

# Frontiers in Chemical Synthesis I: Towards Sustainable Chemistry

<http://moodle.epfl.ch/>  
<http://lcsso.epfl.ch/Teaching>

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# Lecture Structure/Plan

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- Introduction on February 20
- End of Introduction: choice of general area for each participant
- Max until April 10: choice of topic and title: the topic has to be more focused than the general fields of research in the introduction!
- April-May: preparation of the talk, please come to us if you need to redefine the topic or just need help preparing the presentation
- May 11 (all day) and 13 (morning): presentations (30 min presentation/30 min discussion)
- Presentations will be open access to all interested people!

# Lecture Structure: The presentation

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- Power point presentation: 30 min (around 30 slides, try not to be too short or too long!). Discussion and exercises: 30 min. 5 min change/break.
- 3 sessions of 3 presentations. One chairman for each.
- Mostly chemdraws, exceptions for complexe models/structures
- Expertise in primary literature expected, not only review
- Each participant has to ask at least one question for each talk
- 2 questions/problems on the talk given to the public
- Open to everybody

# Lecture Structure: The presentation

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- **Structure of the talk:**
  - Introduction with: position in the field, importance of topic, reason for choice of exact topic, what are related topics
  - Pioneering works in the field
  - Most important works on the topic (try to find the right balance between in-depth and in-breadth insights)
  - Conclusion and future developments

# Lecture Structure: The presentation

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- **Form of the talk:**

- Use a simple but clear corporate design for each slide (title, logo...)
- Do not put too much information on one slide! (No overlong tables, huge synthetic schemes, ....)
- ChemDraw should be big enough (at least 100%, 125 % is better)
- Do not use too much text, key words are enough
- If you use colors, it should be to attract attention to what is important
- Check your English, eventually ask a friend to help you correct it
- Check your timing to be at 30 +- 3 min.

# Lecture Structure: Goals of the Lecture

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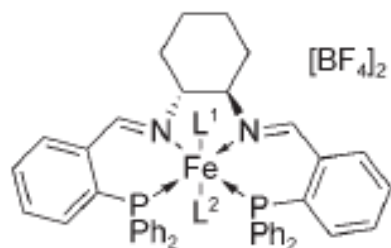
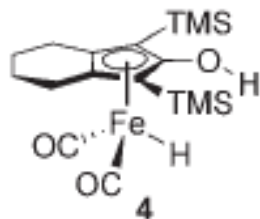
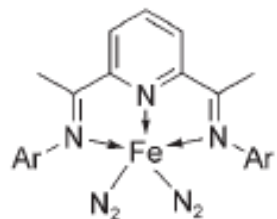
- Become aware of current effort in sustainable organic chemistry
- Learn to enter a new topic and understand it
- Using databases and other tools to find all relevant publications
- Recognizing the most relevant works in a field
- Learn to give well-structured presentations
- Public presentation and handling of questions and discussions
- Individual organisation of work

# Lecture Structure: Content

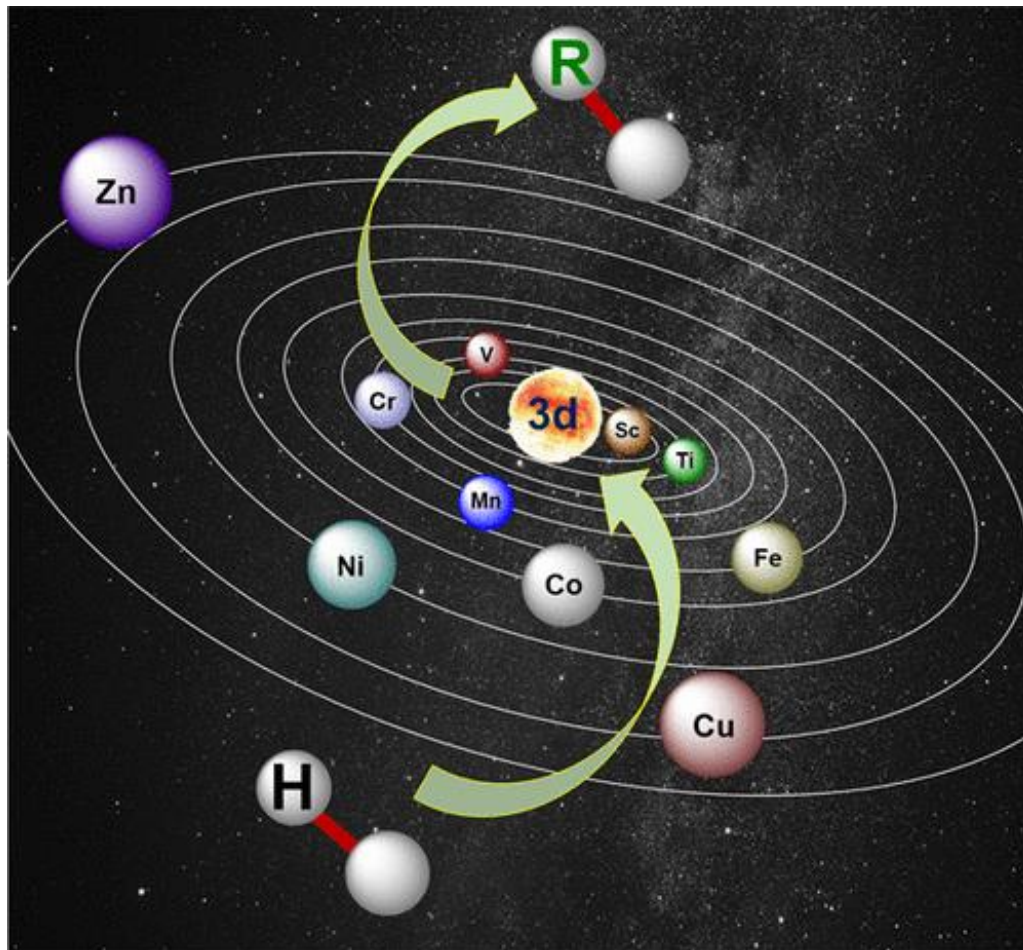
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- General Concepts of “Economy”
- Using abundant metals as catalysts
- C-H and C-C activation
- Organocatalysis
- Olefin Functionalization
- Radical chemistry
- Metal-catalyzed carbocyclizations
- Domino/one-pot reaction
- Photocatalysis
- Electrochemistry
- New technologies

