



Anhydrides as nucleophiles in a catalytic asymmetric enantio-pure reaction

Overview

Exciting opportunity to produce an extensive range of enantio-pure chiral anhydrides using an organo-catalyst. The process allows a one-pot synthesis of highly pharmacologically active materials in enantio-pure form for the first time. The invention presents the catalytic control of a known reaction, which was previously deemed unattractive by the fact that only racemic mixtures were generated. Development of the catalytic process opens the door to a plethora of enantio-pure chiral intermediates in a simple one-pot process.

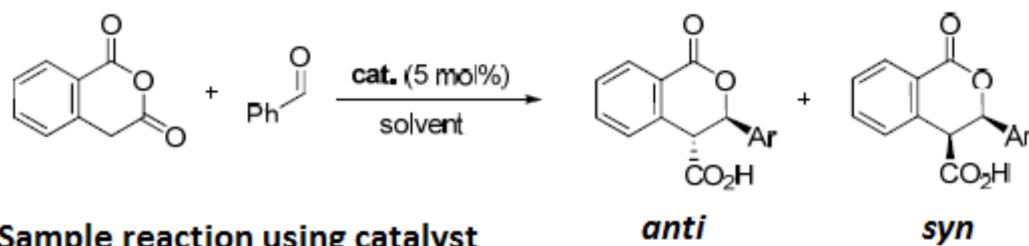
Advantages

Trinity researchers have developed a catalyst which allows this reaction to proceed with the formation of one enantiomer for the first time

- Atom economy: The un-catalysed reaction typically generates two enantiomer products (which are extremely difficult to separate) in a 50:50 ratio. This is highly inefficient and wasteful. There are also additional costs incurred to separate and purify these products using various techniques such as chiral resolution. The novel organo-catalyst invention allows the selective synthesis of one enantiomer avoiding waste and costly separation steps.
- The products generated using this methodology would be useful research materials, intermediates and synthetic building blocks toward pharmacologically active molecules.
- The catalyst also accelerates the reaction, which allows it to occur using milder conditions than previously possible.

Applications

The process allows one-pot access to a range of highly pharmacologically active materials in either enantiomerically pure or close to enantiomerically pure form for the first time.



The opportunity

This cutting edge technology is available for licensing to an interested company who is keen to increase their product range.

Technology and Patent Status

The technology has been granted patents in:

- ✓ United States US 9,050,586 granted 2015
- ✓ Europe EP 2,639,212 granted 2016

Entry	Product	Time (h)	Yield (%) ^[a] of <i>anti</i> -diastereomer	<i>d_r</i> ^[b]	<i>ee</i> (%) ^[c]
1		48	93 (97) ^[d]	97:3	96
2		40	93 (100) ^[d]	95:5	95
3		48	93 (100) ^[d]	95:5	97
4		48	92 (100) ^[d]	93:7	96
5		115	78 (90) ^[d]	90:10	91
6		48	95 (100) ^[d]	97:3	99

Market

Small Molecule Manufacturing

IP Status

GRANTED, US & Europe

Opportunity

Available to License

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