PREPARATION OF NEW AMINOGUANIDINES AS BUILDING BLOCKS FOR THE SYNTHESIS OF NOVEL HIGHLY ACTIVE CEPHALOSPORINS

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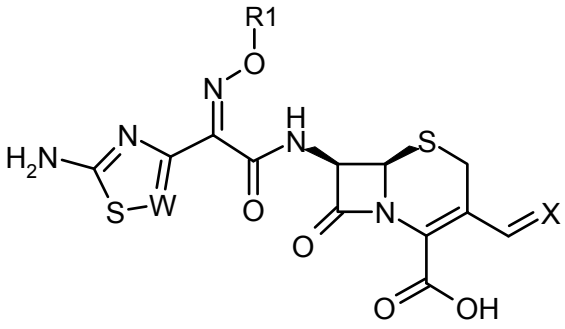
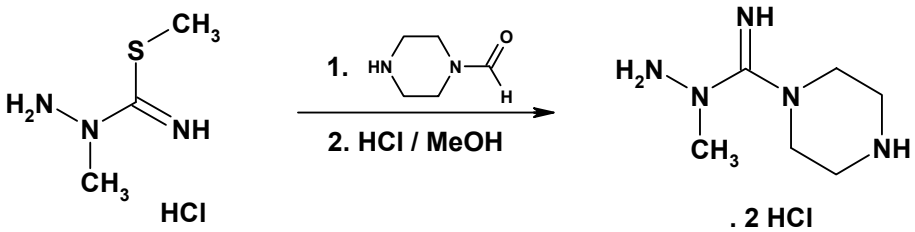
Cephalosporins are widely used for the treatment and prophylaxis of bacterial infections. Emergence of resistant bacterial strains (e.g. *methicillin resistant Staphylococcus aureus* MRSA) against available antibiotics creates the need for new agents such as Cephalosporins with increased activity against these pathogens.
An approach to target resistant bacterial strains results from the discovery of Cephem-azomethines with broad antibacterial spectrum (gram-positive and gram-negative). Additionally, they also demonstrate activity against MRSA which is until now an unknown effect in the Cephalosporin class.
The synthesis of new aminoguanidine moieties used as substituents for cephalosporins in position 3 is described. Novel synthetic paths are discussed along with the description of unexpected differences in physicochemical parameters.



X = aminoguanidine-moiety

For example, S-methyl-2-methyl-isothiosemicarbazide is reacted with N-formylpiperazine followed by removal of the formyl group under acidic conditions to yield the corresponding aminoguanidine [1].



[1] Gerd Ascher, Josef Wieser, Michael Schranz, Johannes Ludescher, Johannes Hildebrandt; WO 9843981