JIUZHOU Pharmaceutical

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Raybow

Privileged Chiral Spiro Ligands and Applications to Commercially Marketed APIs

Raybow is pleased to highlight the use of privileged chiral spiro ligands for asymmetric synthesis with successful application to a number of commercially marketed APIs. The key is more than 200 privileged chiral ligands with high enantioselectivity, high turnover number, high stability, ease of handling and readily tunable that Raybow has licensed from a number of leading academic researchers, specifically Professors Qilin Zhou (Nankai University) and Wenjun Tang (Shanghai Institute of Organic Chemistry).

It is important to note that these privileged chiral spiro ligands are free and clear of any Intellectual Property issues and their use will not require any royalty payments from Raybow's clients.

These privileged chiral spiro ligands can be applied to a number of chemical transformations including asymmetric hydrogenation, asymmetric carbonyl reductions, cross-coupling (C-C, C-N, C-B), hydroboration/diboration and nucleophilic addition reactions.

Raybow has applied these privileged chiral ligands to a number of client projects, ranging from Process R&D to metric tonne manufacturing campaigns. Examples include Crizotinib (asymmetric reduction of a carbonyl intermediate; 100's of Kg per annum), Ensartinib (asymmetric reduction of a carbonyl intermediate; MT per annum), Rivastigmine (asymmetric reduction of a carbonyl intermediate, 100's of Kg per annum) and Aleglitazar (asymmetric hydrogenation; clinical supplies to Phase III). For all these examples more concise and/or higher yielding manufacturing processes with lower costs were developed.

Raybow will be happy to discuss the potential applicability of these privileged chiral spiro ligands for your chemistry needs.

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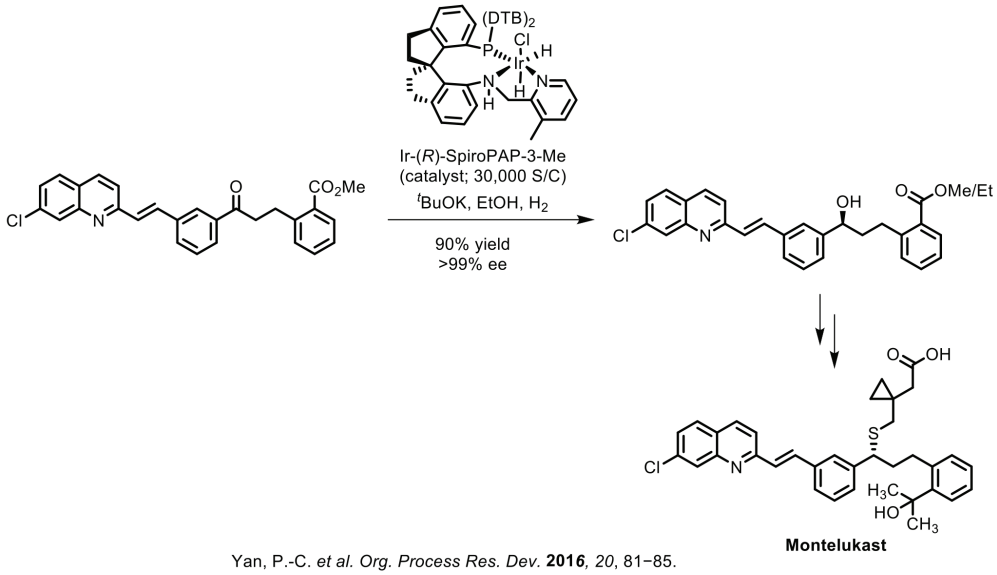
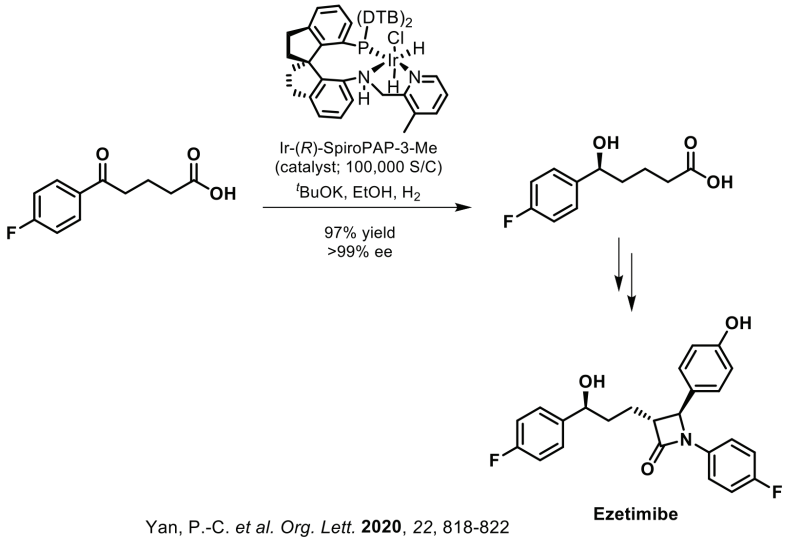
Raybow has further demonstrated the viability of these privileged chiral spiro ligands by their application to a number of other commercially marketed APIs at gram to kilo-gram scale. These APIs include Montelukast (asymmetric reduction of a ketoester intermediate), Ezetimibe (asymmetric reduction of a ketoacid intermediate), Clopidogrel (asymmetric reduction of a ketoacid intermediate), Dapoxetine (asymmetric reduction of a beta-ketoester intermediate), Empagliflozin (asymmetric reduction of a lactone intermediate) and Silodosin (asymmetric hydrogenation of an olefin intermediate).

Example 1:



Yan, P.-C. *et al. Org. Process Res. Dev.* **2016**, *20*, 81−85.

Example 2:



Yan, P.-C. *et al. Org. Lett.* **2020**, *22*, 818-822