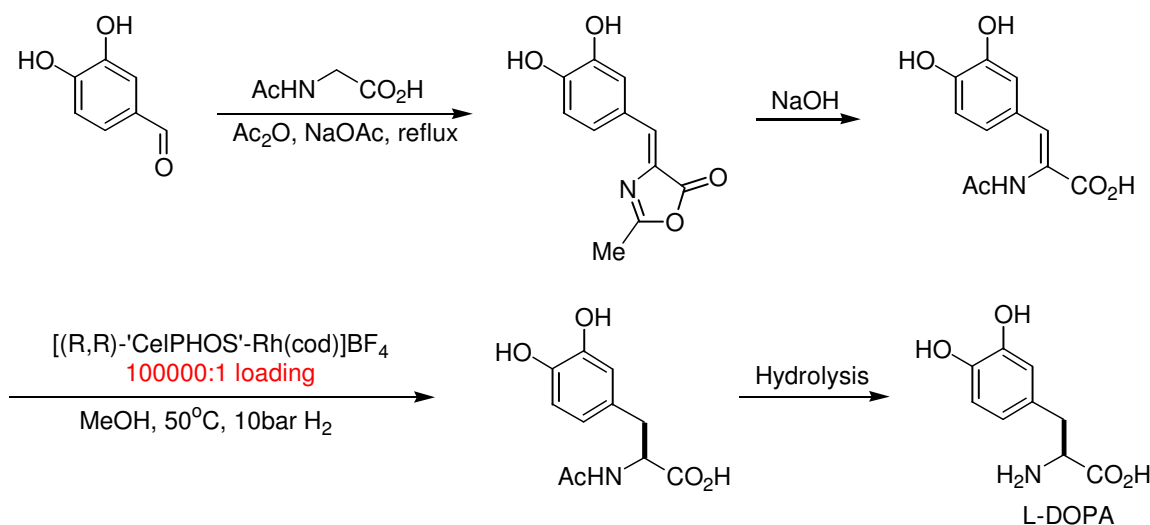


Celtic Catalysts announce the development of record-breaking asymmetric hydrogenation catalyst.

Celtic Catalysts is delighted to announce the development of novel catalyst 'Rh-CelPHOS'. This catalyst routinely gives a substantial improvement over previous best-in-class catalysts in terms of loading and enantiomeric excess particularly in the asymmetric hydrogenation of enamide substrates to form α -Amino Acids. An example of this is shown below in the application of Rh-CelPHOS to the synthesis of L-DOPA (Scheme 1). L-DOPA is used in the clinical treatment of Parkinson's disease and dopamine-responsive dystonia (DRD).



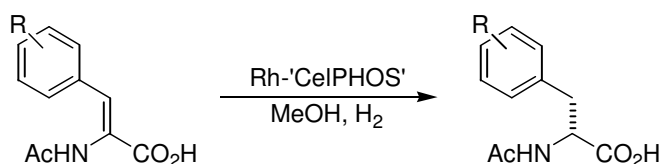
Scheme 1: L-DOPA derivative synthesis using 'CelPHOS'-Rh

Low Catalyst Loadings

For the key hydrogenation the **loading of an astounding 100,000:1** gave complete conversion to the L-DOPA derivative in an ee > 99% at 10bar hydrogen pressure and 50°C temperature when Rh-'CelPHOS' is used. This equates to only 35.8 g of catalyst being required to hydrogenate 1 MT of starting alkene! This is the lowest reported loading achieved for a rhodium based catalyst. The original synthesis of L-DOPA using the Knowles catalyst Rh-DiPAMP gave a maximum working loading of 10,000:1, with TOF ~ 600 and a lower enantiomeric excess of 92%.

Routinely, TOF (turn over frequency) is as high as 10,000.

The use of Rh-'CelPHOS' has been extended to the hydrogenation of various substituted α -amino acid precursors (Scheme 2). Catalyst loading in the range 50,000-100,000:1 were achieved with enantiomeric excess >98% in all cases. TOF was in the range 5000-10,000.



Scheme 2: Hydrogenation of various substituted α -amino acid precursors

These results will be published in due course in peer reviewed journals.