# Early Metal Catalysis



## Iron Catalysis

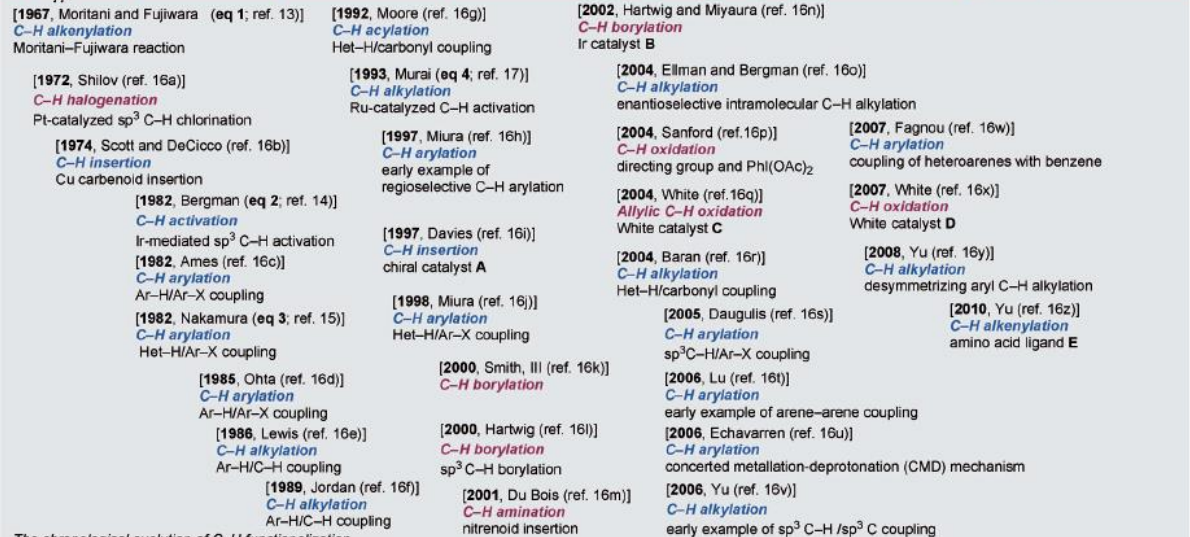
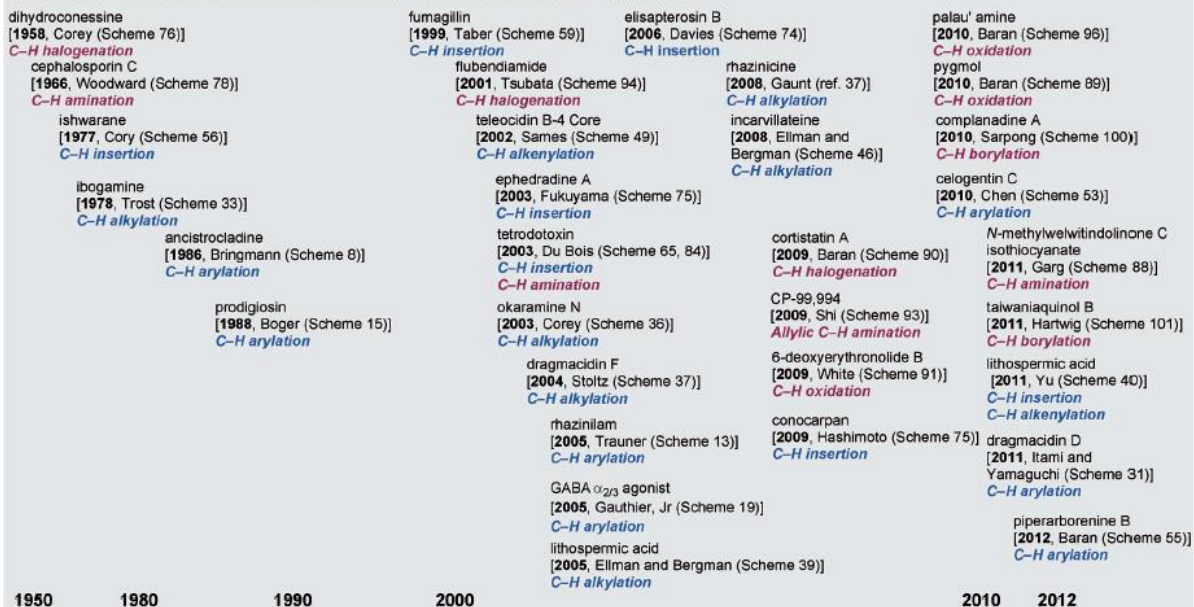


For C-H activation  
(Ackermann)



# C-H and C-C activation

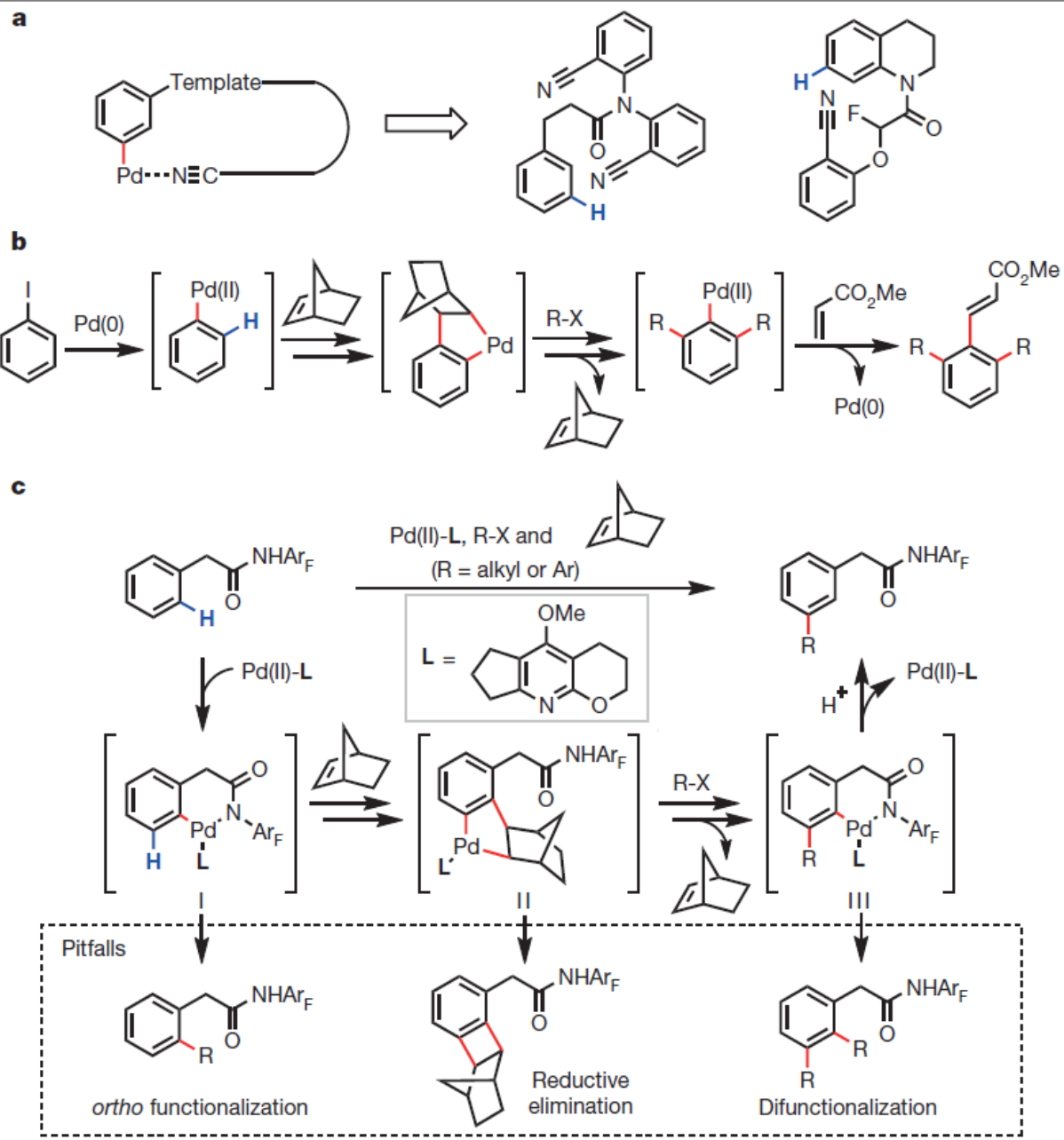
The chronological evolution of synthesis of natural products and pharmaceuticals by C-H functionalization



## • Current Challenges

- Better or no DG
- Enantioinduction
- Cheaper catalysts
- Applications
- Generality
- Low loading and T

