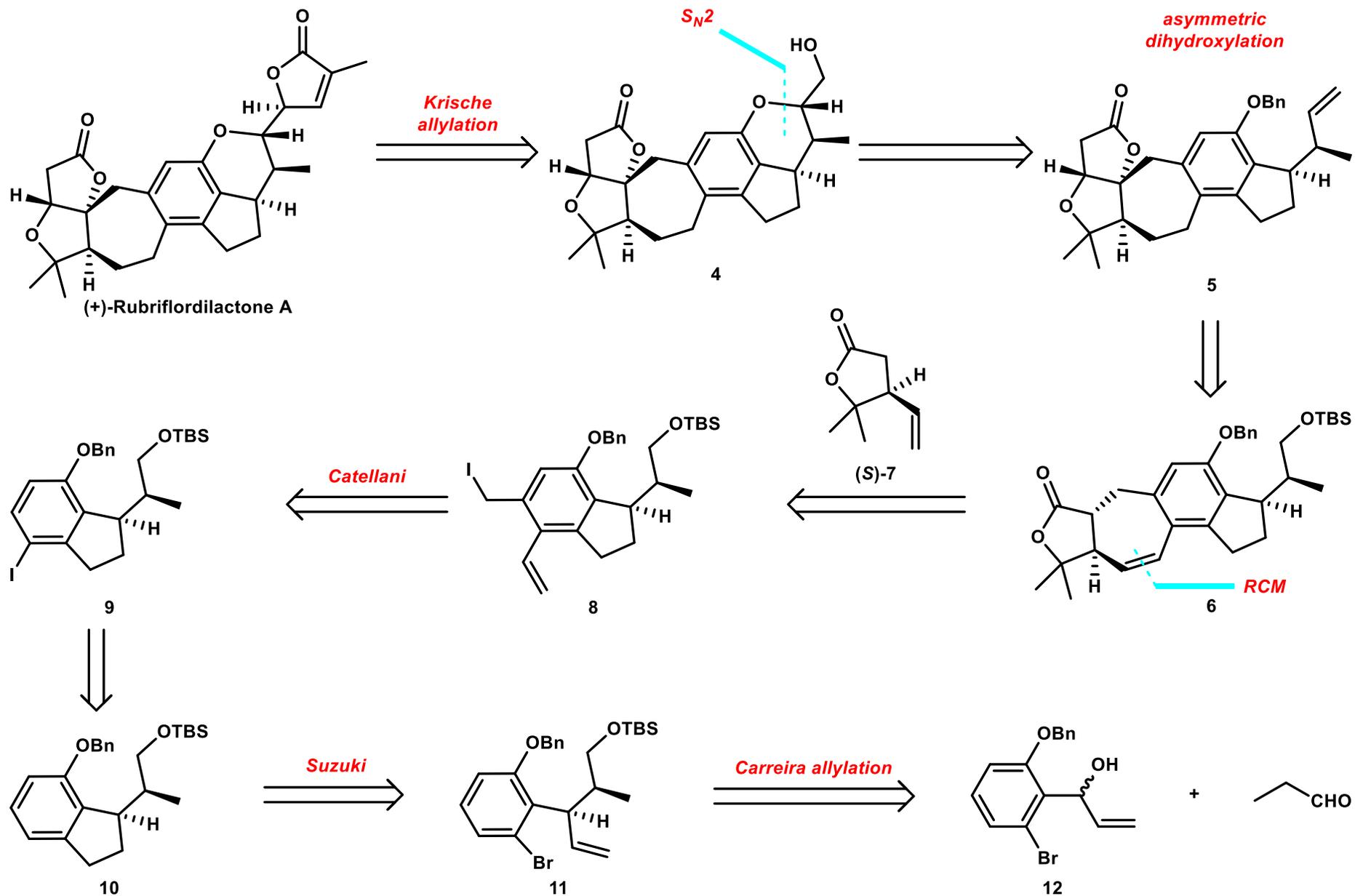
2

Total synthesis

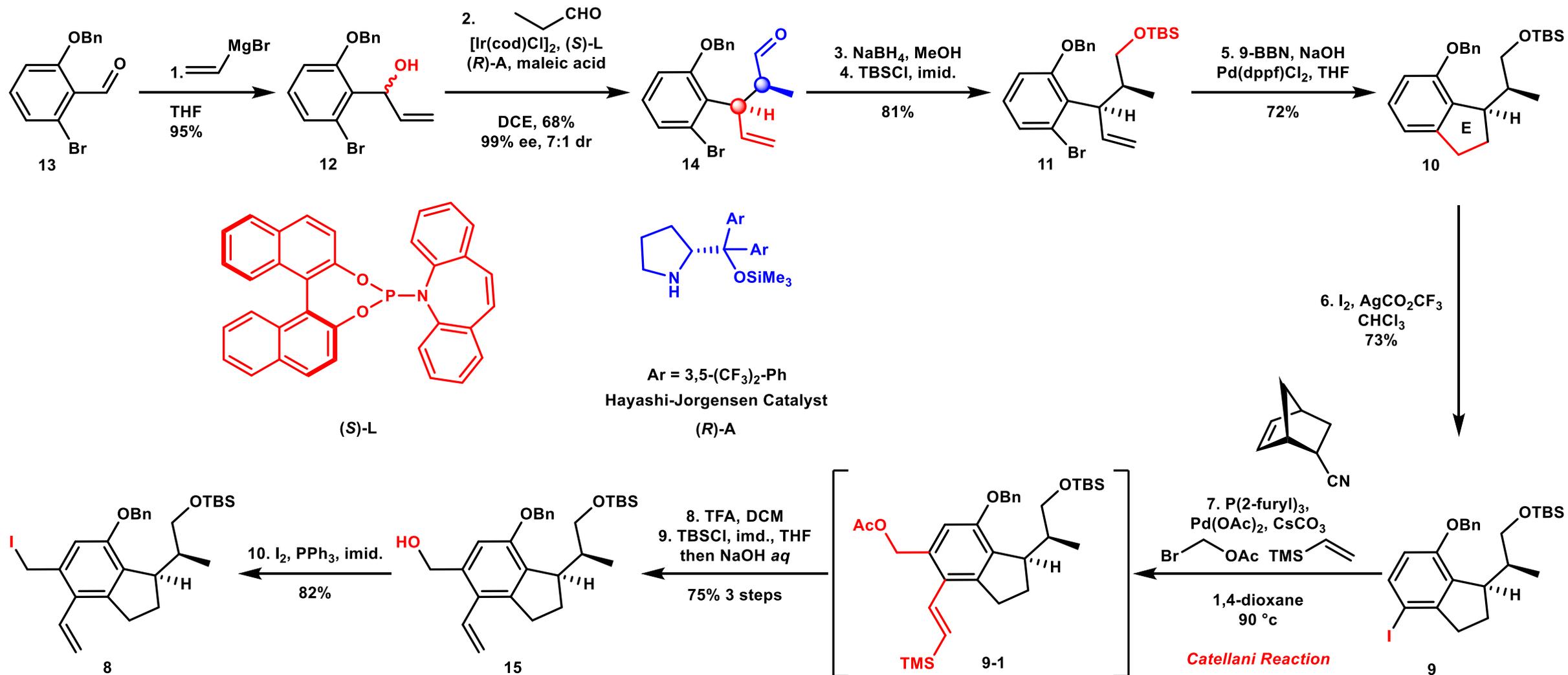
3

Mechanism

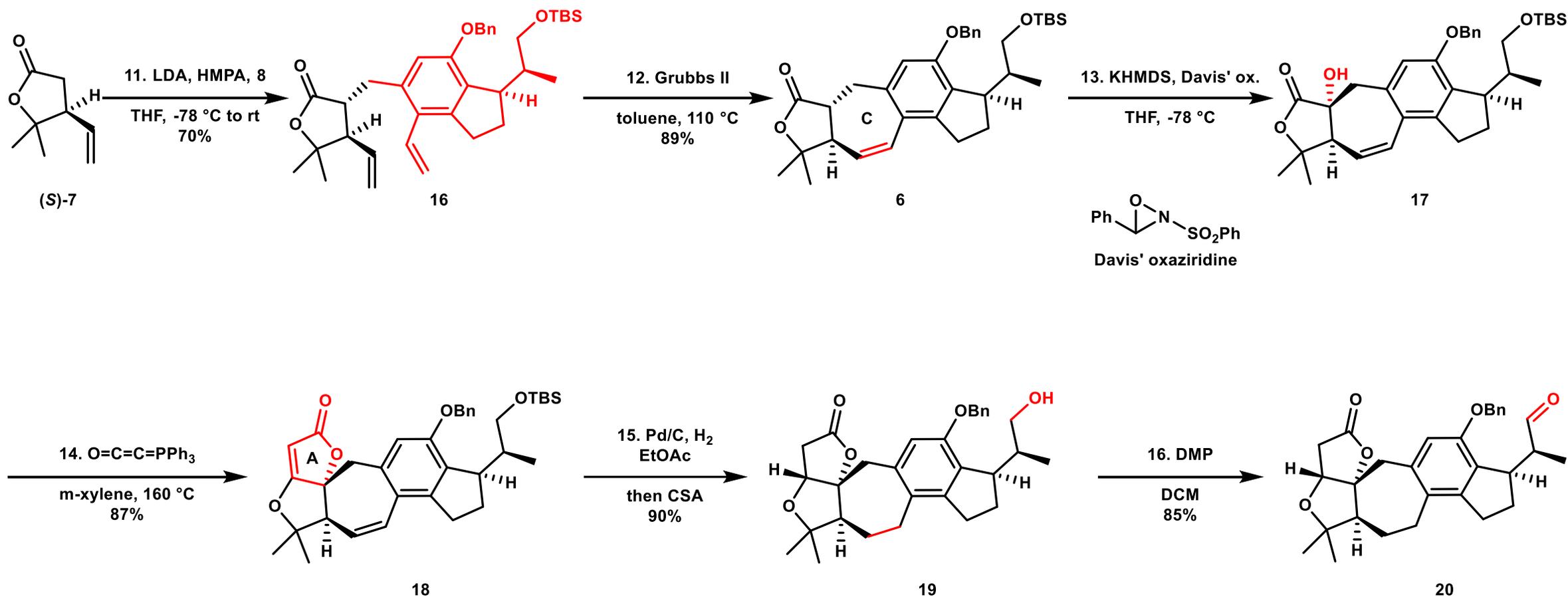
