

Hybridization of Fluoroquinolones as a Promising Pathway towards New Antibiotics

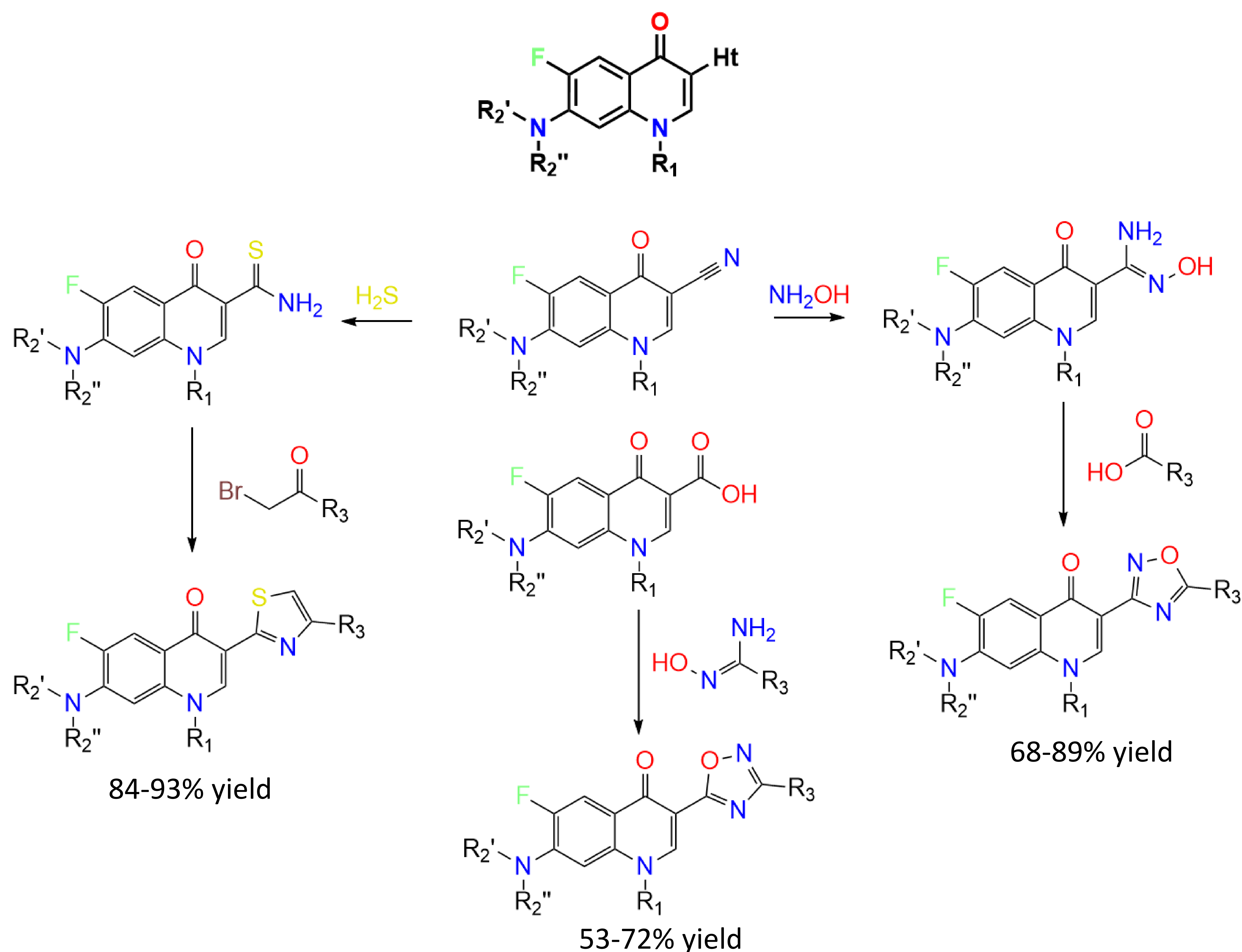
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Norfloxacin and ciprofloxacin are utilized in medicinal chemistry, and modifications of their structures often provide inspiring results. Research for new antibacterials mainly focuses on the C-7 position.

Thus, our scientific team has already reported* synthesizes of novel 1,2,3-triazole substituted derivatives of fluoroquinolones norfloxacin and ciprofloxacin.

The molecular docking studies for the obtained hybrid compounds showed affinity on the level of ciprofloxacin and norfloxacin. The antibacterial activity research showed antimicrobial and antifungal activities at the reference level for the double dilution method and exceeded control for the agar well diffusion method.



Continuing research on the synthesis of hybrid fluoroquinolones, we used the synthetic potential of 3-cyano-6-fluoroquinolones-4 for the synthesis of new 3-heteroaryl derivatives.

The greatest interest in the synthesis of heterocyclic ensembles is caused by a large family of five-membered nitrogen-containing rings - azoles.

According to the above scheme, we obtained systematic series of derivatives of 7-amino-6-fluoro-3-(thiazol-2-yl)quinolin-4(1H)-one, 7-amino-6-fluoro-3-(1,2,4-oxadiazol-5-yl)quinolin-4(1H)-one, and 7-amino-6-fluoro-3-(1,2,4-oxadiazol-3-yl)quinolin-4(1H)-one.

For now, the biological activity of the obtained compounds is under study.

Novel derivatives were successfully obtained and their structures were confirmed by 1H NMR, ^{13}C NMR, ^{19}F NMR, LC/MS, UV-, IR-spectroscopy.

The study was supported by the Ministry of Health of Ukraine from the state budget according to the topic 'Molecular design and microbiological screening of innovative derivatives of fluoroquinolone antibiotics in order to combat resistant strains of microorganisms' (SRN: 0121U109239).

*Hryhoriv H., Mariutsa I., Kovalenko S., Georgiyants V., Perekhoda L., Filimonova N., Geyderikh O., Sidorenko L. The Search for New Antibacterial Agents among 1,2,3-Triazole Functionalized Ciprofloxacin and Norfloxacin Hybrids: Synthesis, Docking Studies, and Biological Activity Evaluation // Sci. Pharm. 2022, 90(1), 2; <https://doi.org/10.3390/scipharm90010002>

ECMC
2022

The 8th International Electronic
Conference on Medicinal Chemistry
01-30 NOVEMBER 2022 | ONLINE