# C-H: Beyond Ortho Selectivity

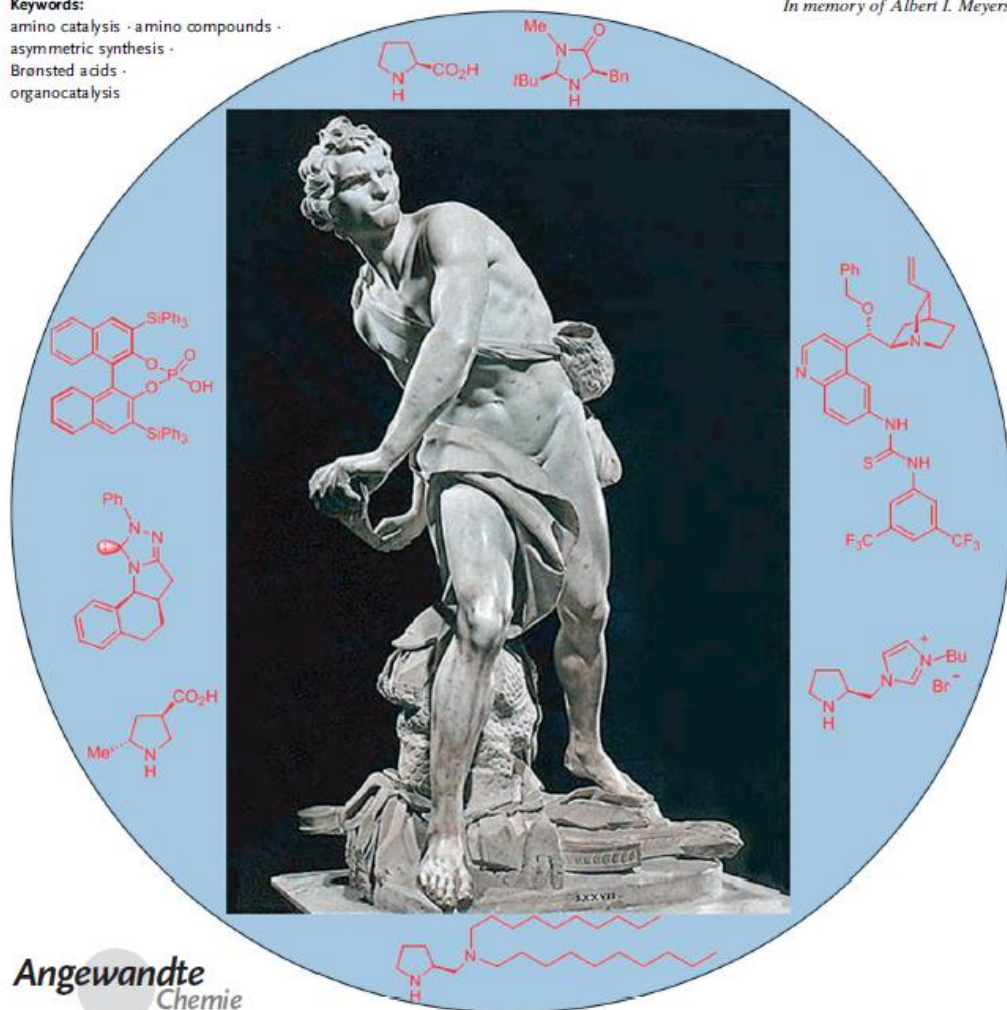


# Organocatalysis

## Keywords:

amino catalysis · amino compounds · asymmetric synthesis · Brønsted acids · organocatalysis

*In memory of Albert I. Meyers*



## Current Challenges

- Lower loading
- New catalysts
- New concepts (ACDC)
- Cooperation with metals

Angewandte  
Chemie

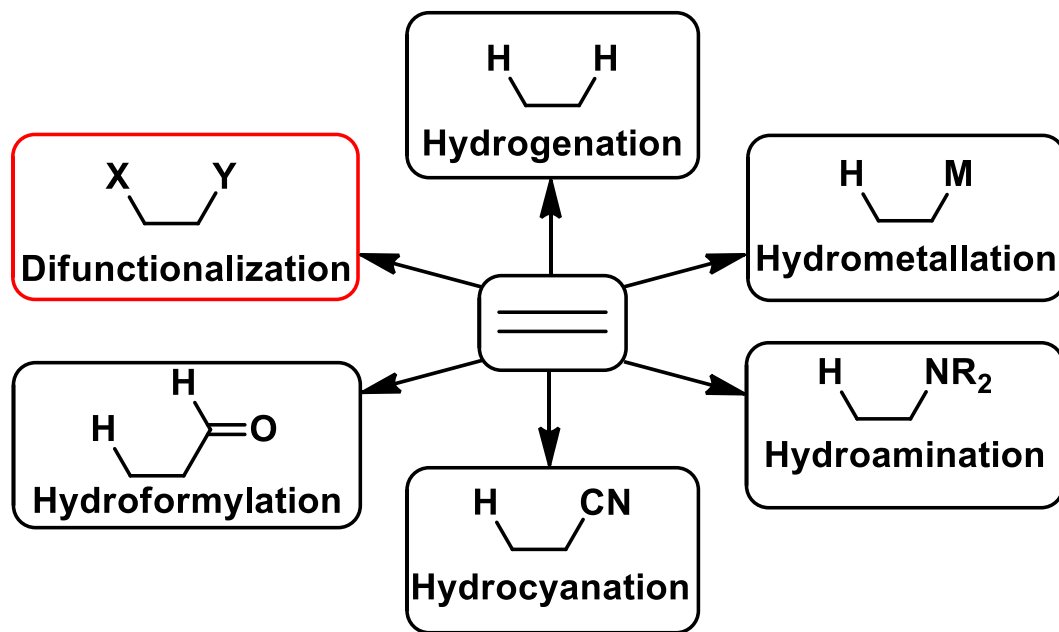
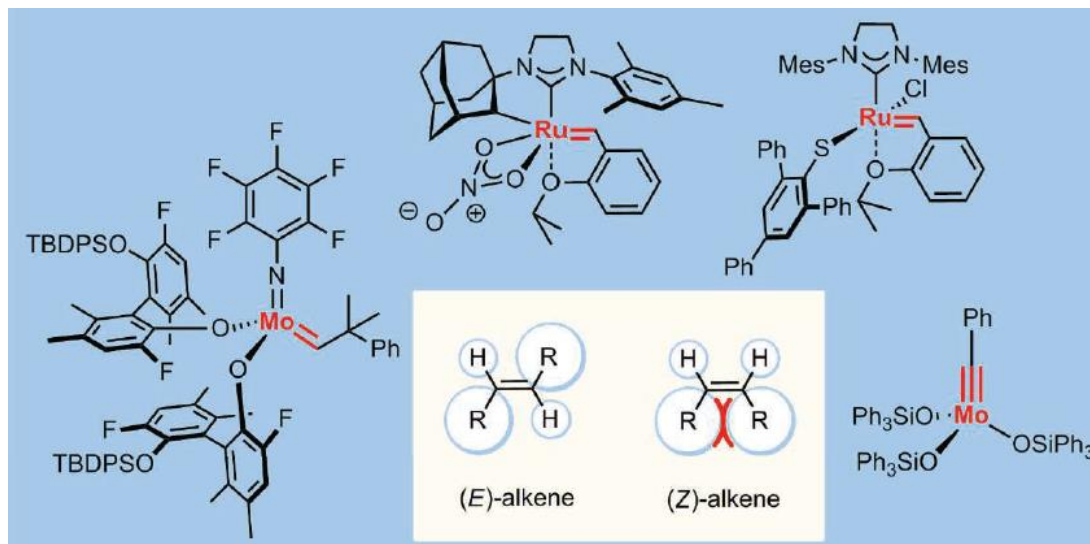
4638 www.angewandte.org

