SYNTHESYS OF 1-METHYL-3-(1-(4-NITROPHENYL)-5-(TRIMETHYLSILYL)-1H-1,2,3-TRIAZOLE-4--YL)-1H-INDOLE

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Indole is an important heterocyclic molecule, which it is integrated into proteins in the form of amino acid tryptophan. It is the basis of drugs like indomethacin and provides the skeleton of indole alkaloid-biologically active compounds from plants, including strychnine and LSD [1].

The importance of indole nucleus led to the development of different bioactive compounds through variation of substituents in various positions of the indole ring. So introduction of indole ring into organic compounds can result in occurrence or strengthening of different properties. These compounds have been reported to possess various biological qualities such as antimicrobial, antiviral, insecticidal, analgesic, anti-inflammatory, antidepressant, anti-tubercular, anticancer, antihypertensive, antioxidant and anti-diabetic[2].

To prove these features a synthetic analysis of 1-methyl-3-((threemethylsilyl) ethynyl)-1H-indole was carried out as follows:

After the contact chain conversion 1-methyl-3-((trimethylsilyl) ethynyl)-1H-indole was obtained and involved in the innovative reaction of 1,3-dipolar cycloaddition (Fig. 1) in the presence of a system of Zn (OAc)₂/ascorbic acid according to general scheme:

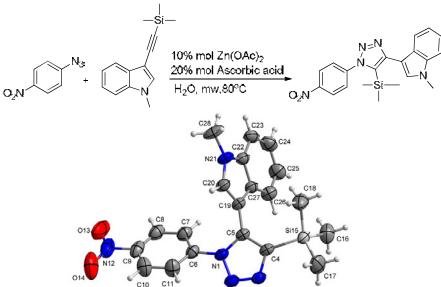


Fig. 1. X-Ray structure of 1-methyl-3-(1-(4-nitrophenyl)-5-(trimethylsilyl)-1H-1,2,3-triazole-4-yl)-1H-indole

Thus, it was proved that the reaction of 1,3-dipolar cycloaddition can be carried out with acetylenes of different nature in the presence of the system of $Zn(OAc)_2$ /ascorbic acid.

References

- 1. Sravanthi T.V., Manju S.L. // Eur. J. of Pharmaceutical Sciences. 2016. Vol. 5. P. 25.
- 2. Todd R., Hossain M.M. // Synthesis. 2009. Vol. 11.