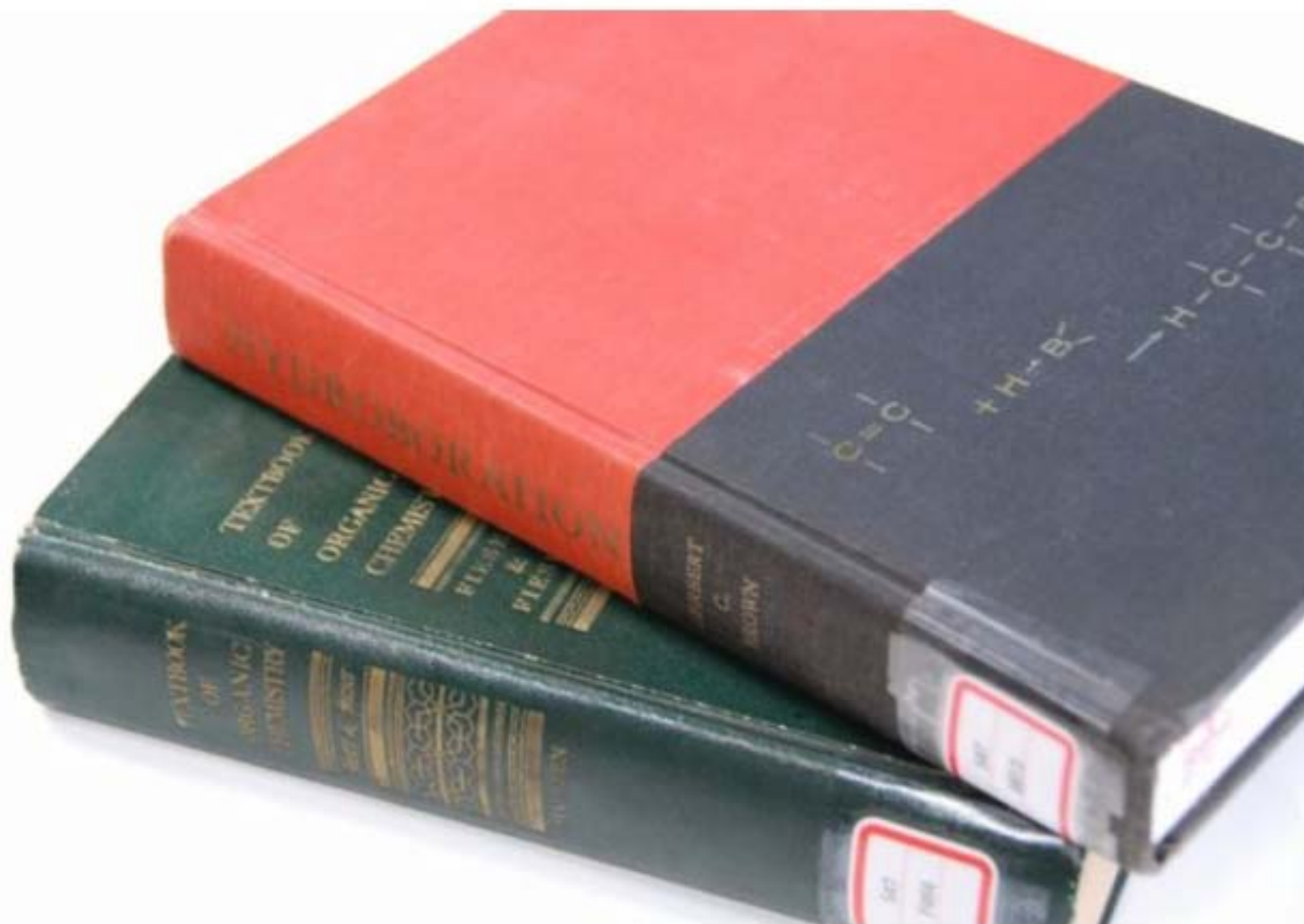


**An Example of Useful Science:
Organic Synthesis by Organoboron
Coupling Reaction**

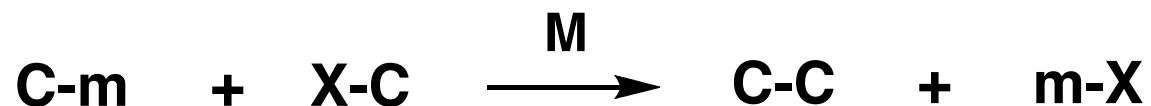
Akira SUZUKI



Textbook of Organic Chemistry by L. F. Fieser and M. Fieser (below)
Hydroboration by H. C. Brown (above)

C-C Bond Formation:

Cross-coupling Reaction of Organometal Compounds with Organic Halids

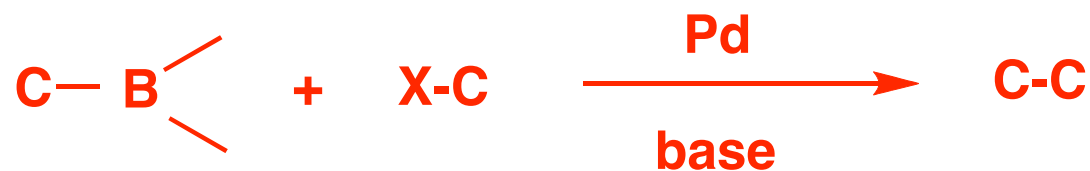


m : metal (Li, Mg, Zn, Al, Si, Sn, Hg, Zr) (B: not used)

X : halogen (I, Br, Cl)

M : transition metal

Suzuki Coupling Using Organoboron Compounds:



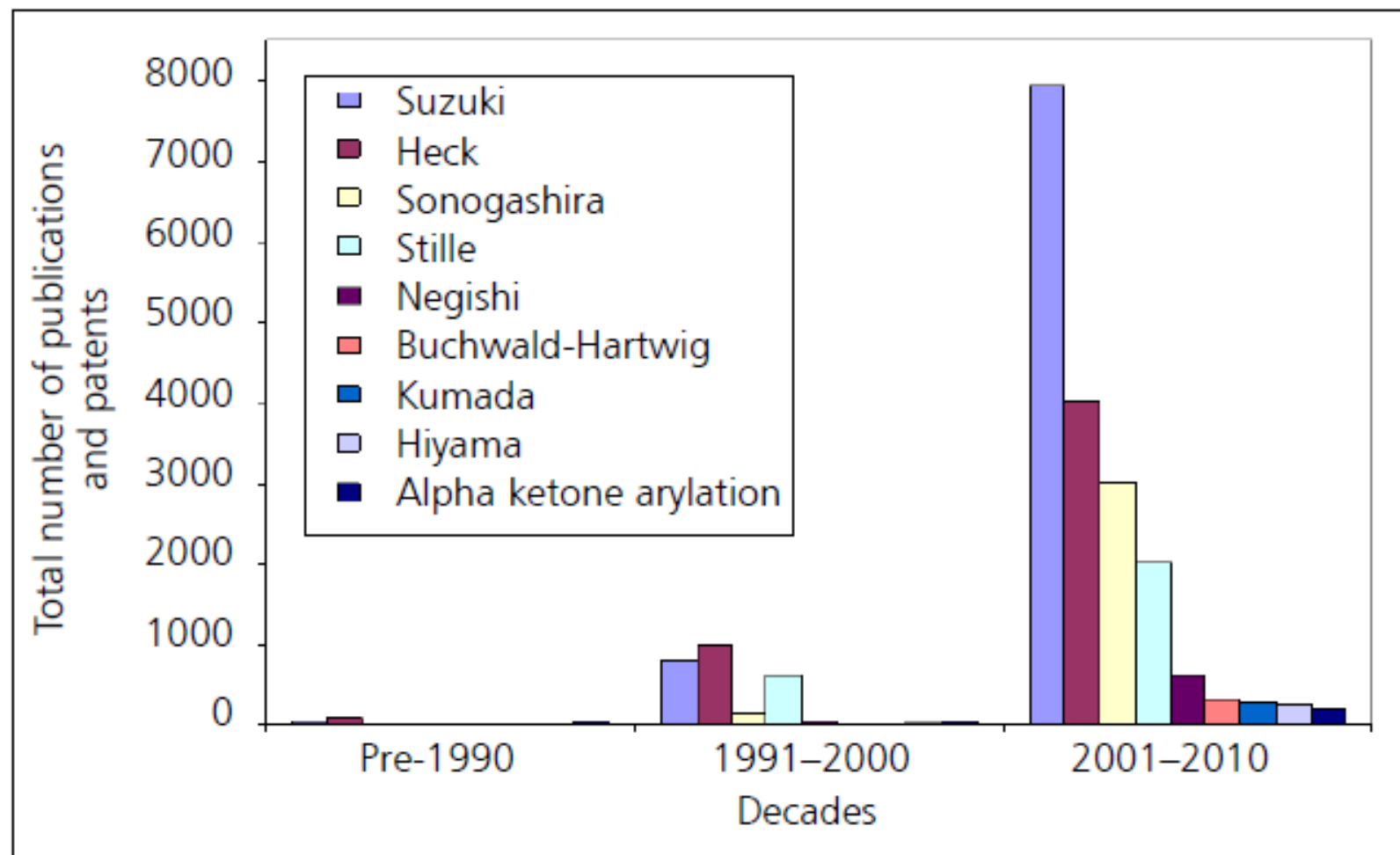
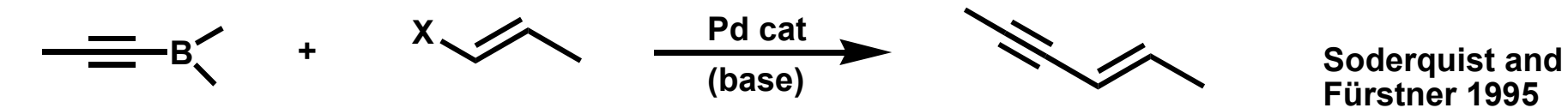
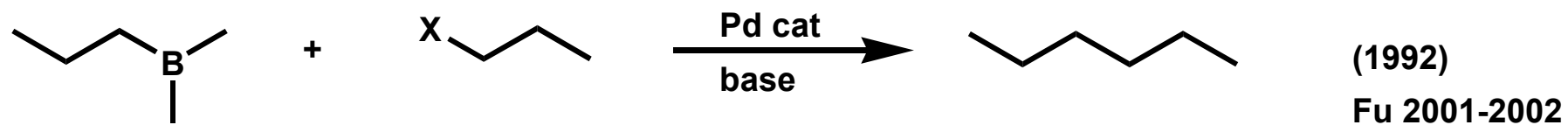
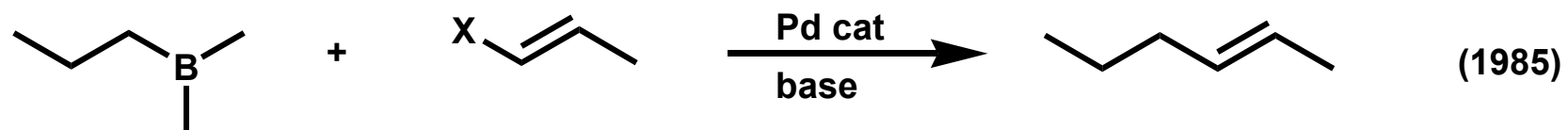
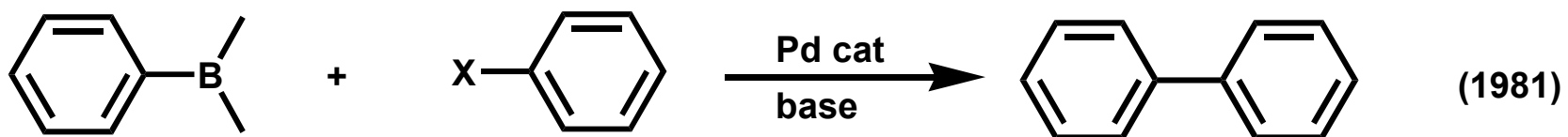
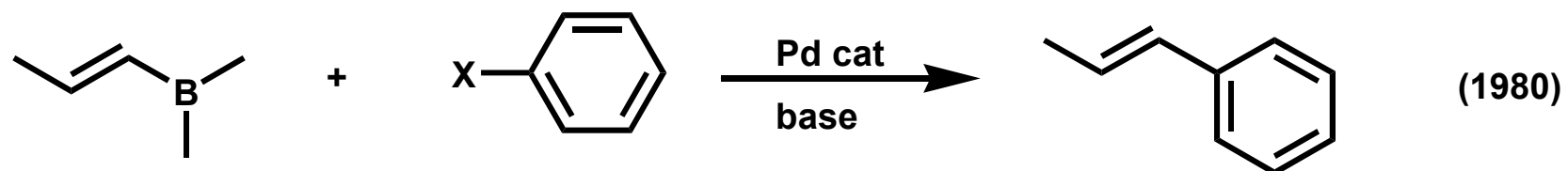
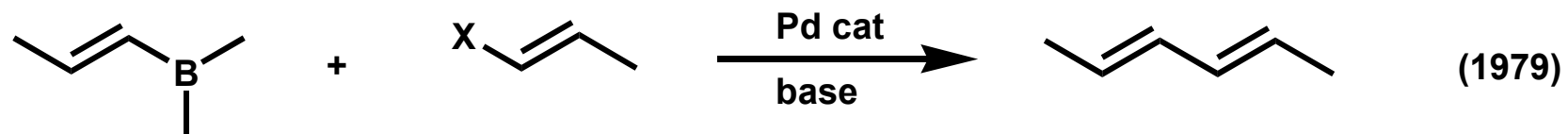
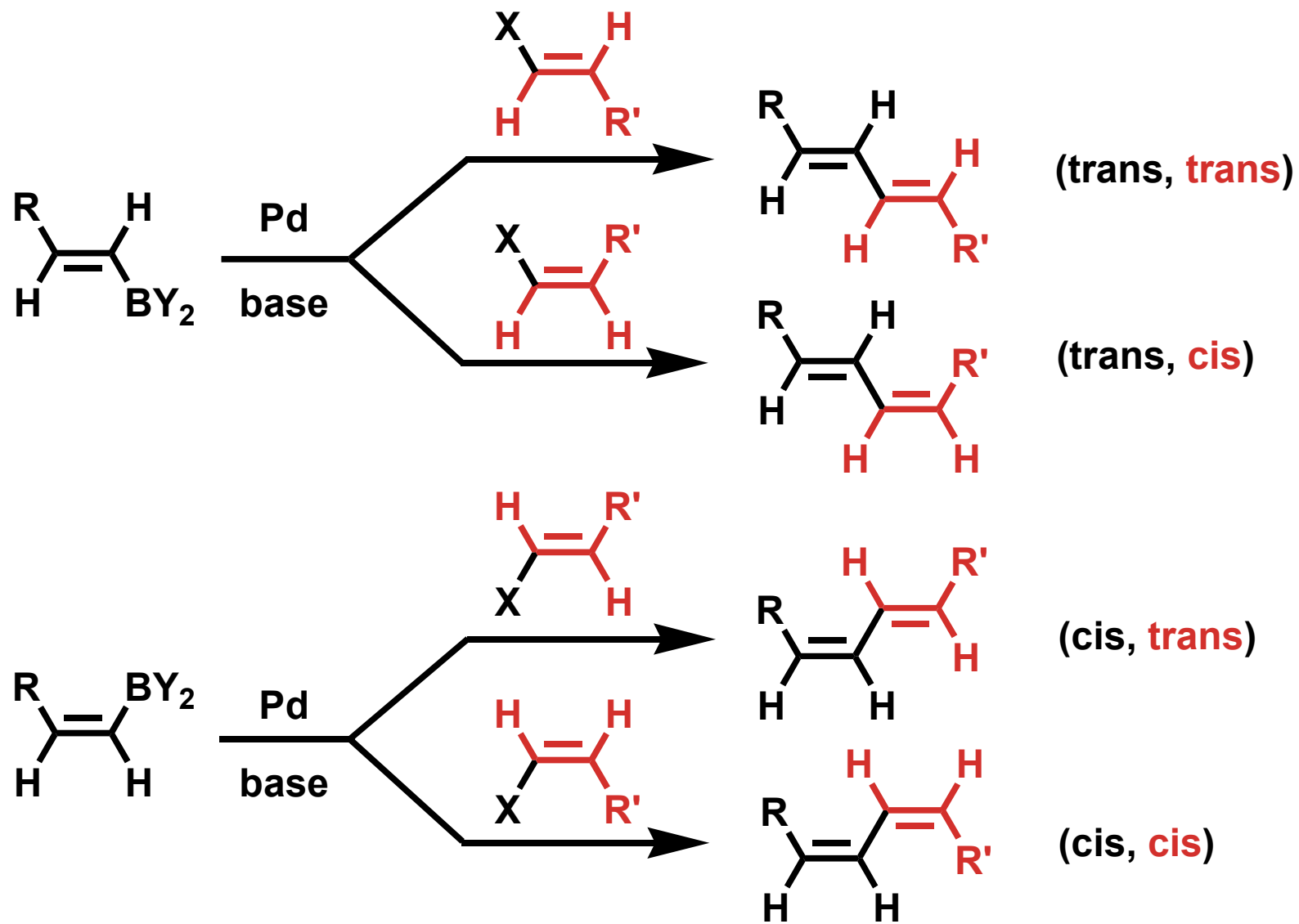


Fig. 2. Growth in the number of scientific publications and patents on platinum group metal-catalysed coupling reactions