Retrosynthetic analysis of (+)-Rubriflordilactone A



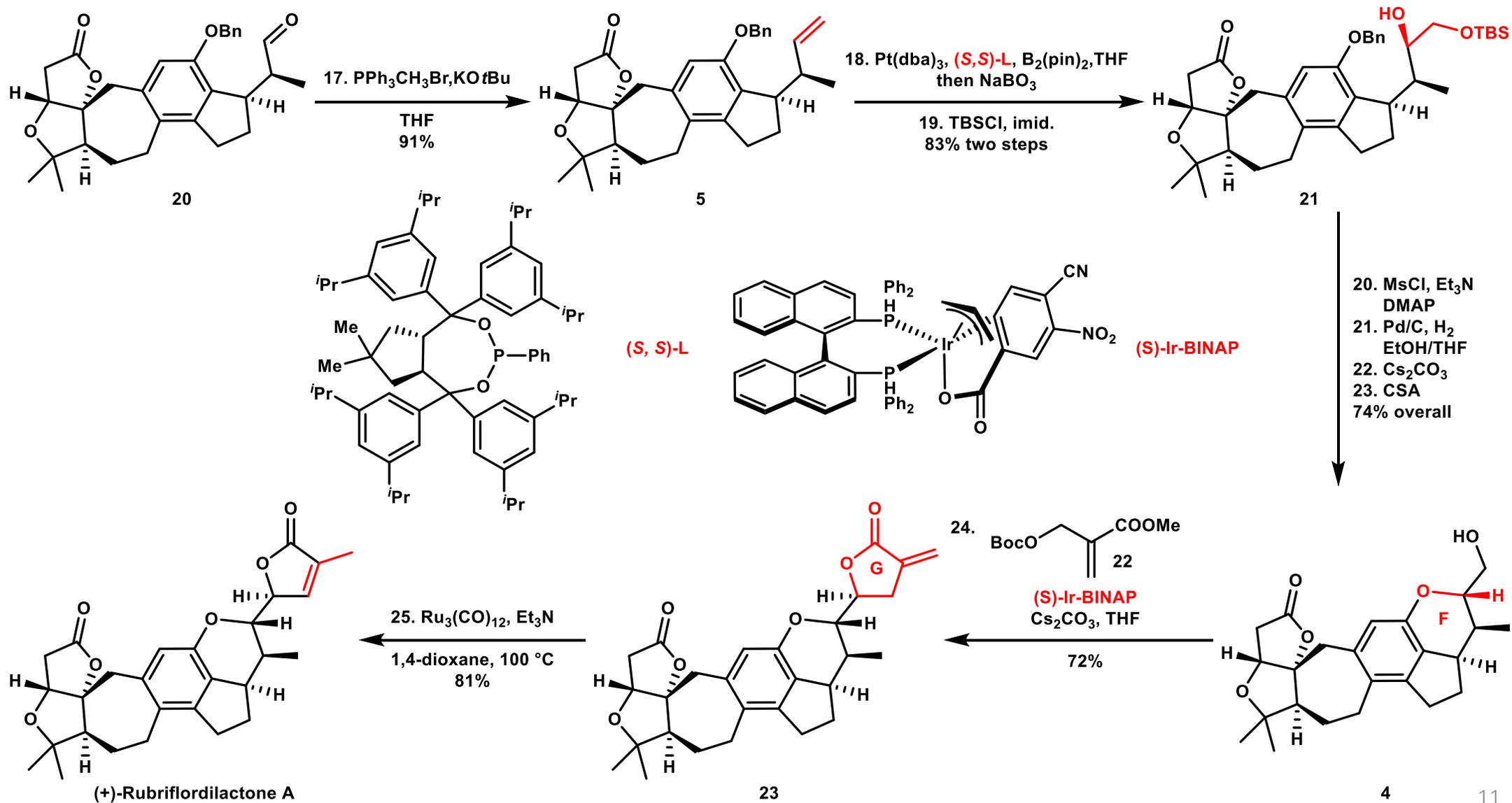
Total Syntheses of (+)-Rubriflordilactone A