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Angew. Chem. Int. Ed. 2008, 47, 4638–4660

# Olefin Functionalization

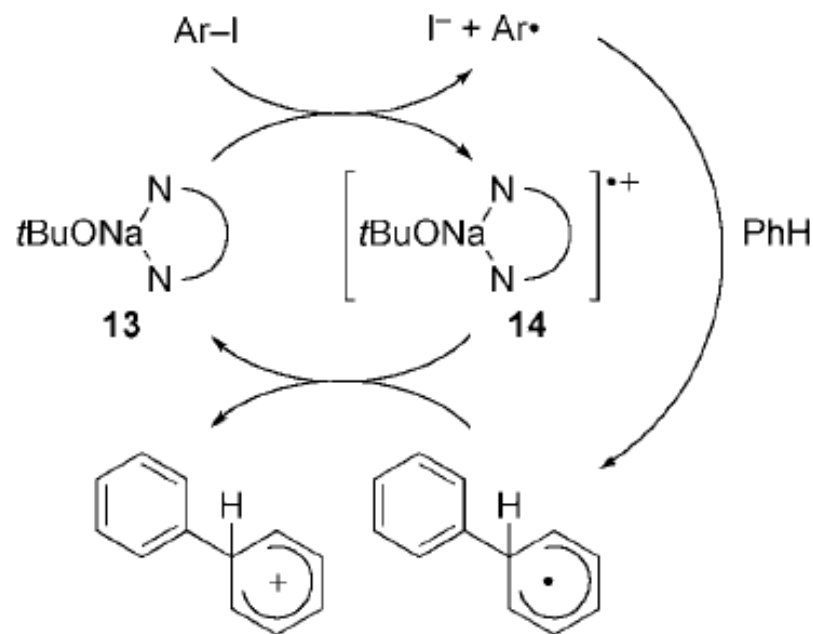
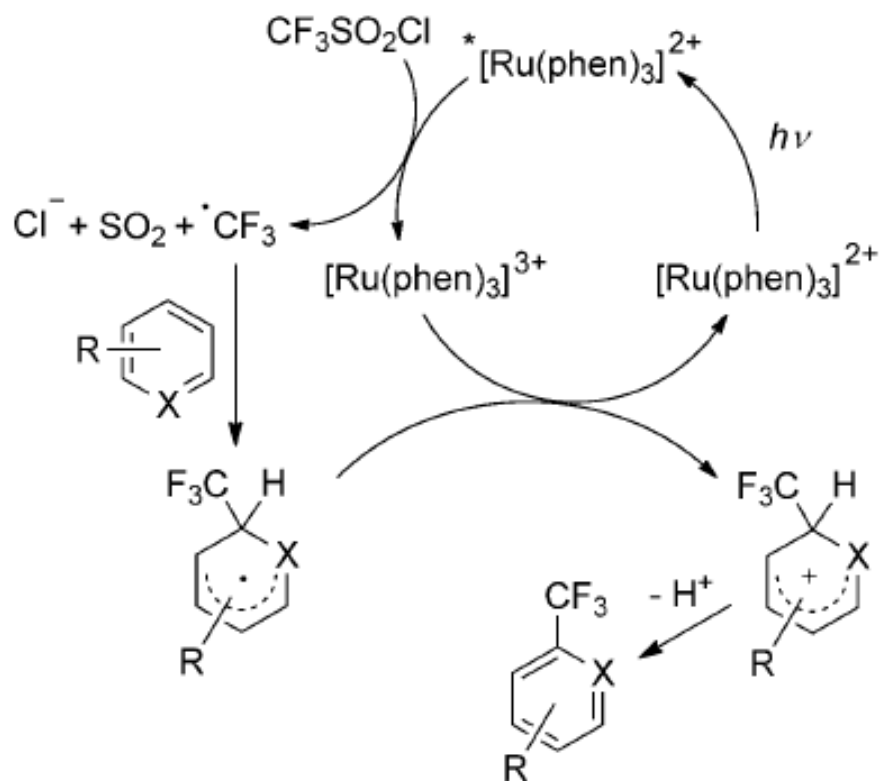
## Metathesis