T. J. Colacot, *Platinum Metals Rev.*, **2011**, 55, 84-90.

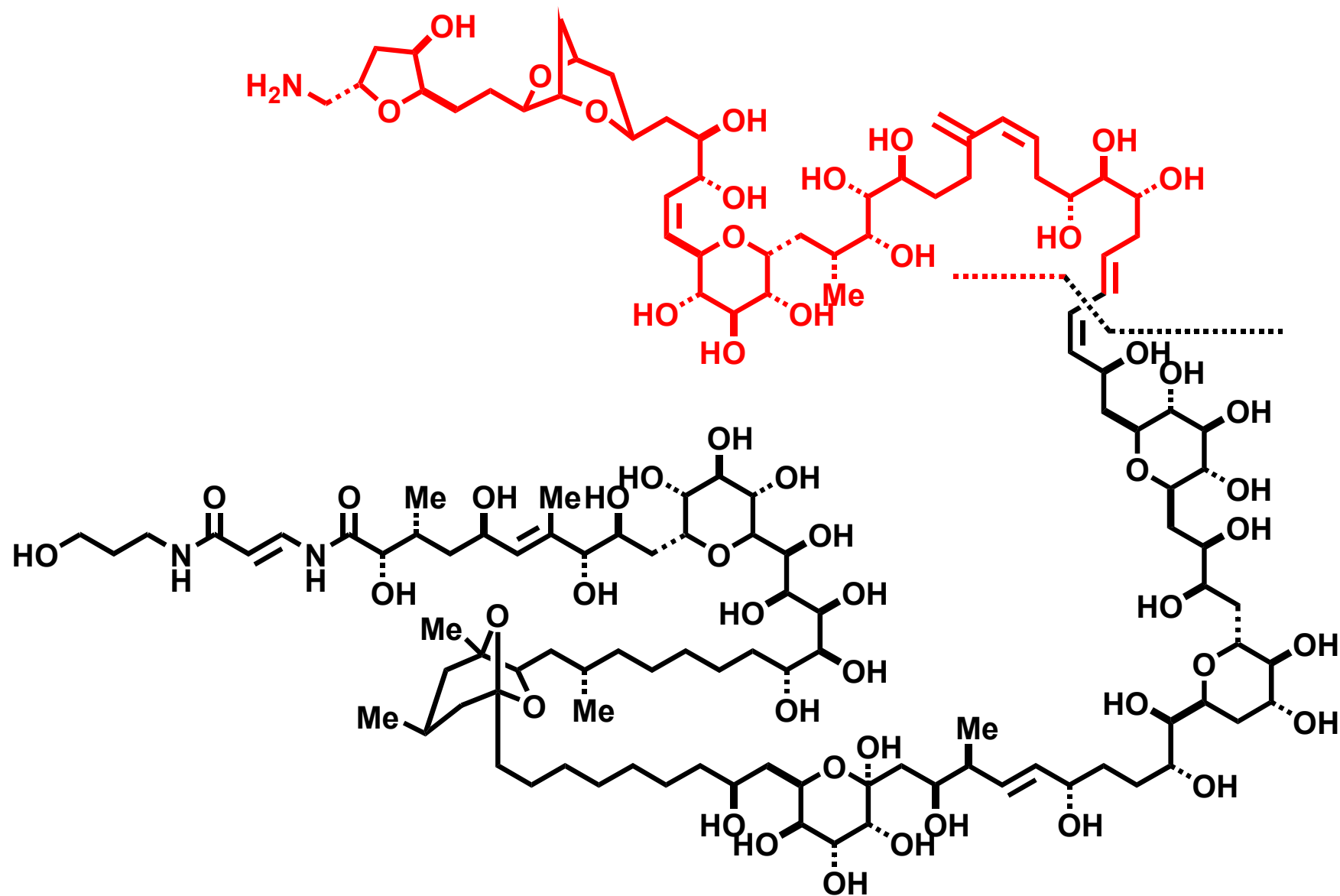




1-Alkenylborane		1-Alkenyl Bromide	Product	Yield (%) [Purity (%)]
Bu-CH=CH-B \equiv	b)	Br-CH=CH-Ph	Bu-CH=CH-CH=CH-Ph	86 [98]
Bu-CH=CH-B \equiv	a)	Br-CH=CH-Ph	Bu-CH=CH-CH=CH-Ph	<u>49</u> [99]
Bu-CH=CH-B \equiv	a)	Br-CH=CH-Ph	Bu-CH=CH-CH=CH-Ph	<u>42</u> [89]
Bu-CH=CH-B \equiv	b)	Br-CH=CH-Hex	Bu-CH=CH-CH=CH-Hex	88 [99]
Bu-CH=CH-B \equiv	a)	Br-CH=CH-Hex	Bu-CH=CH-CH=CH-Hex	<u>49</u> [98]
Ph-CH=CH-B \equiv	b)	Br-CH=CH-Ph	Ph-CH=CH-CH=CH-Ph	89 [98]

Reaction conditions: 1-3 mol % of Pd(PPh₃)₄ / NaOEt / Benzene / Reflux 2h

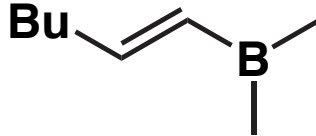
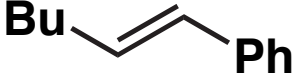
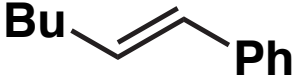
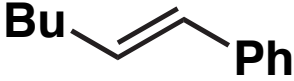
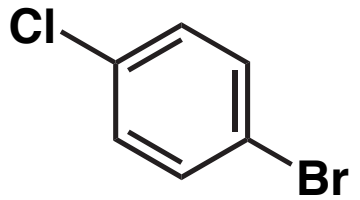
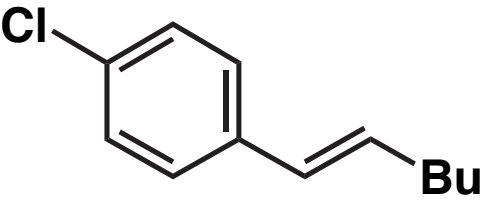
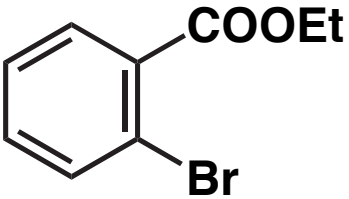
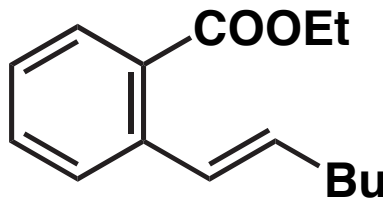
a) Disiamyl b) 1,3,2-Benzodioxaboryl

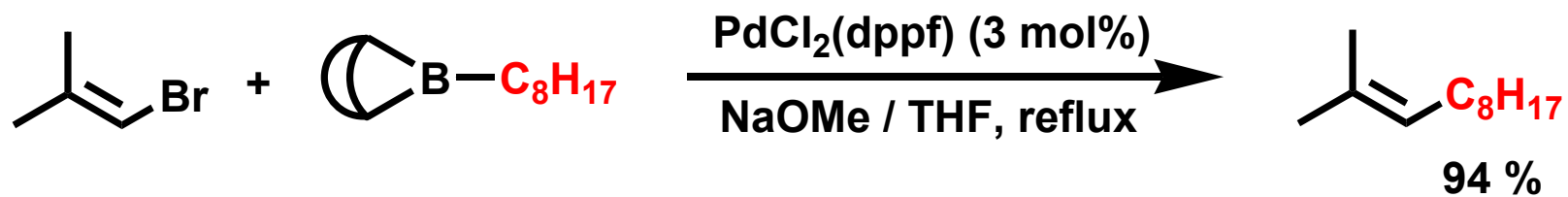
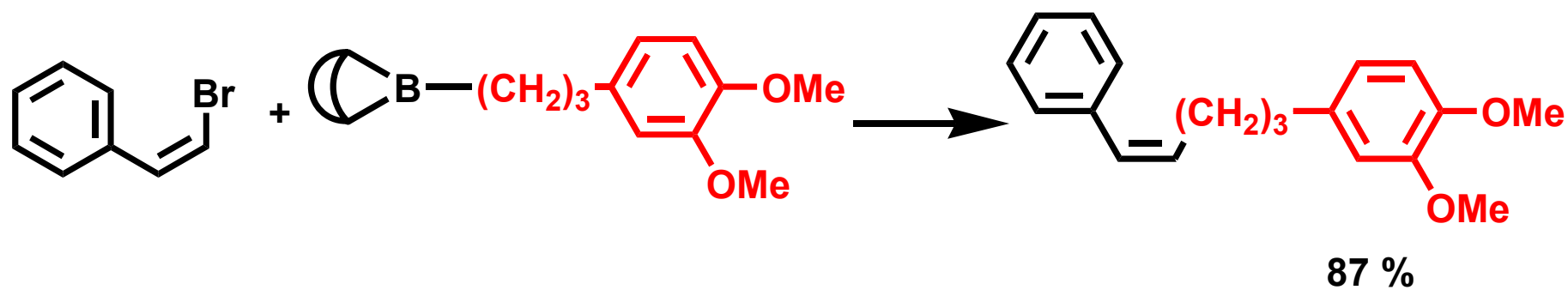
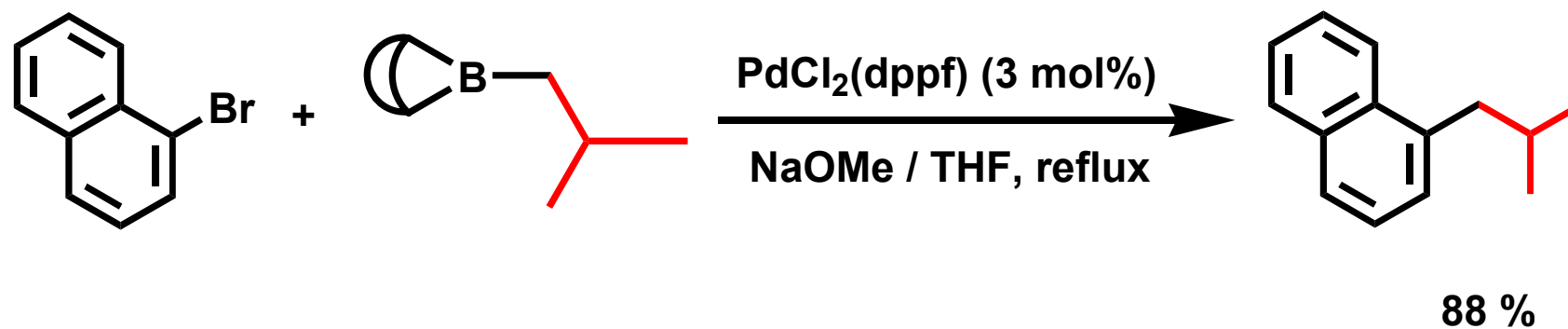
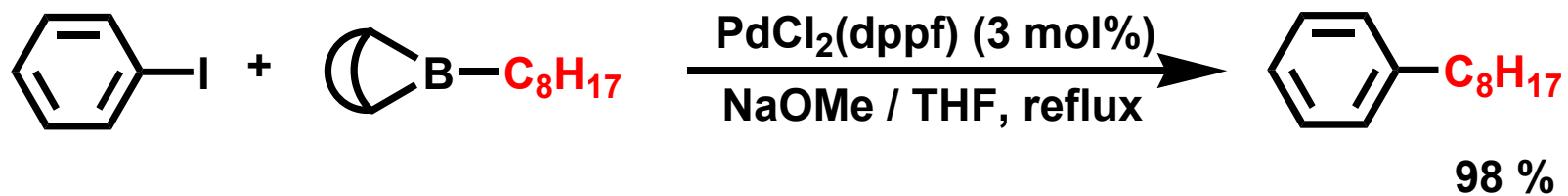