Total Syntheses of (+)-Rubriflordilactone A



Total Syntheses of (+)-Rubriflordilactone A



Content

1

Background

2

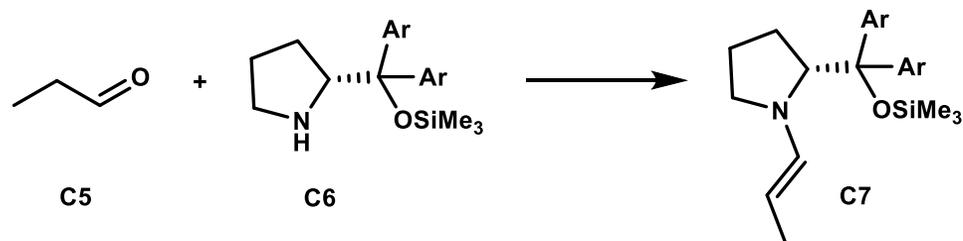
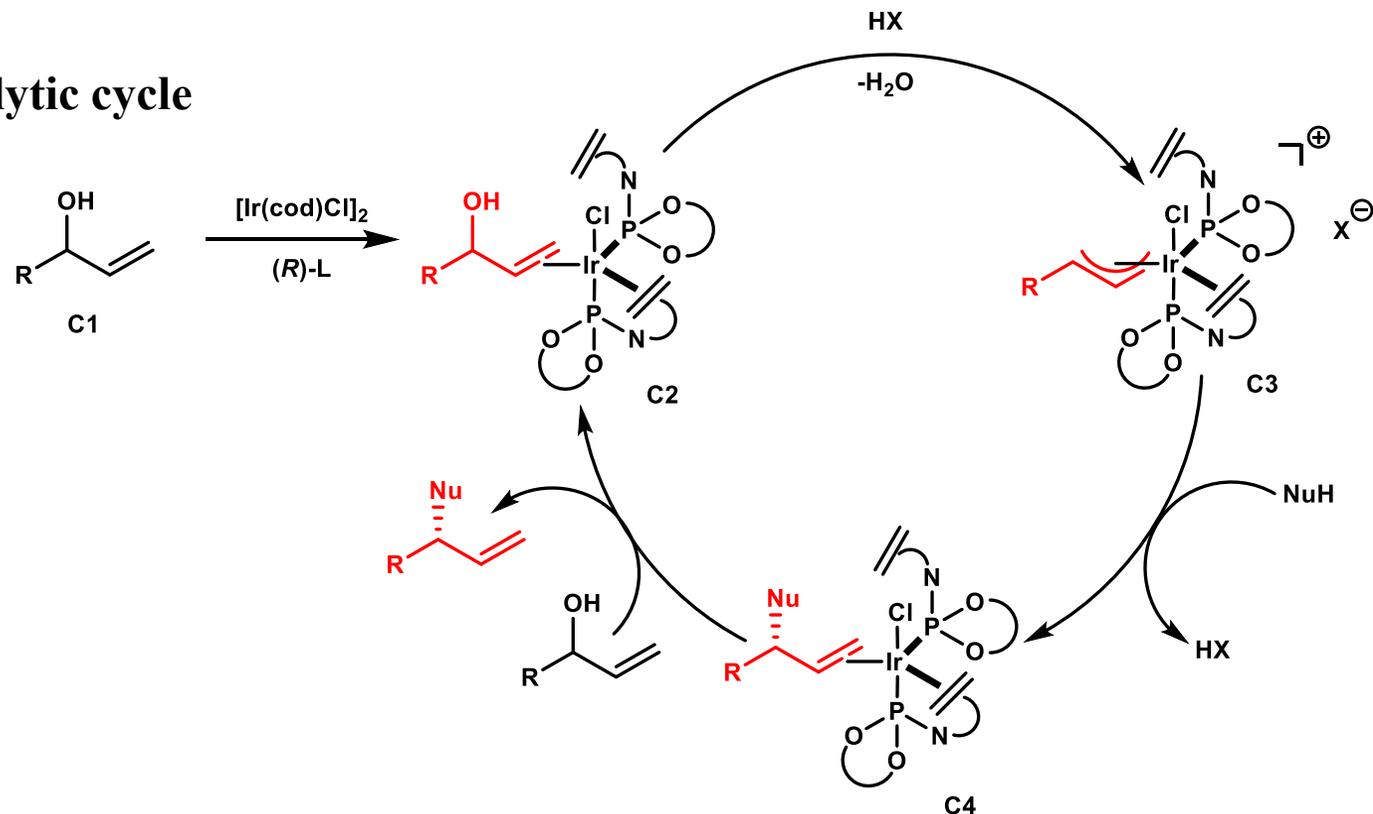
Total synthesis

