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CONFERENCE PROGRAMME

Asymmetric synthesis and biological evaluation of 1,2,4 - Oxadiazole analogues of Linezolid

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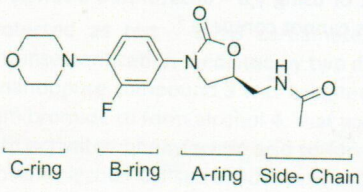
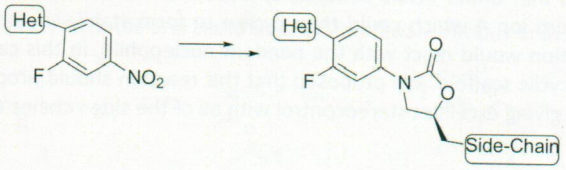
1,2,4 – Oxadiazoles are known bioactive heterocycles whose activity has been often associated to their bioisosterism with amide or ester functionalities [1].

As results of a research project on the molecular design of heterocycle – based antibacterials to contrast Multi - Drug Resistance (MDR) [2], we report the synthesis of 1,2,4 - Oxadiazole analogues of Linezolid.



The synthesis has been achieved by substitution of the morpholine (C - ring) with the Oxadiazole ring [3,4]. The central oxazolidinone ring was obtained through the Manninen reaction. Synthesized compounds showed good activity against Linezolid - resistant bacteria.



References:
1. Pace, a.; Pierro, P.; Org. Biomol. Chem. 2009, 7, 4337.
2. Financial support from Italian MIUR within the " FIRB - Futuro in Ricerca 2008" Program - Project RBFR08A9V1 - CUP: B71J10000120001 is gratefully acknowledged..
3. Palumbo Piccionello, A.; Musumeci; R.; Cocuzza, C.; Fortuna, C.G.; Guarcello,A.; Pierro,P.; Pace,P.; Eur. J. Med. Chem, 2012, 50, 441 - 448.
4. Fortuna, C.G.; Musumeci, R.; Pace, A.; Eur. J. Med. Chem, 2013, 65, 533 - 545.