"Palytoxin" $C_{129}H_{223}N_3O_{54}$ (MW. 2678.6)

Synthesis: Kishi et al., *J. Am. Chem. Soc.*, 1989, 111, 7525, 7530

Cross-Coupling of 1-Alkenylboranes with Aryl, Allyl, Benzyl, and Alkynyl Halides^{a)}

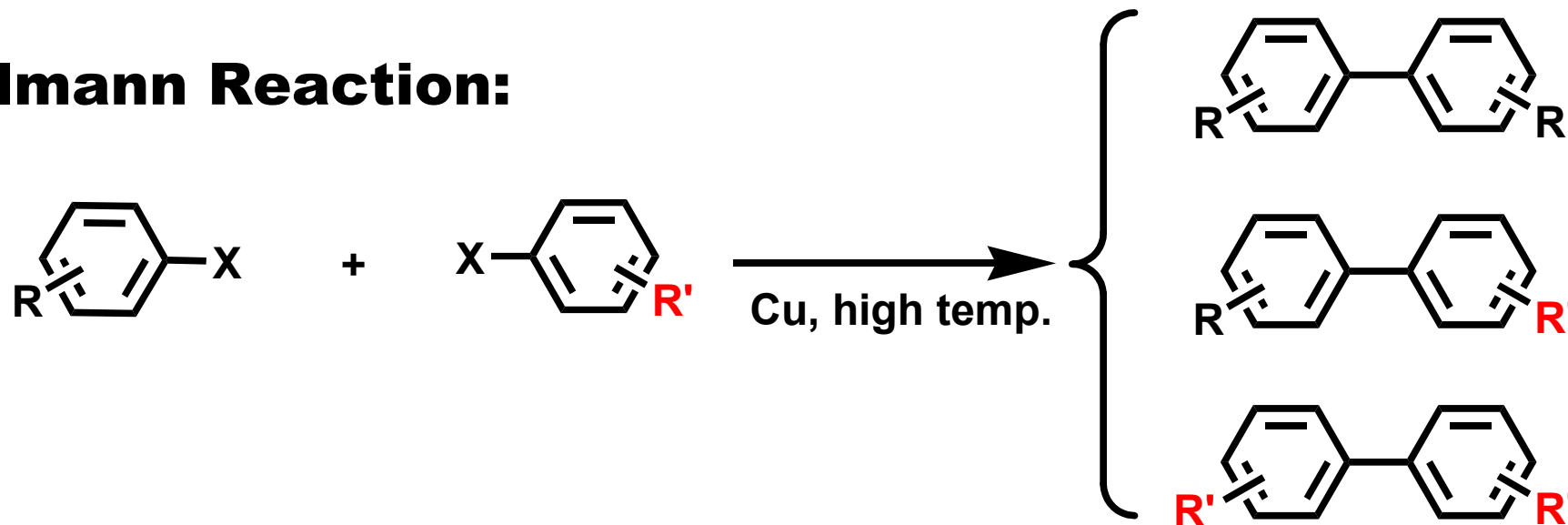
1-Alkenylborane ^{b)}	Halide	Product ^{c)}	Yield (%)
	PhI		100
	PhBr		98
	PhCl		3
			100
			87



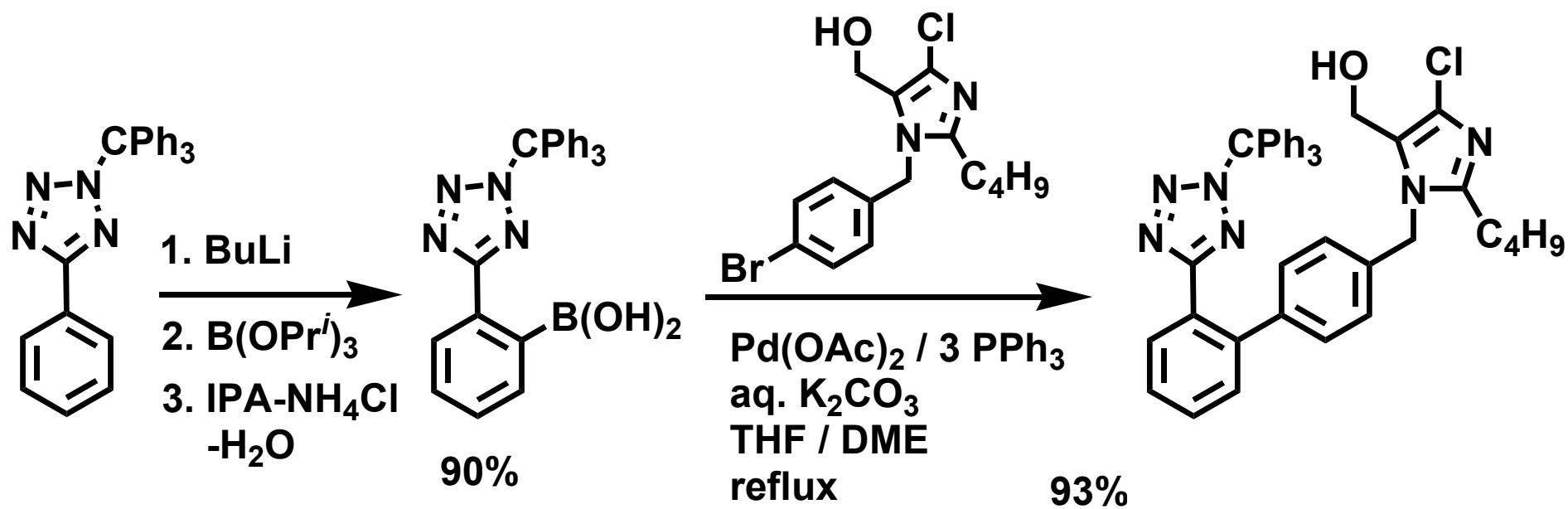
Suzuki Coupling:



Ullmann Reaction:



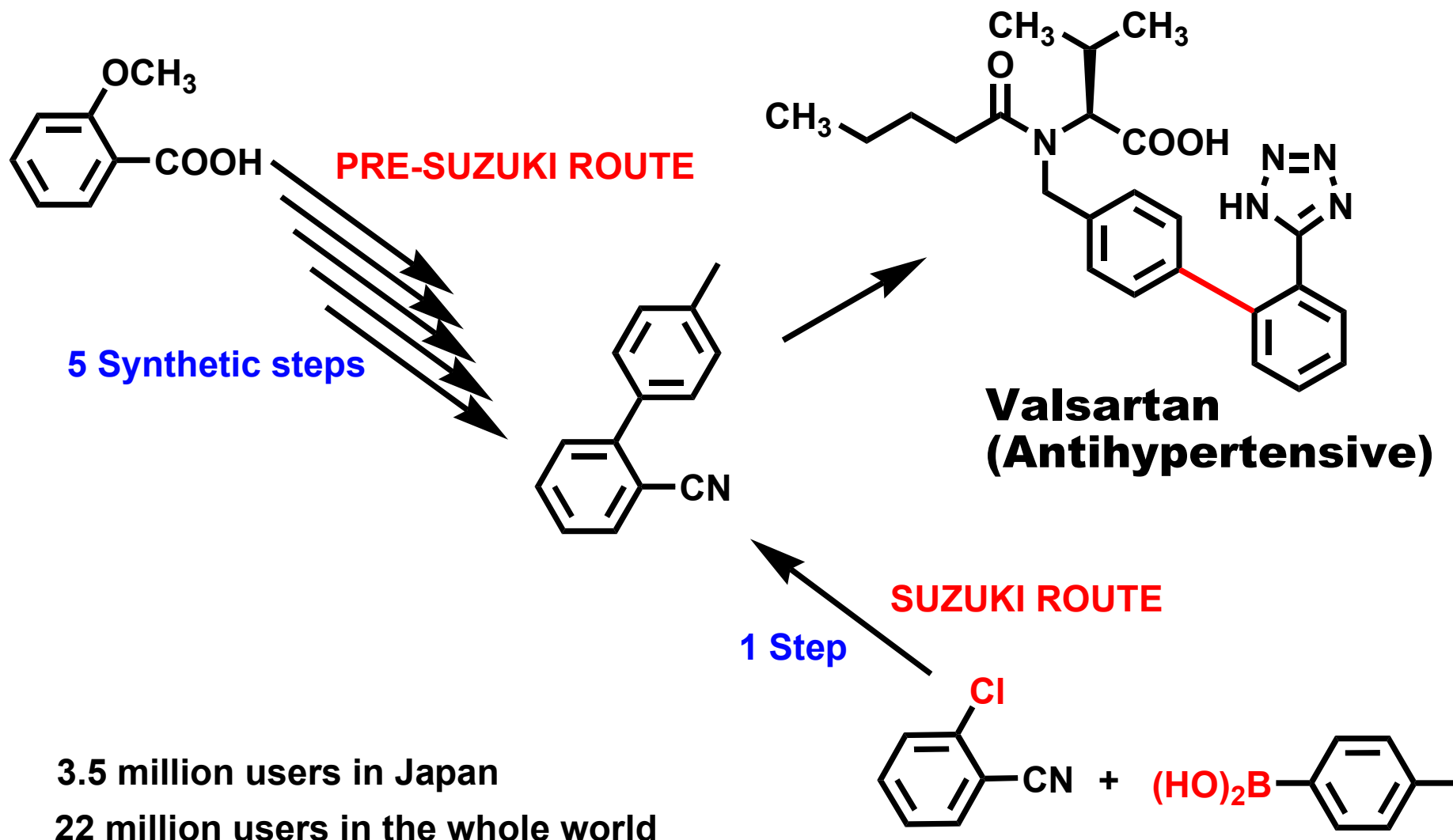
Angiotensin II Receptor Antagonist (Losartan)