3

Mechanism

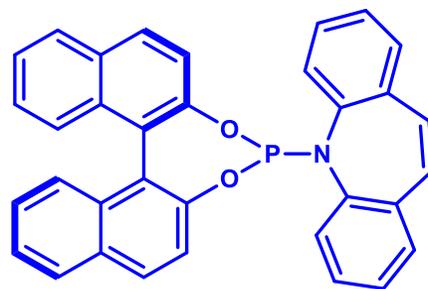
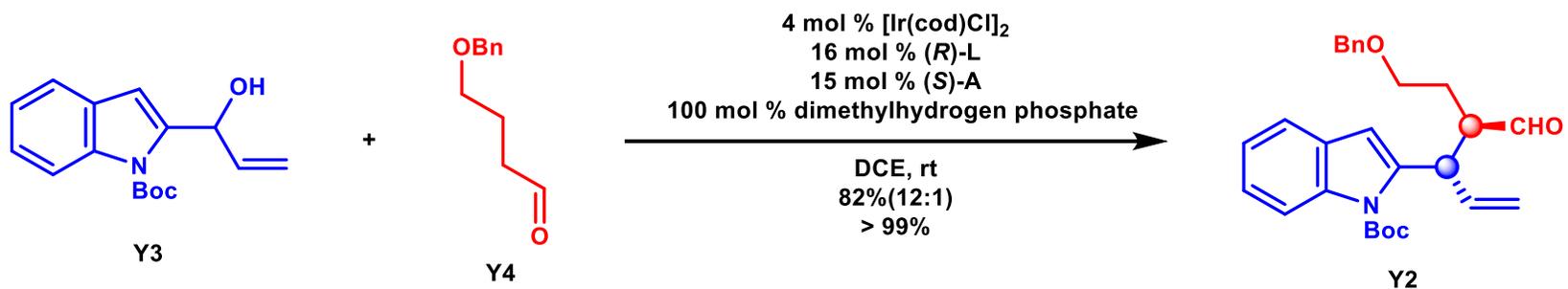
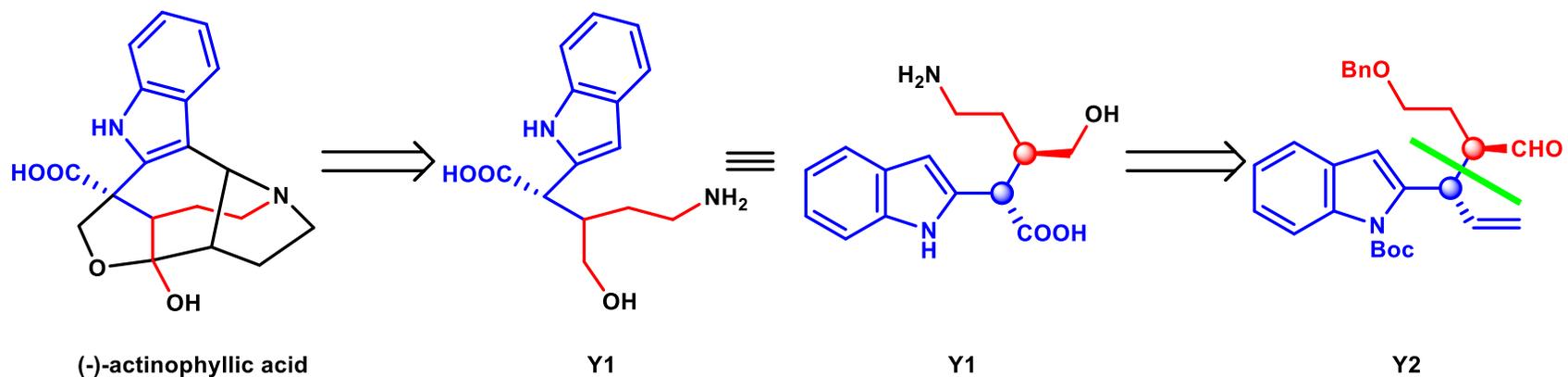
Carreira's Ir/amine dual-catalyzed allylation

Proposed catalytic cycle

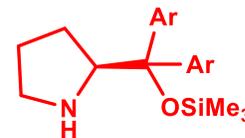


Carreira, E. M. et al. *Science* **2013**, *340*, 1065–1068
Carreira, E. M. et al. *J. Am. Chem. Soc.* **2014**, *136*, 3020–3023
Carreira, E. M. et al. *J. Am. Chem. Soc.* **2017**, *139*, 3603–3606

