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ASYMMETRIC SYNTHESIS OF LINEZOLID THROUGH CATALYZED HENRY REACTION.

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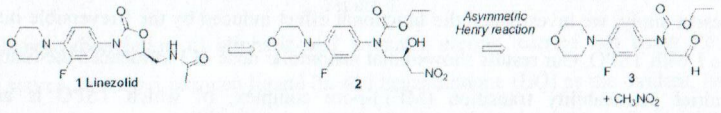
Linezolid **1** is an antibacterial oxazolidinone approved by FDA in 2000 for the treatment of fastidious bacterial infections.[1] The asymmetric synthesis of Linezolid-like molecules make use of the chiral pool approach, through the so-called Manninen reaction.[2] This strategy requires the use of BuLi, low temperature and a long elaboration of the acetamide chain. Curiously, the only catalyzed approach toward the asymmetrical synthesis of Linezolid was just recently reported, and belongs to the use of proline-derived catalysts in aldol reactions as the key step.[3] This procedure is quite interesting except for the low yield.



Here we report a new synthesis of Linezolid, based on a Cu(II)-catalyzed asymmetric Henry reaction. The target compound was obtained in good overall yield, and enantiomeric excess.

References

[1] Barbachyn, M. R; Ford, C. W. *Angew. Chem., Int. Ed.* 2003, *42*, 2010-2023.

[2] Brickner, S.J.; Hutchinson, D.K.; Barbachyn, M.R.; Manninen P.R. et al. *J. Med. Chem.* 1996, *39*, 673-679.

[3] Song, L.; Chen, X.; Zhang, S.; Zhang, H.; Li, P.; Luo, G.; Liu, W.; Duan, W.; Wang, W. *Org. Lett.* 2008, *10*, 5489-5492.