Losartan
(Antihypertensive)

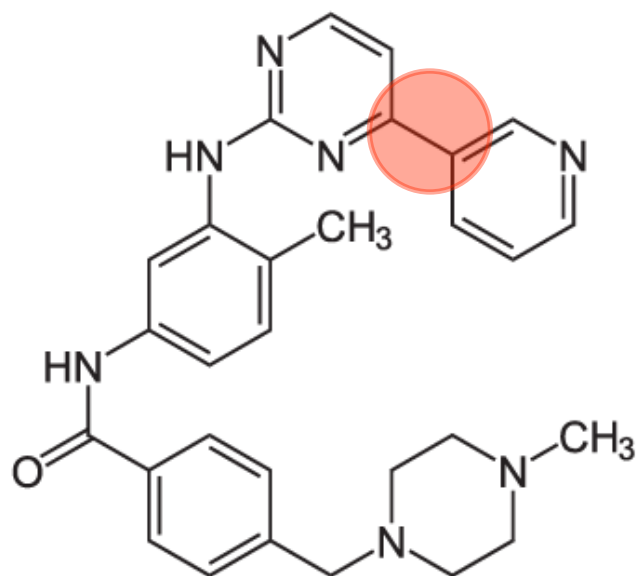
Merck, *J. Org. Chem.* **59**, 6391 (1994)

Suzuki coupling is a shortcut to biaryls (Novartis's Valsartan Process)

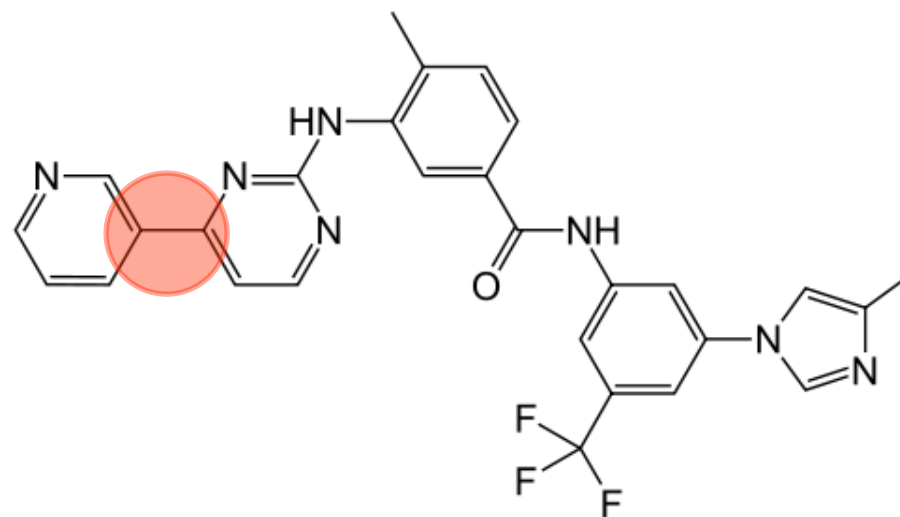


Glivec®/Tasigna®

anticancer drugs synthesis by Suzuki-coupling

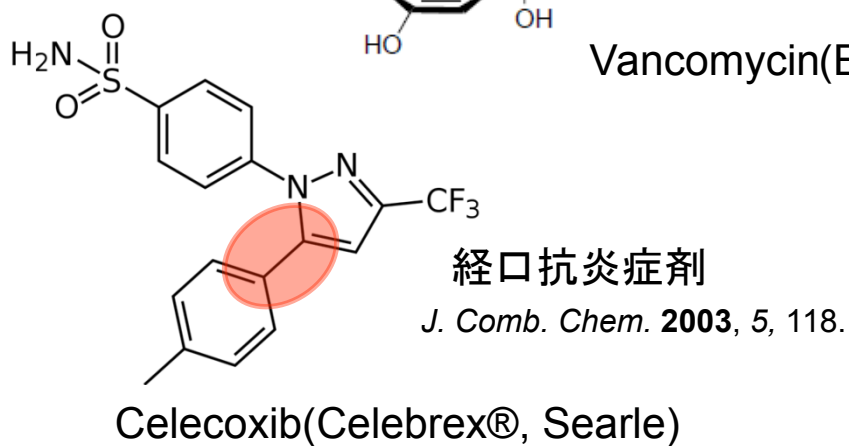
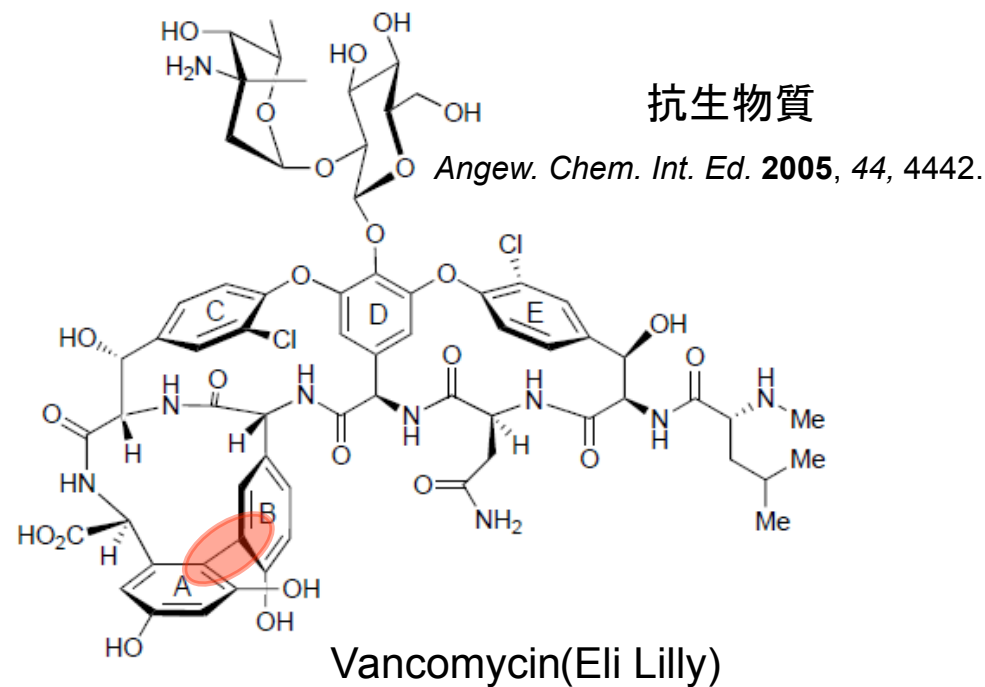
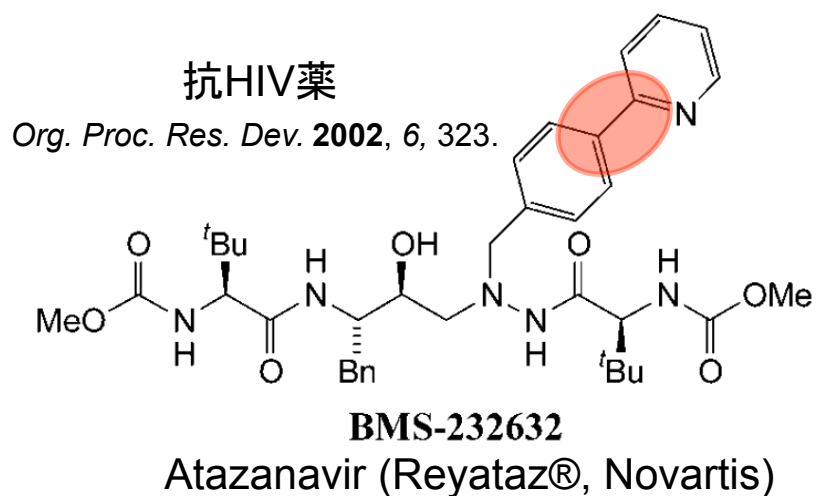