Carreira's Ir/amine dual-catalyzed allylation



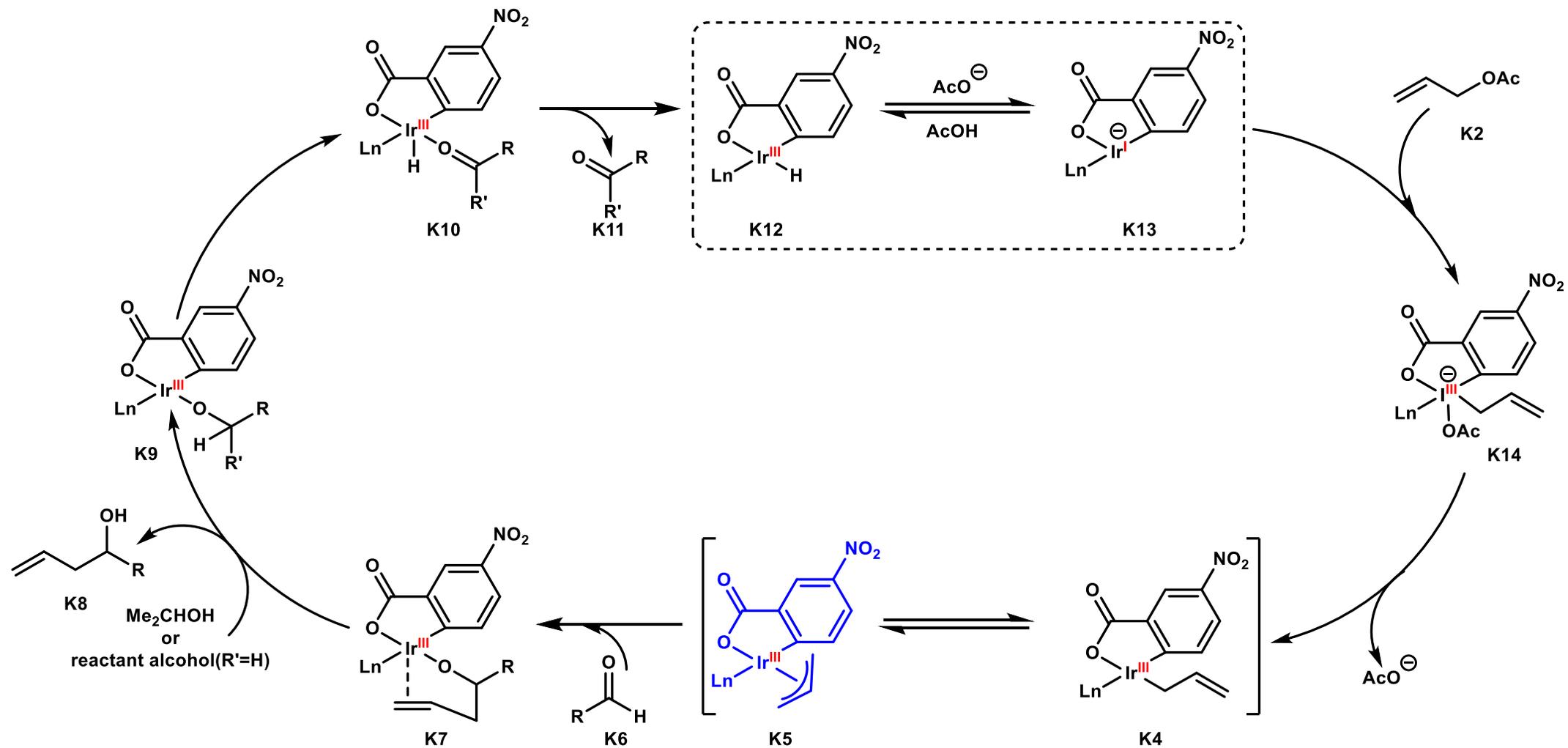
(R)-L



Ar = 3,5-(CF₃)₂-Ph

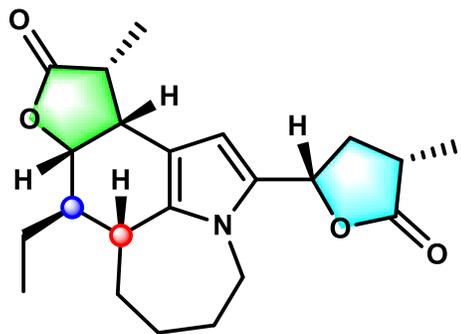
(S)-A

Iridium-Catalyzed Carbonyl Allylation



Krische, M. J. et al. *J. Am. Chem. Soc.* **2008**, *130*, 6340–6341
Krische, M. J. et al. *J. Am. Chem. Soc.* **2008**, *130*, 14891–14899
Krische, M. J. et al. *Acc. Chem. Res.* **2017**, *50*, 2371–2380