**From Bulk to Value Added Compounds**

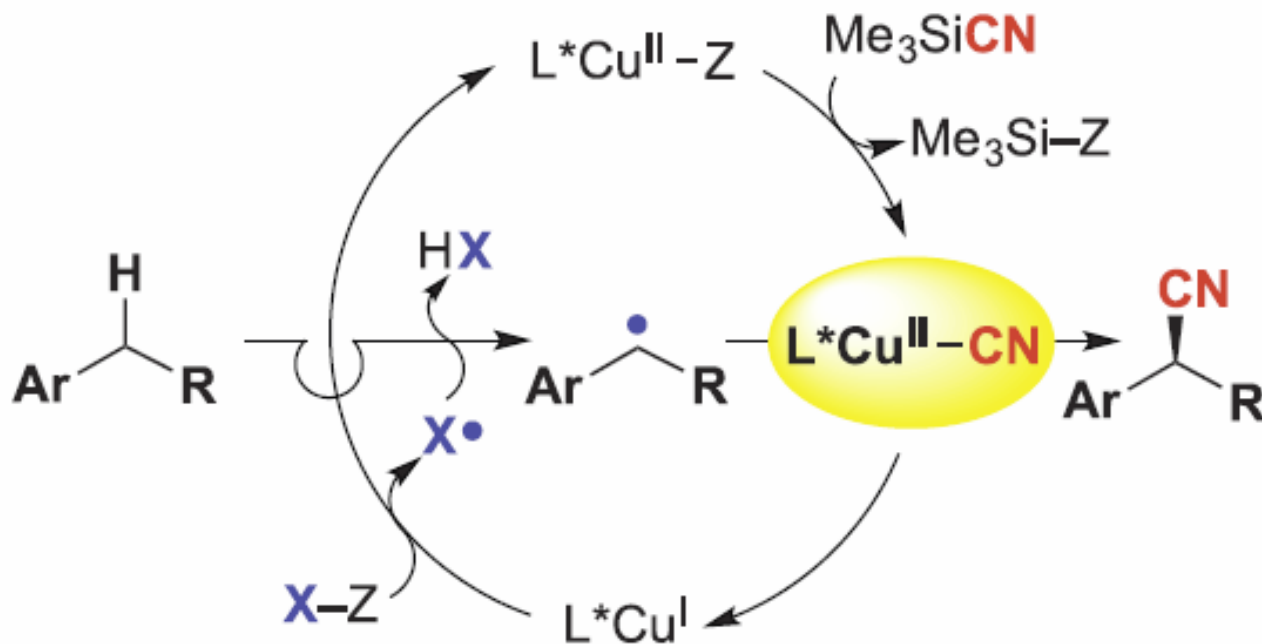
# Radical Chemistry: Back to Fashion

## Cross-coupling without transition metals



## Trifluoromethylation of arenes

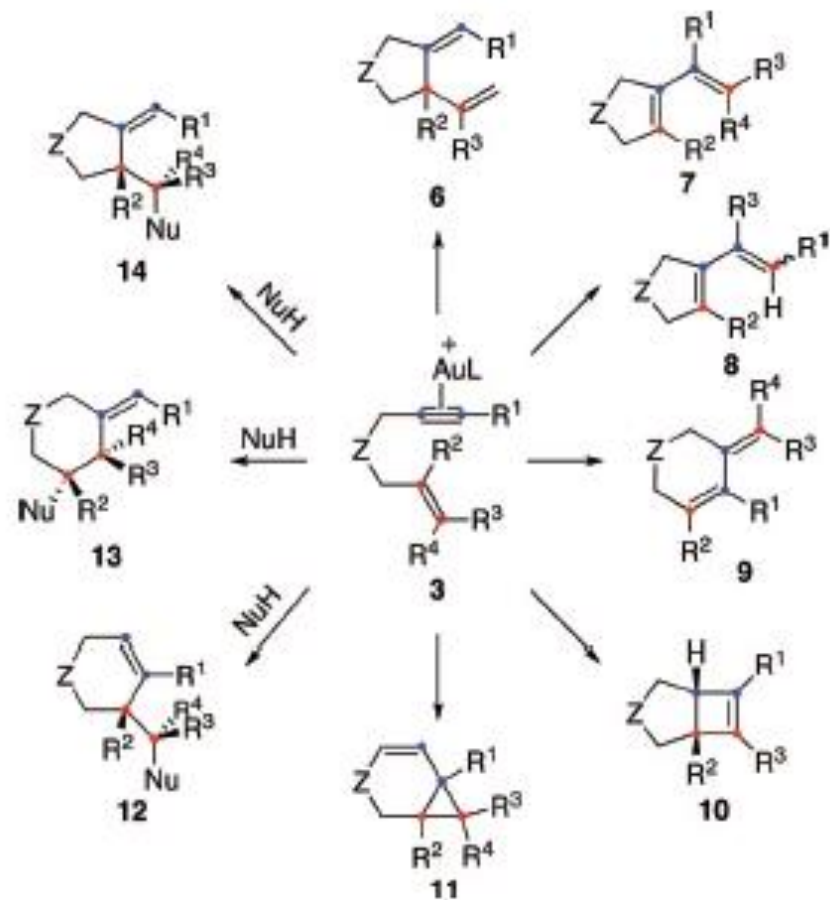
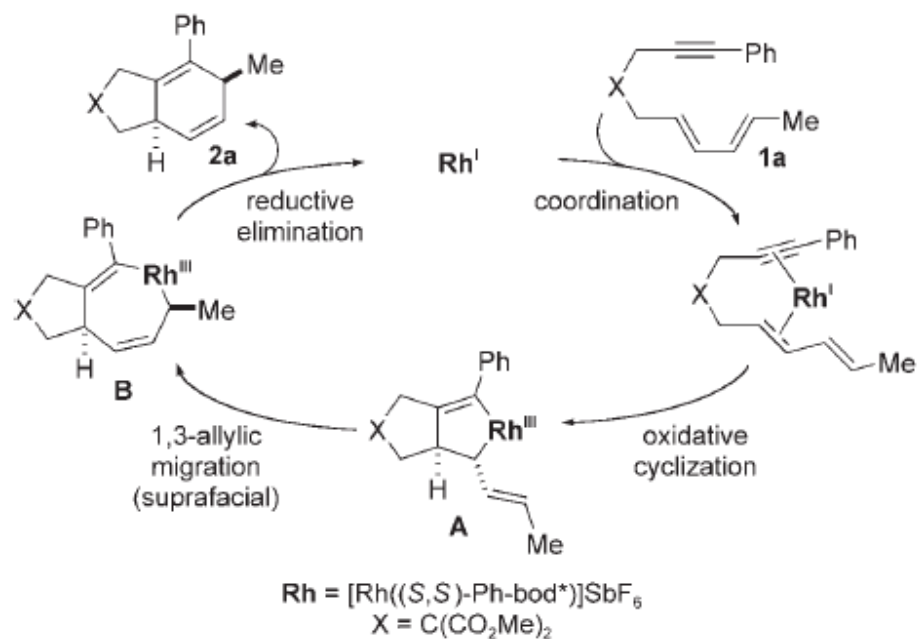
# Radical Chemistry: the Hype on Enantioselectivity



Enantioselective cyanation by Guosheng Liu

# Metal-catalyzed carbocyclizations

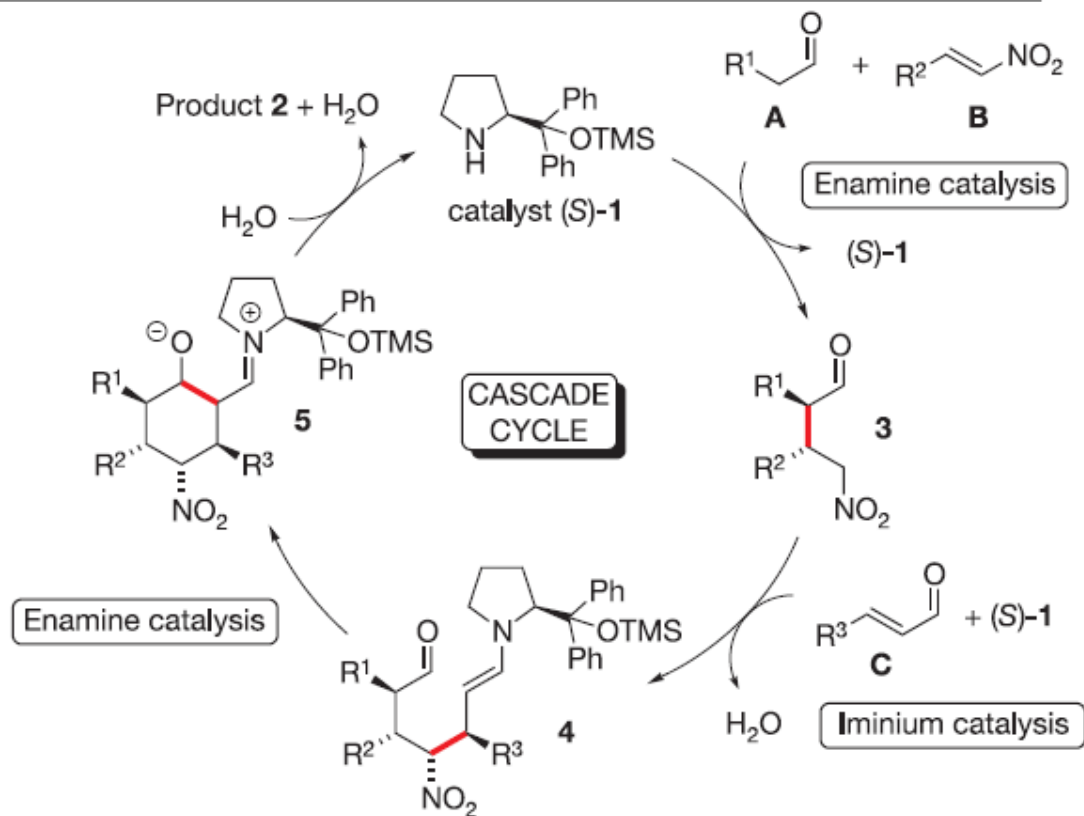
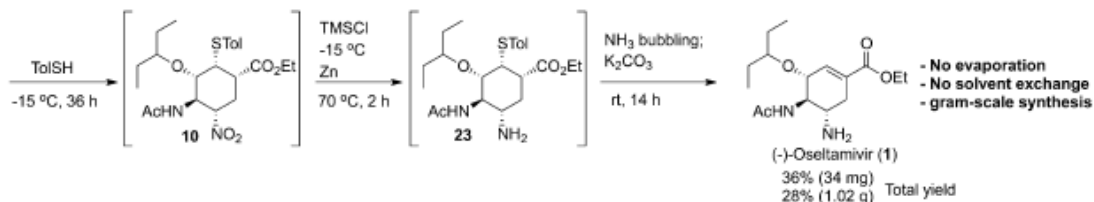
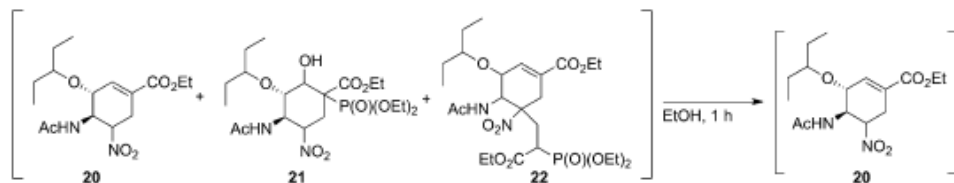
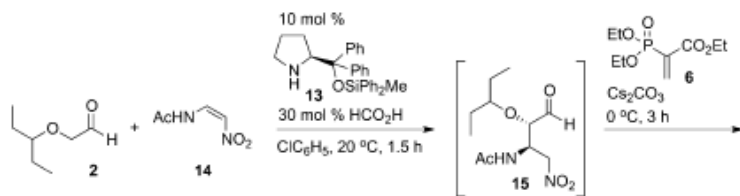
## Gold catalysis