Imatinib(Glivec®, Novartis)

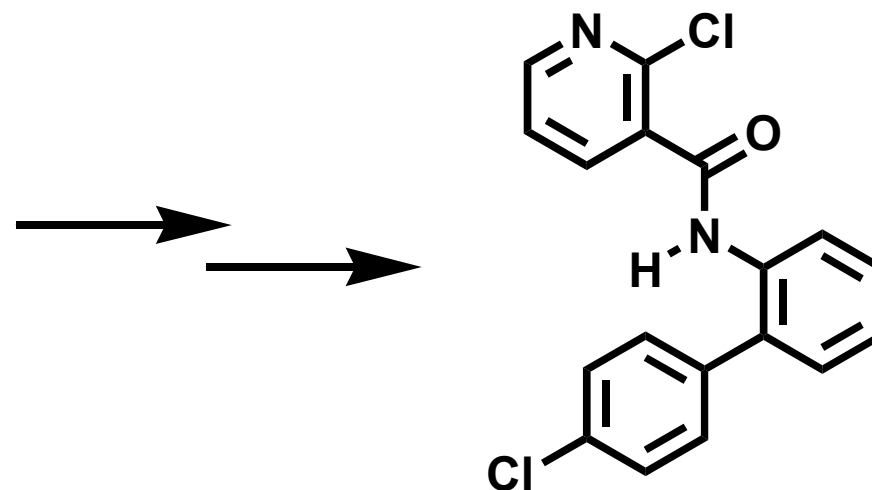
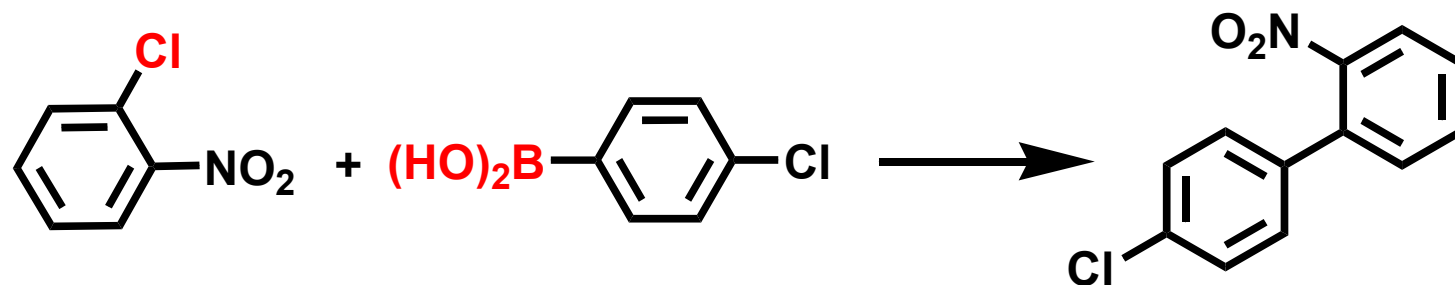


Nilotinib(Tasigna®, Novartis)

Useful drugs synthesis by Suzuki coupling



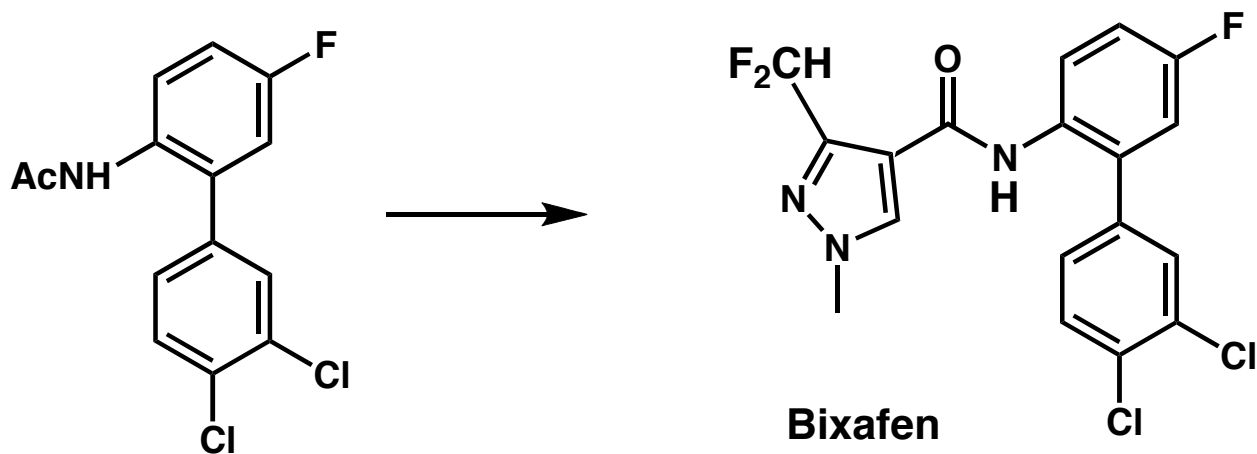
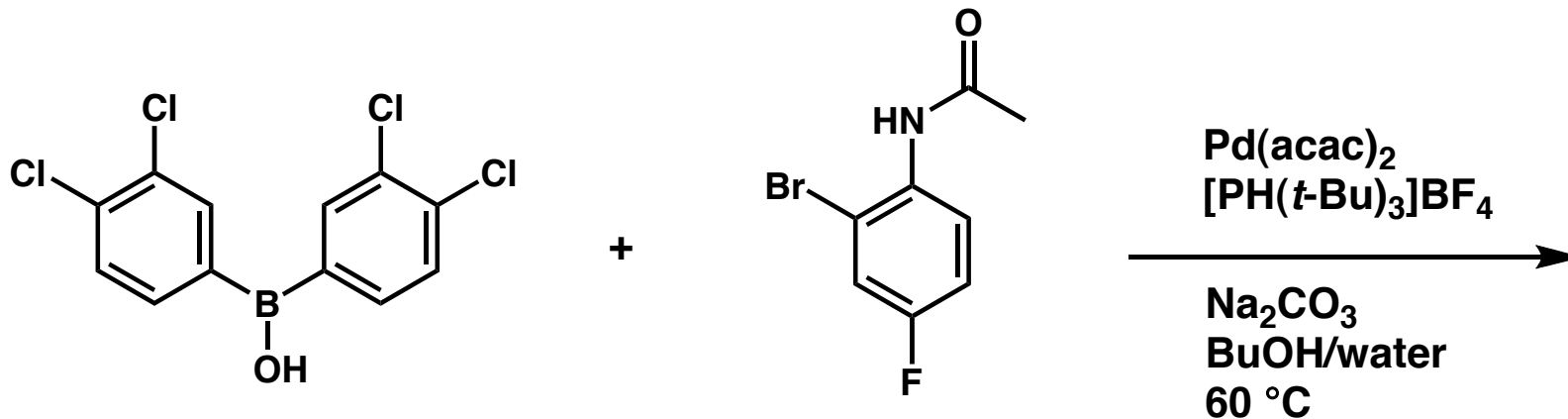
Boscalid; Agrochemicals (BASF, Germany)



Boscalid

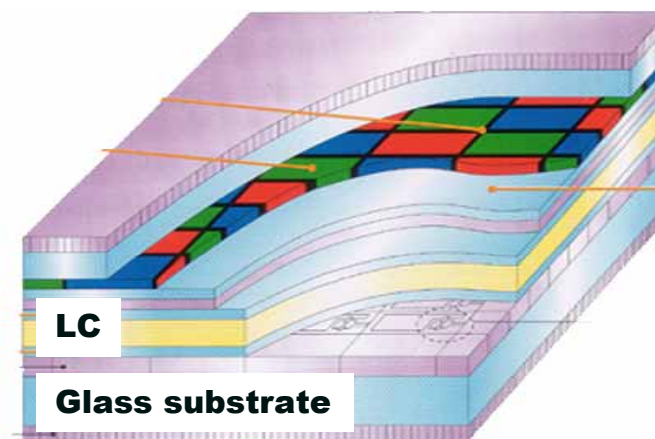
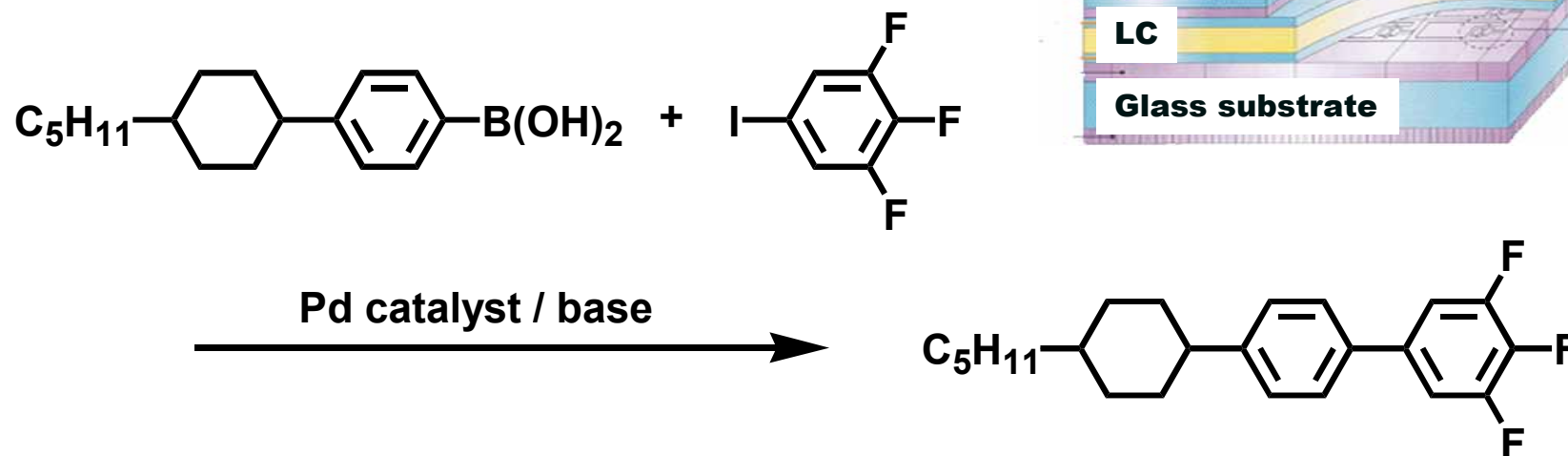
**Multi-purpose Fungicide
for Specialty Crops**

