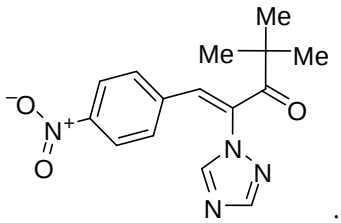
a 2016 0145

The invention relates to pharmaceutical chemistry and can be used in the development of new agents for the treatment of tuberculosis from the 1,2,4-triazole class.

Summary of the invention consists in that a simple stereoselective method for the synthesis of (Z)-4,4-dimethyl-1-(4-nitrophenyl)-2-(1*H*-1,2,4-triazol-1-yl)pent-1-en-3-one is proposed, which exhibits antituberculous activity, with the formula:



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The method provides the aldol-crotonic condensation of 3,3-dimethyl-1-(1*H*-1,2,4-triazol-1-yl)butan-2-one with 4-nitrobenzaldehyde, which runs during reflux in benzene, with azeotropic distillation of the formed water, in the presence of a piperidine-acetic acid catalyst. The starting reagents and the reaction conditions lead to the production of only one single geometric isomer Z (70%, m.p. 114…116°C).

Claims: 1