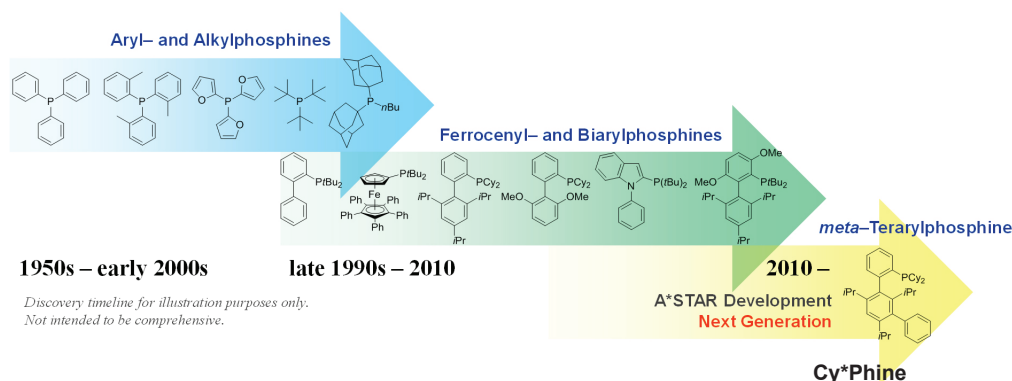


The impact of cross-coupling chemistry was acknowledged by the award of the Nobel Prize in chemistry in 2010 to Professors Akira Suzuki, Ei-ichi Negishi, and Richard F. Heck. This important transformation is practiced on industrial scale to make valuable pharmaceuticals, agrochemicals, and materials. Rational ligand design has played a significant role in the development of efficient cross-coupling systems. Specifically, monodentate phosphines are the most widely used ligands; this class originated with aryl- and alkylphosphines. Recently, notable improvements in cross-coupling performance have been achieved with ferrocenyl and biaryl phosphine ligands. The evolution of phosphine ligand design has led to the development of the meta-terarylphosphine architecture by the Johannes group at A\*STAR.



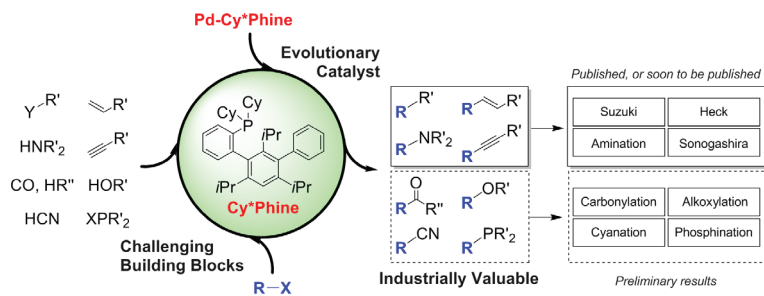
### Novel Terarylphosphine System

Cy\*Phine ligand: **300957**

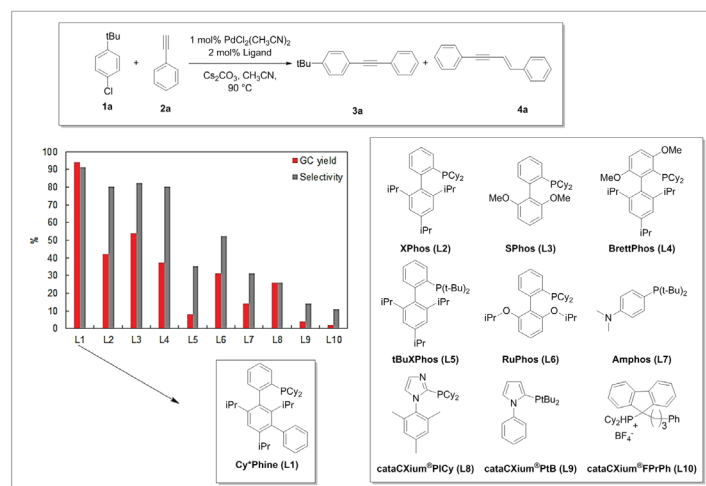
Pd(Cy\*Phine)<sub>2</sub>Cl<sub>2</sub> precatalyst: **300958**

For bulk inquiries,  
please contact [bulk@aspirasci.com](mailto:bulk@aspirasci.com).

The Cy\*Phine ligand was demonstrated to be effective in various cross-coupling reactions including copper-free Sonogashira,<sup>1</sup> Suzuki-Miyaura, Mizoroki-Heck,<sup>2</sup> and Buchwald-Hartwig reactions. The range of cross-coupling reactions accessible by Cy\*Phine are shown below (**Figure 1**). To highlight the performance improvement with Cy\*Phine, a benchmark study was carried out in the Sonogashira reaction in comparison with other state-of-the-art ligand systems. The results of this study are also shown below (**Figure 2**). The Cy\*Phine ligand was found to be superior in terms of yield and selectivity.



**Figure 1.** Cross-coupling reactions accessible by Cy\*Phine.



**Figure 2.** Results of Sonogashira benchmark study.

### References

- (1) Yang, Y. et al. Eur. J. Org. Chem. 2014, 7184.
- (2) Tay, D. W. et al. J. Org. Chem. 2015, DOI: 10.1021/acs.joc.5b00386.