## Rhodium catalysis

# Dominos, Cascades and One-Pot

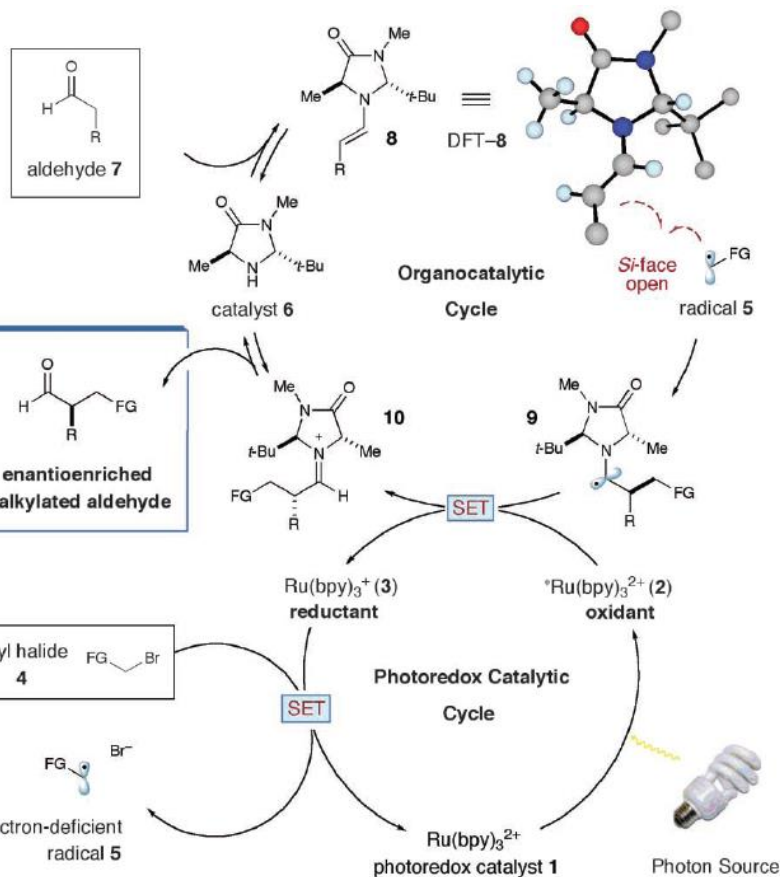
## Enders Cascade Reaction



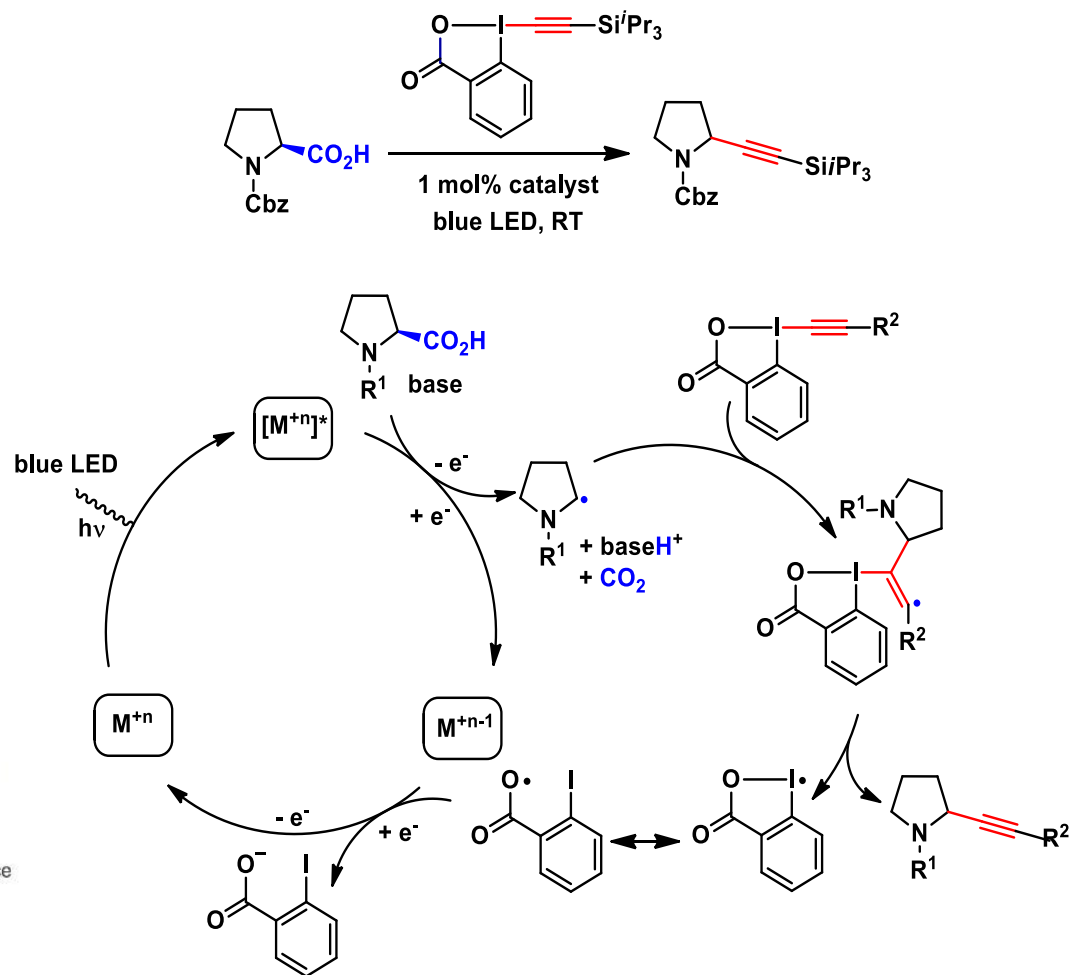
## Hayashi: one-pot synthesis of Tamiflu



