

PROCEDURE FOR THE PREPARATION OF POLYSUBSTITUTED PYRROLIDINES AS INHIBITORS OF THE HEPATITIS C VIRUS

P PATENTED TECHNOLOGY

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ABSTRACT

The research group has developed a highly enantioselective process catalysed by small amounts of a chiral complex formed by a silver(I) salt and a chiral phosphoramidite. This method allows the preparation of enantioenriched polysubstituted proline derivatives after a three-step sequence. These prolines are widely used for multiple purposes, but the most important activity is based on the high efficiency against the hepatitis C virus. The administration of these drugs in mammals is beneficial because the risks of the multiple side effects are reduced and the required dose is very small, unlike the mixture of the pharmaceuticals employed in the current therapy. This research group asks for companies ready to acquire this methodology for a future exploitation.

ADVANTAGES AND INNOVATIVE ASPECTS

MAIN ADVANTAGES:

- The processes are highly enantioselectives. The final antiviral agents are obtained with very good ee's.
- The chemical yields are very good, and the purity of the compounds is very high.
- The procedure is efficient and reproducible.

INNOVATION FEATURES

At this moment, this methodology is the unique dealing with the production of these antiviral agents in an highly enantioselective manner. This enantioselective synthesis is very reliable, reproducible and allows to react very bulky starting materials giving high chemical yields. This method is a clear example of the atom economy.

MARKET APPLICATIONS

PHARMACEUTICAL COMPANIES: they represent a very promising therapy against the proliferation and development of the virus responsible of the hepatitis C. Their efficiency and biological potential has been demonstrated in infected mice $IC_{50} = 0.3-0.5 \mu M$, (even lower according to the new structures published in the literature).

COLLABORATION SOUGHT

The research group is looking for companies in acquiring the above described technology for a future exploitation. For that reason the group is ready to deal whatever kind of technological transfer under the supervision of the University of Alicante.