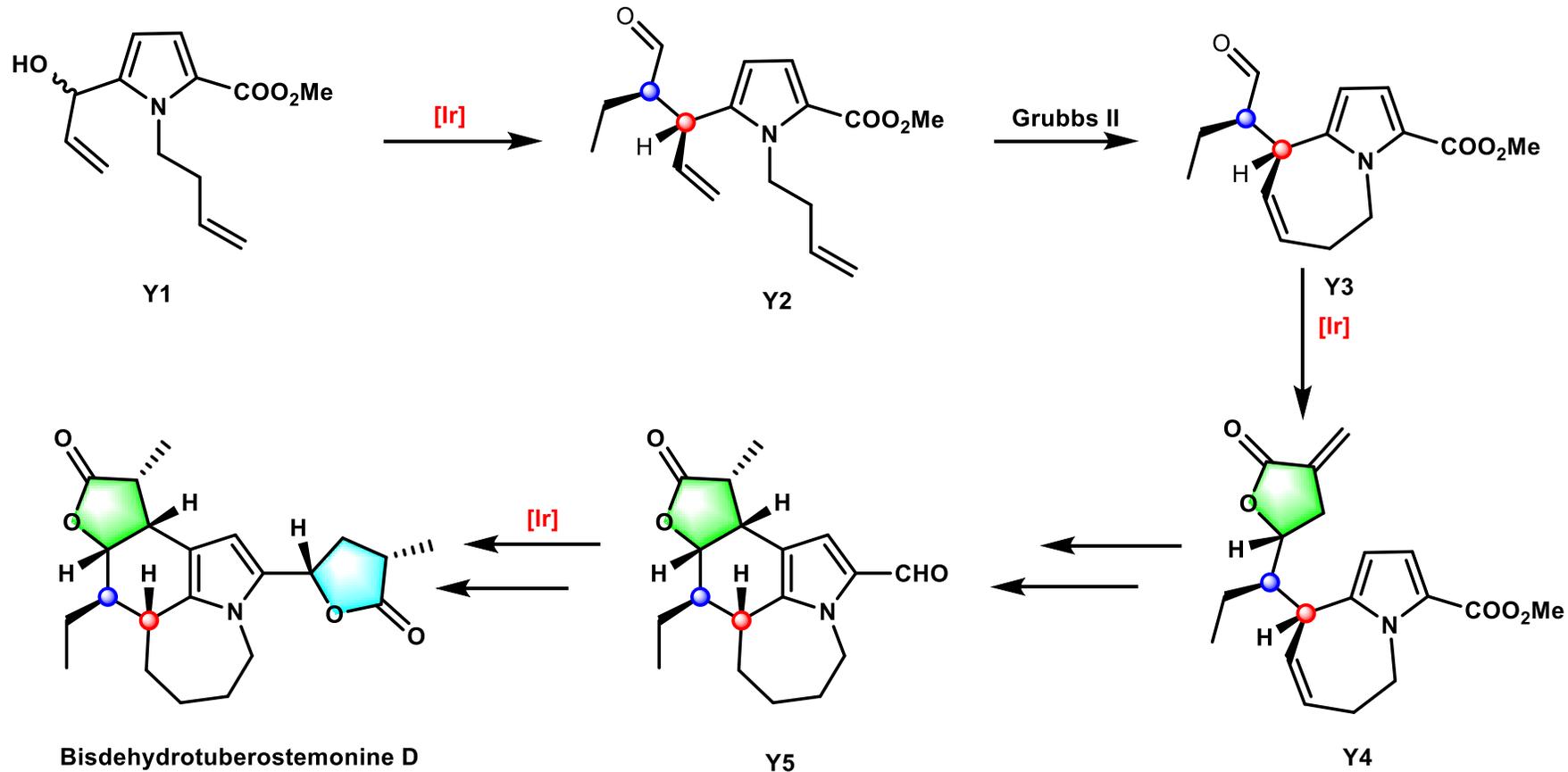
Iridium-Catalyzed Application



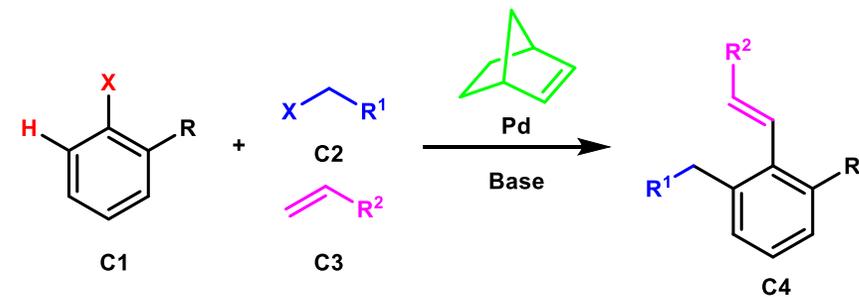
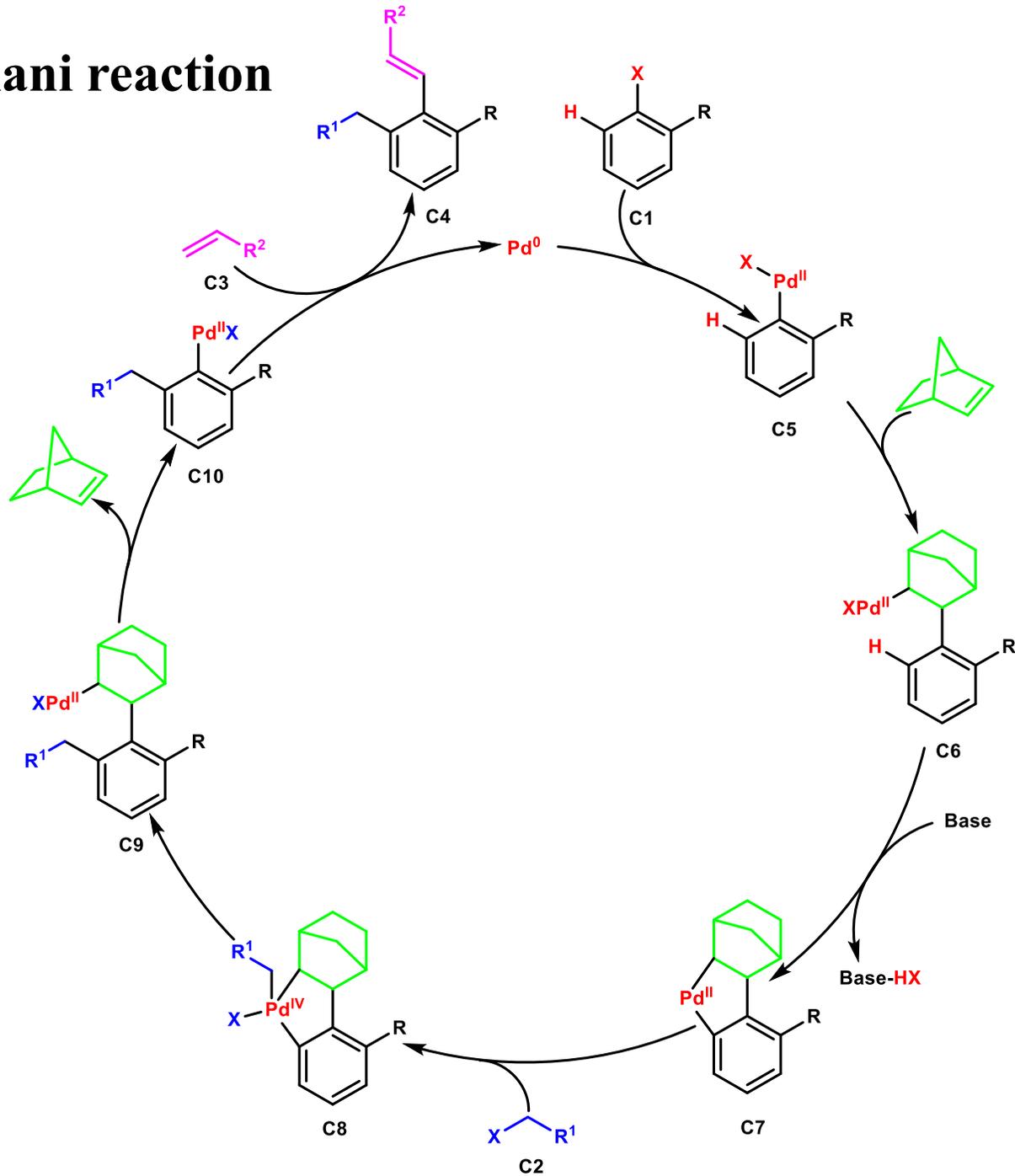
Bisdehydrotuberostemonine D