# Photo(redox)catalysis

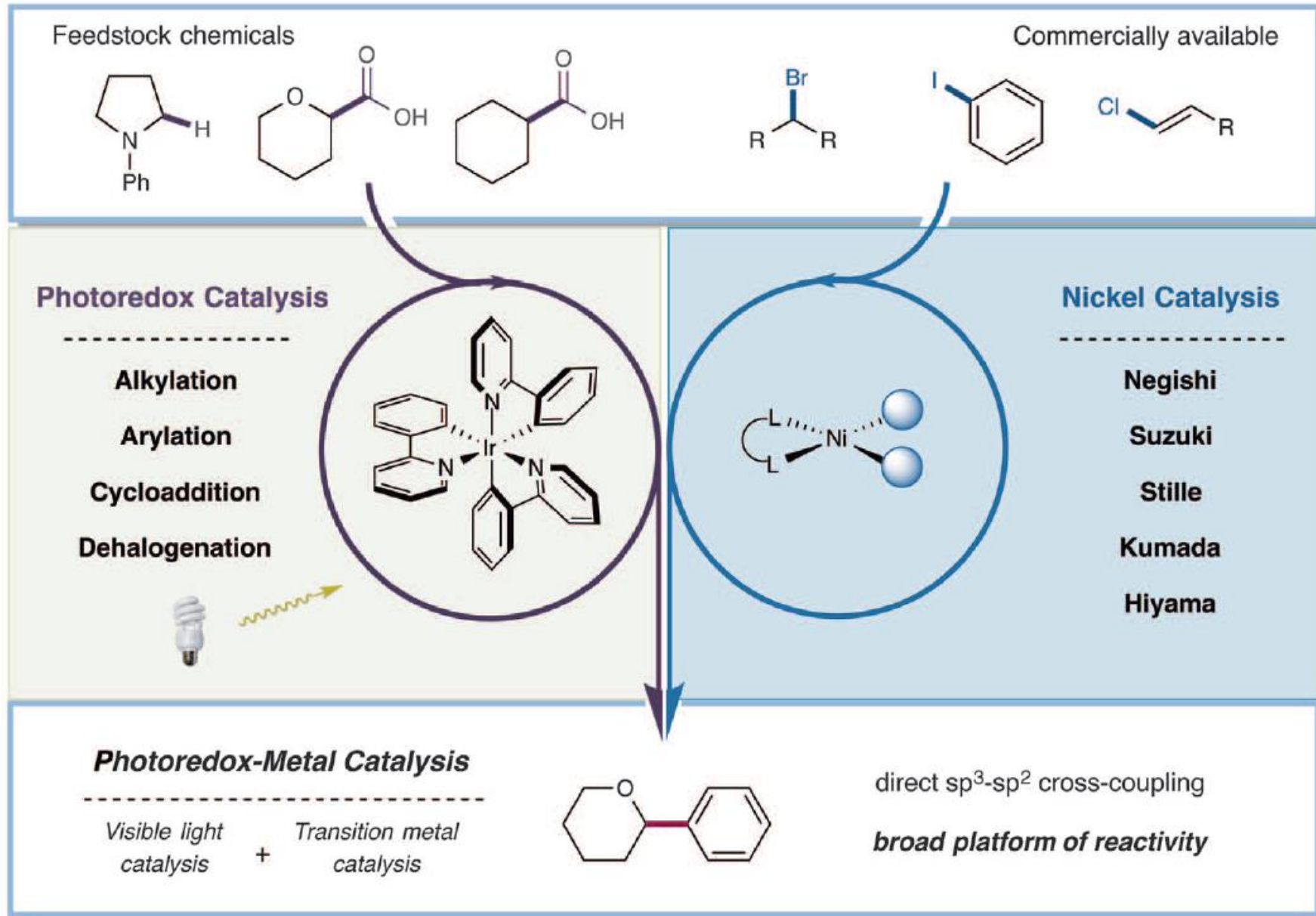


## Photoredox and SOMO Catalysis



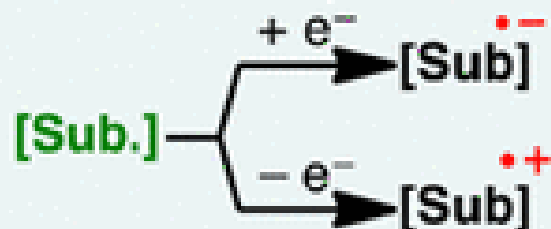
## Photoredox and Hypervalent Iodine

# Joining Photoredox and Transition Metal Catalysis

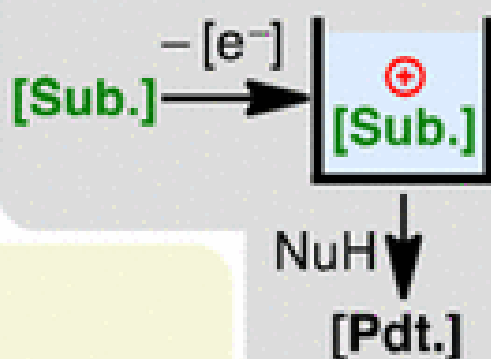


# Electrochemistry for Organic Synthesis

•direct electrolysis

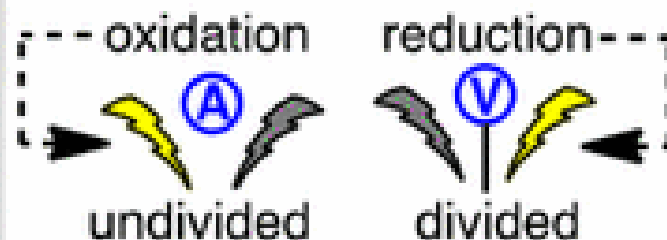


•Cation pool method

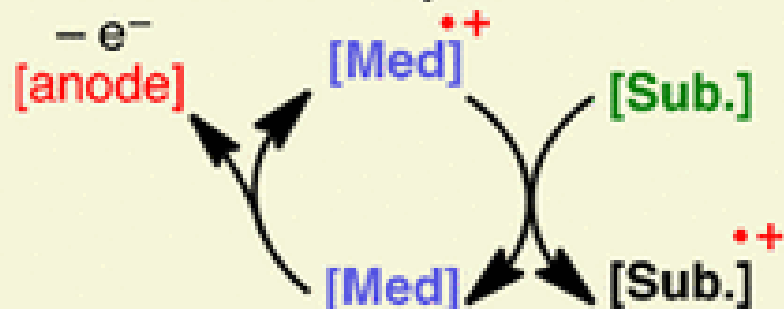


