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PII: S1385-8947(17)30174-2
DOI: http://dx.doi.org/10.1016/j.cej.2017.02.026
Reference: CEJ 16465

To appear in: *Chemical Engineering Journal*

Received Date: 18 July 2016
Revised Date: 23 January 2017
Accepted Date: 4 February 2017

Please cite this article as: R. Yuan, Y-j. Wang, Y. Fang, W-h. Ge, W. Lin, M-q. Li, J-b. Xu, Y. Wan, Y. Liu, H. Wu, The First Direct Synthesis of Chiral Tröger's Bases Catalyzed by Chiral Glucose-containing Pyridinium Ionic Liquids, *Chemical Engineering Journal* (2017), doi: http://dx.doi.org/10.1016/j.cej.2017.02.026

The First Direct Synthesis of Chiral Tröger's Bases Catalyzed by Chiral Glucose-containing Pyridinium Ionic Liquids

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Abstract

Tröger's base (TB) and its derivatives, especially chiral TBs are widely used in many fields. Although there is an urgent demand for the direct synthesis of pure enantiomers of TBs, convenient synthetic strategies are far less developed. Ionic liquids are green and recyclable solvent, therefore, in this paper, novel chiral glucose-containing pyridinium ionic liquids (1-((*2S,3S,4R,5S,6S*)-3,4,5-triacetoxy-6-(acetoxymethyl)tetrahydro-2*H*-pyran-2-yl)pyridin-1-ium tetrafluoroborate, $[Py\text{-}G]^+[BF_4]^-$, **5**) was synthesized and used as catalyst and solvent, while trifluoroacetic acid (TFA) was used as co-catalyst, to promote the one-step direct asymmetric synthesis of Tröger's bases with pyrazol flank (**7**) firstly at room temperature with high yields (up to 83%) and high ee value (up to 84%). A reasonable reaction mechanism was investigated by using chemical experiments, ^{1}H NMR analysis and mass spectrometry. The novel method is environmental friendly and the result indicated its value of practical application.

Keywords

Green chemistry; Chiral ionic liquids; Glucose-containing pyridinium; Chiral Tröger's bases; Asymmetric synthesis