Bayer's "Bixafen" Production (Agrochemical): **multi-100-tons**

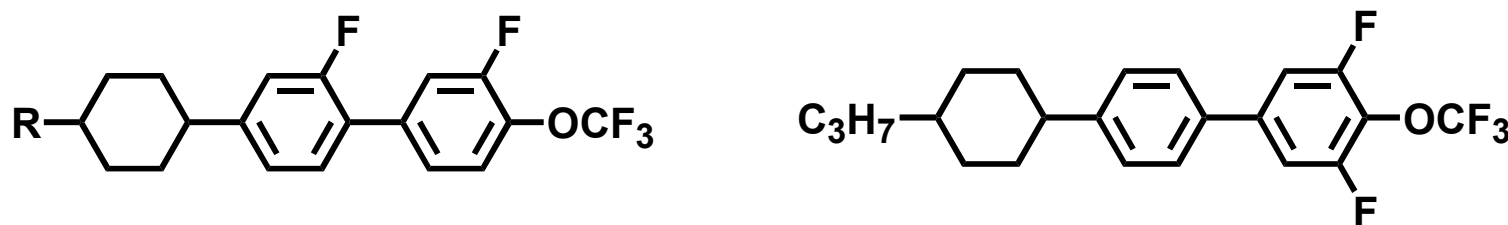


Liquid crystal:

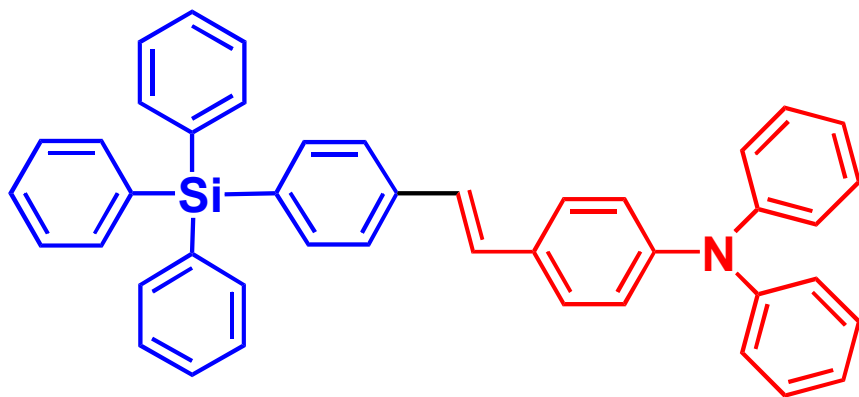
Chisso (Japan)



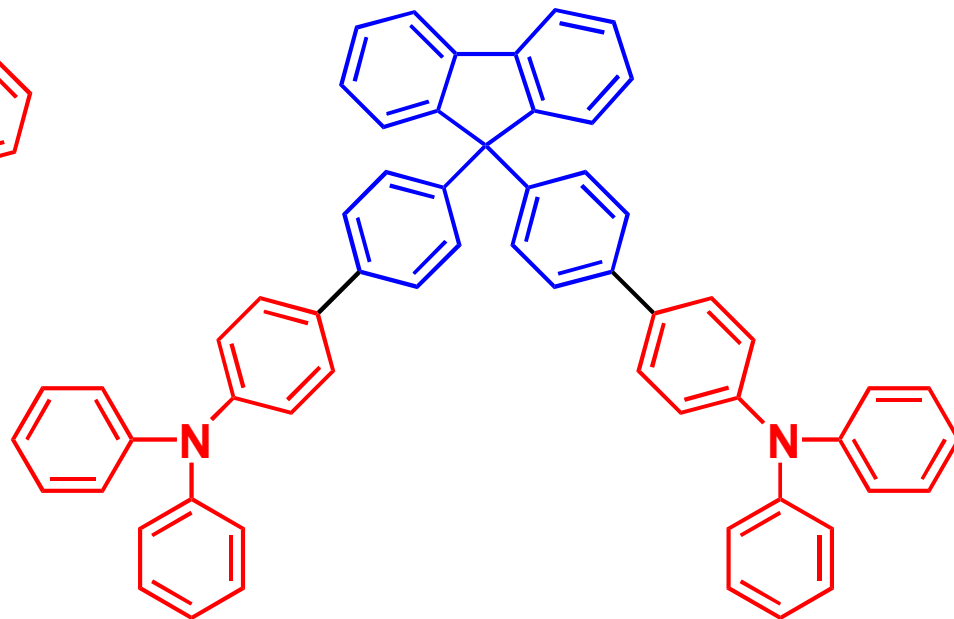
Merck (Germany)



Applications of Suzuki Coupling : OLED Materials(1)

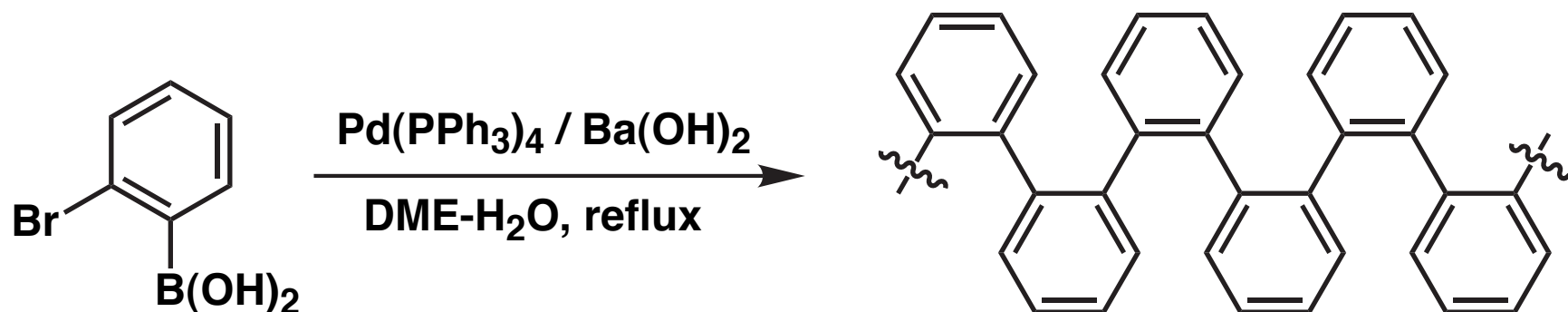


IDEMITSU
(Fluorescent Material)



TOSOH
(Hole Transporting Material)