(A) constant current

(V) constant potential



•mediated electrolysis

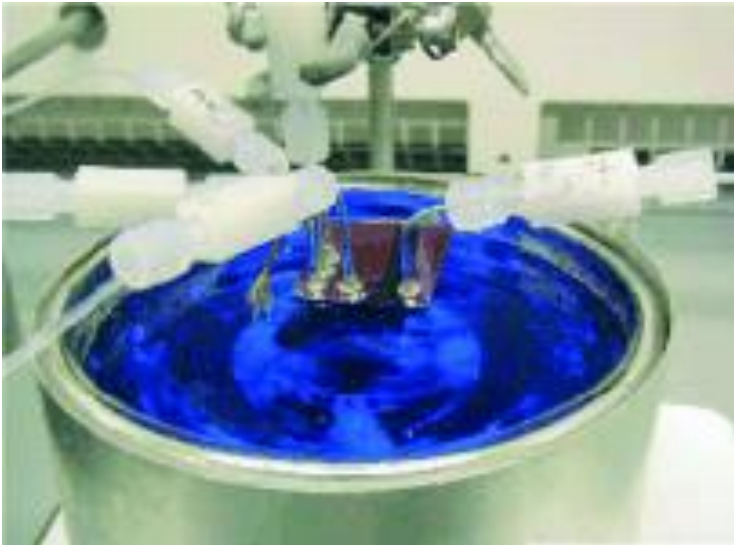


•electro-generated reagents

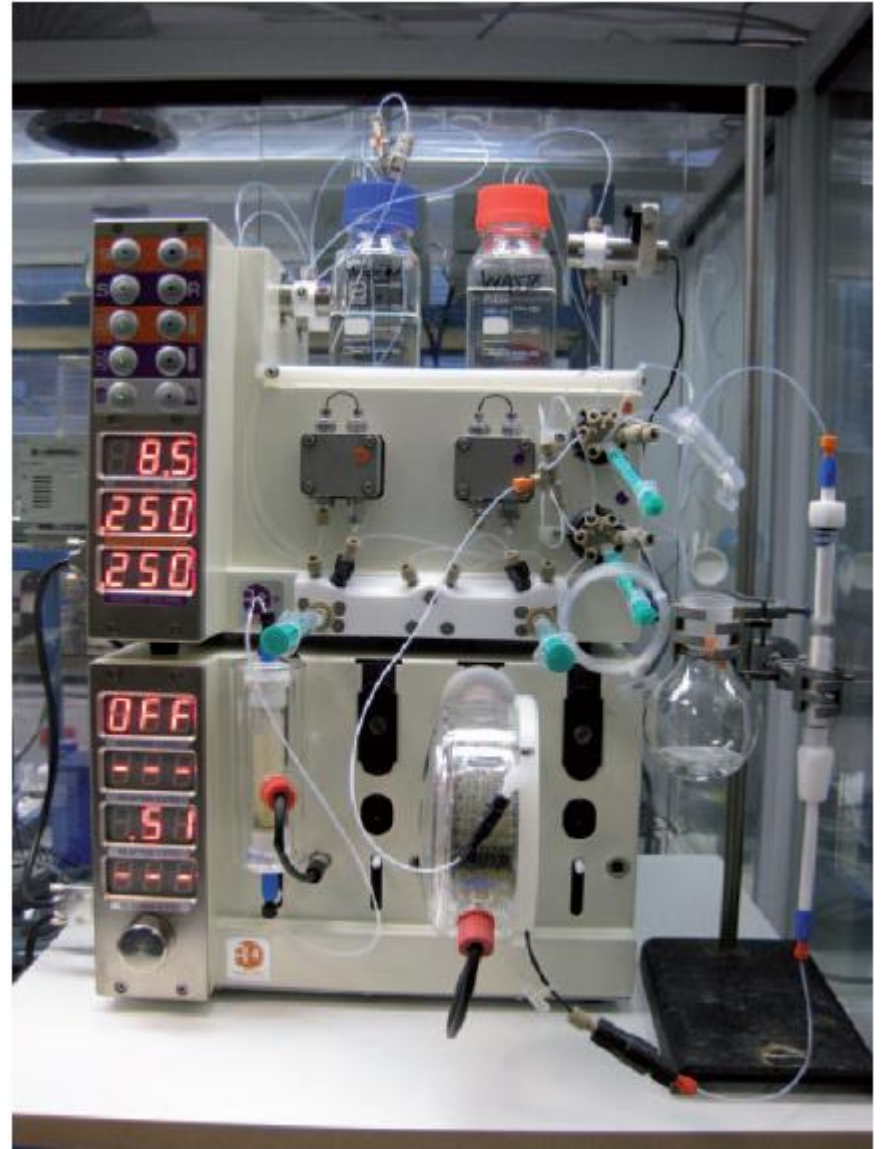
[synthetic organic electrochemistry]  
[color-coded]  
[graphically guided]

Old, but re-popularized by Baran

# New Technologies

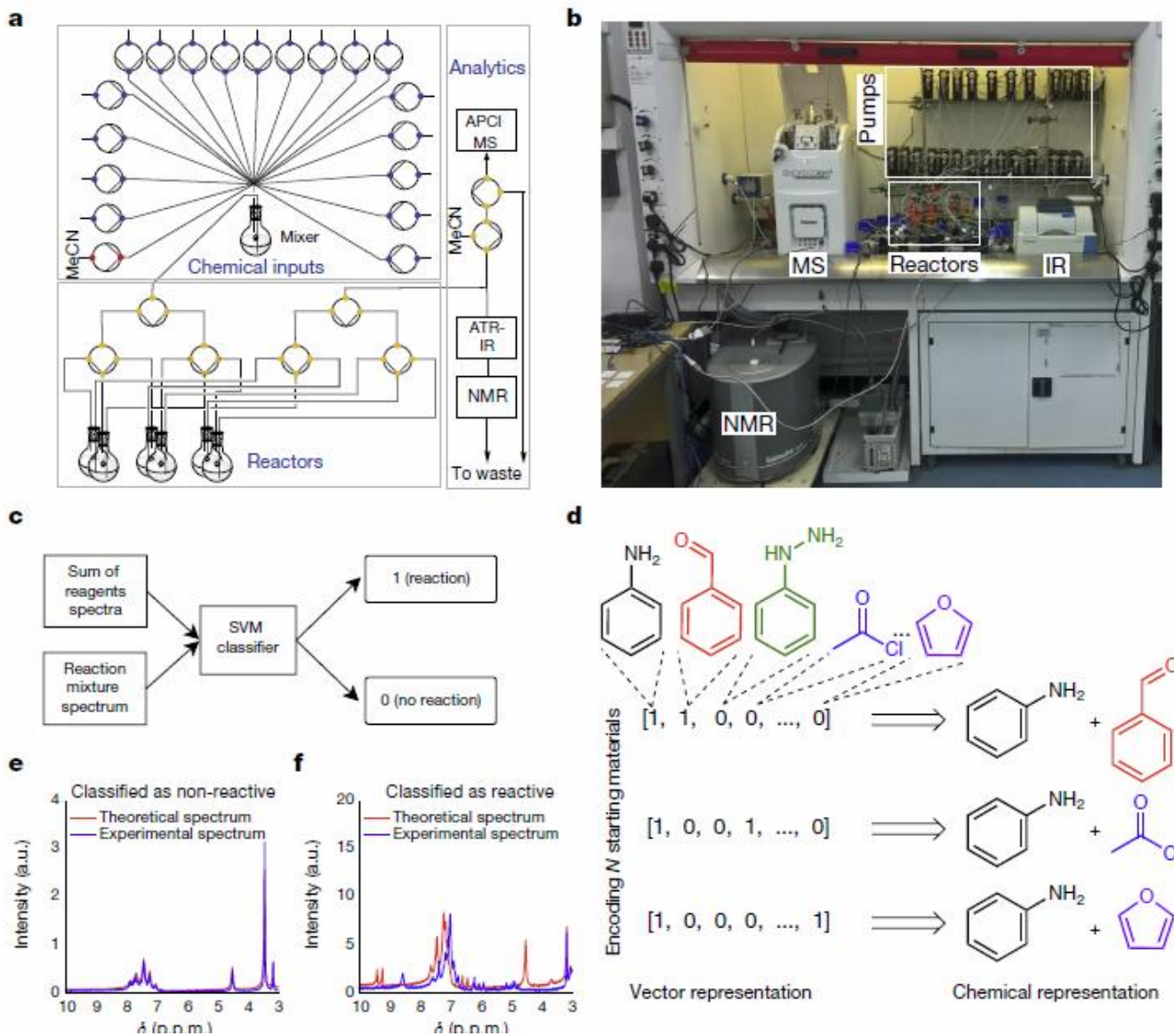


**Microreactors**



**Flow Reactor**

# New Technologies



**Cronin: will the robots take over?**