Stemona sessilifolia
(Miq.) Miq.
直立百部

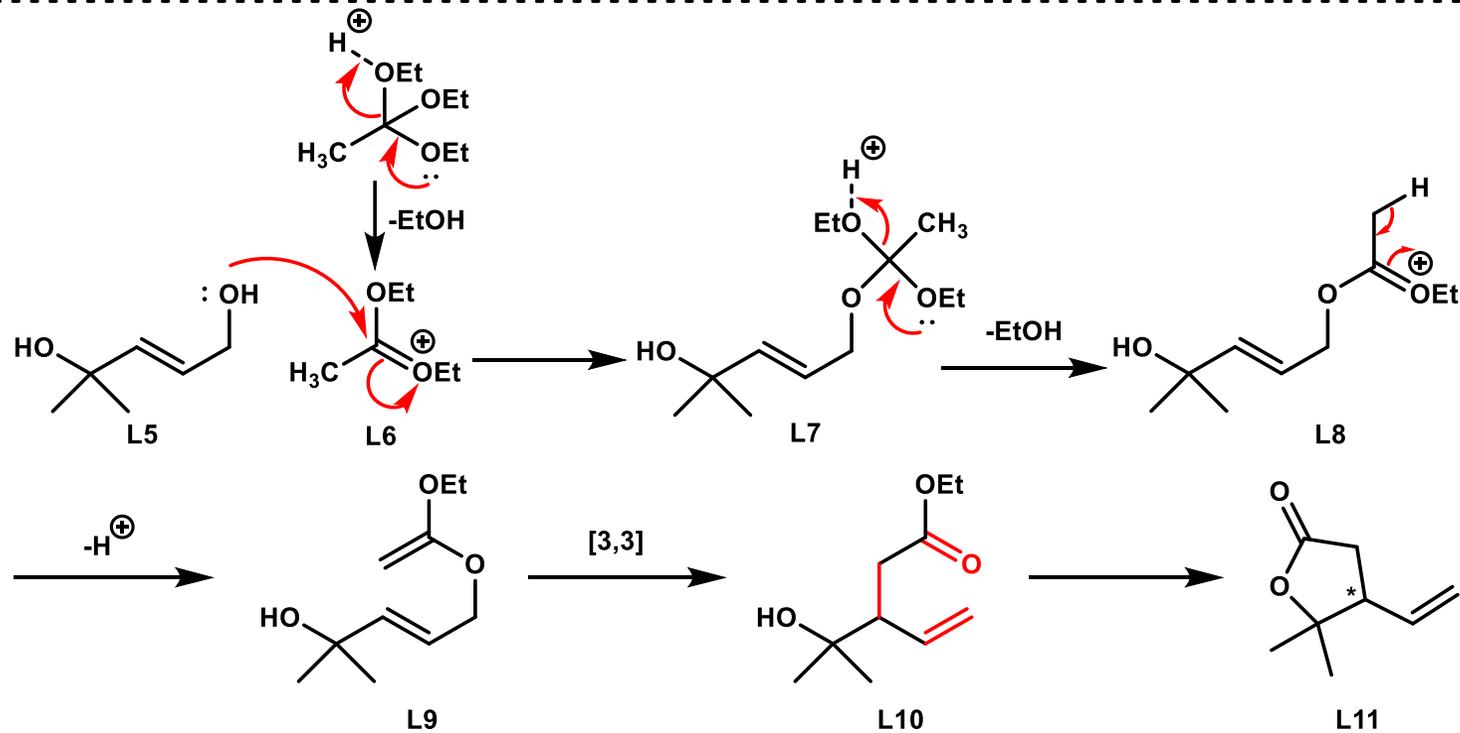
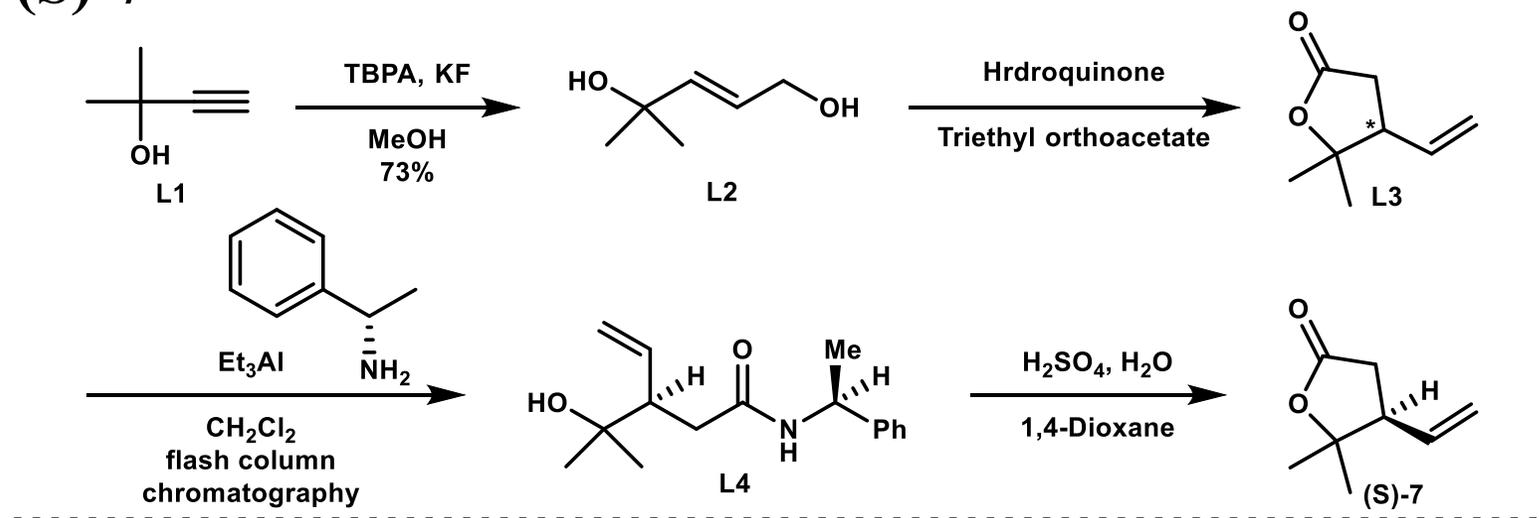