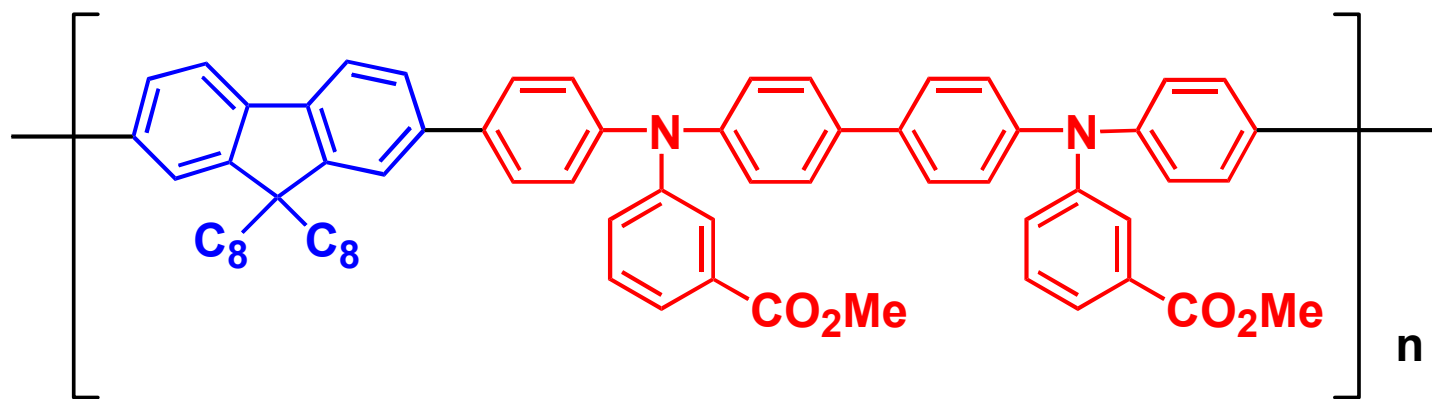
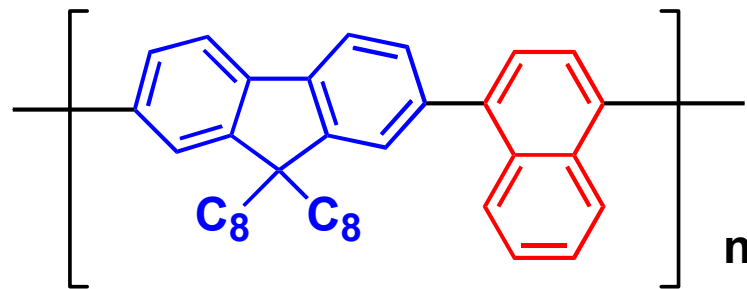
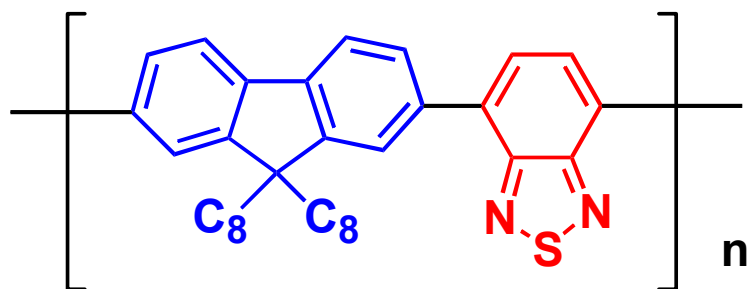
Synthesis of Poly(*ortho*-phenylenes)



helical structure

N. S. Simpkins et al., *Tetrahedron Lett.*, 1998, 39, 9093

Applications of Suzuki Coupling : OLED Materials(3)



SUMITOMO CHEMICAL
(Polymer-type Materials)

Nobel Lecture, December 8, 2010 N-1

Cross-Coupling Reactions of Organoboranes: An Easy Way for Carbon-Carbon Bonding

Akira Suzuki





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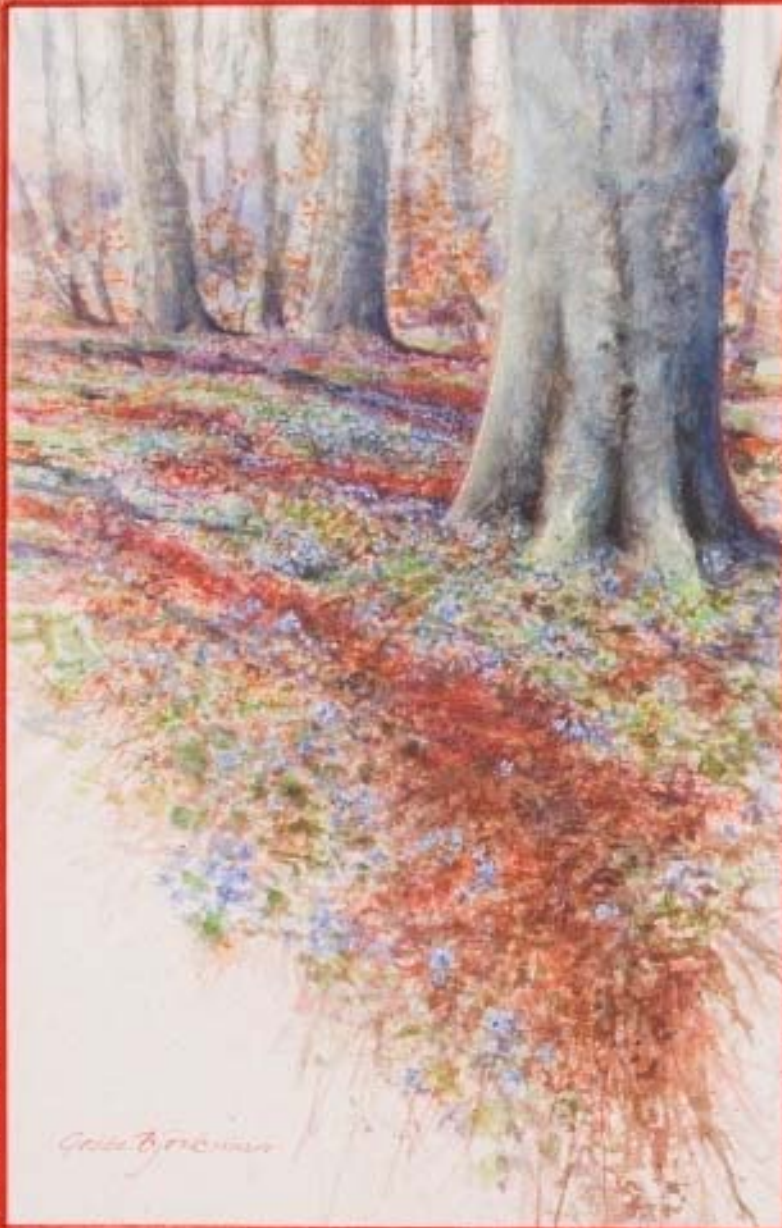


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upptäckten eller förbättringen
gemensamt belöna*


Akira Suzuki

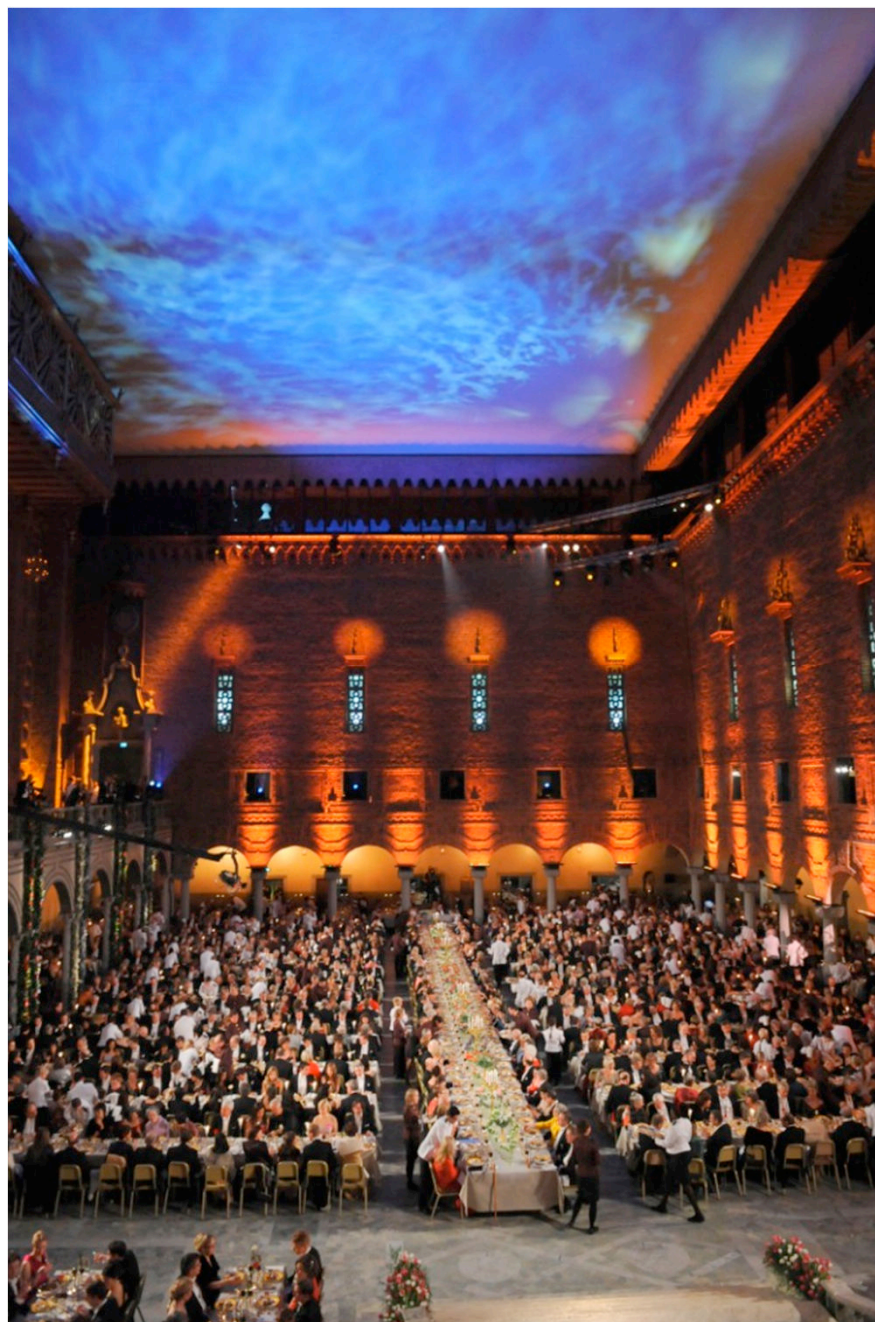
*Richard F. Heck och Ei-ichi Negishi
för palladiumkatalyserade
korskopplingar i organisk syntes*

● STOCKHOLM DEN 10 DECEMBER 2010 ●

Janne Lindqvist



Staffan Larsson 



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