Catellani reaction



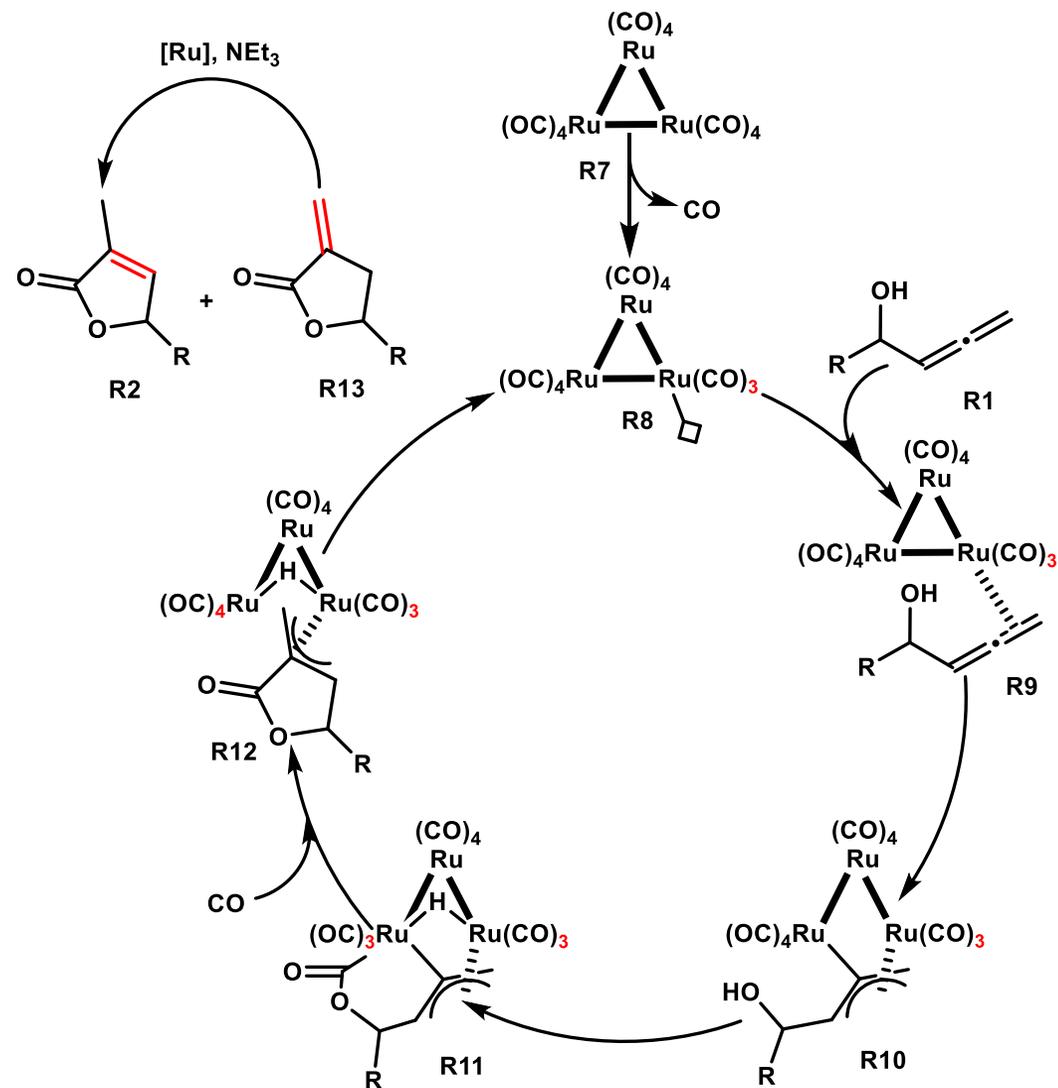
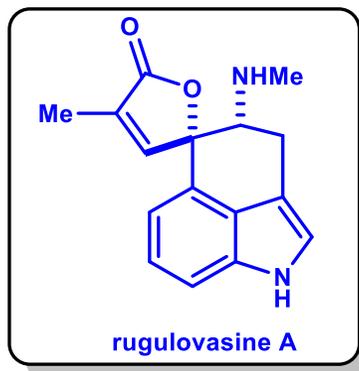
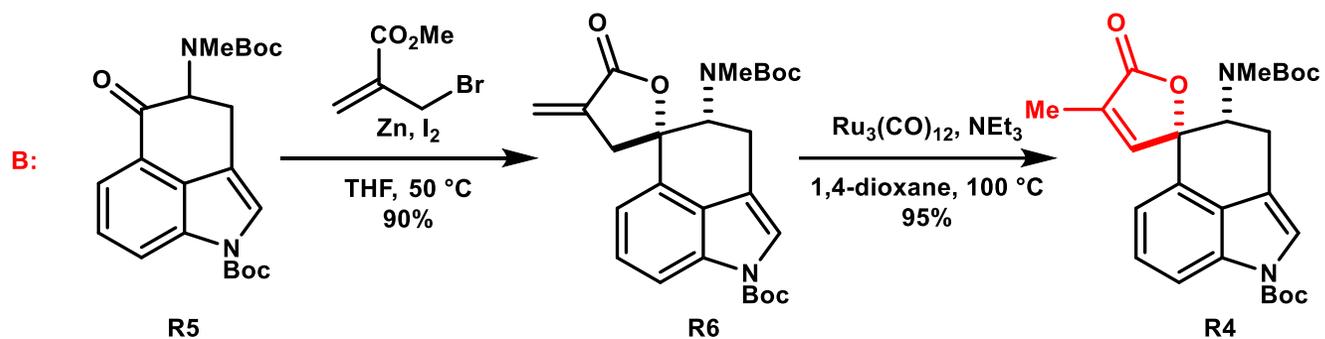
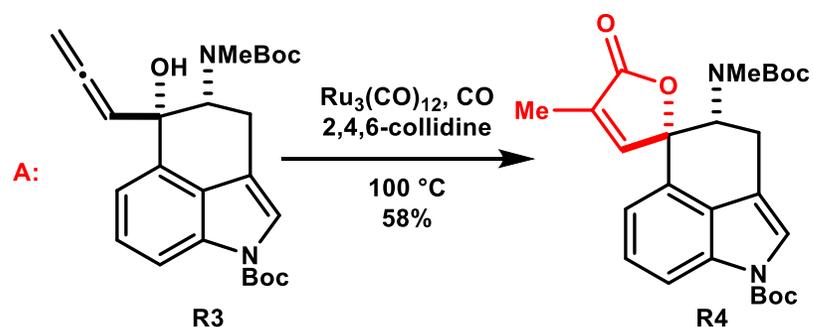
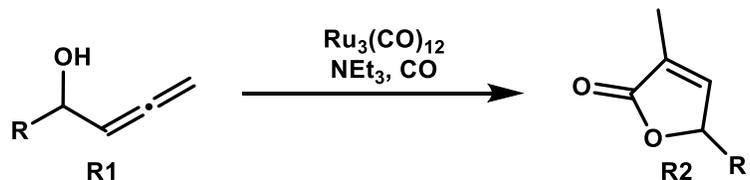
Catellani, M. et al. *Acc. Chem. Res.* **2016**, *49*, 1389–1400
 Dong, G. B. et al. *Chem. Rev.* **2019**, *119*, 7478–7528

The synthesis of (S)-7



Liu, Z. Q. et al. *J. Org. Chem.* **2019**, *84*, 9577
 Axelson, M. Facile et al. *Org. Process Res. Dev.* **2014**, *18*, 643

Ruthenium-Catalyzed Cyclocarbonylation



Jia, Y. X. et al. *Org. Lett.* **2013**, *15*, 3662–3665
 Takahashi, S. et al. *Org. Lett.* **2000**, *2*, 441–443
 Takahashi, S. et al. *J. Org. Chem.* **2003**, *68*, 8571–8576



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